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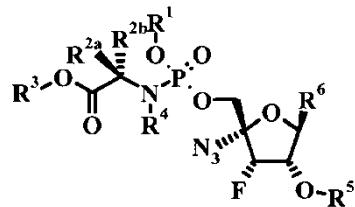
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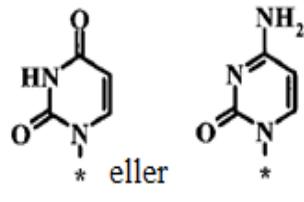
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(54)	Title	4'-AZIDO-3'-FLUORO SUBSTITUTED NUCLEOSIDE DERIVATIVES AS INHIBITORS OF HCV RNA REPLICATION
(56)	References Cited:	WO-A2-02/100415 DAVID B. SMITH ET AL: "The Design, Synthesis, and Antiviral Activity of Monofluoro and Difluoro Analogues of 4'-Azidocytidine against Hepatitis C Virus Replication: The Discovery of 4'-Azido-2'-deoxy-2'-fluorocytidine and 4'-Azido-2'-dideoxy-2',2'-difluorocytidine ", JOURNAL OF MEDICINAL CHEMISTRY, vol. 52, no. 9, 14 May 2009 (2009-05-14), pages 2971-2978, XP055054142, ISSN: 0022-2623, DOI: 10.1021/jm801595c

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Patentkrav**1. Forbindelse med formel I****I**

5 hvor:

R^1 er H, C_{1-7} -haloalkyl eller aryl, hvori aryl er fenyl eller naftyl, eventuelt substituert med ett eller flere C_{1-7} -alkyl, C_{2-7} -alkenyl, C_{2-7} -alkynyl, C_{1-7} -alkoksy, halo, C_{1-7} -haloalkyl, $-N(R^{1a})_2$, acylamino, $-SO_2N(R^{1a})_2$, $-COR^{1b}$, $-SO_2(R^{1c})$, $-NHSO_2(R^{1c})$, nitro eller cyano;

10 hver R^{1a} uavhengig er H eller C_{1-7} -alkyl;hver R^{1b} uavhengig er $-OR^{1a}$ eller $-N(R^{1a})_2$;hver R^{1c} er C_{1-7} -alkyl; R^{2a} og R^{2b} uavhengig er H eller C_{1-7} -alkyl; R^3 er C_{1-7} -alkyl, fenyl eller fenyl C_{1-7} -alkyl;15 R^4 er H, C_{1-7} -alkyl, eller R^{2b} og R^4 sammen danner $(CH_2)_3$; R^5 er H, $C(=O)R^{1c}$, $C(=O)R^{1b}$, $P(=O)(OR^1)(OR^{1a})$ eller $P(=O)(OR^1)(NR^4R^7)$; og R^6 er

eller et farmasøytisk akseptabelt salt derav.

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2. Forbindelsen ifølge krav 1, hvori R^4 er H.**3. Forbindelsen ifølge krav 2, hvori R^1 er naftyl eller fenyl.**25 **4. Forbindelsen ifølge krav 3, hvori R^{2a} er H.****5. Forbindelsen ifølge krav 4, hvori R^{2b} er methyl.****6. Forbindelsen ifølge krav 5, hvori R^3 er isopropyl, etyl eller benzyl.**

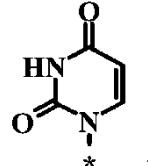
7. Forbindelsen ifølge krav 6, hvor R⁵ er H.

8. Forbindelsen ifølge krav 6, hvor R⁵ er C(=O)R^{1c}.

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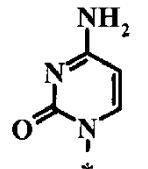
9. Forbindelsen ifølge krav 8, hvor R^{1c} er etyl.

10. Forbindelsen ifølge krav 7, hvor R⁶ er



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11. Forbindelsen ifølge krav 7, hvor R⁶ er



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12. Forbindelsen ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående av:

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(S)-2-{{[(2R,3S,4S,5R)-2-Azido-5-(2,4-diokso-3,4-dihydro-2H-pyrimidin-1-yl)-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-fenoksy-fosforylamino}-propansyreisopropylester;

(S)-2-{{[(2R,3S,4S,5R)-2-Azido-5-(2,4-diokso-3,4-dihydro-2H-pyrimidin-1-yl)-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-fenoksy-fosforylamino}-propansyreestylester;

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(S)-2-{{[(2R,3S,4S,5R)-2-Azido-5-(2,4-diokso-3,4-dihydro-2H-pyrimidin-1-yl)-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-(naftalen-1-yloksy)-fosforylamino}-propansyreestylester;

(S)-2-{{[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-(naftalen-1-yloksy)-fosforylamino}-propansyreisopropylester;

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(S)-2-{{[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-(naftalen-1-yloksy)-fosforylamino}-propansyrebenzylester;

(S)-2-[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-(naftalen-1-yloksy)-fosforylamino]-propansyreestylester;

(S)-2-{[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-fenoksy-fosforylamino}-propansyreisopropylester;

(S)-2-{[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-hydroksy-tetrahydro-furan-2-ylmetoksy]-hydroksy-fosforylamino}-propansyreisopropylester; og

10 (S)-2-[(2R,3S,4S,5R)-5-(4-Amino-2-okso-2H-pyrimidin-1-yl)-2-azido-3-fluor-4-propionyloksy-tetrahydro-furan-2-ylmetoksy]-(naftalen-1-yloksy)-fosforylamino]-propansyreisopropylester.

15 **13.** Forbindelse ifølge et hvilket som helst av kravene 1-12 for anvendelse i behandling eller profylakse av hepatitt C-virus (HCV-)infeksjon.

14. Farmasøytisk sammensetning omfattende en forbindelse ifølge et hvilket som helst av kravene 1-12 og terapeutisk inerte bærere.