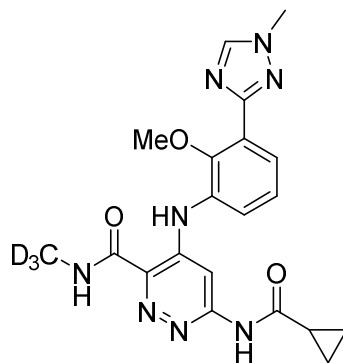


We Claim:

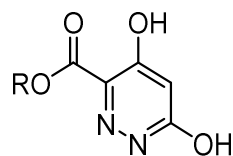
1. A process for the preparation of Compound I of the formula



(I)

comprising the steps of

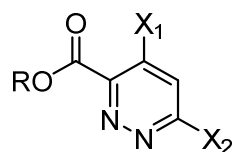
- a) reacting compound 1a of the formula,



Compound 1a

where R is C₁-C₆ alkyl or aryl;

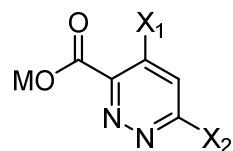
with activating reagents to afford Compound 2a of the formula,



Compound 2a

where X₁ and X₂ are independently halide or sulfonate; and R is defined as above,

- b) subsequently reacting Compound 2a with an aqueous base to afford Compound 3a of the formula,

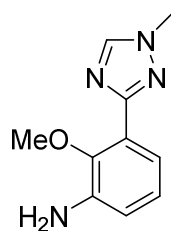


Compound 3a

where M is H, Li, Na, K, Cs, Ca, Mg, or Zn, and X₁ and X₂ are as defined above,

5

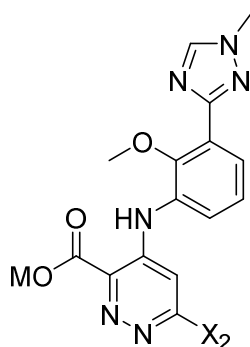
c) reacting Compound 3a, with Compound 7 of the formula



Compound 7

10

in a suitable solvent, and optionally in the presence of an acid, a base, or metal salts to afford Compound 8a of the formula,



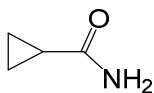
Compound 8a

15

where M and X₂ are defined as above,

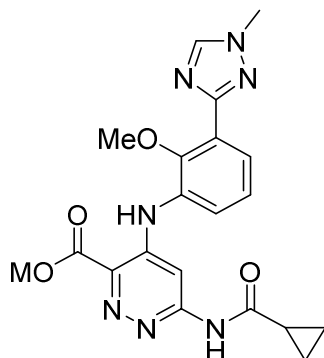
d) reacting Compound 8a with Compound 10 of the formula

20



Compound 10

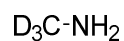
in the presence of a suitable transition metal catalyst, a ligand, one or more bases, and one
5 or more suitable solvents to afford Compound 9a of the formula,



Compound 9a

10 where M is defined as above,

e) reacting Compound 9a with Compound 13, or a free base or salt thereof, of the
formula

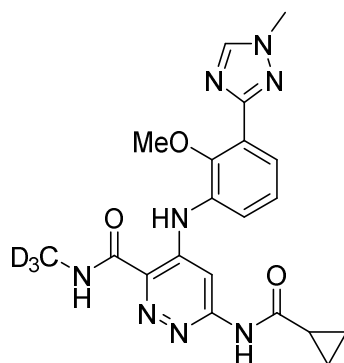


15

Compound 13

in the presence of one or more suitable activators, one or more suitable solvents, and
optionally a base, to afford Compound I.

20 2. A process according to claim 1 for the preparation of Compound I of the
formula

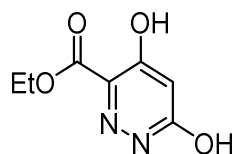


(I)

comprising the steps of

a) reacting compound 1 of the formula

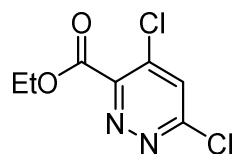
5



Compound 1

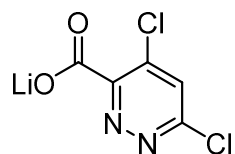
with POCl₃ and optionally an amine base, followed optionally by a buffered aqueous

10 workup to afford Compound 2 of the formula



Compound 2

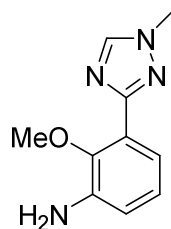
15 b) subsequently reacting Compound 2 with LiBr and DiPEA in water and acetonitrile to afford Compound 3 of the formula



Compound 3

20

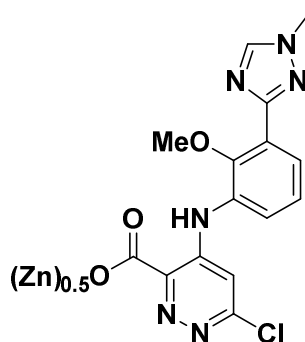
c) reacting Compound 3, with Compound 7 of the formula



Compound 7

5

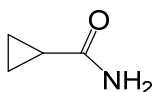
in the presence of zinc acetate in water and 2-propanol, to afford Compound 8 of the formula,



Compound 8

10 or a hydrate or solvate thereof;

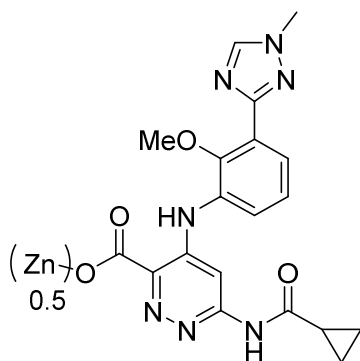
d) reacting Compound 8 with Compound 10 of the formula



Compound 10

15

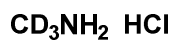
in a palladium catalyzed C-N coupling reaction in the presence of a phosphine ligand, and base, using a dual-base system comprised of potassium carbonate and DBU, followed optionally by isolation from aqueous acetic acid, to afford Compound 9 of the formula



Compound 9

or a hydrate or solvate thereof;

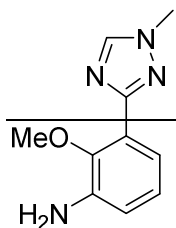
- e) reacting Compound 9 with EDC or other coupling agents and Compound 13 of the formula



Compound 13

- 10 to afford Compound I, which may be further purified by crystallization from NMP/IPA.

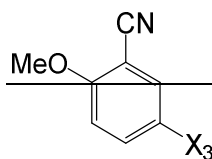
~~3. A process for preparing Compound 7 of the formula~~



~~Compound 7~~

~~comprising~~

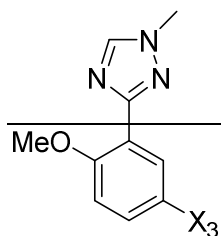
- ~~a) reacting compound 4a of the formula~~



~~Compound 4a~~

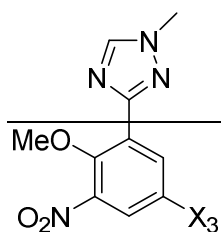
where X_3 is Cl, Br, I or F;

with *N*-methyl-*N*-formylhydrazine and a suitable base to afford Compound 5a of the formula



Compound 5a

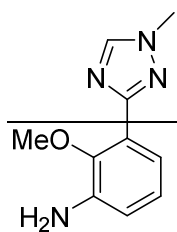
b) which is then nitrated to afford Compound 6a of the formula



Compound 6a

c) which is subsequently reduced to afford Compound 7.

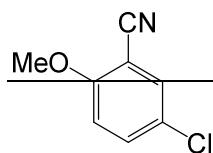
4. A process for preparing Compound 7 of the formula



Compound 7

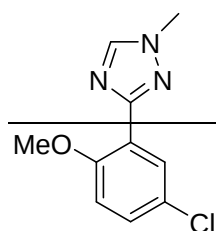
comprising

a) reacting compound 4 of the formula



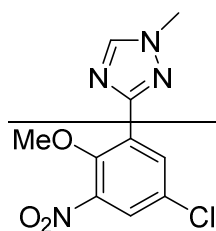
Compound 4

- 5 with *N*-methyl *N*-formylhydrazine in the presence of potassium *tert*-butoxide to afford Compound 5 of the formula



Compound 5

- 10 b) — which is then reacted with nitric acid in the presence of concentrated sulfuric acid to afford Compound 6 of the formula



Compound 6

- 15 c) — which is subsequently reacted with hydrogen gas in the presence of Pd/C, sodium bicarbonate or sodium carbonate and methanol to afford Compound 7.

5. — A process for preparing Compound 13 of the formula



- 20 or a salt thereof, comprising

a) — reacting d4-methanol of the formula



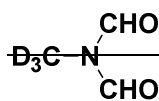
with activating reagents to afford compound 11a of the formula:



Compound 11a

5 where X_4 is independently halide or sulfonate;

b) — which is then reacted with sodium diformylamide to afford Compound 12 of the formula



10

Compound 12

c) — which is then hydrolyzed to afford Compound 13.

15 6. — A process for preparing Compound 13 of the formula



Compound 13

comprising

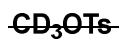
20

a) — reacting d4-methanol of the formula



with tosyl chloride in the presence of aqueous sodium hydroxide to afford compound 11 of the formula:

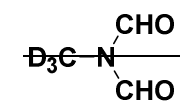
25



Compound 11

b) — which is then reacted with sodium diformylamide to afford Compound 12 of the formula

30



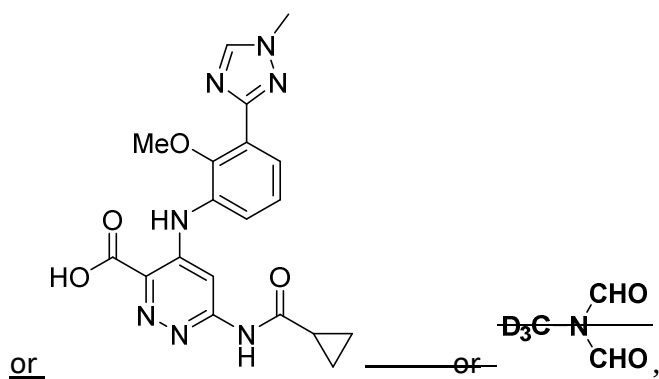
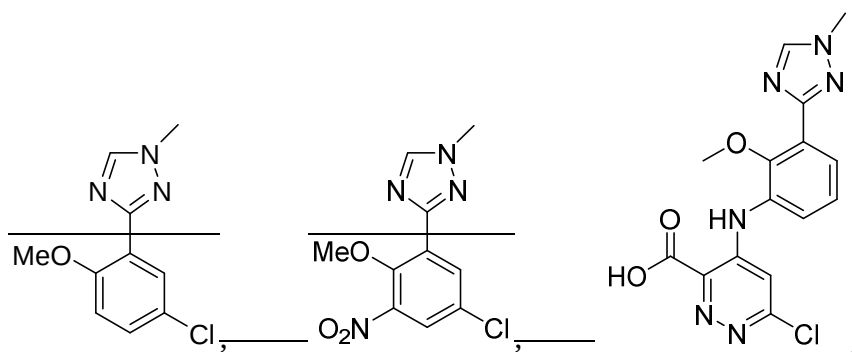
Compound 12

- 5 e) ~~which is then hydrolyzed in the presence of hydrochloride in methanol to afford~~
Compound 13 as its hydrochloride salt of the formula



Compound 13.

- 10 73. A compound selected from the following



- 15 or a salt or hydrate thereof.

84. A compound according to Claim 73 which is



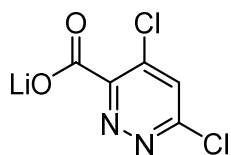
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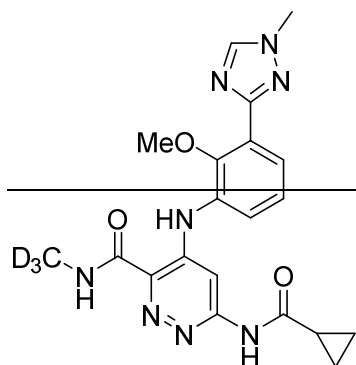


15



• x H₂O .

12. — Compound I of the formula



5

~~prepared by the process of Claim 1.~~

7. Compound I prepared by the process according to Claim 1.

10

8. Use of Compound I according to Claim 7, in the manufacture of a medicament for treating an auto-immune or auto-inflammatory disease, wherein the treating comprises Tyk2 inhibition.

15

9. The use according to claim 8, wherein the auto-immune or auto-inflammatory disease is psoriasis.

10. The use according to claim 8 or 9, wherein a therapeutically effective amount of Compound I is to be administered to a mammalian species in need thereof.

20

11. The use according to claim 10, wherein the mammalian species is a human.