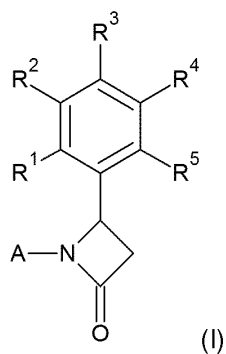


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Claims

1. A compound of formula (I):



(I)

or a pharmaceutically acceptable salt, solvate or polymorph thereof, including all tautomers and stereoisomers thereof, wherein:

A is heteroaryl selected from 1H-benzoimidazolyl and imidazo[1,2-a]pyridine;

R¹ represents hydrogen, alkyl or halogen;

R² represents hydrogen, alkyl or halogen;

R³ represents hydrogen, alkyl or alkoxy;

R⁴ represents hydrogen or alkyl; and

R⁵ represents hydrogen, alkyl or halogen;

and

wherein the above alkyl or alkoxy groups are optionally substituted by one or more halogen.

2. The compound of formula (I) according to claim 1, wherein

R¹ represents hydrogen or halogen;

R² represents hydrogen or halogen;

R³ represents hydrogen or alkoxy;

R⁴ represents hydrogen; and

R⁵ represents hydrogen or halogen;

and

wherein the above alkoxy group is optionally substituted by one or more halogen

- 5 3. The compound of formula (I) according to claim 1 or 2, wherein A is 1H-benzimidazolyl.
4. The compound of formula (I) according to any one of claims 1 to 3, wherein R¹ is hydrogen.
5. The compound of formula (I) according to any one of claims 1 to 3, wherein R¹ is
10 halogen, such as fluorine.
6. The compound of formula (I) according to any one of the preceding claims, wherein R² is hydrogen.
7. The compound of formula (I) according to any one of claims 1 to 5, wherein R² is halogen, such as fluorine.
- 15 8. The compound of formula (I) according to any one of the preceding claims, wherein R³ represents –O-C₁₋₄alkyl, optionally substituted by one or more halogen, such as fluorine.
9. The compound of formula (I) according to any one of the preceding claims, wherein R³ represents methoxy, difluoropropoxy or difluorobutoxy.
- 20 10. The compound of formula (I) according to any one of the preceding claims, wherein R³ represents 2,2-difluoropropoxy or 3,3-difluoropropoxy.
11. The compound of formula (I) according to any one of the preceding claims, wherein R⁵ is hydrogen.
12. The compound of formula (I) according to any one of claims 1 to 10, wherein R⁵ is
25 halogen, such as fluorine.
13. The compound of formula (I) according to any one of the preceding claims or a pharmaceutically acceptable salt, solvate or polymorph thereof, including all tautomers and stereoisomers, wherein the compound of formula (I) is a compound
30 selected from the group consisting of
- 1 1-(1H-benzo[d]imidazol-5-yl)-4-phenylazetidin-2-one;
- 2 (R)-1-(1H-benzo[d]imidazol-6-yl)-4-phenylazetidin-2-one;
- 3 (S)-1-(1H-benzo[d]imidazol-6-yl)-4-phenylazetidin-2-one;
- 4 1-(1H-benzo[d]imidazol-5-yl)-4-(2,6-difluoro-4-methoxyphenyl)azetidin-2-one;

- 5 (R)-4-(4-(3,3-difluoropropoxy)-2-fluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 6 (S)-4-(4-(3,3-difluoropropoxy)-2-fluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 7 (R)-4-(4-(3,3-difluoropropoxy)-2,3-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 8 (S)-4-(4-(3,3-difluoropropoxy)-2,3-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 9 (R)-4-(4-(3,3-difluoropropoxy)-2,6-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 10 (S)-4-(4-(3,3-difluoropropoxy)-2,6-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 11 (R)-4-(4-(2,2-difluoropropoxy)-2-fluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 12 (S)-4-(4-(2,2-difluoropropoxy)-2-fluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 13 (R)-4-(4-(2,2-difluoropropoxy)-2,3-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 14 (S)-4-(4-(2,2-difluoropropoxy)-2,3-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 15 (R)-4-(4-(2,2-difluoropropoxy)-2,6-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 16 (S)-4-(4-(2,2-difluoropropoxy)-2,6-difluorophenyl)-1-(1H-benzo[d]imidazol-5-yl)azetidin-2-one;
- 17 (R)-1-(H-imidazo[1,2-a]pyridin-7-yl)-4-phenylazetidin-2-one;
- 18 (S)-1-(H-imidazo[1,2-a]pyridin-7-yl)-4-phenylazetidin-2-one;
- 19 4-(2,6-difluoro-4-methoxyphenyl)-1-(H-imidazo[1,2-a]pyridin-7-yl)azetidin-2-one;
- 20 (R)-4-(4-(3,3-difluoropropoxy)-2-fluorophenyl)-1-(H-imidazo[1,2-a]pyridin-7-yl)azetidin-2-one;
- 21 (S)-4-(4-(3,3-difluoropropoxy)-2-fluorophenyl)-1-(H-imidazo[1,2-a]pyridin-7-yl)azetidin-2-one;
- 22 (R)-4-(4-(3,3-difluoropropoxy)-2,3-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-7-yl)azetidin-2-one;

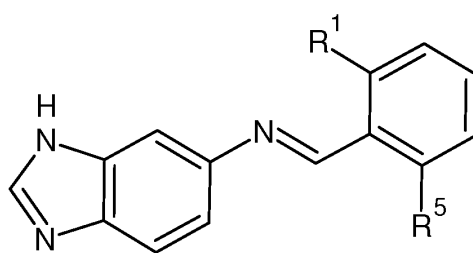
- 23 (S)-4-(4-(3,3-difluoropropoxy)-2,3-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-
7-yl)azetidin-2-one;
- 24 (R)-4-(4-(3,3-difluoropropoxy)-2,6-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-
7-yl)azetidin-2-one;
- 25 (S)-4-(4-(3,3-difluoropropoxy)-2,6-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-
7-yl)azetidin-2-one;
- 26 (R)-4-(4-(2,2-difluoropropoxy)-2-fluorophenyl)-1-(H-imidazo[1,2-a]pyridin-7-
yl)azetidin-2-one;
- 27 (S)-4-(4-(2,2-difluoropropoxy)-2-fluorophenyl)-1-(H-imidazo[1,2-a]pyridin-7-
yl)azetidin-2-one;
- 28 (R)-4-(4-(2,2-difluoropropoxy)-2,3-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-
7-yl)azetidin-2-one; and
- 29 (S)-4-(4-(2,2-difluoropropoxy)-2,3-difluorophenyl)-1-(H-imidazo[1,2-a]pyridin-
7-yl)azetidin-2-one.

14. A pharmaceutical composition comprising a compound according to any one of
claims 1 to 13 optionally in combination with one or more therapeutically acceptable
diluent or carriers.
- 5
15. The pharmaceutical composition of claim 14, which comprises additionally at least
one compound, selected from the group consisting of neuroprotectants,
antiparkinsonian drugs, amyloid protein deposition inhibitors, beta amyloid synthesis
inhibitors, antidepressants, anxiolytic drugs, antipsychotic drugs and anti-multiple
10 sclerosis drugs.
16. The pharmaceutical composition of claim 14 or 15, which comprises additionally at
least one compound, selected from the group consisting of PEP-inhibitors, LiCl,
inhibitors of inhibitors of DP IV or DP IV-like enzymes, acetylcholinesterase (ACE)
15 inhibitors, PIMT enhancers, inhibitors of beta secretases, inhibitors of gamma
secretases, inhibitors of neutral endopeptidase, inhibitors of Phosphodiesterase-4
(PDE-4), TNFalpha inhibitors, muscarinic M1 receptor antagonists, NMDA receptor
antagonists, sigma-1 receptor inhibitors, histamine H3 antagonists,
immunomodulatory agents, immunosuppressive agents or an agent selected from the
20 group consisting of antegren (natalizumab), Neurelan (fampridine-SR), campath
(alemtuzumab), IR 208, NBI 5788/MSP 771 (tiplimotide), paclitaxel, Anergix.MS (AG

284), SH636, Differin (CD 271, adapalene), BAY 361677 (interleukin-4), matrix-metalloproteinase-inhibitors, interferon-tau (trophoblastin) and SAIK-MS.

17. The compound according to any one of claims 1 to 13 or the pharmaceutical composition according to any one of claims 14 to 16 for use in the treatment of a disease selected from the group consisting of Kennedy's disease, duodenal cancer with or without *Helicobacter pylori* infections, colorectal cancer, Zollinger-Ellison syndrome, gastric cancer with or without *Helicobacter pylori* infections, pathogenic psychotic conditions, schizophrenia, infertility, neoplasia, inflammatory host responses, cancer, malign metastasis, melanoma, psoriasis, impaired humoral and cell-mediated immune responses, leukocyte adhesion and migration processes in the endothelium, impaired food intake, impaired sleep-wakefulness, impaired homeostatic regulation of energy metabolism, impaired autonomic function, impaired hormonal balance or impaired regulation of body fluids, multiple sclerosis, the Guillain-Barré syndrome, chronic inflammatory demyelinating polyradiculoneuropathy, mild cognitive impairment, Alzheimer's disease, Familial British Dementia, Familial Danish Dementia, neurodegeneration in Down Syndrome, Huntington's disease, rheumatoid arthritis, atherosclerosis, pancreatitis and restenosis.
18. A process for the preparation of a compound of formula (I) according to any one of claims 1 to 13, which comprises:

- (a) preparing a compound of formula (I) from a compound of formula (II):

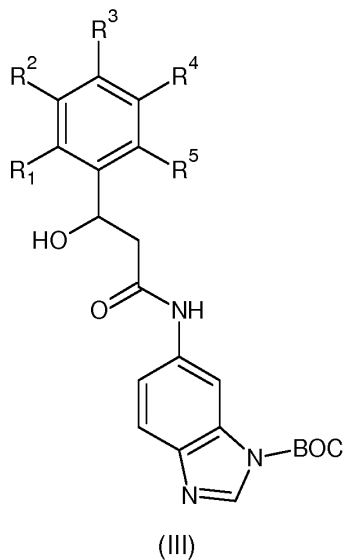


(II)

wherein R¹ and R⁵ are as defined herein for compounds of formula (I), by reacting a compound of formula (II) with brom-acetic acid in the presence of a suitable catalyst such as zinc-dust, and a protecting agent, such as trimethylsilyl chloride (TMS-Cl).
or

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(b) preparing a compound of formula (I) from a compound of formula (III):



wherein R¹, R², R³, R⁴ and R⁵ are as defined herein for compounds of formula (I),
by reacting a compound of formula (III) with diethylazodicarboxylate in the presence of
triphenylphosphine and a suitable solvent such as tetrahydrofuran, and a deprotection
step.