



(12) Translation of
European patent specification

(11) NO/EP 2640719 B1

NORWAY

(19) NO
(51) Int Cl.
C07D 403/14 (2006.01) **C07D 417/14 (2006.01)**
A61K 31/4178 (2006.01) **C07D 471/08 (2006.01)**
A61K 31/4184 (2006.01) **C07D 491/10 (2006.01)**
A61K 31/4188 (2006.01) **C07D 493/04 (2006.01)**
A61P 31/12 (2006.01) **C07D 495/04 (2006.01)**
C07D 405/14 (2006.01) **C07D 513/04 (2006.01)**

Norwegian Industrial Property Office

(21)	Translation Published	2017.10.09
(80)	Date of The European Patent Office Publication of the Granted Patent	2017.05.10
(86)	European Application Nr.	11791700.5
(86)	European Filing Date	2011.11.16
(87)	The European Application's Publication Date	2013.09.25
(30)	Priority	2010.11.17, US, 414818 P 2011.07.06, US, 201161504924 P
(84)	Designated Contracting States:	AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO RS SE SI SK SM TR
	Designated Extension States:	BA ME
(73)	Proprietor	Gilead Pharmasset LLC, 333 Lakeside Drive, Foster City, CA 94404, US-USA
(72)	Inventor	BACON, Elizabeth, M., c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA COTTELL, Jeremy, J., c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA KATANA, Ashley, Anne, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA KATO, Darryl, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA KRYGOWSKI, Evan, S., c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA LINK, John, O., c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA TAYLOR, James, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA TRAN, Chinh, Viet, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA TREJO MARTIN, Teresa, Alejandra, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA YANG, Zheng-Yu, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA ZIPFEL, Sheila, c/o Gilead Sciences, Inc.333 Lakeside Drive, Foster City, CA 94404, US-USA
(74)	Agent or Attorney	Tandberg Innovation AS, Postboks 1570 Vika, 0118 OSLO, Norge
(54)	Title	ANTIVIRAL COMPOUNDS
(56)	References Cited:	WO-A1-2009/102318, WO-A1-2009/102325, WO-A1-2009/102633, WO-A1-2010/062821 WO-A1-2010/065681, WO-A1-2010/096777, WO-A1-2010/099527, WO-A1-2010/132601

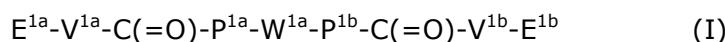
WO-A1-2010/138368
WO-A1-2011/028596
WO-A1-2011/066241
WO-A1-2011/075439
WO-A1-2011/087740
WO-A1-2011/112429
WO-A1-2011/146401
WO-A1-2012/048421
WO-A2-2008/021927
WO-A2-2008/021928
WO-A2-2008/021936
WO-A2-2012/027712
WO-A2-2012/087976

Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (<http://worldwide.espacenet.com>) or via the search engine on our website here: <https://search.patentstyret.no/>

Patentkrav

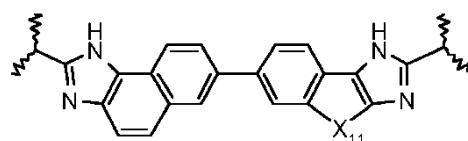
1. En forbindelse med formel (I):

5



hvor:

10 W^{1a} er



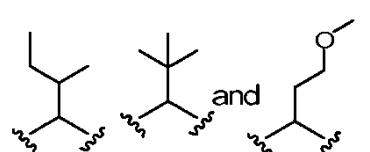
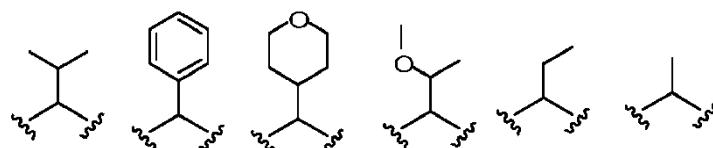
eventuelt substituert med én eller flere grupper uavhengig valgt fra halo, C₁-C₁₈-alkyl, C₁-C₁₈ haloalkyl, og cyano;

X¹¹ er -CH₂-CH₂- , -O-CH₂- , eller -CH=CH-;

E^{1a} er $-N(H)(C_{1-C_{18}}\text{alkoksykarbonyl})$, $-N(H)(C_{3-C_7}\text{cykloalkylkarbonyl})$ eller $-N(H)(C_{3-C_7}\text{cykloalkyloksykarbonyl})$; eller $E^{1a}-V^{1a}$ tatt sammen er R^{9a} ;

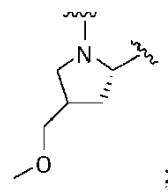
E^{1b} er -N(H)(C₁-C₁₈ alkoksylkarbonyl), -N(H)(C₃-C₇ cykloalkylkarbonyl) eller
- N(H)(C₃-C₇ cykloalkyloksylkarbonyl); eller E^{1b}-V^{1b} tatt sammen er R^{9b};

V^{1a} og V^{1b} er hver uavhengig valgt fra:



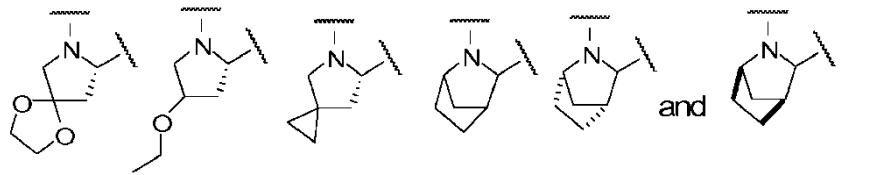
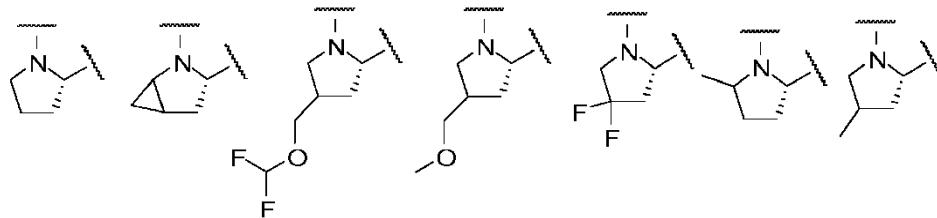
25

én av P^{1a} og P^{1b} er



og den andre av P^{1a} og P^{1b} er valgt fra:

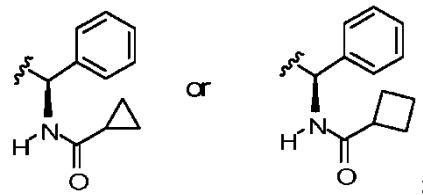
5



10

og

R^{9a} og R^{9b} er hver uavhengig:



15

eller et farmasøytisk akseptabelt salt derav

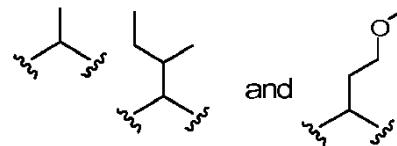
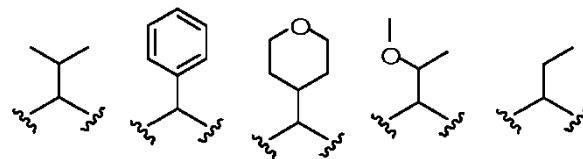
2. Forbindelse ifølge krav 1, hvor minst én av E^{1a} og E^{1b} er -N(H)C(=O)OMe.

3. Forbindelse ifølge krav 1 eller 2, hvor både E^{1a} og E^{1b} er -N(H)C(=O)OMe.

20

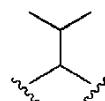
4. Forbindelse ifølge et hvilket som helst av kravene 1-3, hvor minst én av V^{1a} og V^{1b} er valgt fra:

3



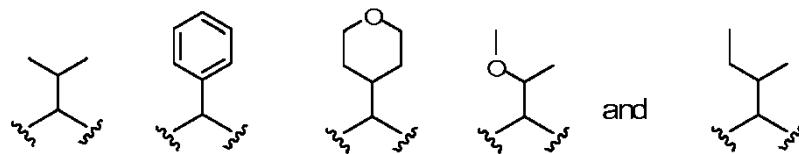
5

5. Forbindelse ifølge et hvilket som helst av kravene 1-4, hvor minst én av V^{1a} og V^{1b} er:



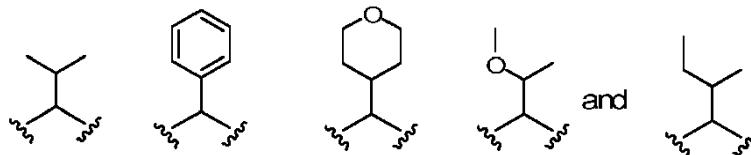
10

6. Forbindelse ifølge et hvilket som helst av kravene 1-5, hvor minst én av V^{1a} og V^{1b} er valgt fra:



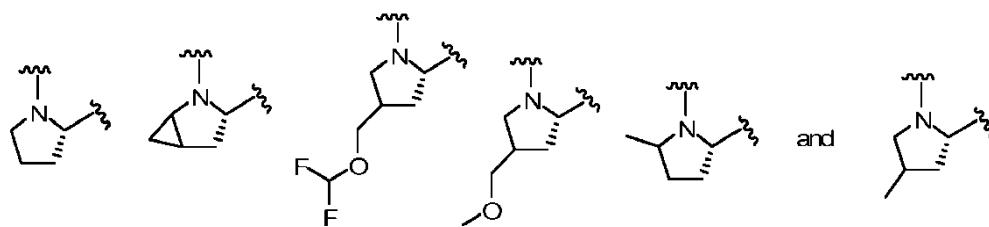
15

7. Forbindelse ifølge et hvilket som helst av kravene 1-6, hvor V^{1a} og V^{1b} er hver uavhengig valgt fra:

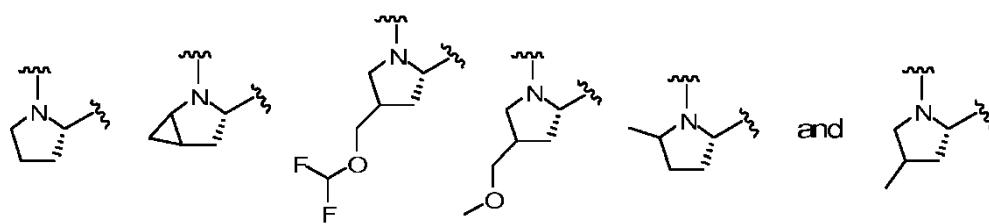


20

8. Forbindelse ifølge et hvilket som helst av kravene 1-7, hvor minst én av P^{1a} og P^{1b} er valgt fra:

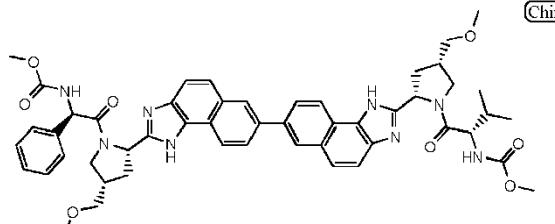
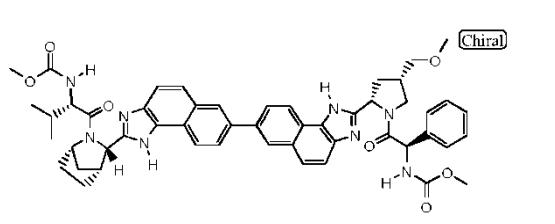
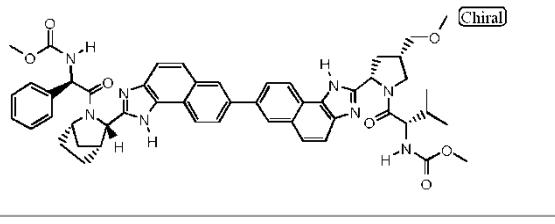
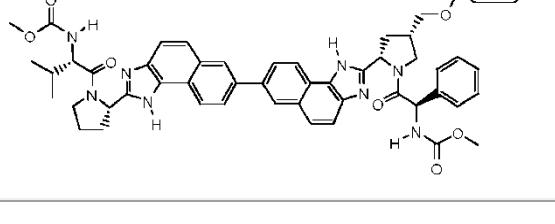
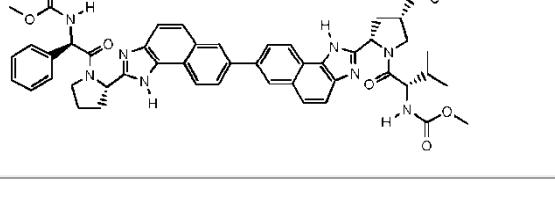
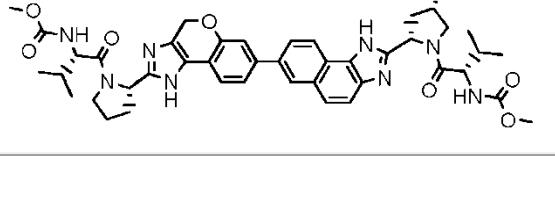


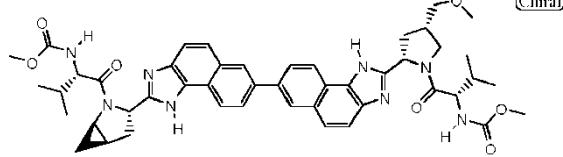
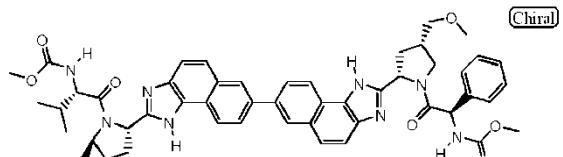
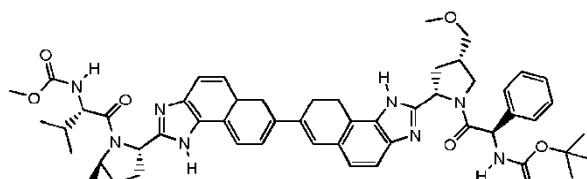
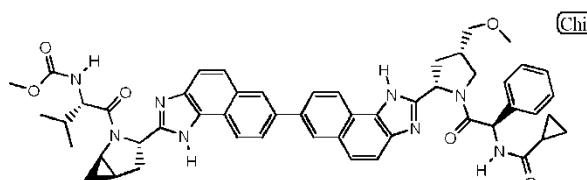
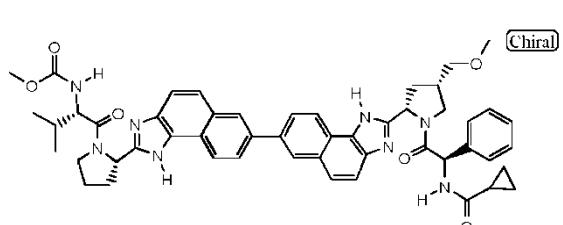
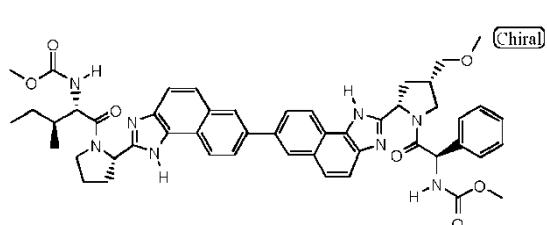
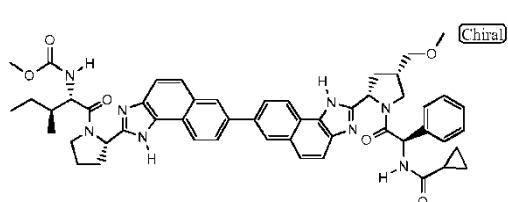
- 9.** Forbindelse ifølge et hvilket som helst av kravene 1-7, hvor P^{1a} og P^{1b} er hver
5 uavhengig valgt fra:

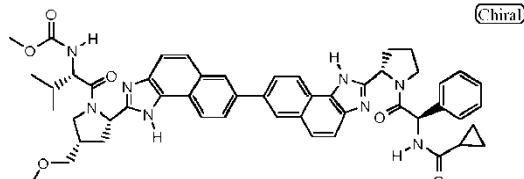
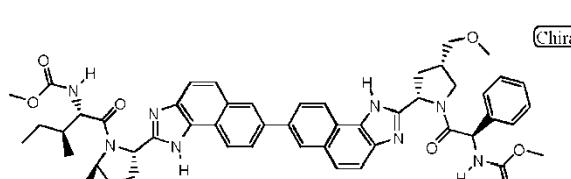
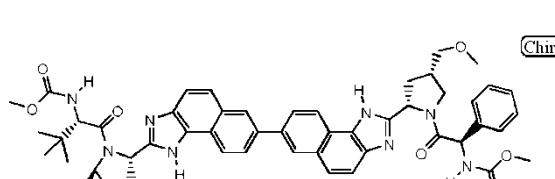
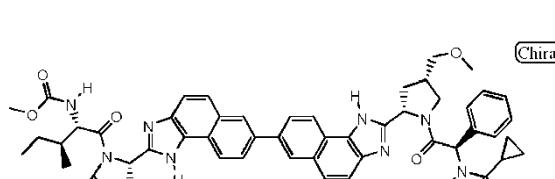
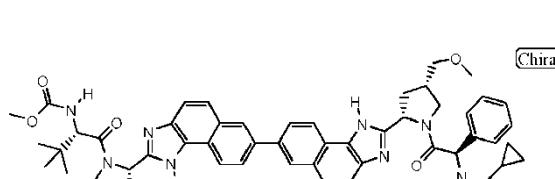
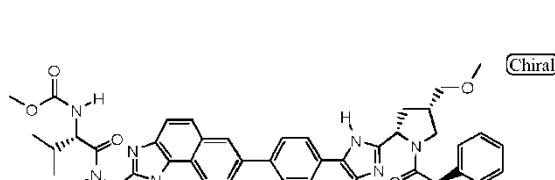
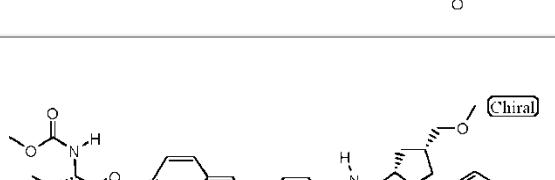


- 10 **10.** Forbindelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående av:

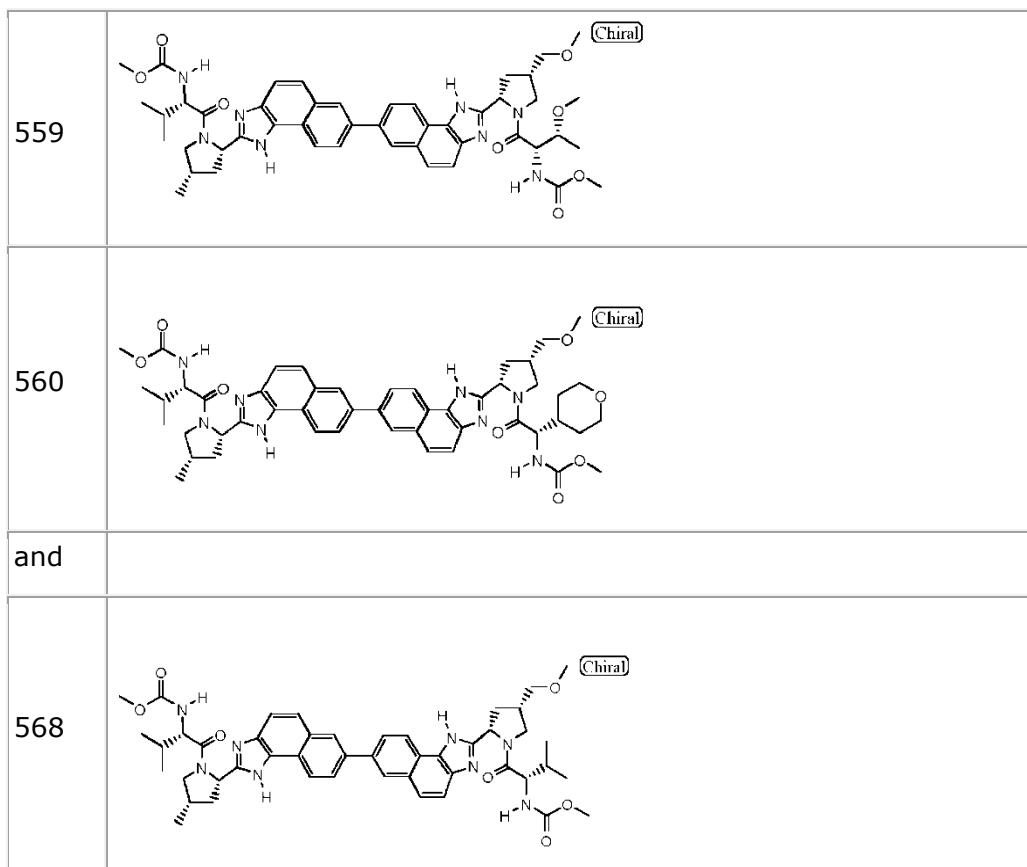
397	
398	
436	

437	
451	
452	
453	
454	
459	

471	
472	
497	
502	
508	
509	
511	

512	 [Chiral]
529	 [Chiral]
530	 [Chiral]
531	 [Chiral]
532	 [Chiral]
537	 [Chiral]
540	 [Chiral]

541	
545	
548	
550	
553	
554	



- 11.** En farmasøytisk sammensetning omfattende forbindelsen som beskrevet i et hvilket som helst av kravene 1-10, eller et farmasøytisk akseptabelt salt derav; og minst én farmasøytisk akseptabel bærer.
- 12.** Farmasøytisk sammensetning ifølge krav 11, som videre omfatter minst ett ytterligere terapeutisk middel.
- 10 **13.** Farmasøytisk sammensetning ifølge krav 12, hvor nevnte ytterligere terapeutiske middel er valgt fra gruppen bestående av ribavirinanaloger, NS3-proteaseinhibitorer, NS5b-polymeraseinhibitorer, alfa-glukosidase 1-inhibitorer, hepatoprotektanter, ikke-nukleosidinhibitører av HCV og andre legemidler for behandling av HCV.
- 15 **14.** Farmasøytisk sammensetning ifølge krav 11, videre omfattende en nukleosidanalog.
- 15.** Farmasøytisk sammensetning ifølge krav 14, hvor nevnte nukleosidanalog er valgt fra ribavirin, viramidin, levovirin, et L-nukleosid, og isatoribin.

16. En forbindelse som beskrevet i et hvilket som helst av kravene 1-10, eller et farmasøytisk akseptabelt salt derav for anvendelse i en fremgangsmåte for behandling av lidelser assosiert med hepatitt C.