I-MAK initiative for medicines access & knowledge

HIV Drug Patents in China

TAHIR AMIN

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Background

The lack of patent information on antiretroviral (ARV) medicines continues to be a problem for governments, multilateral agencies and non-governmental organisations (NGOs) seeking to increase the treatment of HIV/AIDS in developing countries. This lack of transparency around the patent status on ARVs in countries can be the difference between procuring more cost-effective generic ARVs and paying higher prices for brand name versions.

Having access to patent information allows governments and organisations to make arrangements for more affordable ARV pricing or engage patent owners at a much earlier stage to negotiate voluntary or compulsory licenses. Moreover, making information available on granted patents could further our understanding of patentability standards and whether flexibilities available under TRIPs are being used in country patent laws. For example, are the granted claims of a patent in a developing country any different to international patent applications filed under the Patent Cooperation Treaty (PCT)? Finally, landscaping patents for ARVs can provide useful information about the patenting strategies of originator companies. In particular, whether originator companies are creating patent clusters around drugs, which are likely to increase the transaction costs for competitors wishing to enter the market.

To help fill this lacuna, I-MAK is making publicly available patent information on key medicines, starting with ARVs. This report focuses on the HIV drug patent landscape in China.[1] The patents included this landscape include key ARV patents that are likely to have a bearing on procurement decisions in China. However, as discussed in more detail in the methodology section, this patent landscape is not intended to be exhaustive or serve as legal advice. Nevertheless, we believe the information provided will serve as a useful starting point for those seeking more transparency around the patenting of ARVs in China.

Methodology

The ARVs covered in this patent landscape are based on the generic names (International Nonproprietary Names – INN) of marketed ARV treatments listed by the US Food and Drug Administration (USFDA).[2] In addition, patent

[1] China's first patent law was enacted on 1 April 1985, providing protection for processes only for a period of 15 years. An amendment to patent law came into force on 1 January 1993, allowing protection for pharmaceutical products for the first time. The period of protection was extended from 15 to 20 years.

[2] http://www.fda.gov/ForConsumers/byAudience/ForPatientAdvocates/HIVandAIDSActivities/ucm118915.htm

information for some ARVs showing potential in Phase III of clinical trials are also provided.[3]

The first step was to search for patents covering INNs as listed in the USFDA Electronic Orange Book.[4] As the Orange Book only provides US patent data, this information was used to conduct patent family searches that would capture patents claiming the same priority and which have been filed or have entered the national phase in China under the PCT. It should be noted that a number of PCT applications designating China were located in the search, but have not yet entered the national phase there. These applications are not included in this patent landscape.

The European Patent Office (esp@cenet) and Thomson Innovation databases were used for conducting patent family searches.[5] Once the Chinese patent numbers were identified, the status of the patents was checked using Thomson Innovation, the Chinese Patent Office (SIPO) and Chinese Patent Information Centre (CPIC) databases.[6]

However, relying solely on patents listed on the Orange Book will only yield some of the patents relating to ARVs. First the Orange Book only lists granted US patents. Therefore, pending US patents that may relate to an HIV drug would not be listed. For example, the relevant patents covering the heat-stable tablet formulation for ritonavir, ritonavir and lopinavir (Kaltra/Aluvia) are currently not patented in the US and, therefore, do not feature in the Orange Book. Second, the Orange Book only covers HIV drugs marketed in the US. As a result, many patents covering fixed dose combinations of ARVs will not be listed. An example of this is the combination lamivudine and zidovudine (Combivir). Also, patents covering drugs that are going through clinical trials do not feature in the Orange Book. Finally, patents on intermediate compounds and processes or methods of manufacturing a drug are not permitted for listing on the Orange Book.

Taking into account the limited patent information available in the Orange book, further searches were conducted using keywords including chemical names for INNs and brand names for ARVs. In addition, citation searches of to earlier patents identified in the Orange Book were also conducted. Only

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[3] Treatment Action Group, *HIV, Tuberculosis, Hepatitis B and Hepatitis C: Drugs, Diagnostics, Vaccines and Microbicides in Development*, 2008 and 2009 Pipeline Reports available at http://www.treatmentactiongroup.org/search.aspx?terms=pipeline%20report

[4] http://www.accessdata.fda.gov/scripts/cder/ob/default.cfm

[5] European Patent Office database esp@cenet at http://ep.espacenet.com/?locale=en_EP and Thomson Innovation at http://www.thomsoninnovation.com/

[6] CPIC database at http://search.cnpat.com.cn/Search/EN/ and SIPO database at http://www.chinatrademarkoffice.com/index.php/ptsearch/

patents held by originator companies were included in the landscape.[7] Again, the patent status was checked using Thomson Innovation, SIPO and CPIC databases.

Once the relevant Chinese patents were identified, copies of the published or granted patent specifications and their claims were downloaded from Thomson Innovation and esp@cenet. Where possible, the key claims of the Chinese patent documents were translated using machine translation to obtain a basic understanding of the subject matter covered. Additionally, claims of the Chinese patents were compared with their equivalent PCT, European and US patents.

As with all methodologies for patent searching, there are a number of disclaimers and limitations. Patent searches for this landscape were conducted up until 4 April 2010. As patent applications are usually only published in China 18 months after the priority date of the priority patent i.e. the first patent filed anywhere (or 30-31 months from the date of the priority patent if filed as an international application and the applicant elects to enter into the national phase in China), many patents that fall within this window will not appear in this landscape. Patent databases are also not always up to date and information obtained from the databases used may have errors. Despite the additional searches conducted using keywords, chemical names etc, it is likely that a number of patents may not have been captured. Finally, although every effort has been taken to provide as precise a translation and analysis of the claims covered in the Chinese patents, they are open to different interpretations and construction. Moreover, the claims analysis provided in the patent landscape is of a summary nature and do not cover all claims for each patent. For this reason, the information for patent claims provided should not be relied upon as legal advice or for making freedom to operate decisions. For further advice and analysis on the claims, contact us at http://www.i-mak.org/contact/.

Summary of Patent Landscape

The landscape reveals that for the majority of ARVs, patents covering the base compound (active ingredient) have been granted. However, patents covering the base compounds for nevirapine and ritonavir do not appear to have been filed in China. Due to the translations of claims not being available, it is also unclear whether the base compounds of indinavir and lamivudine have been patented.

[7] The searches revealed patents related to processes and fixed dose combinations held by Chinese and Indian companies.

In addition to patents claiming the active ingredients, patents for polymorphs, key formulations and processes for ARVs have been applied for or granted in relation to a number of ARVs.

The following is a summary of the findings:

Drug	Applicant	Subject Matter of Patent	Status
Abacavir	Wellcome Foundation Ltd	Abacavir (including succinate salt)	Patented
	Wellcome Foundation Ltd	New intermediates of abacavir	Patented
	Glaxo Group Ltd	Hemisulfate salt of abacavir	Patented
	Glaxo Group Ltd	Various salts of abacavir	Patented
	Glaxo Group Ltd	New formulation for pediatric use	Rejected
	Glaxo Group Ltd	New formulation for pediatric use	Pending
Atazanavir	Novartis AG	Atazanavir	Patented
	Novartis AG	Derivative compounds of atazanavir	Patented
	Bristol Myers Squibb Co	Bisulfate salt of atazanavir	Patented
	Bristol Myers Squibb Co	Process for preparing atazanavir	Pending
	Bristol Myers Squibb Co	Method of using atazanavir to reduce elevated LDL and/or triglyceride levels in HIV patients	Patented
Darunavir	G D Searle & Co	Intermediate compounds	Patented
	Tibotec NV	N-oxides, stereoisomerics and racemic forms of darunavir	Patented
	Tibotec Pharm Ltd	Combination of darunavir with a cytochrome P450 inhibitor	Patented
	Tibotec Pharm Ltd	Pseudopolymorphic forms of darunavir	Patented
	Tibotec Pharm Ltd	Methods for preparing intermediate compounds of darunavir	Patented
	Tibotec Pharm Ltd	Process for preparing polymorphic and pseudopolymorphic forms of darunavir	Patented
Didanosine	Bristol Myers Squibb Co	Method for making didanosine	Pending
	Bristol Myers Squibb Co	New dosage form of didanosine	Patented
	Bristol Myers Squibb Co	Enteric coated composition of didanosine	Patented
Efavirenz	Merck & Co. Inc	Efavirenz	Patented
	Merck & Co. Inc	Efavirenz in combination with zidovudine, didanosine or stavudine	Patented
	Merck & Co. Inc	Crystallised forms of efavirenz	Patented
	Merck & Co. Inc	Process for obtaining crystallised forms of efavirenz	Patented
	Bristol Myers Squibb Co	Solid dosage forms of efavirenz	Patented
Elvitegravir	Japan Tobacco Inc	Elvitegravir	Patented
	Japan Tobacco Inc	Crystal forms of elvitegravir	Pending
	Gilead Sciences Inc	Use of elvitegravir with ritonavir and/or other ARVs for improving pharmacokinetics	Pending
Emtricitabine	Emory University	Methods for obtaining the racemic form of emtricitabine	Patented
Enfuvirtide	Trimeris Inc	Combination of enfuvirtide with didanosine, lamivudine or zidovudine	Rejected
	Trimeris Inc	Methods for synthesising enfuvirtide	Patented
Etravirine	Janssen Pharmaceutical N.V.	Etravirine	Patented
	Tibotec Pharmaceuticals Ltd	New analogs of etravirine	Pending
Fosamprenavir/ Amprenavir	Vertex Pharmaceuticals Incorporated	Amprenavir	Patented
	Glaxo Group Ltd	Liquid formulation of amprenavir	Rejected
	Vertex Pharmaceuticals Incorporated	Composition comprising amprenavir with lamivudine and/or zidovudine	Abandoned
	Vertex Pharmaceuticals Incorporated	Fosamprenavir	Pending
	Vertex Pharmaceuticals Incorporated	Isomeric forms of fosamprenavir	Patented

Drug	Applicant	Subject Matter of Patent	Status
	Glaxo Group Ltd	Form I crystalline of calcium salt of fosamprenavir	Patented
Indinavir	Merck & Co. Inc	Combination of indinavir sulfate with zidovudine or didanosine	Lapsed
Lamivudine	IAF Biochem International, Inc	Enantiomer of lamivudine	Patented
	Shily Biolog Chemistry Co Ltd	Method for preparing enantiomer of lamivudine	Patented
	Glaxo Group Ltd	Liquid pharmaceutical composition comprising lamivudine	Patented
Maraviroc	Pfizer Ltd	Maraviroc	Rejected
		Salts, solvates and hydrates of maraviroc	Patented
		Polymorph of maraviroc	Pending
Nevirapine	Boehringer Ingelheim Chemicals	Process for making nevirapine	Patented
		Nevirapine hemihydrate	Patented
Raltegravir	Angeletti P. Ist Richerche Bio	Raltegravir	Pending
	Merck & Co. Inc	Potassium salt of raltegravir	Pending
Rilpiverine	Janssen Pharmaceutical N.V.	Rilpiverine	Patented
Ritonavir, Lopinavir and Ritonavir/ Lopinavir	Abbott Laboratories	Lopinavir	Patented
		Crystalline form of lopinavir	Patented
		Polymorph of ritonavir	Pending
		Amorphous form of ritonavir	Pending
		Soft gel capsule formulation of ritonavir, and ritonavir and lopinavir	Patented
		Soft gel capsule formulation of ritonavir	Patented
		Intermediate compounds for lopinavir	Patented
		Solid galenic formulation	Pending
		Solid pharmaceutical dosage forms of ritonavir, and ritonavir and lopinavir	Pending
		Prodrug of ritonavir and lopinavir	Pending
Saquinavir	F.Hoffmann-la Roche AG	Saquinavir	Patented
		Method for preparing saquinavir	Patented
		New dosage form of saquinavir	Patented
		Process for making liquid capsules of saquinavir	Patented
Stavudine	Bristol Myers Squibb Co	Sustain release beadlets containing stavudine	Lapsed
Tenofovir Disporoxil Fumarate	Gilead Sciences Inc	Tenofovir disoproxil	Patented
		Tenofovir disoproxil fumarate	Patented
		Lithium alkoxide and tenofovir for preparing intermediate compounds of tenofovir disoproxil fumarate	Patented
		Formulations of tenofovir disoproxil fumarate for tropical application	Pending
		Prodrugs of tenofovir and their screening methods	Patented
Tpranavir	The Upjohn Co	Tipranavir	Patented
	Boehringer Ingelheim Chemicals	Oral dosage form of tipranavir	Patented
	Pharmacia & Upjohn Co	Method for improving pharmacokinetics of tipranavir	Patented
	Pharmacia & Upjohn Co	Pharmaceutical composition comprising tipranavir	Patented
Fixed Dose Combinations	Glaxo Group Ltd	Zidovudine and lamivudine	Patented
	Wellcome Foundation Ltd	Abacavir, zidovudine, lamivudine and emtricitabine	Patented
	Glaxo Group Ltd	Abacavir, zidovudine and lamivudine	Patented
	Glaxo Group Ltd	Amprenavir, zidovudine and abacavir	Withdrawn
	Glaxo Group Ltd	Abacavir and lamivudine	Withdrawn
	Tibotec Pharm Ltd	Rilpiverine, emtricitabine, lamivudine, zidovudine, abacavir and/or tenofovir disoproxil fumurate	Pending
	Merck & Co Inc	Indinavir, lamivudine and zidovudine	Patented
	Glaxo Group Ltd	Amprenavir, zidovudine, emtricitabine and lamivudine	Withdrawn
	Bristol Myers Squibb and Gilead Sciences Inc	Efavirenz, emtricitabine and tenofovir disoproxil fumarate	Pending
	Tibotec Pharm Ltd	Darunavir, tenofovir disoproxil fumarate and lopinavir	Pending

How to Use this Report

The information provided in the patent landscape is set out in to the following columns (see figure 1):

- Column 1 lists the generic compound name or INN.
- Column 2 provides the name of the applicant as on record with the SIPO.
- Column 3 provides the application number as given by SIPO. Where the application originates from a PCT application, the details of the original PCT filing and publication number are given.
- Column 4 provides the publication number and date of publication of the application in China.
- Column 5 provides the date the patent was granted.
- Column 6 provides the granted patent number and its expected date of expiry.
- Column 7 provides the title and abstract as shown on the Chinese patent document. Following the abstract, where possible, a translation or summary of the key claims as granted are provided. Taking the example shown in Figure 1 below, Claim 1 covers the hemisulfate salt of (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir). Where the claims are identical to those published in the PCT application from which the Chinese application derives, a comment to the effect of *'Claims are as published in WO xxxxxxxx'* will be made. Finally, at the end of the claims, a general comment in bold is made summarizing the subject matter a patent covers.

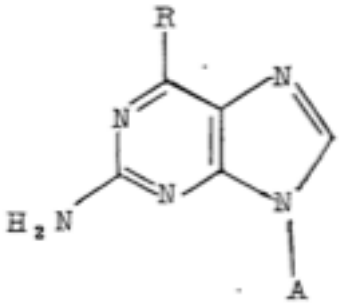
Figure 1. Example of patent landscape data

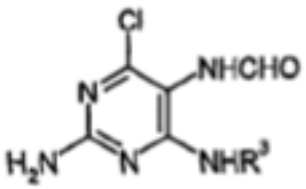
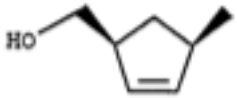
Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims of Chinese Patents and General Comments
Abacavir	Glaxo Group Ltd	98807073 14.5.1998 (Filed as PCT/EP1998/002835 International Publication No. WO1998/052949)	1263529 16.8.2000	19.5.2004	1150194 **14.5.2018**	*Carbocyclic nucleoside hemisulfate and its use in treating viral infections* There is described the hemisulfate salt of (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol or a solvate thereof. Also described are preparative routes and starting compounds for making the hemisulfate salt. The hemisulfate salt is useful in medicine, particularly in the treatment of viral infections. Claim 1 – The hemisulfate salt of (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol or solvate thereof. Claim 3 – The use of the compound in claim 1 for the treatment of viral infection HIV or HBV. Claim 4 – The method for the preparation of the compound in claim 1, which includes: Admixture of sulfuric acid and (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol in a stoichometric ratio of approximately 1:2 **This patent covers the abacavir hemisulfate and methods for preparing the hemisulfate salt for use as a HIV treatment.**

ARV Patent Landscape in China

Abacavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Abacavir	Wellcome Foundation Ltd	91100671 21.12.1990	1054981 2.10.1991	5.4.1995	1028106 21.12.2010	*Therapeutic nucleosides* The present invention relates to 6-substituted purine carbocyclic nucleosides and their use in medical therapy particularly in the treatment of HIV and HBV infections. The invention also relates to pharmaceutical formulations and processes for the preparation of compounds according to the invention. Claim 1: Enantiomeric compounds, including the formula:

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 wherein: R represents a cyclopropylamino or N-cyclopropyl-N-methyl amino group and A represents the 2-cyclopentene-1-methanol-4-yl group in either the (1S, 4R) or (1R, 4S) configuration) and their derivatives, the said compounds and their derivatives each being in the form of an enantiomer substantially free of the corresponding enantiomer. **This patent covers abacavir and abacavir succinate.**
Abacavir	The Wellcome Foundation Ltd	95191478 3.2.1995	1139924 8.1.1997	9.4.2003	1105109 3.2.2015	*Chloropyrimide intermediates* The present invention relates to certain novel pyrimidine intermediates and their salts, processes for their preparation

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		(Filed as PCT/GB 95/00225 International Application No. WO 95/21161)				and processes for their conversion to 9-substituted-2-aminopurines, which are useful in medical therapy. Claim 9: A process for the preparation of 1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (abacavir) by reaction of a compound of formula VI  (VI) wherein R3 is:  with an excess of cyclopropylamine in a refluxing solvent. **This patent covers new intermediates and their process**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						for preparing abacavir and its salts, esters and pharmaceutically acceptable derivatives. **(This patent was also divided out in to application no. 02102344, published as 1388123, granted as Patent No. 1161343. Patent No. 1161343 covers various pyrimidine intermediates and processes for their preparation, but does not specifically claim intermediates and processes for preparing abacavir)**
Abacavir	Glaxo Group Ltd	98807073 14.5.1998 (Filed as PCT/EP1998/002835 International Publication No. WO1998/052949)	1263529 16.8.2000	19.5.2004	1150194 14.5.2018	*Carbocyclic nucleoside hemisulfate and its use in treating viral infections* There is described the hemisulfate salt of (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol or a solvate thereof. Also described are preparative routes and starting compounds for making the hemisulfate salt. The hemisulfate salt is useful in medicine, particularly in the treatment of viral infections. Claim 1: The hemisulfate salt of (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol or solvate thereof. Claim 3: The use of the compound in claim 1 for the treatment of viral infection HIV or HBV.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 4: The method for the preparation of the compound in claim 1, which includes: Admixture of sulfuric acid and (1S, 4R)-cis-4- [2-amino-6-(cyclopropylamino) -9H-purin-9-yl]-2-cyclopentene-1-methanol in a stoichometric ratio of approximately 1:2 **This patent covers abacavir hemisulfate and methods for preparing the hemisulfate salt for use as a HIV treatment.**
Abacavir	Glaxo Group Ltd	03102921 14.5.1998 (Filed as PCT/EP1998/002835 International Publication No. WO1998/052949)	1515572 28.7.2004	6.8.2008	100408580 14.5.2018	*Carbocyclic nucleoside hemisulfate and its use in treating viral infections* The hemisulfate salt of (1S,4R)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol or a solvate of it is used in the treatment of viral infections. Claim 1: A dicarboxylate salt of (1S,4R)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol wherein said dicarboxylate is selected from a group consisting of glutarate, hemisuberate, adipate, fumarate, hemisebacate and pimelate. Claim 2: The glutarate salt of (1S,4R)-cis-4-[2-amino-6-

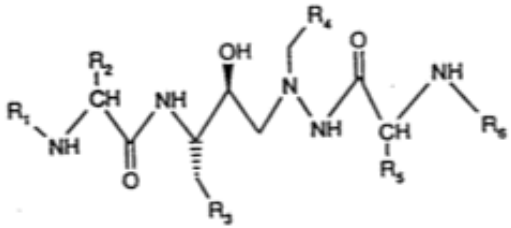
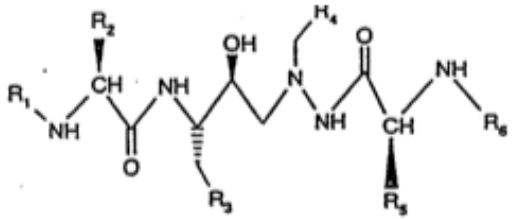
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol. Claim 3: The monosulfate, benzoate or salicylate salt of (1S,4R)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol. **This patent is a divisional application of Chinese patent application No. 98807073 (see above). The patent covers glutarate, hemisuberate, adipate, fumarate, hemisebacate and pimelate salts of abacavir.**
Abacavir	Glaxo Group Ltd	99804843 4.2.1999 (Filed as PCT/EP99/00663 International Publication No. WO99/39691)	1296406 23.5.2001		Rejected	*Pharmaceutical compositions* The present invention relates to pharmaceutical compositions of (1S,4R)-cis-4- [2-amino- 6-cyclopropylamino]- 9H-purin-9-yl]-2-cyclopentene-1-methanol (1592U89 - Abacavir). Published claims: Claim 1: A pharmaceutical composition comprising (IS, 4R)-cis-4- [2-amino-6- cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, together with at least one sweetener

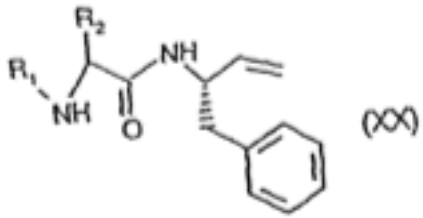
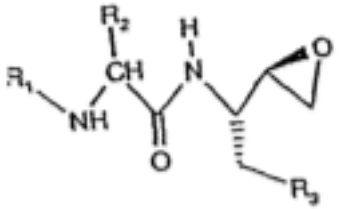
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						selected from sorbitol and saccharin at a pH range of 2.0 to 4.5. Claim 2: A pharmaceutical composition comprising (1S, 4R)-cis-4- [2-amino-6- cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, together with at least one sweetener selected from sorbitol and saccharin at a pH range of 6.6 to 7.5. Claim 3: A pharmaceutical composition as claimed in claim 1, for oral administration, wherein the sweetener is saccharin and the composition further comprises fructose and acesulfame. Claim 5: A pharmaceutical composition as claimed in any of claims 1 to 3 wherein the pharmaceutically acceptable derivative of (1S, 4R)-cis-4- [2-amino-6-cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol is the hemisulfate salt. Claim 8: A pharmaceutical composition as claimed in claim 1, further comprising citrate. **This application was rejected on 28 January 2009. The patent claimed new pharmaceutical compositions for**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						abacavir that improves the bitter taste of the compound for use in a pediatric solution.
Abacavir	Glaxo Group Ltd	200810083882 4.2.1999	101239063 13.8.2008			*Pharmaceutical compositions* The present invention relates to pharmaceutical compositions of (1S,4R)-cis-4- [2-amino- 6-cyclopropylamino]- 9H-purin-9-yl]-2-cyclopentene-1-methanol (1592U89 - Abacavir). Claim 1: A pharmaceutical composition comprising (IS, 4R)-cis-4- [2-amino-6- cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, together with at least one sweetener selected from sorbitol and saccharin at a pH range of 2.0 to 4.5. **This patent application is a divisional of Chinese patent application No. 99804843 above. The application claims new pharmaceutical compositions for abacavir that improves the bitter taste of the compound for use in a pediatric solution.**

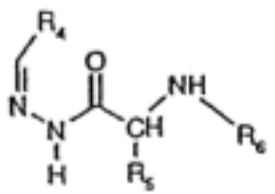
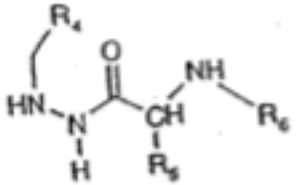
Atazanavir

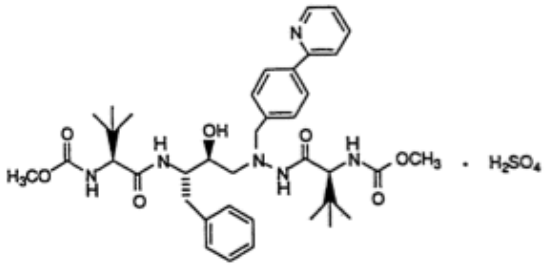
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Atazanavir	Novartis AG	97194025 14.4.1997 (Filed as International Application No. PCT/EP 97/01860 International Publication No. WO97/40029)	1216539 12.5.1999	10.4.2002	1082508 14.4.2017	*Antivirally active heterocyclic azahexane derivatives* There are described compounds of formula (I*) wherein R1 is lower alkoxycarbonyl, R2 is secondary or tertiary lower alkyl or lower alkylthio-lower alkyl, R3 is phenyl that is unsubsituted or substituted by one or more lower alkoxy radicals, or C4-C8cycloalkyl, R4 is phenyl or cyclohexyl each substituted in the 4-position by unsaturated heterocyclyl that is bonded by way of a ring carbon atom, has from 5 to 8 ring atoms, contains from 1 to 4 hetero atoms selected from nitrogen, oxygen, sulfur, sulfinyl (-SO-) and sulfonyl (-SO2-) and is unsubstituted or substituted by lower alkyl or by phenyl-lower alkyl, R5, independently of R2, has one of the meanings mentioned for R2, and R6, independently of R1, is lower alkoxycarbonyl, or salts thereof, provided that at least one salt-forming group is present.; The compounds are inhibitors of retroviral aspartate protease and can be used, for example, in the treatment of AIDS. They exhibit outstanding pharmacodynamic properties. Claim 1 covers the formula:

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 Claim 2 covers the formula:  **This patent covers the base compound of atazanavir, derivative compounds and their salts.**
Atazanavir	Novartis AG	01103494 14.4.1997	1319587 31.10.2001	16.3.2005	1193010 14.4.2017	*Heterocyclonitrogen heteroethane derivant with antiviral activity*

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						The invention relates to compounds of formula XX, IV, III*, XII, XII*, III, IX, XXIV, XXV and XXVI or salts thereof, the structure of the formula and the corresponding substituted group are defined in the specification. This patent comprises 2 claims for the following derivative compounds of atazanavir and their salts:  (XX)  (IV).

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent was divided out from Chinese Application No. 97194025 above and covers derivative compounds of atazanavir.
Atazanavir	Novartis AG	200410079187 14.4.1997	1616453 18.5.2005	18.4.2007	1310905 14.4.2017	*Antivirally active heterocyclic azahexane derivatives* The present invention relates to compounds of formula(I*)and salts thereof wherein R1 is lower alkoxycarbonyl, R2 is secondary or tertiary lower alkyl or lower alkylthio-lower alkyl, R3 is phenyl that is unsubsituted or substituted by one or more lower alkoxy radicals, or C4-C8cycloalkyl, R4 is phenyl or cyclohexyl each substituted in the 4-position by unsaturated heterocyclyl that is bonded by way of a ring carbon atom, has from 5 to 8 ring atoms, contains from 1 to 4 hetero atoms selected from nitrogen, oxygen, sulfur, sulfinyl and sulfonyl and is unsubstituted or substituted by lower alkyl or by phenyl-lower alkyl, R5, independently of R2, has one of the meanings mentioned for R2, and R6, independently of R1, is lower alkoxycarbonyl, provided that at least one salt-forming group is present. The compounds are inhibitors of retroviral aspartate protease and can be used, for example, in the treatment of AIDS. They exhibit outstanding pharmacodynamic properties. This patent covers the following derivative compounds of the atazanavir and their salts:

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 (III*),  (III), **This patent was divided out from Chinese Application No. 01103494 above.**
Atazanavir	Bristol Myers Squibb Co.	98812741 22.12.1998 (Filed as PCT/US98/27382 - International	1283188 7.2.2001	30.7.2003	1116282 22.12.2018	*Bisulfate salt of HIV protease inhibitor* The present invention provides the crystalline bisulfate salt of formula (II) which is found to have unexpectedly high solubility/dissolution rate and oral bioavailability relative to the free base form of this azapeptide HIV protease inhibitor

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		Publication No. WO99/36404)				compound. Claim 1: covers the bisulfate salt of atazanavir having the formula:  II Claim 2: covers a pharmaceutical composition containing the bisulfate salt of claim and a pharmaceutical acceptable carrier. **This patent covers the bisulfate salt of atazanavir, including in a pharmaceutical dosage form**
Atazanavir	Bristol Myers Squibb Co.	200580022550 3.5.2005	1980666 13.6.2007			*Process for preparing atazanavir bisulfate and novel forms* A process is provided for preparing the HIV protease inhibitor

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		(Filed as PCT/US2005/ 01533 International Publication No. WO2005/108349)				atazanavir bisulfate wherein a solution of atazanavir free base is reacted with concentrated sulfuric acid in an amount to react with less than about 15% by weight of the free base, seeds of Form A crystals of atazanavir bisulfate are added to the reaction mixture, and as crystals of the bisulfate form, additional concentrated sulfuric acid is added in multiple stages at increasing rates according to a cubic equation, to effect formation of Form A crystals of atazanavir bisulfate. A process is also provided for preparing atazanavir bisulfate as Pattern C material. A novel form of atazanavir bisulfate is also provided which is Form E3, which is a highly crystalline triethanolate solvate of the bisulfate salt from ethanol. Claim 1: A process for preparing atazanavir bisulfate in the form of A crystals, which comprises reacting a solution of atazanavir free base in an organic solvent, in which the bisulfate salt of atazanavir is substantially insoluble, with a first portion of concentrated sulfuric acid in an amount to react with less than about 15% by weight of the atazanavir free base, adding seeds of Form A crystals of atazanavir bisulfate to the reaction mixture, as crystals of atazanavir bisulfate form, adding additional concentrated sulfuric acid in multiple stages to effect formation of atazanavir bisulfate crystals, and drying the atazanavir bisulfate to Form A crystals. **This application claims a process for preparing atazanavir**

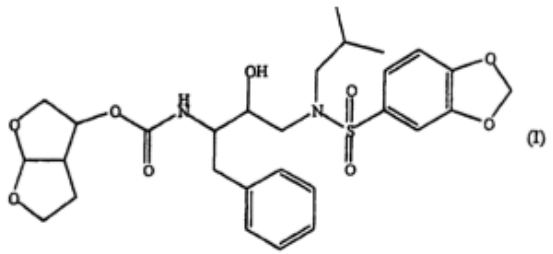
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						bisulfate. A request for examination of the application was made on 8.8.2007.
Atazanavir	Bristol Myers Squibb Co.	02816686 21.8.2002 (Filed as PCT/US2002/026675 International publication No. WO2003/020206)	1547476 17.11.2004	22.3.2006	1245988 21.8.2022	*Use of Atazanavir in HIV therapy* A method for reducing elevated plasma LDL and/or triglyceride levels in an HIV-infected patient is disclosed. In this method, atazanavir (BMS-232632) can be used to treat HIV infection in patients exhibiting elevated plasma LDL-cholesterol and/or triglyceride levels, can be substituted for an offending HIV protease inhibitor used in such therapy, or can be used in combination with an HIV protease inhibitor metabolized by cytochrome P450 monooxygenase. Claim 1: A method for treating HIV infection in a patient exhibiting elevated plasma LDL-cholesterol and/or triglyceride levels comprising administering to said patient and HIV-inhibiting amount of atazanavir. **This patent claims a method for reducing elevated plasma LDL or tryglyceride levels using atazanavir alone or in combination with other protease inhibitors, including lopinavir.**

Darunavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Darunavir	G D Searle & Co	96193620 7.3.1996 (Filed as PCT/US1996/002684 International Publication No. WO1996/028463)	1186499 1.7.1998	1.6.2005	1204144 7.3.2016	*Amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors* Selected amino acid hydroxyethylamino sulfonamide compounds are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. The present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compounds, composition and method for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. **This patent covers various selected hydroxyethylamine sulfonamide inhibitor compounds, including intermediate compounds useful in processes for making such compounds. While it does not appear this patent specifically claims darunavir, the compounds claimed may be useful for preparing intermediate compounds of darunavir.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Darunavir	G D Searle & Co	96193618 7.3.1996 (Filed as PCT/US1996/002685 International Publication No. WO 96/028464)	1196732 21.10.1998	8.12.2004	1178954 7.3.2016	*Bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors* Selected bis-amino acid hydroxyethylamino sulfonamide compounds are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. The present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compounds, compositions, and methods for inhibiting retroviral proteases, such as human inmmunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. **This patent covers various selected hydroxyethylamine sulfonamide inhibitor compounds, including intermediate compounds useful in processes for making such compounds. While it does not appear this patent specifically claims darunavir, the compounds claimed may be useful for preparing intermediate compounds of darunavir.**
Darunavir	G D Searle & Co	96193619 7.3.1996 (Filed as PCT/US1996/	1183102 27.5.1998	12.5.2004	1149223 7.3.2016	*Heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors* Selected heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide compounds are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. The

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		002683 International Publication No. WO1996/028465)				present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compounds, compositions, and methods for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. **This patent claims various selected heterocyclecarbonyl amino acid hydroxyethylamine sulfonamide inhibitor compounds, including intermediate compounds useful in processes for making such compounds. While it does not appear that this patent specifically claims darunavir, the compounds disclosed may be useful for preparing intermediate compounds of darunavir.**
Darunavir	Tibotec NV	00816587 6.10.2000 (Filed as PCT/EP2000/009917 International Publication No. WO2001/025240)	1407987 2.4.2003	2.3.2005	1191256 6.10.2020	*Hexahydrofuro'2,3-Bifuran-3-yl-N-{3'(1,3-benzodioxol-5-ylsulfonyl) (isobutyl) Amino]-1-benzyl-2-hydroxypropyl) carbamate as a retroviral protease inhibitor* The present invention relates to novel bis-tetrahydrofuran benzodioxolyl sulfonamide compounds, which are surprisingly effective protease inhibitors. The invention also relates to pharmaceutical compositions, methods of inhibiting retrovirus proteases, in particular multidrug resistant retrovirus proteases, methods of treating or combating infection or disease associated with retrovirus infection in a mammal, and methods of inhibiting viral replication.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 1: covers a compound having the formula:  (I) N-oxides, salts, esters, stereoisomeric forms, racemic mixtures, prodrugs and metabolites of darunavir. Claim 2: covers darunavir in an enatiomerically pure form. Claim 3: A compound according to claim 1 or 2 wherein the compound is a N-oxide, salt, ester, prodrug or metabolite thereof. Claim 4: A compound according to claim 1 or 2 in a pharmaceutically tolerable salt form. Claim 5: A compound as claimed in any one of claims 1-4 in a prodrug form.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent covers N-oxides, salts, esters, stereoisomeric forms, racemic mixtures, prodrugs, metabolites of darunavir. The patent also covers the above compounds in a pharmaceutical composition with a pharmaceutically tolerable excipient.
Darunavir	Tibotec Pharm Ltd.	02824911 12.12.2002 (Filed as PCT/EP2002/014277 International Publication No. WO 03/049746)	1604803 6.4.2005	5.9.2007	100335060 12.12.2022	*Combination of cytochome p450 dependent protease inhibitors* The present invention relates to a method for improving the pharmacokinetics of hexahydrofuro[2,3-b]furanyl containing HIV protease inhibitors comprising administering to a human in need thereof a combination of a therapeutically effective amount of a hexahydrofuro[2,3-b]furanyl containing HIV protease inhibitor, and a therapeutically effective amount of a cytochrome P450 inhibitor. **This patent covers the combination of darunavir and related compounds, including their salts/esters, with a therapeutically effective amount of cytochrome P450 inhibitor. Inhibitor of cytochrome 450 is selected from ritonavir, ketoconazole, cimetidine and bergamottin.**
Darunavir	Tibotec Pharm Ltd.	03816459 16.5.2003	1668623 14.9.2005	8.4.2009	100475819 16.5.2023	*Pseudopolymorphic forms of a HIV protease inhibitor* New pseudopolymorphic forms of (3R,3aS,6aR)-hexahydrofuro [2,3-b] furan-3-yl (1S,2R)-3-[[(4-

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		(Filed as PCT/EP2003/050176 International Publication No. WO2003/106461)				aminophenyl)sulfonyl](isobutyl)amino]-l-benzyl-2hydroxypropylcarbamate and processes for producing them are disclosed Claim 1: A pseudopolymorph of (3R,3aS,6aR)-hexahydrofuro [2,3-b] furan-3-yl (1S,2R)-3-[[(4-aminophenyl)sulfonyl](isobutyl)amino]-l-benzyl-2 hydroxypropylcarbamate. Claim 2: A pseudopolymorph according to claim 1, wherein the ratio of compound to solvent ranges between 5:1 and 1:5. **This patent covers pseudopolymorphic forms of darunavir and a process for preparing the same. The claims also cover a pharmaceutical composition comprising a pseudopolymorphic form of darunavir and an acceptable carrier/diluent.**
Darunavir	Tibotec Pharm Ltd.	02817639 6.9.2002 (Filed as PCT/EP2002/010062 International	1553915 8.12.2004	29.7.2009	100519561 6.9.2022	*Method for the preparation of hexahydro-furo-[2,3-b]furan-3-ol* The present invention relates to a method for the preparation of hexahydro-furo[2,3-b]furan-3-ol as well as novel intermediates for use in said method. More in particular the invention relates to a stereoselective method for the preparation of hexahydro-furo[2,3-b]furan-3-ol, and to a

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		Publication No. WO2003/022853)				method amenable to industrial scaling up. Claims 1-5 of the granted patent are as published in WO 03/022853 **This patent covers improved methods for preparing intermediate compounds of darunavir for industrial scale up, including use of a stereoselective method comprising steps wherein the stereochemistry of intermediates or final compounds is controlled.**
Darunavir	Tibotec Pharm Ltd.	200480038298 23.12.2004 (Filed as PCT/EP2004/053692 International Publication No. WO2005/063770)	1898248 17.1.2007			*Process for the preparation of (3r,3as,6ar)-hexahydrofuro [2,3-b] furan-3-yl (1s,2r)-3-[[(4-aminophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropylcarbamate* The present invention relates to a process for the preparation of (3R,3aS,6aR)-hexahydrofuro [2,3-b] furan-3-yl (1S,2R)-3-[[(4-aminophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropylcarbamate as well as intermediates for use in said process. More in particular the invention relates to processes for the preparation of (3R,3aS,6aR)-hexahydrofuro [2,3-b] furan-3-yl (1S,2R)-3-[[(4-aminophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropylcarbamate, which make use of 4-amino-N ((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)-N-(isobutyl)benzene sulfonamide intermediate, and to processes amenable to industrial scaling up. (3R,3aS,6aR)-hexahydrofuro [2,3-b] furan-3-yl (1S,2R)-3-[[(4-

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						aminophenyl) sulfonyl] (isobutyl) amino]-1-benzyl-2-hydroxypropylcarbamate is particularly useful as HIV protease inhibitors. Claims are as published in WO 05/063770. **This patent claims improved processes for preparing darunavir, its salts, polymorphic and pseudopolymorphic forms.**
Darunavir	Tibotec Pharm Ltd.	200580010400 31.3.2005 (Filed as PCT/EP2005/051452 International Publication No. WO2005/095410)	1938316 28.3.2007			*Methods for the preparation of (3r,3as,6ar) hexahydro-furo[2,3-b]furan-3-ol* The present invention relates to methods for the preparation of diastereomerically pure (3R,3aS,6aR) hexahydro-furo[2,3-b]furan-3-ol (6) as well as a novel intermediate, (3aR,4S,6aS) 4-methoxy-tetrahydro-furo[3,4-b]furan-2-one (4) for use in said methods. More in particular the invention relates to a stereoselective method for the preparation of diastereomerically pure (3R,3aS,6aR) hexahydro-furo[2,3-b]furan-3-ol, as well as methods for the crystallization of (3aR,4S,6aS) 4-methoxy-tetrahydro-furo[3,4-b]furan- 2-one and for the epimerization of (3aR,4R,6aS) 4-methoxy-tetrahydro-furo[3,4-b]-furan-2-one to (3aR,4S,6aS) 4-methoxy-tetrahydro-furo[3,4-b]furan-2-one.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claims are as published in WO2005/095410. **This patent claims an improved method for preparing intermediate compounds of darunavir for industrial scale up.**

Didanosine

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Didanosine	Bristol Myers Squibb Co.	200480005868 27.2.2004 (Filed as PCT/US2004/006103 International Publication No. WO2004/078993)	1954080 25.4.2007			*Process for preparing dideoxyinosine using adenosine deaminase enzyme* A method of making didanosine (ddI) including the steps of: (a) obtaining an enzyme expressing ddA deaminase activity; (b) immobilizing the enzyme onto an insoluble support; (c) contacting the enzyme with a dideoxyadenosine (ddA) solution of at least about 4% weight volume ddA in water for a time and under conditions to produce a ddI solution; and (d) isolating the ddI from the ddI solution. Optionally, the ddI mother liquor is reused in subsequent runs to improve yield. Claim 1: A method of making didanosine (ddI) comprising the steps of: (a) obtaining an enzyme expressing ddA deaminase activity; (b) immobilizing the enzyme onto an insoluble support ; (c) contacting the enzyme with a dideoxyadenosine (ddA) solution of at least about 1 % weight volume ddA in water for a time and under conditions to produce a ddI solution; and (d) isolating the ddI from the ddI solution.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						The patent claims a method for making didanosine by obtaining an enzyme expressing ddA deaminase.
Didanosine	Bristol Myers Squibb Co.	92108657 21.7.1992	1068739 10.2.1993	3.3.1999	1042299 21.7.2012	*Improved oral dosing formulations of dideoxy purine nucleosides* Improved oral dosage formulations for acid-labile dideoxy purine nucleoside derivatives such as ddA, ddI, and ddG, have been developed by incorporating selected water-insoluble buffering systems in the formulation. These novel formulations provide reduced mass dosage units in the form of convenient, palatable chewable/dispersible tablets or a dry powder sachet. The reduced mass requirement, necessary to allow tablets of reasonable size, was achieved in part by an unexpected 20 to 25% increase in drug bioavailability resulting from use of the selected buffering systems comprised of an insoluble magnesium antacid agent and either dihydroxyaluminum sodium carbonate or calcium carbonate. Claim 1: A pharmaceutical composition for oral delivery of dideoxypurine nucleosides with improved bioavailability, the composition comprising 10-300mg per dosing unit from the group consisting of 2',3'-dideoxyadenosine (ddA), 2',3'-dideoxyinosine (didanosine) and 2',3' dideoxyguanosine (ddG) and individually pharmaceutical acceptable salts and

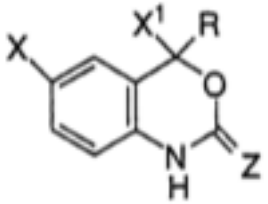
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						hydrates, and about and 5-150mg of a water-insoluble antacid buffering composition which contains a water insoluble antacid magnesium compound selected from the group dihydroxyaluminium alkali metal carbonate or calcium carbonate. **This patent covers a pharmaceutical composition comprising didanosine with a dosage of 10-300mg and a water soluble antacid buffering composition of 5-150mg.**
Didanosine	Bristol Myers Squibb Co.	98814060 4.8.1998 (Filed as PCT/US 1998/016128 International Publication No. WO 99/061002)	1294509 9.5.2001	12.5.2004	1149075 4.8.2018	*Enteric coated pharmaceutical composition and method of manufacturing* A high drug load enteric coated pharmaceutical composition is provided which includes a core comprised of a medicament which is sensitive to a low pH environment of less than 3, such as ddI, which composition is preferably in the form of beadlets having an enteric coating formed of methacrylic acid copolymer, plasticizer and an additional coat comprising an anti-adherent. The so-called beadlets have excellent resistance to disintegration at pH less than 3 but have excellent drug release properties at pH greater than 4.5. A novel method of making said pharmaceutical composition is also disclosed. Claim 1: An enteric coated pharmaceutical composition comprising a core in the form of a beadlet, pellet, granule or

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						particle and an enteric coating for said core, said core comprising an acid labile medicament in an amount within the range from about 50 to about 100% by weight of said composition, a binder in an amount within the range from about 0 to about 10% by weight of said composition, a disintegrant in an amount within the range of from about 0 to about 10% by weight of said composition, and said enteric coating comprising a methacrylic acid copolymer, and a plasticizer, said enteric coating imparting protection to said core so that said core is afforded protection in a low pH environment of 3 or less while capable of releasing medicament at a pH of 4.5 or higher, said pharmaceutical composition also comprising an anti-adherent. Claim 4: The pharmaceutical composition according to claim 1, wherein said enteric coating is present in a weight ratio to the core of within the range of from about 0.05: 1 to about 0.6: 1. Claim 22: The pharmaceutical composition according to claim 1, having the following composition: Drug (didanosine) 50-100.0 %, NaCMC 0-10.0%, Na Starch Glycolate 0-10.0%, Eudragit L-30-D 55 5.0-30.0 % , Diethyl Phthalate 0.5-6.0% and Talc 0.1-4.0 31. **This patent covers an enteric-coated pharmaceutical**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						composition and methods of manufacturing. The composition comprises didanosine with various binders and excipients.

Efavirenz

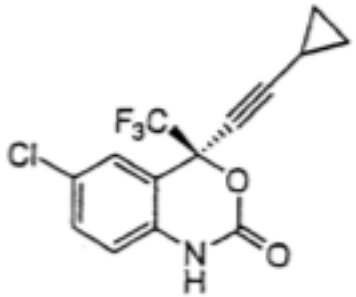
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Efavirenz	Merck & Co. Inc.	99118108 6.8.1993	1250652 19.4.2000	30.5.2007	1318031 6.8.2013	*Compositions containing benzoxazinone and nucleoside like materials* Certain benzoxazinones are useful in the inhibition of HIV reverse transcriptase (including its resistant varieties), the prevention or treatment of infection by HIV and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. Claim 1: Benzoxazinone inhibitor compounds, including efavirenz, and their pharmaceutically acceptable salts.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 wherein: X is halo, X1 is trihalomethyl, or pentahaloethyl; Z is O; R is (a) C1-8 alkyl, unsubstituted or substituted with A, and A is halo, C3-6 cycloalkyl, CN, hydroxy, C1-4 alkoxy, C2-4 alkynyl-C1-4 alkoxy, aryloxy, C1-4 alkylcarbonyl, nitro, di(C1-2 alkyl)amino, C1-4 alkylamino-C1-2 alkyl, heterocycle, or arylthio; (b) C2-4 alkenyl, unsubstituted or substituted with (i) A, or (ii) aryl, unsubstituted or substituted with A; (c) C2-5 alkynyl, unsubstituted or substituted with

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						(i) A, or (ii) aryl, unsubstituted or substituted with A; or (d) C3-4 cycloalkyl, unsubstituted or substituted with (i) A, or (ii) aryl, unsubstituted or substituted with A, or pharmaceutically acceptable salt thereof. Claim 2: Combination of the compounds in claim 1 with AZT, ddI, ddC or D4T Claim 3: Claims 1 and 2 where the selected compound is efavirenz with AZT, ddI, ddC or D4T. **This patent covers benzoxazinone inhibitor compounds, including efavirenz, in combination with zidovudine (AZT), didanosine (ddI), zalcitabine (ddC) or stavudine (D4T).**
Efavirenz	Merck & Co. Ltd (Meri)	93117660 6.8.1993	1090277 3.8.1994	26.4.2000	1051767 6.8.2013	*Benzoxazinones as inhibitors of HIV reverse transcriptase* Certain benzoxazinones are useful in the inhibition of HIV reverse transcriptase (including its resistant varieties), the prevention or treatment of infection by HIV and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators,

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. Claim 1: covers benzoxazinone inhibitor compounds and their pharmaceutically acceptable salts. **This patent covers benzoxazinone inhibitor compounds, which appears to also include efavirenz.**
Efavirenz	Merck & Co. Ltd (Meri)	98121309 10.10.1998	1221608 7.7.1999	7.5.2003	1107505 10.10.2018	*Synergistic combination of AIDS antiviral compounds and HIV inhibitor* Certain benzoxazinones are useful in the inhibition of HIV reverse transcriptase (including its resistant varieties), the prevention or treatment of infection by HIV and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. **This application is divided out from Chinese application no. 93117660 above. Translation of claims not available.**
Efavirenz	Merck & Co. Inc.	01122709	1385425	2.3.2005	1191242	*Different crystallised (-)-6-chloro-4-cyclopropyl ethinyl-4-trifluoride-1,4-dihydro-2H-3,1-benzoxazine-2-ketone*

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		2.2.1998	18.12.2002		2.2.2018	The instant invention describes a different crystallizing (-)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one. Claims 1, 3 and 5 cover crystallised forms I, II and III of efavirenz at particular crystal diffraction peaks. **This patent covers crystallised forms of efavirenz. This patent was divided out from Chinese application no. 98802171 (see below).**
Efavirenz	Merck & Co. Inc.	98802171 2.2.1998	1246113 1.3.2000	31.10.2001	1073991 2.2.2018	*Process for crystallization of reverse transcriptase inhibitor using anti-solvent* The instant invention describes a method for crystallizing (-)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one from a solvent and anti-solvent solvent system and producing the crystalline product. The desired final crystal form, Form I, can be produced when using methanol or ethanol. Form II is isolated from 2-propanol and can be converted to the desired crystal form at low drying temperatures, such as between about a temperature of 40 DEG C and 50 DEG C. Claim 1: A process for the crystallisation of a compound of the structural formula:

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 comprising the steps of: (1) dissolving the compound in a solvent in a ratio of about 3.0 ml to about 10.0 ml of solvent to 1 gram of the compound; (2) filtering the solution of the compound to remove any particulate matter; (3) adding the anti-solvent to the stirring solution at room temperature over a period of about 30 minutes to about an hour to reach the saturation point of the solution containing the compound; (4) adding to the solution a solid seed charge of the compound

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						in the amount of about 2 to about 10 percent by weight to form a slurry; (5) milling the slurry to reduce the thickness of the slurry; (6) adding the remaining water to reach the desired solvent composition of about 30% to about 50% and milling the slurry as needed during the addition; (7) slowly cooling the slurry to about 5"C to about 20"C; (8) aging for about 2 to about 16 hours until the supematant concentration reaches equilibrium; (9) milling the slurry, as needed, to reduce the thickness of the slurry; (10) filtering the milled slurry to isolate a wet cake of the crystalline compound; (11) washing the wetcake once with about 1 to about 2 bed volumes of the final crystallization solvent composition and then twice with water using about 5-10 ml water per gram of compound; and (12) drying the washed wetcake at about 40"C to about 90"C under vacuum for about 1 hour to about 3 days, or until the loss on dryness is less than 0.5 weight percent. **This patent covers the process for obtaining the crytallised forms of efavirenz as claimed in the granted patent above (1191242).**

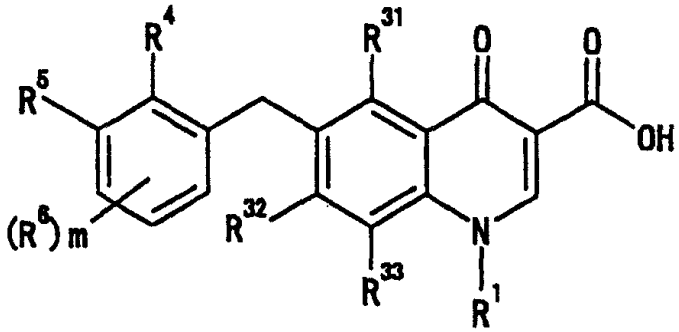
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Efavirenz	Du Pont Pharmaceuticals Co. Assigned to: Bristol-Myers Squibb Co	99804801 1.4.1999 Filed as PCT/US1999/007228 International Publication No. WO99/51239)	1296412 23.5.2001	21.4.2004	1146419 1.4.2019	*Formulation of fast-dissolving efavirenz capsules or tablets using super-disintegrants* The present invention provides improved oral dosage form formulations of efavirenz that are useful in the inhibition of human immunodeficiency virus (HIV), the prevention or treatment of infection by HIV, and in the treatment of the resulting acquired immune deficiency syndrome (AIDS). In particular, the present invention relates to compressed tablets or capsules comprising efavirenz that contain one or more disintegrants that enhance the dissolution rate of the efavirenz in the gastrointestinal tract thereby improving the rate and extent of absorption of efavirenz in the body. The present invention also relates to the process of making such tablets or capsules. Claim 1: A capsule or a compressed tablet pharmaceutical dosage form comprising a therapeutically effective amount of efavirenz and greater than about 10% by weight of a disintegrant relative to the total dry weight of the pharmaceutical dosage form. Claim 3: A capsule or compressed tablet according to claim 1, wherein the disintegrant is selected from modified starches, croscarmallose sodium, carboxymethylcellulose calcium and crospovidone.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 8: A capsule according to any preceding claim, wherein the efavirenz is present in the pharmaceutical dosage form in an amount of from about 5 to about 1000 mg. Claim 9: A capsule according to any preceding claim, wherein the efavirenz is present in the pharmaceutical dosage form in an amount of from about 5 to about 500 mg. Claim 10: A capsule according to any preceding claim, wherein the efavirenz is present in the pharmaceutical dosage form in an amount of from 500 to about 1000 mg. Claim 11: A capsule according to any preceding claim, wherein the efavirenz is present in the pharmaceutical dosage form in an amount of from about 25 to about 350 mg. Claim 12: A capsule according to any preceding claim, wherein the efavirenz is present in the pharmaceutical dosage form in an amount of from about 50 to about 200 mg. Claim 15: A pharmaceutical dosage form comprising: (a) a therapeutically effective amount of efavirenz ; (b) a surfactant; (c) a disintegrant; (d) a binder; (e) a diluent; (f) a lubricant; (g) a glidant; and (h) optionally additional pharmaceutically acceptable excipients; wherein the

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						disintegrant is selected from modified starches, croscarmallose sodium, carboxymethylcellulose calcium and crospovidone and such disintegrant is present in an amount greater than about 10% by weight of the total dry weight of the capsule contents or the compressed tablet. **This patent covers solid dosage forms, containing efavirenz and methods for their manufacture.**
Efavirenz	Du Pont Pharmaceuticals Co. Assigned to: Bristol-Myers Squibb Pharma Co	99807219 10.6.1999 Filed as PCT/US99/13199 International Publication No. WO99/64405)	1307568 8.8.2001		Rejected	*Crystalline efavirenz* The potent reverse transcriptase inhibitor Efavirenz is produced in crystalline form. Crystalline Efavirenz exists in several physical forms which are designated Forms 1, 2, 3, 4 and 5 and are characterized by x-ray powder diffraction and differential scanning calorimetry. Pharmaceutical compositions and methods are useful for the treatment of the human immunodeficiency virus (HIV). **This application has been rejected.**

Elvitegravir

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Elvitegravir	Japan Tobacco Inc.	200380100277 20.11.2003 (Filed as PCT/JP2003/014 773 International Publication No. WO2004/046115)	1692101 2.11.2005	19.3.2008	100375742 20.11.2023	*4-oxoquinoline compounds and utilization thereof as HIV integrase inhibitors* An anti-HIV agent containing, as an active ingredient, a 4-oxoquinoline compound represented by the following formula, wherein each symbol is as defined in the specification, or a pharmaceutically acceptable salt thereof. The compound of the present invention has HIV integrase inhibitory action and is useful as an anti-HIV agent for the prophylaxis or therapy of AIDS. Moreover, by a combined use with other anti-HIV agents such as protease inhibitors, reverse transcriptase inhibitors and the like, the compound can become a more effective anti-HIV agent. Since the compound has high inhibitory activity specific for integrases, it can provide a safe pharmaceutical agent with a fewer side effects for human.

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 1: covers a 4-oxoquinoline compound or a pharmaceutically acceptable salt thereof for:  Claim 1 describes different substituents for the various functional groups, which would encompass elvitegravir. Claim 18 covers various 4-oxoquinoline compounds of claim 1, including elvitegravir. **This patent covers the base compound elvitegravir, its pharmaceutically acceptable salts and one or more kinds of anti-HIV substance as an active ingredient for multiple**

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						drug combination therapy.
Elvitegravir	Japan Tobacco Inc	200580016142 19.5.2005 (Filed as PCT/JP2005/009604 International Publication No. WO 2005/113508)	1956961 2.5.2007			*Stable crystal form of 4-oxoquinoline compound* The present invention provides a crystal of 6-(3-chloro-2-fluorobenzyl)-1-[(S)-1-hydroxymethyl-2-methylpropyl]-7-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylic acid, which shows a particular powder X-ray diffraction pattern of a characteristic diffraction peaks at diffraction angles 2theta (DEG) as measured by powder X-ray diffractometry. The crystal of the present invention is superior in physical and chemical stability. Claims are as published in WO 2005/113508. **This patent claims crystal forms II and III of elvitegravir, with a purity crystal of not less than 70%.**
Elvitegravir	Gilead Sciences Inc	200680052014 29.12.2006 (Filed as PCT/US2006 049668 International Publication No.	101336107 31.12.2008			*Methods for improving the pharmacokinetics of HIV integrase inhibitors* The invention provides methods for improving the pharmacokinetics of an HIV integrase inhibiting compound by administering food and/or ritonavir or a pharmaceutically acceptable salt thereof with the HIV integrase inhibitor. Claims are as published in WO2007/079260.

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		WO2007/079260)				**This patent claims the use of ritonavir with elvitegravir (see claim 5), including administration of the drugs as a single composition. This patent also claims the use of ritonavir and elvitegravir with various other HIV drugs, including: stavudine, emtricitabine, tenofovir, abacavir, lamivudine, zidovudine. didanosine, zalcitabine, phosphazide, efavirenz, nevirapine, delavirdine, tipranavir, lopinavir and rilpiverine.**

Emtricitabine

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims General Comments
Emtricitabine	Emory University	92101981 22.2.1992	1065065 7.10.1992	11.3.1998	1037682 22.2.2012	*Process for preparing 2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane* A method and composition for the treatment of HIV and HBV infections in humans is disclosed that includes administering an effective amount of 2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, a pharmaceutically acceptable derivative thereof, including a 5' or N4 alkylated or acylated derivative, or a pharmaceutically acceptable salt thereof, in a pharmaceutically acceptable carrier. A process for the resolution of a racemic mixture of nucleoside enantiomers is also disclosed that includes the step of exposing the racemic mixture to an enzyme that preferentially catalyzes a reaction in one of the enantiomers. **Translation of claims not available.** **The patent was extended from 15 to 20 years on 12.6.2002.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims General Comments
Emtricitabine	Emory University	200610094489 22.2.1992	101082058 15.12.2007			*Method of resolution and racemic mixture of nucleoside enantiomers* A process for the resolution of a racemic mixture of nucleoside enantiomers is also disclosed that includes the step of exposing the racemic mixture to an enzyme that preferentially catalyzes a reaction in one of the enantiomers. Claim 1: Resolution of the racemic mixture of nucleoside enantiomers comprises exposing the racemic mixture into an enzyme, and the enzyme is preferred to catalyze a reaction of one of the enantiomers. Claim 16: The method according to claim 1, wherein, the racemic mixture is (plus-minus) - 2- hydroxymethyl- 5- (5-fluorocytosin- 1-group) - 1, 3- oxathiolane (emtricitabine). **This patent claims the methods for obtaining the (+) and (-) racemic form of emtricitabine.**
Emtricitabine	Emory University	98108905 15.5.1998	1203232 30.12.1998	15.5.2002	1084745 15.5.2018	*2-carboxymethyl-5-(5-fluoro cytimidine-1-yl) 1,3-oxythiapentane and its derivatives* A method and composition for the treatment of HIV and HBV

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims General Comments
						infections in humans is disclosed that includes administering an effective amount of 2-hydroxymethyl-5-(5-fluorocytosin-1-yl)-1,3-oxathiolane, a pharmaceutically acceptable derivative thereof, including a 5' or N4 alkylated or acylated derivative, or a pharmaceutically acceptable salt thereof. **Translation of claims not available.**
Emtricitabine	Emory University	95109814 18.8.1995	1127301 24.7.1996	21.5.2003	1109108 18.8.2015	*A method for the resolution of a racemic mixture of nucleoside enantiomers* A process for the resolution of a racemic mixture of nucleoside enantiomers is also disclosed that includes the step of exposing the racemic mixture to an enzyme that preferentially catalyzes a reaction in one of the enantiomers. Claim 1: A method for the resolution of a racemic mixture of nucleoside enantiomers, comprising the step of exposing the racemic mixture to an enzyme that preferentially catalyzes a reaction in one of the enantiomers, wherein the enzyme is selected from the group consisting of an esterase selected from pig liver esterase and Amano PS-800 lipase. Claim 2 : The method of claim 1, wherein the racemic mixture is in CS ' - hydroxyl position acidylate and forms C5 ' - nucleoside ester, and wherein the carboxylic acid of ester is selected from alkyl carboxylic acid and substituted alkyl

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims General Comments
						carboxylic acid, and the alkyl carboxylic acid of saying is selected from acetic acid, propionic acid, butyric acid or valeric acid; The substituted alkyl carboxylic acid of saying is selected from the chloropropionic acid including 2-, 2- chloro-butyric acid and 2- chlorine valeric acid. **This patent covers a method for preparing a racemic mixture of emtracitabine and/or its derivatives.**
Emtricitabine	Emory University	02144033 22.2.1992	1418966 21.5.2003	25.6.2008	100396785 22.2.2012	*Resolution method for racemic compound of nucleoside enantiomorph* A process for the resolution of a racemic mixture of nucleoside enantiomers is also disclosed that includes the step of exposing the racemic mixture to an enzyme that preferentially catalyzes a reaction in one of the enantiomers. **Translation of claims not available.** **Although no specific request to extend the patent term has been recorded it appears as the patent was granted on 25.6.2008, the term of protection has been extended from 15 years to 20 years.**

Enfuvirtide

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Enfuvirtide	Trimeris Inc.	96196051 6.6.1996 (Filed as PCT/US96/09499 International Publication No. WO96/40191)	1192688 9.9.1998		Rejected	*Treatment of HIV and other viral infections using combinatory therapy* Novel antiviral combinations for the treatment or prevention of viral infections, in particular, HIV are disclosed. This new antiviral therapy employs either DP-178 or DP-107, viral fusion inhibitors, in combination with at least one other antiviral therapeutic agent. The combinations of the invention are better than single therapies alone, and in certain cases are synergistic. The use of DP-178 or DP-107 is an ideal therapy to combine with another antiviral, given both the novel mechanism, which this therapeutic blocks HIV transmission and the non-toxicity of the therapeutic. The published claims for this application are as in WO96/40191. **This patent claimed methods of inhibiting HIV replication by administering enfuvirtide (DP-178) or a**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						pharmaceutically acceptable derivative thereof and at least one therapeutic agent including ddI, AZT, ddC or 3TC. **This patent was rejected on 5.4.2006.**
Enfuvirtide	Trimeris Inc.	99806431 22.3.1999 (Filed as PCT/US1999/006 230 International Publication No. WO1999/048513)	1303299 11.7.2001	24.3.2008	100383158 22.3.2019	*Method and compositions for peptide synthesis* The present invention relates, first, to methods for the synthesis of peptides, in particular T-20 (also referred to as "DP-178"; SEQ ID NO:1) and T-20-like peptides. Such methods utilize solid and liquid phase synthesis procedures to synthesize and combine groups of specific peptide fragments to yield the peptide of interest. The present invention further relates to individual peptide fragments, which act as intermediates in the synthesis of the peptides of interest (e.g., T-20). The present invention still further relates to groups of such peptide intermediate fragments, which can be utilized together to produce full length T-20 and T-20-like peptides. **Claims 1-4 of the granted patent are as published in WO 99/048513** **This patent covers methods for synthesising enfuvirtide.**

Etravirine

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Etravirine	Janssen Pharmaceutica N.V.	99811918 24.9.1999 Filed as PCT/EP1999/007417 International Publication No. WO2000/027825)	1322198 14.11.2001	10.8.2005	1214013 24.9.2019	*HIV replication inhibiting pyrimidines* This invention concerns the use of compounds of formula (I), the N-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the stereochemically isomeric forms for the manufacture of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection, wherein -a<1>=a<2>=a<3>=a<4>- forms a phenyl, pyridinyl, pyrimidinyl, pyridazinyl or pyrazinyl with the attached vinyl group; n is 0 to 4; and where possible 5; R<1> is hydrogen, aryl, formyl, C1-6alkylcarbonyl, C1-6alkyl, C1-6alkyloxycarbonyl, substituted C1-6alkyl, or substituted C1-6alkyloxyC1-6alkylcarbonyl; each R<2> independently is hydroxy, halo, optionally substituted C1-6alkyl, C2-6alkenyl or C2-6alkynyl, C3-7cycloalkyl, C1-6alkyloxy, C1-6alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C1-6alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)pR<6>, -NH-S(=O)pR<6>, -

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						C(=O)R<6>, -NHC(=O)H, -C(=O)NHNH2, -NHC(=O)R<6>, -C(=NH)R<6> or a 5-membered heterocyclic ring; p is 1 or 2; L is optionally substituted C1-10alkyl, C2-10alkenyl, C2-10alkynyl or C3-7cycloalkyl; or L is -X-R<3> wherein R<3> is optionally substituted phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl; X is -NR<1>-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH-, -S-, -S(=O)- or -S(=O)2-; Q is hydrogen, C1-6alkyl, halo, polyhalo-C1-6alkyl or an optionally substituted amino group; Y represents hydroxy, halo, C3-7cycloalkyl, optionally substituted C1-6alkyl, C2-6alkenyl or C2-6alkynyl, C1-6alkyloxy, C1-6alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C1-6alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)pR<6>, -NH-S(=O)pR<6>, -C(=O)R<6>, -NHC(=O)H, -C(=O)NHNH2, -NHC(=O)R<6>, -C(=NH)R<6> or aryl; aryl is optionally substituted phenyl; Het is an optionally substituted heterocyclic radical; for the manufacture of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection. **Granted claims 1-4 are as published in WO00/027825. Claim 10 is the same as claim 6 published in WO00/027825.** **This patent covers pyrimidine derivatives, including etravirine, and their use in pharmaceutical compositions.**

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent also covers the process for preparing the compounds and compositions.
Etravirine	Janssen Pharmaceutica N.V.	99804449 24.3.1999 (Filed as PCT/EP1999/002043 International Publication No. WO1999/050250)	1295564 16.5.2001	10.8.2005	1214014 24.3.2019	*HIV replication inhibiting pyrimidines* This invention concerns the use of the compounds of formula (I), the N-oxides, the pharmaceutically acceptable addition salts and the stereochemically isomeric forms thereof, wherein A is CH, CR<4> or N; n is 0 to 4; Q is hydrogen or -NR<1>R<2>; R<1> and R<2> are selected from hydrogen, hydroxy, C1-12alkyl, C1-12alkyloxy, C1-12alkylcarbonyl, C1-12alkyloxycarbonyl, aryl, amino, mono- or di(C1-12alkyl)-amino, mono- or di(C1-12alkyl)aminocarbonyl wherein each C1-12alkyl may optionally be substituted; or R<1> and R<2> taken together may form pyrrolidinyl, piperidinyl, morpholinyl, azido or mono- or di(C1-12alkyl)aminoC1-4alkylidene; R<3> is hydrogen, aryl, C1-6alkylcarbonyl, optionally substituted C1-6alkyl, C1-6alkyloxycarbonyl; and R<4> is hydroxy, halo, optionally subsituted C1-6alkyl, C1-6alkyloxy, cyano, aminocarbonyl, nitro, amino, trihalomethyl, trihalomethyloxy; R<5> is hydrogen or C1-4alkyl; L is optionally substituted C1-10alkyl, C3-10alkenyl, C3-10alkynyl, C3-7cycloalkyl; or L is -X<1>R<6> or -X<2>-Alk-R<7> wherein R<6> and R<7> are optionally substituted phenyl; X<1> and X<2> are -NR<3>-, -NH-NH-, -N=N-, -O-, -S-, -S(=O)- or -S(=O)2-; Alk is C1-

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						4alkanediyl; aryl is optionally substituted phenyl; Het is an optionally substituted aliphatic or aromatic heterocyclic radical; for the manufacture of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection. It further relates to new compounds being a subgroup of the compounds of formula (I), their preparation and compositions comprising them. **Granted claims 1-6 and 15-18 are as published in WO99/050250.** **Claim 7 is the same as claim 9 as published in WO99/050250.** **Claim 22 of the Chinese patent is the same as Claim 24 as published in WO99/050250.** **This patent covers pyrimidine derivatives, including etravirine and its compositions**
Etravirine	Tibotec Pharmaceuticals Ltd	200680006945 2.3.2006 (Filed as PCT/EP2006/060 407	101133038 27.2.2008			*HIV inhibiting 2-(4-cyanophenyl)-6-hydroxylaminopyrimidines* HIV replication inhibitors of formula (I) pharmaceutically acceptable addition salts; or stereochemically isomeric forms thereof, wherein R<1> is halo; R<2> and R<3> each independently are C1-6alkyl; pharmaceutical compositions containing these compounds as active ingredient and

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		International Publication No. WO2006/094930)				processes for preparing these compounds and compositions. Claims are as published in WO2006/094930 **This patent claims a novel series of bisaryl substituted pyrimidine derivatives, which include analogs that are structurally very similar to etravirine. The functional group NHOH of etravirine has been replaced by the amino functional group -NH2. The claims also include the salts, hydrates, solvent forms, and the stereochemically isomeric forms of the analogs.**
Etravirine	Tibotec Pharmaceuticals Ltd	200680003109 27.1.2006 (Filed as PCT/EP2006/050 490 International Publication No. WO2006/079656)	101107234 16.1.2008			*HIV inhibiting 2-(4-cyanophenylamino) pyrimidine derivatives* HIV replication inhibitors of formula (I) pharmaceutically acceptable addition salts or stereochemically isomeric forms thereof, wherein X is NR<4>, S, SO or SO2; the preparation of these compounds as well as pharmaceutical compositions comprising these compounds; the use of these compounds for the prevention or the treatment of HIV infection. Claims are as published in WO2006/079656. **This patent claims a novel series of bisaryl substituted pyrimidine derivatives, including analogs that are structurally very close to etravirine. The ether oxygen of etravirine has been replaced by nitrogen or various states of sulfur. The claims also include the salts,**

Drug/INN	Applicant	Application No & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						hydrates, solvent forms, and the stereochemically isomeric forms of the analogs.

Fosamprenavir (and Amprenavir)

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Amprenavir	Vertex Pharmaceuticals Incorporated	93117370 8.9.1993	1087347 1.6.1994	31.1.2001	1061339 8.9.2013	*Novel sulfonamide inhibitors of aspartyl protease* The present invention relates to a novel class of sulfonamides, which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of HIV aspartyl protease inhibitors characterized by specific structural and physicochemical features. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention and methods for screening compounds for anti-HIV activity. Claim 1: covers the base compound amprenavir. **This patent covers amprenavir.**

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Amprenavir	Glaxo Group Ltd.	97193229 21.3.1997 (Filed as PCT/EP97/01438 International Publication No. WO97/35587)	1225587 11.8.1999		Rejected	*Compositions comprising an HIV protease inhibitor such as VX 478 (amprenavir) and a water soluble vitamin E compound such as vitamin E-TPGS* Pharmaceutical formulations containing HIV protease inhibitors, specifically including 3S-[3R*(1R*,2S*)]-[3-[[(4-aminophenyl)sulphonyl](2-methylpropyl)-amino]-2-hydroxy-1-phenylmethyl]propyl]carbamic acid, tetrahydro-3-furanyl ester (alternatively known as VX 478 or 141W94), and a tocopherol, and their use in medical therapy are described. Published claims: Claim 1: A pharmaceutical formulation for oral administration comprising a) an HIV protease inhibitor and b) a water soluble tocopherol derivative in a ratio of from about 1 :0. to about 1 :10 w/w. Claim 10: A pharmaceutical formulation as claimed in any preceding claim wherein the HIV protease inhibitor is 3S-[3R*(1R*. 2S*)]-[3-[[(4-amino- phenyl)sulphonyl](2-methylpropyl)-amino]-2-hydroxy-1-phenylmethyl)propyl3-carbamic acid, tetrahydro-3-furanyl ester. **This application claimed a liquid formulation of amprenavir comprising a water-soluble tocopherol**

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						derivative, in particular Vitamin E-TPGS. The application was rejected on 4.4.2007.
Amprenavir	Vertex Pharmaceuticals Inc.	96198816 5.12.1996 (Filed as PCT/US96/19447 International Publication No. WO97/20554)	1203530 30.12.1998		Abandoned	*Treatment of CNS effect of HIV with VX-478, alone or in combination with AZT or 3TC* Methods and compositions for treating the central nervous system (CNS) effects of HIV, particularly AIDS related dementia. Published claims: Claim 1: Composition of amprenavir in an amount effective to inhibit HIV the CNS. Claim 2: The composition according to claim 1 further comprising zidovudine (AZT) and lamivudine (3TC) or both. **The application claimed a composition of amprenavir in an amount to inhibit CNS, including in combination with 3TC, AZT or both. The application was abandoned on 13.8.2003.**
Fosamprenavir	Vertex Pharmaceuticals Inc.	98813233 9.3.1998 (Filed as PCT/US1998/00	1284071 14.2.2001	24.6.2009	100503589 9.3.2018	*Sulphonamide derivatives as prodrugs of aspartyl protease inhibitors* The present invention relates to prodrugs of a class of sulfonamides, which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of prodrugs

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		4595 International Publication No. WO 1999/033815)				of HIV aspartyl protease inhibitors characterized by favorable aqueous solubility, high oral bioavailability and facile in vivo generation of the active ingredient. This invention also relates to pharmaceutical compositions comprising these prodrugs. The prodrugs and pharmaceutical compositions of this invention are particularly well suited for decreasing the pill burden and increasing patient compliance. This invention also relates to methods of treating mammals with these prodrugs and pharmaceutical compositions. **This patent appears to cover isomeric forms of fosamprenavir.**
Fosamprenavir	Vertex Pharmaceuticals Inc.	200910145319 9.3.1998 (Filed as PCT/US1998/004595 International Publication No. WO 1999/033815)	101565412 28.10.2009			*Sulphonamide derivatives as prodrugs of aspartyl protease inhibitors* The present invention relates to prodrugs of a class of sulfonamides, which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of prodrugs of HIV aspartyl protease inhibitors characterized by favorable aqueous solubility, high oral bioavailability and facile in vivo generation of the active ingredient. This invention also relates to pharmaceutical compositions comprising these prodrugs. The prodrugs and pharmaceutical compositions of this invention are particularly well suited for decreasing the pill burden and increasing patient compliance. This invention also relates to methods of treating mammals with these prodrugs

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						and pharmaceutical compositions. Claims are as published in WO 1999/033815. Claim 8: covers fosamprenavir. **This application was divided out from Chinese application no. 98813233 (above). The application covers various prodrugs of a class of sulfonamides, which are aspartyl protease inhibitors, including fosamprenavir.**
Fosamprenavir Calcium	Glaxo Group Ltd	99810838 15.7.1999 (Filed as PCT/EP1999/004991 International Publication No. WO2000/004033)	1324363 28.11.2001	9.2.2005	1188422 15.7.2019	*Calcium (3S) tetrahydro-3-furanyl (1S, 2R)-3-[[(4-aminophenyl)sulfonyl] (isobutyl) amino]-1-benzyl-2-(phosphonooxy) propylcarbamate* The invention relates to calcium (3S) tetrahydro-3-furanyl(1S,2R)-3-[[(4-aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-(phosphonooxy)propylcarbamate, to processes for its preparation, and to its use in the treatment of diseases caused by retroviruses. Claim 1: covers the Form (I) crystalline of the calcium salt of fosamprenavir. Claims 2 and 3: cover the intense diffraction peaks of the Form (I) crystalline of the calcium, salt of fosamprenavir occurring at the following approximate 2theta angles (using K α

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						X-Radiation) 5.735, 9.945, 11.500, 13.780, 14.930, 15.225, 17.980, 19.745, 21.575, 22.170, 24.505 and 27.020. Claim 9: covers a process for preparing the crystalline form of fosamprenavir calcium. **This patent covers Form I crystalline of the calcium salt of fosamprenavir and a process for its preparation.**

Indinavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Indinavir	Merck & Co. Inc.	97101853 1.2.1997	1176250 18.3.1998		Withdrawn	*HIV protease inhibitors useful for treatment of AIDS* Compounds of formula (I), where R<1> and R<2> are independently hydrogen or optionally-substituted C1-4alkyl or aryl, and R<1> also forms a heterocycle or heterocycle-C1-4alkyl, are HIV protease inhibitors. These compounds are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. **This patent application was withdrawn on 11 August 1998.**
Indinavir	Merck & Co. Inc.	94192691 26.4.1994 Filed as	1126469 10.7.1996		Withdrawn	*HIV protease inhibitors useful for the treatment of aids* The present invention provides a compounds of formula (I), where R<1> and R<2> are independently hydrogen or optionally-substituted C1-4alkyl or aryl, and R<1> also forms a

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		PCT/US94/04621 International Publication No. WO94/26717)				heterocycle or heterocycle-C1-4alkyl, are HIV protease inhibitors. These compounds are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. **This patent application was withdrawn on 11 August 1998.**
Indinavir	Merck & Co. Inc.	94191671 24.3.1994 Filed as PCT/US94/03209 International Publication No. WO94/22480)	1120316 10.4.1996	4.9.2002	1090186 Lapsed	*HIV protease inhibitors in pharmaceutical combinations for the treatment of AIDS* Compounds of formula where R1 and R2 are independently hydrogen or optionally-substituted C1-4alkyl or aryl, or R1 and R2 are joined together to form a monocyclic or bicyclic ring system, are HIV protease inhibitors. These compounds are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 1: A combination of compounds, which is indinavir sulfate with L-697,661 (pyridinone) and optionally zidovudine, didanosine or zalcitabine. Claim 3: Combination of claim 1 consisting of indinavir sulfate and ddI. **This patent has lapsed due to non-payment of renewal fees. The patent covered the compound indinavir sulfate in combination with pyridinone, zidovudine, didanosine or zalcitabine.**

Lamivudine

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Lamivudine	IAF Biochem International, Inc.	91102778 30.4.1991	1058214 29.1.1992	22.10.1997	1036196 30.4.2011	*1,3-Oxathiolane Nucleoside Analogues* (-)-4-Amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, its pharmaceutically acceptable derivatives, pharmaceutical formulations thereof, methods for its preparation and its use as an antiviral agent are described. **Translation of the claims is not available. The term for this patent was extended from 15 to 20 years.**
Lamivudine	IAF Biochem International, Inc.	90100612 8.2.1990	1044817 22.8.1990	25.12.1996	1033640 8.2.2010	*2-Substituted-5-substituted-1,3-oxathiolanes with antiviral properties* Disclosed are compounds of the formula wherein R1 is hydrogen; R2 is a purine or pyrimidine base or an analogue or derivative thereof; Z is S, S=O or SO2; and pharmaceutically acceptable derivatives thereof. Also described are use of the compounds as antiviral agents, pharmaceutical formulations, and methods for the preparation of the compounds. **Translation of the claims is not available. The term of**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						this patent was extended from 15 to 20 years. This patent should now have expired. ***This patent may have also covered emtricitabine.**
Lamivudine	IAF Biochem International, Inc.	94109429 15.8.1994	1108655 20.9.1995	6.9.2000	1056145 15.8.2014	*1,3-Oxathiolane Nucleoside Analogues* (-)-4-Amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, its pharmaceutically acceptable derivatives, pharmaceutical formulations thereof, methods for its preparation and its use as an antiviral agent are described. **This patent was divided out from Chinese application No. 91102778 (above). This patent covers a pharmaceutical composition consisting of an enantiomer of the compound lamivudine, or its derivative, a dideoxynucleoside and a suitable pharmaceutical carrier.**
Lamivudine	IAF Biochem Pharma Inc. Assigned to: Shily Biolog Chemistry Co Ltd	99126580 15.8.1994	1326743 19.12.2001	23.6.2004	1154500 15.8.2014	*Process for preparing 1,3-oxygen-sulphur cyclopentane nucleotide like medicine composition* 4-Amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, its pharmaceutically acceptable derivatives, pharmaceutical formulations thereof, methods for its preparation and its use as an antiviral agent are described.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 1: Preparation of an enantiomer of the compound lamivudine, its salt or ester and a pharmaceutical carrier. Claim 2: Method of claim 1 wherein the enantiomer is present in an amount of no more than about 5% w/w. Claim 3: Method of claim 1 wherein the enantiomer is present in an amount of no more than about 2% w/w Claim 4: Method of claim 1 wherein the enantiomer is present in an amount of no more than about 1% w/w **This patent was divided out from Chinese application No. 94109429 (above). The patent covers the preparation of pharmaceutical compositions consisting of enantiomers of lamivudine (including their derivatives) at a particular mass of the total substance.**
Lamivudine	Glaxo Group Ltd	98805122 20.3.1998 (Filed as PCT/EP1998/001626 International Publication No.	1255849 7.6.2000	2.3.2005	1191061 20.3.2018	*Pharmaceutical Compositions* The present invention relates to novel pharmaceutical formulations containing (2R, cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one ((-)-2',3'-dideoxy,3'-thiacytidine, Epivir3, lamivudine) and their use in medical therapy. Claim 1: A pharmaceutical composition, substantially free of

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		WO1998/042321)				ethanol and ethylenediaminetetraacetic acid, comprising lamivudine or a pharmaceutically acceptable derivative thereof, methyl paraben, and propyl paraben, said composition having a pH greater than 5.56. The said composition where the concentration of methyl paraben is 0.96 mg/mL to 2 mg/mL and the concentration of propyl paraben is 0.1 mg/mL to 0.2 mg/mL. Claim 2: A pharmaceutical composition according to any of Claims 1 wherein the pH is in the range 5.56-6.5. **This patent relates to a liquid pharmaceutical composition, substantially free of ethanol and ethylenediaminetetraacetic acid, comprising a safe and therapeutically effective amount of lamivudine or a pharmaceutical acceptable derivative thereof and a preservative system comprising parabens in concentrations sufficient to confer and maintain preservative efficacy and a pH of greater than 5.5.**

Maraviroc

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Description and General Comments
Maraviroc	Pfizer Ltd	99815033 23.12.1999 (Filed as PCT/IB99/02048 International Publication No. WO00/38680)	1331591 16.1.2002		Rejected	*Piperidines as CCR5 modulators* The invention discloses compounds of formula (I): [Region alpha] - [Region beta] - [Region gamma] - [Region delta] which are useful as modulators of chemokine activity. The invention also provides pharmaceutical formulations and methods of treatment using these compounds. Published claims were as disclosed in WO00/38680. **This patent claimed various azabicycloalkanes as CCR5 modulators, including maraviroc, their formulations and methods for preparation.** **This patent was rejected on 16.8.2006.**
Maraviroc	Pfizer Ltd	99814981 1.12.1999 (Filed as	1331691 16.1.2002	19.5.2004	1150192 Lapsed	*Piperidines as CCR5 modulators* Compounds of formula (I): [Region alpha] - [Region beta] - [Region gamma] - [Region delta] which are useful as modulators of chemokine activity. The invention also provides

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Description and General Comments
		PCT/IB1999/001913 International Publication No. WO2000/039125)				pharmaceutical formulations and methods of treatment using these compounds. **This patent covered various azabicycloalkanes as CCR5 modulators, including maraviroc, their formulations and methods for preparation.** **This patent lapsed on 31.1.2007 due to non-payment of renewal fee.**
Maraviroc	Pfizer Ltd	01808828 9.5.2001 (Filed as PCT/IB2001/000806 International Publication No. WO 2001/090106)	1437599 20.8.2003	11.10.2006	1279040 9.5.2021	*Tryasolyl tropane derivatives for therapy* The present invention provides compounds of the formula (I): wherein R<1> is C3-6 cycloalkyl optionally substituted by one or more fluorine atoms, or C1-6 alkyl optionally substituted by one or more fluorine atoms, or C3-6 cycloalkylmethyl optionally ring-substituted by one or more fluorine atoms; and R<2> is phenyl optionally substituted by one or more fluorine atoms, to pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, compositions containing and the uses of, such compounds. Claims 1-8 of the granted patent are as published in WO 2001/090106. Claim 8 covers various compounds including maraviroc, its

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Description and General Comments
						pharmaceutically acceptable salts or solvate. **This patent covers tropane derivatives useful for the treatment of a variety of disorders including HIV and genetically related retroviral infections. In particular, the patent covers maraviroc (including its acid addition salts, pharmaceutically acceptable solvates or hydrates) and processes for intermediates used in the preparation of compositions containing and using such derivatives.**
Maraviroc	Pfizer Ltd	200510006815 9.5.2001 (Filed as PCT/IB2001/000806 International Publication No. WO 2001/090106)	1680371 12.10.2005	19.12.2007	9.5.2021	*Tropan derivatives useful in therapy, method for obtaining thereof and intermediate compounds* The present invention provides compounds of the formula (I): wherein R<1> is C3-6 cycloalkyl optionally substituted by one or more fluorine atoms, or C1-6 alkyl optionally substituted by one or more fluorine atoms, or C3-6 cycloalkylmethyl optionally ring-substituted by one or more fluorine atoms; and R<2> is phenyl optionally substituted by one or more fluorine atoms, to pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, compositions containing and the uses of, such compounds. **This patent was divided from Chinese patent application no. 01808828 (above). While the granted claims do not cover maraviroc per se, they may include compounds**

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						useful for preparing intermediates.
Maraviroc	Pfizer Ltd	200610073584 (Filed as PCT/IB2001/000 806 International Publication No. WO 2001/090106)	13.9.2006			*Tropane derivatives having therapy using, compounds and polymorph thereof* The present invention provides compounds of the formula (I): wherein R<1> is C3-6 cycloalkyl optionally substituted by one or more fluorine atoms, or C1-6 alkyl optionally substituted by one or more fluorine atoms, or C3-6 cycloalkylmethyl optionally ring-substituted by one or more fluorine atoms; and R<2> is phenyl optionally substituted by one or more fluorine atoms, to pharmaceutically acceptable salts and solvates thereof, and to processes for the preparation of, intermediates used in the preparation of, compositions containing and the uses of, such compounds. Claim 8 - claims form A and B polymorphs of maraviroc. **This application was divided from Chinese patent application no. 200510006815 (above). The published claims of this patent claim form A and B polymorphs of maraviroc.**
Maraviroc	Pfizer Ltd	03807639 31.3.2003	1646526 27.7.2005	4.7.2007	1324025 31.3.2023	*Tropane derivatives as CCR5 modulators* The present invention provides compounds of formula (I)

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		(Filed as PCT/IB2003/001220 International Publication No. WO2003/084954)				wherein, X, Y, R<1>, R<2> and R<2> are as defined hereinabove. The compounds of the present invention are modulators, especially antagonists, of the activity of chemokine CCR5 receptors. Modulators of the CCR5 receptor may be useful in the treatment of various inflammatory diseases and conditions, and in the treatment of infection by HIV and genetically related retroviruses. **This application appears to claim various tropane derivatives, which may be used in combination with CCR5 modulators, including maraviroc.**
Maraviroc	Pfizer Ltd	200610094113 31.3.2003 (Filed as PCT/IB2003/001220 International Publication No. WO2003/084954)	1880319 20.12.2006			*Tropane derivatives as CCR5 modulators* The present invention provides compounds of formula (I) wherein, X, Y, R<1>, R<2> and R<2> are as defined hereinabove. The compounds of the present invention are modulators, especially antagonists, of the activity of chemokine CCR5 receptors. Modulators of the CCR5 receptor may be useful in the treatment of various inflammatory diseases and conditions, and in the treatment of infection by HIV and genetically related retroviruses. The published claims for this application are as in WO2003/084954. **This application appears to claim various tropane**

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						derivatives, which may be used in combination with CCR5 modulators, including maraviroc.

Nevirapine

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Nevirapine	Boehringer Ingelheim Chemicals	03810589 2.6.2003 (Filed as PCT/US2003/017376 International Publication No. WO 04/002988)	1653065 10.8.2005	18.10.2006	1280293 2.6.2023	*Improved method for making nevirapine* A process for making nevirapine, comprising the following steps: (a) reacting a 2-halo-3-pyridinecarbonitrile of the formula (I), wherein X is a fluorine, chlorine, bromine or iodine atom, preferably chlorine or bromine, with cyclopropylamine, to yield 2-(cyclopropylamino)-3-pyridinecarbonitrile; (b) hydrolyzing the 2-(cyclopropylamino)-3-pyridinecarbonitrile to yield 2-(cyclopropylamino)-3-pyridine carboxylic acid; (c) isolating the 2-(cyclopropylamino)-3-pyridine carboxylic acid from the reaction medium; (e) treating the 2-(cyclopropylamino)-3-pyridine carboxylic acid with a chlorinating agent, to yield 2-(cyclopropylamino)-3-pyridinecarbonyl chloride; (f) reacting the 2-(cyclopropylamino)-3-pyridine carbonyl chloride with a 2-halo-4-methyl-3-pyridinamine of the formula (I), wherein X is a fluorine, chlorine, bromine or iodine atom, preferably chlorine or bromine, to produce N-(2-halo-4-methyl-3-pyridinyl)-2-(cyclopropylamino)-3-pyridinecarboxamide; and (g) cyclizing the N-(2-halo-4-methyl-3-pyridinyl)-2-(cyclopropylamino)-3-pyridinecarboxamide by treatment with a strong base, to yield nevirapine.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent covers an improved method for making nevirapine. The granted claims are as published in WO 2004/002988.
Nevirapine	Boehringer Ingelheim Pharmaceuticals	98808489 11.8.1998 (Filed under PCT/US1998/016581 – International Publication No. WO 99/009990)	1268055 27.9.2000	20.4.2005	1197578 11.8.2018	*Pharmaceutical suspension comprising nevirapine hemihydrate* An aqueous pharmaceutical suspension consisting essentially of nevirapine hemihydrate having a particle size between about 1 and 150 microns in diameter. Claim 4: A pharmaceutical composition consisting essentially of nevirapine hemihydrate, having a particle size between about 1 and 150 microns, and water. Claims 5 and 6 are the same as claims published in WO 1999/009990. **This patent covers a pharmaceutical suspension, consisting of nevirapine hemihydrate and constituents making up the composition. The claims also cover a method for preparation.**

Raltegravir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Description and General Comments
Raltegravir	Angeletti P. Ist Richerche Bio	02825765 21.10.2002 (Filed as PCT/GB2002/004753 International Publication No. WO2003/035077)	1700918 23.11.2005			*N-substituted hydroxypyrimidinone carboxamide inhibitors of HIV integrase* N-substituted 5-hydroxypyrimidin-6-one-4-carboxamides of formula I: are described as inhibitors of HIV integrase and inhibitors of HIV replication, wherein R1, R2, R3 and R4 are defined herein. These compounds are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compounds are employed against HIV infection and AIDS as compounds per se or in the form of pharmaceutically acceptable salts. The compounds and their salts can be employed as ingredients in pharmaceutical compositions, optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described. Published claims are as in WO 2003/035077.

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						This patent claims various hydroxypyrimidinone carboxamides, including raltegravir, and their pharmaceutically acceptable salts.
Raltegravir	Merck & Co. Inc.	200580041639 2.12.2005 (Filed as PCT/US2005/043 781 International Publication No. WO2006/060730)	101068793 7.11.2007			*Potassium salt of an HIV integrase inhibitor* Potassium salts of compound A and methods for their preparation are disclosed, wherein compound A is of formula: (Compound A). Compound A is an HIV integrase inhibitor useful for treating or prophylaxis of HIV infection, for delaying the onset of AIDS, and for treating or prophylaxis of AIDS. Published claims in China are as in WO2006/060730 **This patent claims potassium salts, in particular an anhydrous crystalline potassium salt, of raltegravir.**

Rilpiverine

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Rilpiverine	Janssen Pharmaceutical N.V.	02815920 9.8.2002 (Filed as PCT/EP2002/008953 International Publication No. WO2003/016306)	1541215 27.10.2004	8.7.2009	100509801 9.8.2022	*HIV inhibiting pyrimidines derivatives* This invention concerns HIV replication inhibitors of formula (I) the *N*-oxides, the pharmaceutically acceptable addition salts, the quaternary amines and the stereochemically isomeric forms thereof, wherein the ring containing -a1=a2-a3=a4- and -b1=b2-b3=b4- represents phenyl, pyridyl, pyrimidinyl, pirazinyl, pyridazinyl;n is 0 to 5; m is 1 to 4; R1 is hydrogen; aryl; formyl; C1-6alkylcarbonyl; C1-6alkyl; C1-6alkyloxycarbonyl, substituted C1-6alkyl, C1-6alkylcarbonyl; R2 is hydroxy, halo, optionally substituted C1-6alkyl, C3-7cycloalkyl, optionally substituted C2-6alkenyl, optionally substituted C2-6alkynyl, C1-6alkyloxy, C1-6alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C1-6alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)pR6, -NH-S(=O)pR6, -C(=O)R6, -NHC(=O)H, -C(=O)NHNH2, -NHC(=O)R6, -C(=NH)R6 or a 5-membered heterocycle; X1 is -NR5-, -NH-NH-, -N=N-, -O-, -C(=O)-, C1-4 alkanediyl, -CHOH-, -S-, -S(=O)p-, -X2-C1-4alkanediyl- or -C1-4alkanediyl-X2-; R3 is NHR13; NR13R14; -C(=O)-NHR13; -C(=O)-NR13R14; -C(=O)-R15; -CH=N-NH-C(=O)-R16; substituted C1-6alkyl; optionally substituted C1-

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						6alkyloxyC1-6alkyl; substituted C2-6alkenyl; substituted C2-6alkynyl; C1-6alkyl substituted with hydroxy and a second substituent; -C(=N-O-R8)-C1-4alkyl; R7; or -X3-R7; R4 is halo, hydroxy, C1-6alkyl, C3-7cycloalkyl, C1-6alkyloxy, cyano, nitro, polyhalo1-6 alkyl, polyhalo C1-6alkyloxy, aminocarbonyl, C1-6alkyloxycarbonyl, C1-6 alkylcarbonyl, formyl, amino, mono- or di(C1-4alkyl)amino; their use as a medicine, their processes for preparation and pharmaceutical compositions comprising them. Claim 1 covers various pyrimidine derivatives, including rilpivirine. **This patent covers the base compound of rilpiverine.**

Ritonavir, Lopinavir and Ritonavir+Lopinavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Ritonavir/ Lopinavir	Abbott Laboratories	97199780 12.11.1997 (Filed as PCT/US1997/020 794 International Publication No. WO98/22106)	1248914 29.3.2000	12.4.2006	1250219 12.11.2017	*Pharmaceutical Composition* A liquid pharmaceutical composition providing improved oral bioavailability is disclosed for compounds, which are inhibitors of HIV protease. In particular, the composition comprises a solution in a pharmaceutically acceptable organic solvent of (a) the HIV protease inhibitor and optionally, (b) a surfactant. The composition can optionally be encapsulated in either hard gelatin capsules or soft elastic capsules (SEC). **This patent covers a soft gel capsule formulation of ritonavir and ritonavir/lopinavir.**
Lopinavir	Abbott Laboratories	96199904 6.12.1996 (Filed as PCT/US1996/020 440 International Publication No.	1208405 17.2.1999	22.6.2005	1207288 6.12.2016	*Retroviral protease Inhibiting Compounds* A compound of formula (I) (including lopinavir) is disclosed as an HIV protease inhibitor. Methods and compositions for inhibiting an HIV infection are also disclosed. Claim 6: specifically covers the compound lopinavir or a pharmaceutically acceptable salt the compound.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		WO 97/21685)				**This patent covers various protease inhibiting compounds, including lopinavir.**
Ritonavir	Abbott Laboratories	99808927 19.7.1999 (Filed as PCT/US99/16334 International Publication No. WO 00/04106)	1310715 29.8.2001			*Polymorph of ritonavir* A new crystalline polymorph of ritonavir and methods for its use and preparation are disclosed. **The application claims a polymorph of ritonavir, including a pure amorphous form.**
Ritonavir	Abbott Laboratories	200310118172 9.7.1999	1502613 9.6.2004			*Polymorph of a pharmaceutical preparation* A new substantially pure amorphous ritonavir and methods for its use and preparation. Published claims: Claim 1: covers pure amorphous ritonavir. Claims 3-13: cover methods for preparing amorphous ritonavir. **This application covers a new substantially pure**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						amorphous form of ritonavir and methods for its use and preparation.
Ritonavir/ Lopinavir	Abbott Laboratories	00808320 25.5.2000 (Filed as PCT/US2000/014 342 International Publication No. WO2000/074677)	1353607 12.6.2002	17.9.2008	100418527 25.2.2020	*Improved pharmaceutical formulations* Improved pharmaceutical compositions are provided comprising one or more HIV protease inhibiting compounds having improved dissolution properties in a mixture of a fatty acid, ethanol, and water. Claim 1: A pharmaceutical composition comprising: (a) ritonavir in the amount of 1%-50% (b) a pharmaceutically acceptable organic solvent which comprises a long chain fatty acid or a mixture of fatty acids and ethanol; (c) water; and (d) optionally a pharmaceutically acceptable surfactant. Claim 11 covers a pharmaceutical composition of claim 1 including ritonavir and lopinavir. **The patent covers a soft gel capsule formulation for ritonavir (Norvir) and ritonavir and lopinavir (Kaletra) using pharmaceutically acceptable organic solvents, water and a**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						surfactant. The patent also covers the claimed composition comprising ritonavir and another protease inhibitor selected from saquinavir, amprenavir. and indinavir.
Ritonavir/ Lopinavir	Abbott Laboratories	200810130174 25.5.2000 (Filed as PCT/US2000/014 342 International Publication No. WO2000/074677)	101361723 11.2.2009			*Improved pharmaceutical compositions* The present invention relates to a method for preparing pharmaceutical compositions in the form of a solution, which comprises filling the solution to a capsule, wherein the solution comprises (a) (2S,3S,5S)-5-(N-(N-((N-methyl-N-((2-isopropyl-4-thiazolyl)methyl) amino) carbonyl) valyl) amino)-2-(N-((5-thiazolyl) methoxycarbonyl) amino)-1,6-diphenyl-3-hydroxyhexane, in the amount of from 1% to 50% by weight of the total solution; (b) a pharmaceutically acceptable organic solvent which comprises (i) a pharmaceutically acceptable long chain fatty acid, said long chain fatty acid being in the amount of from 30 % to 70 % by weight of the total solution, or (ii) the mixture of the following substances: pharmaceutically acceptable long chain fatty acid in the amount of from 30 % to 70 % by weight of the total solution and ethanol in the amount of from 1 % to 15 % by weight of the total solution; (c) water in the amount of from 0.4 % to 3.5 % by weight of the total solution, and (d) pharmaceutically acceptable surfactant in the amount of from 0 to 40% by weight of the total solution. The

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						invention also provides an unencapsulated solution, which has the same compositions as that of the solution. **This application is a divisional of Chinese application no. 00808320 (above). Claims 1-9 of this application are as granted for Chinese patent no.100418527 (above).**
Ritonavir	Abbott Laboratories	00818479 1.12.2000 (Filed as PCT/US2000/032 771 International Publication No. WO2001/052821	1424907 18.6.2003	9.9.2009	100536833 1.12.2020	*Improved pharmaceutical formulations* Improved pharmaceutical compositions are provided comprising one or more solubilized HIV protease inhibiting compounds having improved solubility properties in a medium and/or long chain fatty acid, or mixtures thereof, a pharmaceutically acceptable alcohol, and water. Claim 1: A pharmaceutical composition comprising: (a) solubilized ritonavir (b) a pharmaceutically organic solvent which comprises a medium and/or long chain fatty acid, or a mixture thereof, and propylene glycol; (c) water; and (d) optionally a pharmaceutically acceptable surfactant. **The patent covers a soft gel capsule formulation for**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						ritonavir (Norvir) using pharmaceutically acceptable organic solvents including medium and/or long chain fatty acids and propylene glycol, water and a surfactant. **(While the PCT application originally claimed the formulation for a pharmaceutical composition including ritonavir, ritonavir and lopinavir, this patent makes no such claims. To that end, this patent only appears to claim a soft gel capsule formation for ritonavir (Norvir).**
Lopinavir	Abbott Laboratories	01807688 21.3.2001 (Filed as PCT/US2001/009112 International Publication No. WO 01/074787)	1422259 4.6.2003	8.8.2007	1330639 21.3.2021	*Crystalline pharmaceutical* New crystalline forms of lopinavir are disclosed, including a substantially pure crystalline hydrated form of lopinavir and a solvate crystalline form of lopinavir **This patent claims new crystalline forms of lopinavir.**
Lopinavir	Abbott Laboratories	01814864 29.8.2001	1449388 15.10.2003	19.7.2006	1264823 29.8.2021	*Process and intermediates for preparing retroviral protease inhibitors* The instant invention provides processes and intermediates

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		(Filed as PCT/US2001/026898 International Publication No. WO2002/018349)				employed in the synthesis of ((2S,3S,5S)-2-(2,6-dimethylphenoxyacetyl)-amino-3-hydroxy-5-(2S-(1-tetrahydropyrimid-2-onyl)-3-methyl-butanoyl)amino-1,6-diphenylhexane and analogs thereof. Granted claims are as published in WO2002/018349. **This patent covers a method for preparing an intermediate compound, useful for preparing lopinavir.**
Ritonavir/ Lopinavir	Abbott Laboratories	200380100979 9.10.2003 (Filed as PCT/EP2003/011205 International Publication No. WO2004/032903	1703202 30.11.2005			*Method for producing solid galenic formulations using a crosslinked non-thermoplastic carrier* The invention concerns a method for producing solid galenic formulations which consists in: forming a processable paste comprising a) 50 to 99.4 wt. % of at least one non-thermoplastic carrier, b) 0.5 to 30 wt. % of at least an adjuvant selected among thermoplastic polymers, lipids, sugar alcohols and solubilizing agents, c) 0.1 to 49.5 wt. % of at least one active principle, at a temperature not less than the softening temperature of the adjuvant but rising to at least 70 DEG C.; then in cooling the resulting paste. Said solid galenic formulations quickly disintegrate in an aqueous medium. The claims are as published in WO2004/032903.

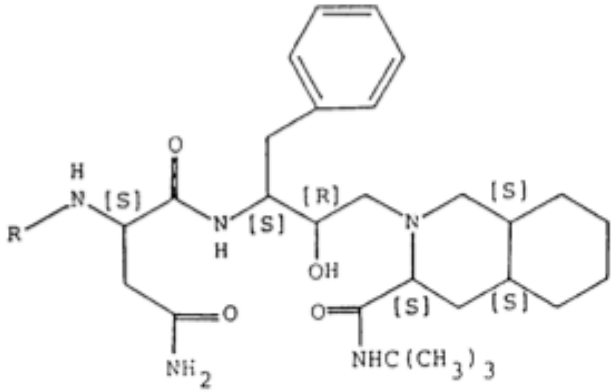
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent claims a method for making solid galenic formulations using melt-extrusion, crosslinked PVP and adjuvants. Although the published patent claims do not specifically claim the method in relation to ritonavir, ritonavir and lopinavir, the patent specification (Example 7) does demonstrate that the disclosed method can be used in relation to solid dispersions for these drugs and proceeds to give an example of lopinavir. To that end the claims could be amended to include ritonavir, ritonavir and lopinavir during examination.
Lopinavir/ Ritonavir	Abbott Laboratories	200480024748 23.8.2004 (Filed as PCT/US2004/027 401 International Publication No. WO2005/039551)	1901884 24.1.2007			*Solid pharmaceutical dosage form* A solid pharmaceutical dosage form providing improved oral bioavailability is disclosed for inhibitors of HIV protease. In particular, the dosage form comprises a solid dispersion of at least one HIV protease inhibitor and at least one pharmaceutically acceptable water-soluble polymer and at least one pharmaceutically acceptable surfactant, said pharmaceutically acceptable water-soluble polymer having a Tg of at least about 50 DEG C. Preferably, the pharmaceutically acceptable surfactant has an HLB value of

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						from about 4 to about 10. The claims are as published in WO WO2005/039551. **This patent claims solid dosage form comprising or ritonavir, ritonavir and lopinavir and a pharmaceutically acceptable water-soluble polymer (PVP) and at least one pharmaceutically acceptable surfactant. This patent relates to the solid tablets used for heat stable ritonavir (Norvir) and ritonavir/lopinavir (Kaletra/Aluvia).**
Lopinavir/ Ritonavir	Abbott Laboratories	200680013668 21.2.2006 (Filed as PCT/US2006/005 944 International Publication No. WO2006/091529)	101163479 16.4.2008			*Solid pharmaceutical dosage formulation* The present invention provides a pharmaceutical dosage formulation, and more particularly, to a pharmaceutical dosage formulation comprising an HIV protease inhibitor. The claims are as published in WO WO2006/091529. **This patent claims a pharmaceutical dosage form comprising lopinavir and ritonavir, or ritonavir alone, in therapeutically effective amounts. This patent is a method of treatment patent.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Ritonavir/ Lopinavir	Abbott Laboratories	200580029924 29.6.2005 (Filed as PCT/US2005/023 047 International Publication No. WO 2006/014282	101023090 22.8.2007			*Prodrugs of HIV protease inhibitors* A compound of the formula is disclosed as a prodrug of an HIV protease inhibitor. Methods and compositions for inhibiting HIV protease activity and treating HIV infection are also disclosed. The claims are as published in WO2006/014282. **This patent claims prodrugs of protease inhibitors, including ritonavir and lopinavir. The claims also cover the process for preparing the prodrugs and pharmaceutical compositions combining a therapeutically effective amount of a prodrug of a protease inhibitor i.e. ritonavir with a second HIV protease inhibitor (including lopinavir, saquinavir, amprenavir, atazanavir, tipranavir), a HIV reverse transcriptase inhibitor (including stavudine, zidovudine, abacavir, tenofovir), a HIV entry/fusion inhibitor (including enfuvirtide and an HIV integrase inhibitor).**

Saquinavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Saquinavir	F.Hoffmann-la Roche AG.	90109931 10.12.1990	1052482 26.6.1991	7.5.1997	1034805 10.12.2010	*Amino acid derivatives* Compounds of the general formula wherein R represents benzyloxycarbonyl or 2-quinolylcarbonyl, and their pharmaceutically acceptable acid addition salts inhibit proteases of viral origin and can be used as medicaments for the treatment or prophylaxis of viral infections. They may be prepared by known method. Claim 1: covers the following formula, including saquinavir.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 **This patent covers the compound saquinavir. The term of the patent was extended from 15 to 20 years.**
Saquinavir	F.Hoffmann-la Roche AG.	96107466 10.12.1990	1138983 1.1.1997	30.5.2001	1066329 10.12.2010	*Preparation of drug composition* Compounds of the general formula I, and their pharmaceutically acceptable acid addition salts inhibit proteases of viral origin and can be used as medicaments for the treatment or prophylaxis of viral infections. **This patent was divided out from Chinese application no. 90109931 (above). The patent covers the method for**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						preparing a pharmaceutical composition of the compound saquinavir. The term of the patent was extended from 15 to 20 years.
Saquinavir	F.Hoffmann-la Roche AG.	96194327 4.6.1996 (Filed as PCT/EP96/02431 International Publication No. WO96/39142)	1186434 1.7.1998	23.10.2002	1092960 4.6.2016	*Pharmaceutical composition comprising proteinase inhibitor and monoglyceride* Compositions, which increase the bioavailability of protease inhibitors are disclosed. Compositions include a pharmaceutically acceptable carrier comprising monoglycerides of medium chain-fatty acids are preferred. Claim 1: A unit dose pharmaceutical composition comprising saquinavir, its pharmaceutical acceptable salt or esters and a pharmaceutically acceptable carrier having a monoglyceride of a C-8-C-10 medium chain fatty acid, wherein the monoglyceride is present in an amount, which is sufficient to dissolve the protease inhibitor. Claim 2: A composition of claim 1 wherein the ratio of the monoglyceride (b) to (a) is at least about 1.5 by weight. Claim 3: A composition of claim 2 wherein the ratio of the monoglyceride of (b) to (a) is at least about 3.0. Claim 4: A composition of any one of claims 1-3 wherein the acid value of (b) is less than or equal to about 0.04.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						This patent covers a unit dose pharmaceutical composition of saquinavir, its pharmaceutically acceptable salts or esters and a carrier containing monoglyceride of medium chain fatty acids.
Saquinavir	F.Hoffmann-la Roche AG.	99813395 11.11.1999 (Filed as PCT/EP1999/008684 International Publication No. WO2000/028942)	1326335 12.12.2001	27.10.2004	1172649 11.11.2019	*Process for the manufacture of liquid filled capsules* The present invention relates to a process for encapsulating a shear sensitive fill mass into a capsule, characterised in that said fill mass is heated and subsequently cooled, immediately prior to the encapsulation. The invention relates also to the capsules obtainable by the said process. The process according to the present invention enables to obtain capsules showing high and constant dissolution rates. The amount of active agent intake can be therefore reduced since the active agent itself is rapidly dissolved. The overall costs of the medicament are thereby also reduced. The high homogeneity (and therefore the high and constant dissolution rate) of the capsules produced with the process according to the present invention guarantees a reproducible bioavailability and therapeutic effect of the active agent, which is contained in it. Claim 1: The process comprising the subsequent steps of: a) feeding the fill mass into a feed tank (3); b) feeding the fill mass from the feed tank (3) into a dosing pump (4); c) dosing

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						the fill mass through a heater (5) and a cooler (6) into an injection wedge d) injecting the heated and subsequently cooled fill mass of step c) from the injection wedge (7) into the capsules. Claim 2: The process according to claim 1, wherein the capsule is a soft gelatin capsule. Claim 3: The process according to claim 2, wherein the fill mass is heated at a temperature between 0 and 20°C above its melting point, and subsequently cooled at a temperature between 35 and 20°C. Claim 4: The process according to claim 1, wherein the capsule is a hard gelatin capsule. Claim 5: The process according to claim 4, wherein the fill mass is heated at a temperature between 0 and 20°C above its melting point, and subsequently cooled at a temperature between 60 and 20°C. Claim 6: The process according to claim 3 or claim 5, wherein the fill mass comprises, as an active ingredient, a HIV protease inhibitor which undergoes the heating at a temperature between 70 and 100°C.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 7: The process according to claim 6, wherein the fill mass is a solution of saquinavir. **This patent covers a process for preparing hard and soft liquid filled capsules, incorporating saquinavir.**
Saquinavir	F.Hoffmann-la Roche AG.	200480019933 5.7.2004 (Filed as PCT/EP2004/007309 WO2005/004836)	1822822 23.8.2006			*Saquinavir mesylate oral dosage form* A solid unit oral pharmaceutical dosage form of saquinavir mesylate is provided comprising micronized saquinavir mesylate in an amount of from 250 mg to 800 mg calculated as free base, and a pharmaceutically acceptable binder, disintegrant, and water soluble carrier. A solid unit dosage form of saquinavir mesylate is provided comprising from 60% to 80% micronized saquinavir mesylate based on the mesylate salt, 4% to 8% water soluble binder, a disintegrant and a carrier, wherein each percentage is of the kernel weight. Claim 1: A solid unit oral pharmaceutical dosage form of saquinavir mesylate comprising from about 60% to about 80% micronized saquinavir mesylate based on the mesylate salt, from about 4% to about 8% of a pharmaceutically acceptable water soluble binder, a pharmaceutically acceptable disintegrant, and a pharmaceutically acceptable carrier, wherein each percentage is of the kernel weight of the pharmaceutical dosage form.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 2: The dosage form according to claim 1, wherein the saquinavir mesylate is from about 70% to about 75% of the kernel weight of the pharmaceutical dosage form. Claim 3: The dosage form according to claim 1 or 2, wherein the saquinavir mesylate in the pharmaceutical dosage form is in an amount of from about 200 mg to about 700 mg calculated as saquinavir free base. Claim 4: The dosage form according to claims 1 to 3, wherein the saquinavir mesylate in the pharmaceutical dosage form is in an amount of from about 250 mg to about 700 mg calculated as saquinavir free base. Claim 5: The dosage form according to claims 1 to 4, wherein the saquinavir mesylate in the pharmaceutical dosage form is in an amount of about 500 mg calculated as saquinavir free base. **This patent claims solid unit oral pharmaceutical dosage form of saquinavir mesylate comprising micronized saquinavir mesylate in an amount of from about 250 mg to about 800 mg.**

Stavudine

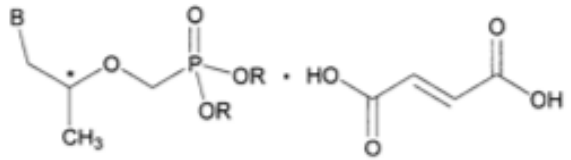
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Stavudine	Bristol-Myers Squibb Co.	01807440 29.3.2001 (Filed as PCT/US2001/010078 International Publication No. WO2001/074329)	1420774 28.5.2003	2.2.2005	1187052 Lapsed	*Sustained release beadlets containing stavudine* Extended dosage forms of stavudine are provided comprising beadlets formed by extrusion-spheronization and coated with a seal coating. The beadlets are also coated with a modified release coating such that a hard gelatin capsule containing such beadlets will provide blood levels of stavudine over approximately 24 hours. The beadlets are prepared from a dry blend of stavudine, a spheronizing agent, a suitable diluent and a stabilizing amount of magnesium stearate. The magnesium stearate, in contrast to other similar pharmaceutical adjuncts, has been found to stabilize stavudine against degradation due to hydrolysis in the presence of the limited amount of water necessary for the extrusion-spheronization process. Also included in the scope of the invention are hard gelatin capsules containing, in addition to the stavudine beadlets, similar beadlets containing other therapeutic agents utilized to treat retroviral infections. Claim 1: Extruded-spheronized beadlets comprising

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						stavudine, a spheronizing agent, and a quantity of magnesium stearate, containing from about 0.5 to about 3. 0 percent by weight of magnesium stearate based on the weight of stavudine present therein. Claim 2: The beadlets of with Claim 2 containing from about 1. 4 to about 1. 7 percent by weight of magnesium stearate based on the weight of stavudine present therein. Claim 3: The beadlets of Claim 1 wherein the spheronizing agent is selected from the group consisting of microcrystalline cellulose, sodium carboxymethyl cellulose and corn starch. **This patent lapsed on 30 May 2007 due to non-payment of renewal fees. The patent covered stable beadlets containing stavudine prepared by conventional extrusion/spheronization techniques. The beadlet formulation included a dry blend amount of magnesium stearate sufficient to stabilize stavudine against hydrolysis during subsequent processing to form the beadlets.**

Tenofovir Disoproxil Fumarate

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Tenofovir	Gilead Sciences Inc	200410046290 23.7.1998	1554350 15.12.2004	19.4.2006	1251679 23.7.2018	*Nucleotide analog composition and synthesis method* The invention provides a composition comprising bis(POC)PMPA and fumaric acid (1:1). The composition is useful as an intermediate for the preparation of antiviral compounds, or is useful for administration to patients for antiviral therapy or prophylaxis. The composition is particularly useful when administered orally. The invention also provides methods to make PMPA and intermediates in PMPA synthesis. Embodiments include lithium t-butoxide, 9-(2-hydroxypropyl) adenine and diethyl p-toluenesulfonylmethoxy-phosphonate in an organic solvent such as DMF. The reaction results in diethyl PMPA preparations containing an improved by-product profile compared to diethyl PMPA made by prior methods. Claim 1: Composition of lithium alkoxide and 9- (2-hydroxypropyl) adenine solution.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						Claim 2: Method of preparing the composition of claim 1 mixing lithium alkoxide and 9- (2- hydroxypropyl) adenine solution. **This patent covers a composition of lithium alkoxide and tenofovir, for preparing intermediates of tenofovir disoproxil fumarate.**
Tenofovir Disoproxil Fumarate	Gilead Sciences Inc	98807435 23.7.1998 (Filed as PCT/US1998/015254 International Publication No. WO1999/005150)	1264387 23.8.2000	23.4.2008	100383148 23.7.2018	*Nucleotide analog composition and synthesis method thereof* The invention provides a composition comprising bis(POC)PMPA and fumaric acid (1:1). The composition is useful as an intermediate for the preparation of antiviral compounds, or is useful for administration to patients for antiviral therapy or prophylaxis. The composition is particularly useful when administered orally. The invention also provides methods to make PMPA and intermediates in PMPA synthesis. Embodiments include lithium t-butoxide, 9-(2-hydroxypropyl) adenine and diethyl p-toluenesulfonylmethoxy-phosphonate in an organic solvent such as DMF. The reaction results in diethyl PMPA preparations containing an improved by-product profile compared to diethyl PMPA made by prior methods. Claim 1 : The composition of the formula (1) which includes 9-[2-R-[[bis(isopropoxycarbonyl)oxy]methoxy]phophinoyl]methoxy]pro

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						pyl]-adenine fumaric acid  Claim 3: The composition of claim 1 wherein the composition is a crystalline solid. Claim 7: The composition of claim 1 containing lithium alkoxide and 9- (2- hydroxypropyl) adenine solution. Claim 10: A method comprising contacting bis(POC)PMPA with fumaric acid. **This patent covers the end formulation for tenofovir disoproxil fumarate and its crystalline form.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Tenofovir Disoproxil Fumarate	Gilead Sciences Inc	200510099916 23.7.1998	1745755 15.3.2006	24.9.2008	100420443 23.7.2018	*Nucleotide analog composition and synthesis method* The invention provides a composition comprising bis(POC)PMPA and fumaric acid (1:1). The composition is useful as an intermediate for the preparation of antiviral compounds, or is useful for administration to patients for antiviral therapy or prophylaxis. The composition is particularly useful when administered orally. The invention also provides methods to make PMPA and intermediates in PMPA synthesis. Embodiments include lithium t-butoxide, 9-(2-hydroxypropyl) adenine and diethyl p-toluenesulfonylmethoxy-phosphonate in an organic solvent such as DMF. The reaction results in diethyl PMPA preparations containing an improved by-product profile compared to diethyl PMPA made by prior methods. **Translation of published claims not available.**
Tenofovir Disoproxil Fumarate	Gilead Sciences Inc	200710196265 23.7.1998	101181277 21.5.2008			*Nucleotide analog composition and synthesis method* The invention provides a composition comprising bis(POC)PMPA and fumaric acid (1:1). The composition is useful as an intermediate for the preparation of antiviral compounds, or is useful for administration to patients for antiviral therapy or prophylaxis. The composition is particularly useful when administered orally. The invention also provides

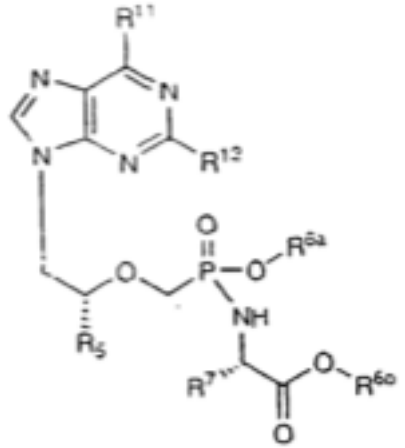
Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						methods to make PMPA and intermediates in PMPA synthesis. Embodiments include lithium t-butoxide, 9-(2-hydroxypropyl) adenine and diethyl p-toluenesulfonylmethoxy-phosphonate in an organic solvent such as DMF. The reaction results in diethyl PMPA preparations containing an improved by-product profile compared to diethyl PMPA made by prior methods. **Translation of published claims not available.**
Tenofovir Disoproxil	Gilead Sciences Inc	97197460 25.7.1997 Filed as PCT/US1997/013244 International Publication No. WO1998/004569)	1244200 9.2.2000	30.4.2008	100384859 25.7.2017	*Nucleotide analogs* Novel compounds are provided that comprise esters of antiviral phosphonomethoxy nucleotide analogs with carbonates and/or carbamates having the structure -OC(R<2>)2OC(O)X(R)a, wherein R<2> independently is H, C1-C12 alkyl, aryl, alkenyl, alkynyl, alkyenylaryl, alkynylaryl, alkaryl, arylalkynyl, arylalkenyl or arylalkyl which is unsubstituted or is substituted with halo, azido, nitro or OR<3> in which R<3> is C1-C12 alkyl; X is N or O; R is independently H, C1-C12 alkyl, aryl, alkenyl, alkynyl, alkyenylaryl, alkynylaryl, alkaryl, arylalkynyl, arylalkenyl or arylalkyl which is unsubstituted or is substituted with halo, azido, nitro, -O-, -N=, -NR<4>-, -N(R<4>)2- or OR<3>, R<4> independently is -H or C1-C8 alkyl, provided that at least one R

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						is not H; and a is 1 or 2, with the proviso that when a is 2 and X is N, (a) two R groups can be taken together to form a carbocycle or oxygen-containing heterocycle, or (b) one R additionally can be OR<3>. The compounds are useful as intermediates for the preparation of antiviral compounds or oligonucleotides, or are useful for administration directly to patients for antiviral therapy or prophylaxis. Embodiments are particularly useful when administered orally. Claim 1: A compound having formula 1(a): $A\text{-}O\text{-}CH_2\text{-}P(O)(\text{-}OC(R^2)_2OC(O)X(R)_a)(Z)$ (1a) wherein Z is independently --OC(R2)2 OC(O)X(R)a, an ester, an amidate or --H, but at least one Z is --OC(R2)2 OC(O)X(R)a ; A is the residue of an antiviral phosphonomethoxy nucleotide analog; X is N or O; R2 independently is --H, C1 -C12 alkyl, C5 -C12 aryl, C2 -C12 alkenyl, C2 -C12 alkynyl, C7 -C12 alkenylaryl, C7 -C12 alkynylaryl, or C6 -C12 alkaryl, any one of which is unsubstituted or is substituted with 1 or 2 halo, cyano, azido, nitro or --OR3 in which R3 is C1 -C12 alkyl, C2 -C12 alkenyl,

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						C2-C12 alkynyl or C5 -C12 aryl; R is independently --H, C1 -C12 alkyl, C5 -C12 aryl, C2 -C12 alkenyl, C2 -C12 alkynyl, C7 -C12 alkyenylaryl, C7 -C12 alkynylaryl, or C6 -C12 alkaryl, any one of which is unsubstituted or is substituted with 1 or 2 halo, cyano, azido, nitro, --N(R4)2 or --OR3, where R4 independently is --H or C1 -C8 alkyl, provided that at least one R is not H; and a is 1 when X is O, or 1 or 2 when X is N; with the proviso that when a is 2 and X is N, (a) two N-linked R groups can be taken together to form a carbocycle or oxygen-containing heterocycle, (b) one N-linked R additionally can be --OR@3 or (c) both N-linked R groups can be --H **This patent covers the carbonate/carbamate ester derivative of tenofovir (tenofovir disoproxil), used as an intermediate for making tenofovir disoproxil fumarate.**
Tenofovir	Gilead Sciences Inc	200580023210 1.7.2005 (Filed as PCT/US2005/023492 International	1984640 20.6.2007			*Topical antiviral formulations* The present invention relates to formulations of nucleotide reverse transcriptase inhibitors (NRTIs), preferably [2-(6-Amino-purin-9-yl)-1-methyl-ethoxymethyl]-phosphonic acid (tenofovir, PMPA), or a physiologically functional derivative thereof, suitable for topical application and their use in the prevention of HIV infections.

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		Publication No. WO2006/017044)				Claims are as published in WO 2006/017044. Claim 1: A method for the prevention of the symptoms or effects of an HIV infection in an infected animal which comprises administering to said animal a prophylactically effective amount of a topical formulation comprising an effective amount of an NRTI in combination with a pharmaceutically acceptable vehicle. Claim 2: A method according to Claim 1 wherein the NRTI comprises [2-(6- Amino-purin-9-yl)-l-methyl-ethoxymethyl]-phosphonic acid diisopropoxycarbonyloxymethyl ester (tenofovir) or a physiologically functional derivative thereof. **This patent covers formulations of tenofovir suitable for topical application and their use in the prevention of HIV infections.**
Tenofovir	Gilead Sciences Inc	01813161 20.7.2001	1443189 17.9.2003	27.12.2006	1291994 20.7.2020	*Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same* A novel method is provided for screening prodrugs of

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		(Filed as PCT/US2001/023104 International Publication No. WO2002/008241)				methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein. Claim 1 – A diastereomerically compound of the structure:

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						 **This patent claims prodrugs of methoxyphosphonate nucleotide analogs, including tenofovir, and their screening methods.**
Tenofovir	Gilead Sciences Inc	200410097845 20.7.2001	1706855 14.12.2005	16.7.2008	100402539 20.7.2020	*Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same* A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein. Claim 1: A method for use of magnesium alkoxide comprising reacting 9- (2- hydroxypropyl) adenine (HPA) or 9- (2-hydroxyethyl) adenine (HEA), magnesium alkoxide, and protected p-toluenesulfonyloxymethylphosphonate. Claim 2: The method of claim 1 further comprising recovering PMPA or PMEA, respectively. **This patent is divided from Chinese application no. 01813161 (above). The patent covers methods using magnesium alkoxode for screening prodrugs for PMPA (tenofovir) and PMEA (adefovir).**

Tipranavir

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Tipranavir	The Upjohn Co.	95193553 4.5.1995 (Filed as PCT/US1995/005219 International Publication No. WO1995/030670)	1150424 21.5.1997	23.6.2004	1154642 4.5.2015	*Pyranone compounds useful to treat retroviral infections* The present invention relates to compounds of formulae (I) and (II) which are pyran-2-ones, 5,6-dihydro-pyran-2-ones, 4-hydroxy-benzopyran-2-ones, 4-hydroxy-cycloalkyl[b]pyran-2-ones, and derivatives thereof, useful for inhibiting a retrovirus in a mammalian cell infected with said retrovirus, wherein R10 and R20 taken together are formulae (III) and (IV). **This patent covers pyronone compounds, including tipranavir, for inhibiting retroviruses including AIDS.**
Tipranavir	Boehringer Ingelheim Pharmaceuticals	01817792 30.10.2001 (Filed as PCT/US2001/048683 International Publication No.	1471387 28.1.2004	8.2.2006	1240437 30.10.2021	*Oral dosage self-emulsifying formulations of pyranone proteinase inhibitors* A microemulsion of pyranone protease inhibitor compounds that is substantially free of alcohol and propylene glycol comprising a pyranone protease inhibitor, one or more pharmaceutically acceptable surfactants, and a polyethylene glycol solvent having a mean molecular weight of greater that 300 but lower than 600, and a lipophilic component

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		WO2002/036110)				comprising medium chain mono- and di-glycerides, and optionally a basic amine. **The granted claims of this patent cover oral dosage formulations for pyranone protease inhibitor compounds, including tipranavir. The formulations include a polyethylene glycol solvent and surfactant.**
Tipranavir	Pharmacia & Upjohn Co.	99812358 29.10.1999 (Filed as PCT/US1999/021469 International Publication No. WO2000/025784	1324237 28.11.2001	23.6.2004	1154491 29.10.2019	*Method for improving pharmacokinetics of tipranavir* The present invention relates to a novel method for improving the pharmacokinetics of tipranavir, comprising administering to a human in need of such treatment a combination of a therapeutically effective amount of tipranavir or a pharmaceutically acceptable salt thereof, and a therapeutically effective amount of ritonavir or a pharmaceutically acceptable salt thereof. Claim 1: A method for improving the pharmacokinetics of tipranavir, comprising administering to a human in need of such treatment a combination of a therapeutically effective amount of tipranavir or a pharmaceutically acceptable salt thereof, and a therapeutically effective amount of ritonavir or a pharmaceutically acceptable salt thereof, wherein said therapeutically effective amount of tipranavir is between about 200 mg and about 6750 mg of tipranavir, and said therapeutically effective amount of ritonavir is between about

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						30 mg and about 1000 mg of ritonavir. **This patent covers a method for improving the pharmacokinetics of tipranavir, comprising administering a therapeutically effective amount of tipranavir or a pharmaceutically acceptable salt thereof and a therapeutically effective amount of ritonavir or a pharmaceutically acceptable salt.**
Tipranavir	Pharmacia & Upjohn Co.	98806771 27.7.1998 (Filed as PCT/US98/14817 International Publication No. WO99/06044)	1261796 2.8.2000	2.7.2003	1112927 27.7.2018	*Self-emulsifying formulation for lipophilic compounds* The present invention provides a novel pharmaceutical composition based on the use of a particular amount of basic amine which comprises a pyranone compound as a pharmaceutically active agent, a basic amine in an amount of from about 0.1 % to about 10 % by weight of the total composition, one or more pharmaceutically acceptable solvents, and one or more pharmaceutically acceptable surfactants. In addition, the composition may further comprise one or more pharmaceutically acceptable oils. The composition is in a form of self-emulsifying formulation, which provides high concentration and high oral bioavailability for lipophilic pyranone compounds. Claim 1: A pharmaceutical composition comprising: a) tipranavir as a pharmaceutically active agent ;

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						b) a basic amine in an amount of from about 0.1% to about 10% by weight of total composition; c) one or more pharmaceutically acceptable solvents; d) one or more pharmaceutically acceptable surfactants. Claim 3: The pharmaceutical composition of claim 1 wherein the basic amine is lower alkyamine, basic amino acid or choline hydroxide. Claim 9: The pharmaceutical composition of claim 1 wherein the pharmaceutically acceptable solvent is propylene glycol, polypropylene glycol, polyethylene glycol, glycerol, ethanol, triacetin, dimethyl isosobide, glycofurol, propylene carbonate, water, dimethyl acetamide or a mixture thereof. Claim 14: The pharmaceutical composition of claim 1 or 3 wherein the pharmaceutically acceptable surfactant is Polyoxyl 40 hydrogenated castor oil, Polyoxyl 35 castor oil, Polysorbate 20, Polysorbate 40, Polysorbate 60, Polysorbate 80, Solutol HS-15, Tagat TO, Peglicol 6-oleate, Polyoxyethylene stearates, Saturated Polyglycolyzed Glycerides, or Poloxamers. Claim 25: A pharmaceutical composition comprising: (a) tipranavir from about 20% to about 30% by weight of the total composition, (b) a dimethylaminoethanol or

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						tris(hydroxymethyl)aminomethane in an amount of from about 0.1% to about 5% by weight of the total composition, (c) a solvent comprising propylene glycol or a mixture of propylene glycol and 95% (v/v) ethanol in an amount of from about 15% to about 25% by weight of the total composition, and (d) a surfactant comprising Polysorbate 80 in an amount of from about 30% to about 45% by weight of the total composition. Claim 26: A pharmaceutical composition comprising: (a) tipranavir in an amount of from about 20% to about 30% by weight of the total composition, (b) a dimethylaminoethanol or tris(hydroxymethyl)aminomethane in an amount of from about 0.1% to about 5% by weight of the total composition, (c) a solvent comprising propylene glycol or a mixture solution of propylene glycol and 95% (v/v) ethanol in an amount of from about 15% to about 25% by weight of the total composition, (d) a surfactant comprising Cremophor RH40 or Cremophor EL in an amount of from about 30% to about 45% by weight of the total composition, and (e) an oil comprising monoolein, diolein, monolinoleate, dilinoleate Campul MCM or Maisine in an amount of from about 5% to about 25% by weight of the total composition. **This patent covers a pharmaceutical composition comprising tipranavir, a basic amine, one or more**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						pharmaceutically acceptable solvents, surfactants and oils.
Tipranavir	Pharmacia & Upjohn Co.	98806772 27.7.1998 (Filed as PCT/US98/14816 International Publication No. WO99/06043)	1261797 2.8.2000	9.7.2003	1113650 27.7.2018	*Self-emulsifying formulation for lipophilic compounds* The present invention provides a novel pharmaceutical composition based on the use of a particular oil phase which comprises a lipophilic, pharmaceutically active agent, a mixture of diglyceride and monoglyceride in a ratio of from about 9:1 to about 6:4 by weight (diglyceride:monoglyceride) wherein the diglyceride and monoglyceride are mono- or di-unsaturated fatty acid esters of glycerol having sixteen to twenty-two carbon chain length, one or more pharmaceutically acceptable solvents, and one or more pharmaceutically acceptable surfactants. The composition is in a form of self-emulsifying formulation, which provides high concentration and high oral bioavailability for lipophilic compounds. Claim 1: A pharmaceutical composition comprising : a) tipranavir as a pharmaceutically active agent; b) a mixture of diglyceride and monoglyceride in a ratio of from about 9:1 to about 6:4 by weight (diglyceride:monoglyceride) wherein the diglyceride and monoglyceride are mono- or di- unsaturated fatty acid esters of glycerol having sixteen to twenty-two carbon chain length;

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						c) one or more pharmaceutically acceptable solvents; d) one or more pharmaceutically acceptable surfactants. Claim 2: The pharmaceutical composition of claim 1 wherein the compound tipranavir is in an amount of from about 20% to about 30% by weight of the total composition. Claim 3: The pharmaceutical composition of claim 1 wherein said diglyceride is diolein, dilinoleate or a mixture thereof. Claim 7: The pharmaceutical composition of claim 1 wherein the mixture of diglyceride and monoglyceride is in an amount of from about 5% to about 35% by weight of the total composition. Claim 12: The pharmaceutical composition of claim 1 wherein the pharmaceutically acceptable solvent is propylene glycol, polypropylene glycol, polyethylene glycol, glycerol, ethanol, triacetin, dimethyl isosorbide, glycofurol, propylene carbonate, water, dimethyl acetamide, or a mixture thereof. Claim 17: The pharmaceutical composition of claim 1 wherein the pharmaceutically acceptable surfactant is Polyoxyl 40 hydrogenated castor oil, Polyoxyl 35 castor oil, Solutol HS-

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						15, Tagat TO, Peglicol 6-oleate, Polyoxyethylene stearates, Poloxamers, Polysorbates, or Saturated Polyglycolyzed Glycerides. Claims 28: A pharmaceutical composition comprising: (a) tipranavir in an amount of from about 20% to about 30% by weight of the total composition, (b) a mixture of diolein and monoolein in a ratio of about 9:1 by weight (diolein:monoolein) and in an amount of from about 5% to about 20% by weight of the total composition, (c) a solvent comprising propylene glycol or a mixture of propylene glycol and 95% (v/v) ethanol in a ratio of about 1:1 and in an amount of from about 15% to about 25% by weight of the total composition, and (d) a surfactant comprising Cremophor RH40 or Cremophor EL in an amount of from about 30% to about 45% by weight of the total composition. Claim 29: A pharmaceutical composition comprising: (a) tipranavi in an amount of from about 20% to about 30% by weight of the total composition, (b) a mixture of diolein and monoolein in a ratio of about 8:2 by weight (diolein:mono-olein) and in an amount of from about 5% to about 20% by weight of the total composition, (c) a solvent comprising propylene glycol or a mixture solution of propylene glycol and 95% (v/v) ethanol in a ratio of about 1:1 in an amount of from about 15% to about 25% by weight of the total composition,

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						and (d) a surfactant comprising Cremophor RH40 or Cremophor EL in an amount of from about 30% to about 45% by weight of the total composition. **This patent covers a pharmaceutical composition comprising tipranavir, a mixture of diglyceride and monoglyceride, pharmaceutically acceptable solvents and surfactants.**

Fixed Dose Combinations

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Zidovudine (AZT)/ Lamivudine (3TC)	Glaxo Group Ltd.	92104553 15.5.1992	1068570 3.2.1993	27.10.1999	1045961 15.5.2012	*Antiviral combinations* Combinations comprising a compound of formula (1) or a pharmaceutically acceptable derivative thereof and an inhibitor of HIV replication, pharmaceutical formulations thereof and their use in the treatment of HIV infections. **This patent covers the pharmaceutical composition combining zidovudine and lamivudine.** **The patent term was extended from 15 to 20 years.**
Abacavir (ABC), Zidovudine (AZT), Lamivudine (3TC) and Emtricitabine (FTC)	The Wellcome Foundation Ltd	96194050 28.3.1996 (Filed as PCT/EP96/01352 International Publication No. WO96/30025)	1185110 17.6.1998	26.3.2003	1103593 28.3.2016	*Synergistic combination of zidovudine* The present invention relates to therapeutic combinations of (1S,4R)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (1592U89), 3'-azido-3'-deoxythymidine (zidovudine) and (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one (3TC) (or, alternatively to 3TC, (2R,cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one (FTC)) which have anti-HIV activity. The present invention is also concerned with pharmaceutical compositions containing

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						said combinations and their use in the treatment of HIV infections including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. **This patent covers pharmaceutical compositions combining any two of the following active ingredients: abacavir, zidovudine, lamivudine or emtricitabine. The patent also claims these compositions as a treatment for HIV infection.**
Abacavir (ABC), Zidovudine (AZT) and Lamivudine (3TC)	Glaxo Group Ltd.	99807983 26.4.1999 (Filed as PCT/EP99/02794 International Publication No. WO99/55372)	1411380 16.4.2003		Withdrawn	*Homogeneous pharmaceutical compositions comprising abacavir, lamivudine and zidovudine* A pharmaceutical composition comprising a homogeneous combination of abacavir, lamivudine, and zidovudine in an amount which achieves antiviral efficacy, a process for the preparation of such a composition, and a method of inhibiting human immunodeficiency virus (HIV) which comprises administering such a composition to an HIV infected patient is disclosed. **This patent claimed a pharmaceutical combination comprising a therapeutically effective amount of abacavir, lamivudine, zidovudine and a pharmaceutically acceptable glidant selected from a group consisting of: silicon dioxide, colloidal silicon dioxide, fumed silicon dioxide, calcium silicate, corn starch, magnesium carbonate, asbestos free talc, metallic stearates, calcium**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
						stearate, magnesium stearate, zinc stearate, stearowet C, starch, starch 1500, magnesium lauryl sulfate, or magnesium oxide. **This patent application was withdrawn on 19.10.2005.**
Amprenavir (APV) Zidovudine (AZT) Abacavir (ABC)	Glaxo Group Ltd	97197356 23.6.1997 (Filed as PCT/EP97/03246 International Publication No. WO97/49410)	1306430 1.8.2001		Withdrawn	*Combinations comprising VX478, zidovudine and/or 1592U89 for use in treatment of HIV* The present invention relates to therapeutic combinations of [3R*(1R*,2S*)]-[3-[[(4-aminophenyl)sulphonyl](2-methylpropyl)-amino]-2-hydroxy-1-phenylmethyl)propyl]carbamic acid, tetrahydro-3-furanyl ester (141W94), 3'-azido-3'-deoxythymidine (zidovudine) and (1S,4R)-cis-4-[2-amino-6(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (1592U89) which have anti-HIV activity. The present invention is also concerned with pharmaceutical compositions containing said combinations and their use in the treatment of HIV infections including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. **This patent claimed a pharmaceutical composition combining amprenavir, zidovudine and/or abacavir.** **This patent application was withdrawn on 14.7.2004.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Lamivudine (3TC) and Zidovudine (AZT)	Glaxo Group Ltd.	97180911 29.10.1997 (Filed as PCT/EP97/05953 International Publication No. WO98/18477)	1241142 29.10.1997		Rejected	*Pharmaceutical compositions containing lamivudine and zidovudine* A pharmaceutical composition and a method of inhibiting human immunodeficiency virus (HIV) are disclosed which comprises administering to an HIV infected patient a homogenous combination of lamivudine, zidovudine and a pharmaceutical glidant in an amount which achieves antiviral efficacy. **This patent claimed a pharmaceutical composition comprising zidovudine, lamivudine (or derivatives thereof) and a pharmaceutically acceptable glidant. Acceptable glidants include silicon dioxide, colloidal silicon dioxide, fumed silicon dioxide, sodium aluminosilicate, calcium silicate, powdered cellulose, microcrystalline cellulose, corn starch, sodium benzoate, calcium carbonate, magnesium carbonate, asbestos free talc, metallic stearates, calcium stearate, magnesium stearate, zinc stearate, stearowet C, starch, starch 1500, magnesium lauryl sulfate, or magnesium oxide.** **The application was rejected on 19.9.2007**
Abacavir (ABC) and Lamivudine	Glaxo Group Ltd	99811006 17.9.1999	1317971 17.10.2001		Withdrawn	*Antiviral combination comprising lamivudine and abacavir* The present invention relates to therapeutic combinations comprising (2R,cis)-4-amino-1-(2-ydroxymethyl -1,3-

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
(3TC)		(Filed as PCT/EP99/06885 International Publication No. WO00/16779)				oxathiolan-5-yl) -pyrimidin-2-one (lamivudine) and (-)-(1S,4R)-4-[2-amino-6-(cyc lopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol (1592U89,abacavir). The present invention is also concerned with pharmaceutical compositions containing said combinations and their use in the treatment of HBV infections including infections with HBV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors of the replication of the hepatitis B virus. **This patent claimed a combination comprising abacavir and lamivudine in a synergistic ratio. This application was withdrawn on 14.7.2004.**
Rilpiverine, Emtricitabine (FTC), Lamivudine (3TC), Zidovudine (AZT), Abacavir (ABC) and Tenofovir (TDF)	Tibotec Pharm Ltd.	200480025426 3.9.2004 (Filed as PCT/EP2004/052028 International Publication No. WO2005/021001)	101060844 24.10.2007			*Combinations of a pyrimidine containing nnrti with rt inhibitors* The present invention concerns combinations of a pyrimidine containing NNRTI named TMC278 with nucleoside reverse transcriptase inhibitors such as emtricitabine, lamivudine or abacavir and/or nucleotide reverse transcriptase inhibitors such as tenofovir useful for the treatment of HIV infected patients or for the prevention of HIV transmission or infection. Published claims are as in WO2005/021001. **This patent claims a combination comprising rilpivirine, lamivudine, abacavir, emtricitabine and/or tenofovir disoproxil fumarate.**

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
Indinavir, Lamivudine (3TC), Zidovudine (AZT),	Merck & Co., Inc.	96192910 29.1.1996 (Filed as PCT/US1996/001417 International Publication No. WO1996/023509)	1179722 22.4.1998	4.8.2004	1160081 29.1.2016	*Combination therapy for HIV infection using HIV protease inhibitor indinavir and reverse transcriptase inhibitor 3TC, optionally together with AZT, DDI or DDC* The combination of the HIV protease inhibitor Compound J, 3TC, and, optionally AZT, ddI, or ddC, is useful in the inhibition of HIV protease, the inhibition of HIV reverse transcriptase, the prevention or treatment of infection by HIV and the treatment of AIDS, either as compounds, pharmaceutically acceptable salts, pharmaceutical composition ingredients, whether or not in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described. Claim 1: A combination of compound, which is indinavir, lamivudine and optionally zidovudine. **This patent covers a combination of compounds comprising indinavir, lamivudine and/or zidovudine to be dosed simultaneously.**
Amprenavir (APV), Zidovudine (AZT), Emtricitabine	Glaxo Group Ltd.	97197357 23.6.1997 (Filed as	1228026 8.9.1999		Withdrawn	*Combinations comprising VX 478 (amprenavir), zidovudine, FTC and/or 3TC for use in treatment of HIV* The present invention relates to therapeutic combinations of 3S-[3R*(1R*,2S*)-[3-[[(4-aminophenyl)sulphonyl](2-

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(FTC) and Lamivudine (3TC)		PCT/EP97/03247 International Publication No. WO97/49411)				methylpropyl)-amino]-2-hydroxy-1-phenyl-methyl]propyl]carbamic acid, tetrahydro-3-furanyl ester (141W94), 3'-azido-3'-deoxythymidine (zidovudine) and (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one (3TC) (or, alternatively to 3TC, (2R,cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one (FTC)) which have anti-HIV activity. The present invention is also concerned with pharmaceutical compositions containing said combinations and their use in the treatment of HIV infections including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. **This patent claimed a combination comprising amprenavir, zidovudine and/or emtricitabine/lamivudine.** **The application was withdrawn on 11.6.2003.**
Efavirenz, Emtricitabine (FTC) and Tenofovir disoproxil fumurate (TDF)	Bristol Myers Squibb & Gilead Sciences	200680026866 13.6.2006 (Filed as PCT/US2006/023223 International Publication No.	101252920 27.8.2008			*Stable fixed-dose unitary formulations containing tenofovir, a surfactant, efavirenz and emtricitabine* In accordance with the present invention a novel pharmaceutical product containing efavirenz, emtricitabine and TDF are provided as a multicomponent unitary oral dosage form, component 1 comprising TDF (and, optionally, emtricitabine) and component 2 comprising efavirenz, wherein components 1 and 2 are in a stabilizing

Drug/INN	Applicant	Application No. & Date	Publication No. & Date	Grant Date	Granted Patent No. and Expected Expiry	Title, Abstract, Key Claims and General Comments
		WO2006/135933)				configuration. In preferred embodiments component 1 is made by dry granulation. The published claims are as in WO2006/135933. Claim 1: A composition comprising tenofovir DF and a surfactant whereby the surfactant is in a stabilising configuration with the tenofovir DF. Claim 2: The composition of claim 1 additionally including efavirenz and emtricitabine. **This patent claims a composition of tenofovir disoproxil fumarate, emtricitabine, efavirenz and a surfactant. This application relates to the product Atripla.**
Efavirenz, Emtricitabine (FTC) and Tenofovir disoproxil fumarate (TDF)	Bristol Myers Squibb & Gilead Sciences	200680026180 13.6.2006 (Filed as PCT/US2006/023223 International Publication No.	101222914 16.7. 2008			*Stable fixed-dose formulations containing a combination of antivirals, method for producing thereof using dry granulation* The invention relates to a composition comprising TDF, which is dry method granulated and emtricitabine, and a preparation method of the composition. Dry method pelletization is unexpectedly discovered that the dry method pelletization is very important for preparation of the composition comprising TDF, wherein, the composition fits

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		WO2006/135933)				for being comprised in a composition dosage form, which comprises emtricitabine, efavirenz and TDF. **This application was divided from Chinese application no. 101252920 (above). This application claims the granulating of a composition comprising emtracitabine and tenofovir disoproxil fumarate without contacting the composition with a destablizing amount of liquid water. The application also claims a unitary dosage form made by a process involving dry granulation of a composition comprising emtricitabine and tenofovir disoproxil fumarate.**
Darunavir, Tenofovir/Tenofovir Disoproxil Fumarate (TDF) and Lopnavir	Tibotec Pharm Ltd	200580023075 8.7.2005 (Filed as PCT/EP2005/053266 International Publication No. WO 06/005720)	1984654 20.6.2007			*Combination of anti-HIV reverse transcriptase and protease inhibitors* The invention relates to an anti-HIV combination comprising (i) tenofovir or its disoproxil fumarate derivative; (ii) ritonavir; and (iii) TMC 114, useful for the treatment or prevention of HIV infections. It further relates to pharmaceutical formulations containing such combinations. Claim 1: An anti-HIV combination comprising (i) tenofovir or its disoproxil fumarate derivative, (ii) ritonavir and (iii)

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						TMC114 (darunavir) Claim 2: A combination as claimed in claim 1 wherein the components of the combination are in the form of a unitary or separate pharmaceutical compositions. The published claims are the same as WO 06/005720 **This patent claims the combination of darunavir with tenofovir or tenofovir disoproxil fumarate and ritonavir, whether in a unitary composition (i.e. administered in one pharmaceutical form) or administered as separate pharmaceutical compositions.**