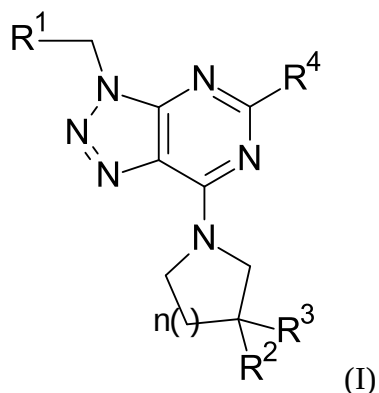


In one aspect, there is provided a compound of formula (I)



wherein

R¹ is selected from fluorosulfonylphenyl, fluorosulfonylmethylphenyl, and
5 isothiocyanatomethylphenyl;

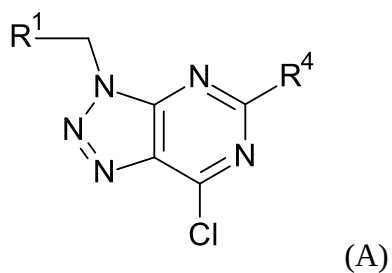
R² and R³ are independently selected from hydrogen, hydroxyl and halogen;

R⁴ is alkyl or phenylhaloalkyl; and

n is 0 or 1;

or a pharmaceutically acceptable salt or ester thereof.

10 In another aspect, there is provided a process for the manufacture of a compound as defined herein comprising the reaction of a compound of formula (A)



Claims

- R1CN1=NC2=C(N1)N=CN=C2N3CC(R2)CC(R3)N3
- (I)

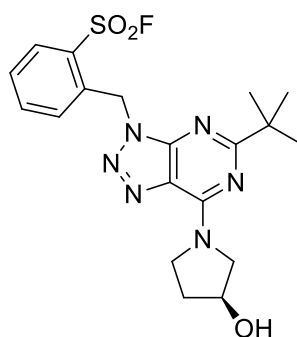
5 R¹ is selected from fluorosulfonylphenyl, fluorosulfonylmethylphenyl, and isothiocyantomethylphenyl;

R⁴ is alkyl or phenylhaloalkyl; and

10 or a pharmaceutically acceptable salt or ester thereof.

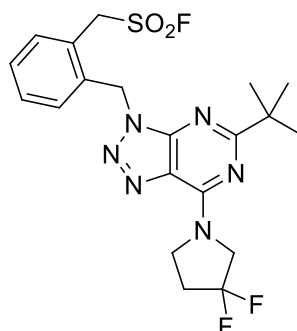
3. A compound according to claim 1, wherein n is 1.

4. A compound according to claim 1, wherein the compound is 2-[[5-tert-Butyl-7-
15 [(3S)-3-hydroxypyrrolidin-1-yl]triazolo[4,5-d]pyrimidin-3-
yl]methyl]benzenesulfonyl fluoride having the structural formula:



or a pharmaceutically acceptable salt or ester thereof.

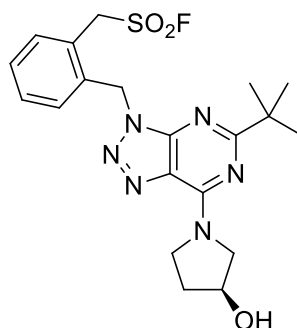
5. A compound according to claim 1, wherein the compound is (2-([5-tert-Butyl-7-(3,3-difluoropyrrolidin-1-yl)-3H-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl]methyl}phenyl)methanesulfonyl fluoride having the structural formula:



5

or a pharmaceutically acceptable salt or ester thereof.

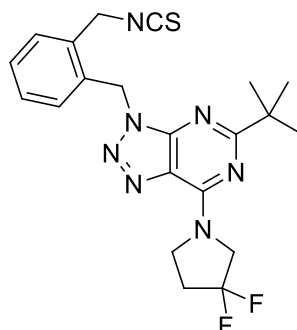
6. A compound according to claim 1, wherein the compound is [2-({5-tert-Butyl-7-[(3S)-3-hydroxypyrrolidin-1-yl]-3H-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl}methyl)phenyl]methanesulfonyl fluoride having the structural formula:



10

or a pharmaceutically acceptable salt or ester thereof.

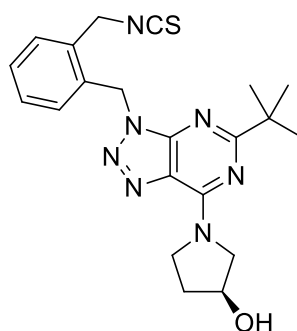
7. A compound according to claim 1, wherein the compound is 5-tert-butyl-7-(3,3-difluoropyrrolidin-1-yl)-3-{[2-(isothiocyanatomethyl)phenyl]methyl}-3H-[1,2,3]triazolo[4,5-d]pyrimidine having structural formula:



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or a pharmaceutically acceptable salt or ester thereof.

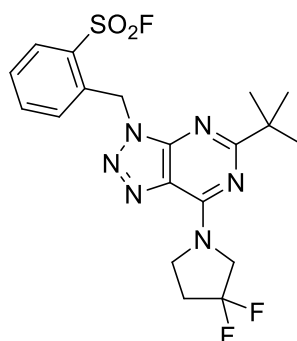
8. A compound according to claim 1, wherein the compound is (3S)-1-(5-tert-Butyl-3-[[2-(isothiocyanatomethyl)phenyl]methyl]-3H-[1,2,3]triazolo[4,5-d]pyrimidin-7-yl)pyrrolidine-3-ol having the structural formula:



5

or a pharmaceutically acceptable salt or ester thereof.

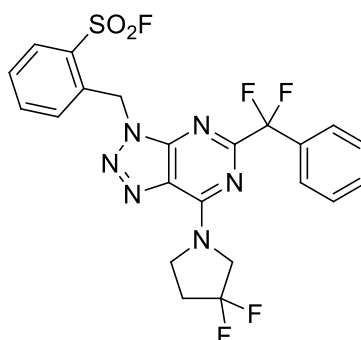
9. A compound according to claim 1, wherein the compound is 2-{[5-tert-Butyl-7-(3,3-difluoropyrrolidin-1-yl)-3H-[1,2,3]triazolo[4,5-d]pyrimidin-3-yl]methyl}benzene-1-sulfonyl fluoride having structural formula:



10

or a pharmaceutically acceptable salt or ester thereof.

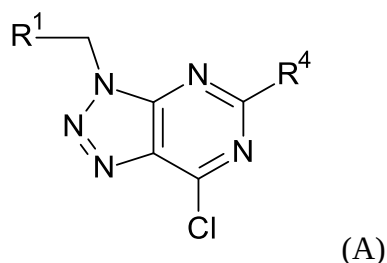
10. A compound according to claim 1, wherein the compound is 2-[[5-[difluoro(phenyl)methyl]-7-(3,3-difluoropyrrolidin-1-yl)triazolo[4,5-d]pyrimidin-3-yl]methyl]benzenesulfonyl fluoride having structural formula:



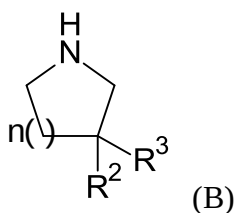
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or a pharmaceutically acceptable salt or ester thereof.

11. A process for the manufacture of a compound according to any one of claims 1 to 10 comprising the reaction of a compound of formula (A)



- 5 in the presence of a compound of formula (B)



and a base, wherein R¹ to R⁴ and n are as defined in any one of claims 1 to 10.

12. A compound according to any one of claims 1 to 10 for use as therapeutically active substance.
- 10 13. A pharmaceutical composition comprising a compound in accordance with any one of claims 1 to 10 and a therapeutically inert carrier.
14. The use of a compound according to any one of claims 1 to 10 for the preparation of medicaments for the treatment or prophylaxis of pain, neuropathic pain, atherosclerosis, age-related macular degeneration, diabetic retinopathy, glaucoma, diabetes mellitus, inflammation, inflammatory bowel disease, ischemia-reperfusion injury, acute liver failure, liver fibrosis, lung fibrosis, kidney fibrosis, systemic fibrosis, acute allograft rejection, chronic allograft nephropathy, diabetic nephropathy, glomerulonephropathy, cardiomyopathy, heart failure, myocardial ischemia/infarction, systemic sclerosis, thermal injury, burning, hypertrophic scars, keloids, gingivitis pyrexia, liver cirrhosis or tumors, regulation of bone mass, neurodegeneration, stroke, transient ischemic attack, uveitis, asthma, osteoporosis, psychiatric diseases, psychosis, encephalitis, malaria, immunological disorders, arthritis, gastrointestinal disorders, rheumatoid arthritis or allergy.
- 15
- 20

15. A compound according to any one of claims 1 to 10 for use in the treatment or prophylaxis of pain, neuropathic pain, atherosclerosis, age-related macular degeneration, diabetic retinopathy, glaucoma, diabetes mellitus, inflammation, inflammatory bowel disease, ischemia-reperfusion injury, acute liver failure, liver
5 fibrosis, lung fibrosis, kidney fibrosis, systemic fibrosis, acute allograft rejection, chronic allograft nephropathy, diabetic nephropathy, glomerulonephropathy, cardiomyopathy, heart failure, myocardial ischemia/infarction, systemic sclerosis, thermal injury, burning, hypertrophic scars, keloids, gingivitis pyrexia, liver cirrhosis or tumors, regulation of bone mass, neurodegeneration, stroke, transient ischemic
10 attack, uveitis, asthma, osteoporosis, psychiatric diseases, psychosis, encephalitis, malaria, immunological disorders, arthritis, gastrointestinal disorders, rheumatoid arthritis or allergy.
