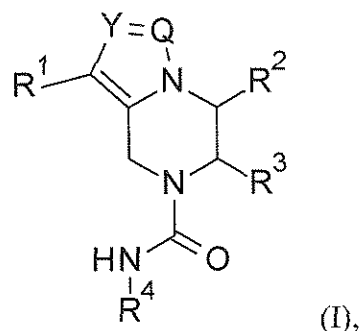


exclusively within the nucleocapsid, the assembly and disassembly of nucleocapsid must be precisely regulated to ensure correct packaging and release of the viral genome. Nucleocapsid assembly is an evolutionary constraint process that limits the diversity of HBV, and it is highly sensitive to even subtle molecular disturbances. Both assembly and disassembly of nucleocapsid make the process an attractive therapeutic target for the development of new antiviral therapies against various HBV genotypes and drug resistance isolates. A few capsid related anti-HBV compounds have been reported. For example, heteroaryldihydropyrimidines (HAP), including compounds named Bay 41-4109, Bay 38-7690 and Bay 39-5493 (Deres K. et al. Science 2003, 893), and phenylpropenamide derivatives such as AT-61 and AT-130 (Feld J. et al. Antiviral Research 2007, 168-177). Capsid has become a promising drug target with several molecules under clinical stage. There is still a need to develop new treatments for the prophylaxis and treatment of hepatitis B virus infection.

SUMMARY

The present disclosure, in one aspect, relates to novel compounds of formula (I),



wherein

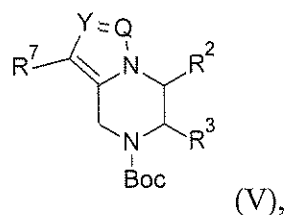
R¹ is heterocyclyl, said heterocyclyl being unsubstituted or substituted with one, two or three substituents independently selected from (C₁₋₆alkyl)₂aminocarbonyl, (C₁₋₆alkyl)₂morpholinylcarbonyl, C₁₋₆alkoxy, C₁₋₆alkoxyC₁₋₆alkyl, C₁₋₆alkoxycarbonyl, C₁₋₆alkyl, C₁₋₆alkyl(C₁₋₆alkylsulfonyl)amino, C₁₋₆alkylaminocarbonyl, C₁₋₆alkylcarbonyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkylimidazolyl, C₁₋₆alkylmorpholinylcarbonyl, C₁₋₆alkyloxadiazolyl, C₁₋₆alkyloxazolyl, C₁₋₆alkylpyrazolyl, C₁₋₆alkylsulfonyl, C₁₋₆alkylsulfonylamino, C₁₋₆alkylsulfonylC₁₋₆alkyl, carbamoyl, cyano, dioxypyrrolidinyl, haloC₁₋₆alkyl, haloC₁₋₆alkyloxadiazolyl, halogen, halopiperidinylcarbonyl, halopyridinyl, halopyrimidinylamino, halopyrimidinyl, halopyrrolidinylcarbonyl, hydroxy, hydroxyazetidylcarbonyl, hydroxyC₁₋₆alkyl, hydroxyC₁₋₆alkyl(C₁₋

- alkyl)aminocarbonyl, hydroxyC₁₋₆alkylaminocarbonyl,
hydroxypyrrolidinylcarbonyl, morpholinylcarbonyl, oxadiazolyl, oxazolyl,
oxazolylaminocarbonyl, oxazolyl(C₁₋₆alkyl)aminocarbonyl, oxazolylcarbonyl,
oxazolylcarbonyl(C₁₋₆alkyl)amino, oxomorpholinyl, oxooxazolidinyl,
5 oxopyrrolidinyl, phenyl, phenylcarbonyl, pyrazolylC₁₋₆alkyl, pyridinyl,
pyrimidinyl, pyrimidinylamino, pyrimidinyl(C₁₋₆alkyl)amino, pyrimidinylloxy,
pyrimidinylloxyC₁₋₆alkyl, pyrrolidinylcarbonyl and thiazolyl;
heteroaryl, said heteroaryl being unsubstituted or substituted with one, two or three
substituents independently selected from halogen, cyano, C₁₋₆alkyl, haloC₁₋₆
10 alkyl, hydroxy C₁₋₆alkyl and C₁₋₆alkoxyC₁₋₆alkyl;
phenyl, said phenyl being unsubstituted or substituted with one, two or three
substituents independently selected from halogen, cyano, hydroxy, C₁₋₆alkyl,
C₁₋₆alkoxy, C₃₋₇cycloalkyl, haloC₁₋₆alkoxy and haloC₁₋₆alkyl; or
C₃₋₇cycloalkyl;
15 R² and R³ are independently selected from hydrogen and C₁₋₆alkyl;
R⁴ is heteroaryl, said heteroaryl being unsubstituted or substituted with one, two or three
substituents independently selected from halogen, cyano, C₁₋₆alkyl, haloC₁₋₆
alkyl, C₁₋₆alkoxy, C₃₋₇cycloalkyl and (C₁₋₆alkyl)₂amino;
aryl, said aryl being unsubstituted or substituted with one, two or three substituents
20 independently selected from halogen, cyano, C₃₋₇cycloalkyl, C₁₋₆alkyl, C₂₋₆
alkynyl, C₁₋₆alkoxy, haloC₁₋₆alkyl and haloC₁₋₆alkoxy;
phenylC₁₋₆alkyl, said phenylC₁₋₆alkyl being unsubstituted or substituted with one,
two or three halogen; or
C₃₋₇cycloalkyl;
25 Y and Q are independently selected from CH and N;
or pharmaceutically acceptable salt, enantiomer or diastereomer thereof.

Objects of the embodiments disclosed herein are novel compounds of formula (I), their
manufacture, medicaments based on a compound in accordance with the invention and their
production as well as the use of compounds of formula (I) as HBV inhibitors and for the
30 treatment or prophylaxis of HBV infection.

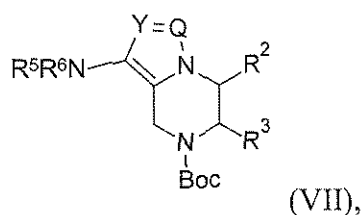
In another aspect, there is provided a process for the preparation of a compound as defined herein comprising the following steps:

(a) the reaction of a compound of formula (V),



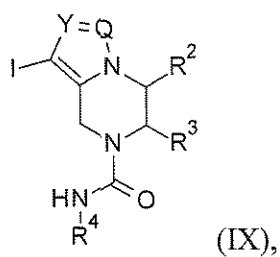
5 with an acid followed by urea formation with amine R^4NH_2 in the presence of a phosgene equivalent;

(b) the reaction of a compound of formula (VII),



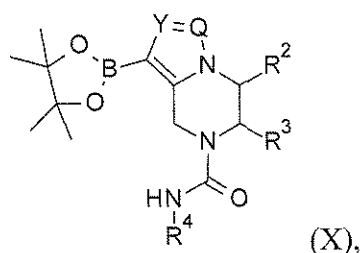
10 with an acid followed by urea formation with amine R^4NH_2 in the presence of a phosgene equivalent;

(c) the reaction of a compound of formula (IX),



with $R^7-B(OH)_2$;

(d) the reaction of a compound of formula (X),



with halide via Suzuki-Miyaura reaction;

or wherein R^2 , R^3 , R^4 are as defined herein; R^5 and R^6 together with the nitrogen atom
5 they are attached to form a 3-7 membered heterocyclyl.

In another aspect, there is provided a compound or pharmaceutically acceptable salts, enantiomers or diastereomers as defined herein for use as therapeutically active substance.

In another aspect, there is provided a pharmaceutical composition comprising a compound as defined herein and a therapeutically inert carrier.

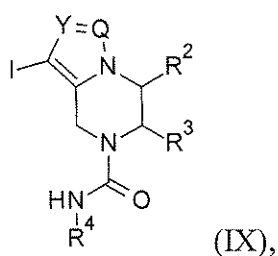
10 In another aspect, there is provided use of a compound as defined herein for the preparation of a medicament for the treatment or prophylaxis of hepatitis B virus infection.

In another aspect, there is provided a compound or pharmaceutically acceptable salt, enantiomer or diastereomer as defined herein for use in the treatment or prophylaxis of hepatitis B virus infection.

15 In another aspect, there is provided a compound or pharmaceutically acceptable salt, enantiomer or diastereomer as defined herein, when manufactured according to a process as defined herein.

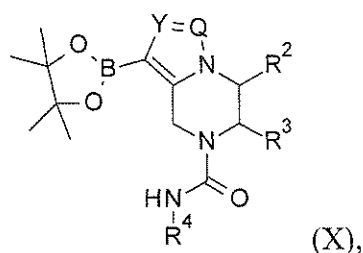
DETAILED DESCRIPTION OF THE INVENTION

DEFINITIONS



with $R^7\text{-B(OH)}_2$;

(d) the reaction of a compound of formula (X),



5 with halide via Suzuki-Miyaura reaction;

or wherein R^2 , R^3 , R^4 are defined as in any one of claims 1 to 34; R^5 and R^6 together with the nitrogen atom they are attached to form a 3-7 membered heterocycl.

36. A compound or pharmaceutically acceptable salts, enantiomers or diastereomers according to
10 any one of claims 1 to 34 for use as therapeutically active substance.

37. A pharmaceutical composition comprising a compound in accordance with any one of claims 1 to 34 and a therapeutically inert carrier.

15 38. The use of a compound according to any one of claims 1 to 34 for the preparation of a medicament for the treatment or prophylaxis of hepatitis B virus infection.

39. A compound or pharmaceutically acceptable salt, enantiomer or diastereomer according to
20 any one of claims 1 to 34 for use in the treatment or prophylaxis of hepatitis B virus infection.

40. A compound or pharmaceutically acceptable salt, enantiomer or diastereomer according to any one of claims 1 to 34, when manufactured according to a process of claim 35.