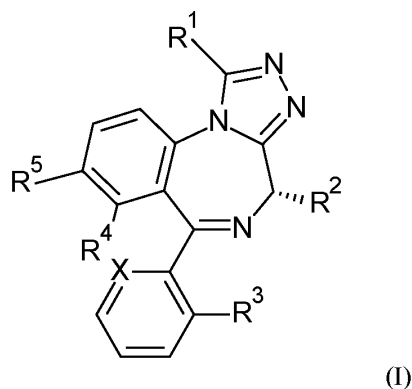


Claims

1. A compound of formula (I)



5 wherein

R¹ is selected from

- i) H,
- ii) C₁₋₆-alkyl,
- iii) C₁₋₆-alkoxy,
- 10 iv) C₁₋₆-alkoxy-C₁₋₆-alkyl,
- v) hydroxy,
- vi) hydroxy-C₁₋₆-alkyl,
- vii) C₃₋₈-cycloalkyl optionally substituted by R⁷, R⁸ and R⁹,
- viii) amino-C₁₋₆-alkyl
- 15 ix) heteroaryl optionally substituted by R⁷, R⁸ and R⁹, and
- x) heterocycloalkyl optionally substituted by R⁷, R⁸ and R⁹;

R² is selected from

- i) C₁₋₆-alkyl,
- ii) hydroxy
- 20 iii) hydroxy-C₁₋₆-alkyl, and
- iv) C₁₋₆-alkoxy-C₁₋₆-alkyl;

R³ is selected from

- i) Cl, and
- ii) F;

X is selected from

- 5 i) CR⁶, and
- ii) N;

R⁶ is selected from

- i) H,
- ii) Cl, and
- 10 iii) F;

R⁴ is selected from

- i) Br, and
- ii) Cl;

R⁵ is selected from

- 15 i) C₁₋₆-alkyl,
- ii) C₁₋₆-alkoxy,
- iii) halogen,
- iv) halo-C₁₋₆-alkyl,
- v) cyano, and
- 20 vi) C₃₋₈-cycloalkyl;

R⁷, R⁸ and R⁹ are independently selected from

- i) C₁₋₆-alkyl, and
- ii) C₁₋₆-alkoxy;

or pharmaceutically acceptable salts.

- 25 2. A compound of formula (I) according to claim 1, wherein

R¹ is selected from

- i) H,

- ii) C₁₋₆-alkyl,
iii) C₁₋₆-alkoxy,
iv) C₁₋₆-alkoxy-C₁₋₆-alkyl,
v) hydroxy,
5 vi) hydroxy-C₁₋₆-alkyl,
vii) C₃₋₈-cycloalkyl optionally substituted by R⁷, R⁸ and R⁹,
viii) amino-C₁₋₆-alkyl
ix) pyrazolyl optionally substituted by R⁷, R⁸ and R⁹,
x) pyridinyl optionally substituted by R⁷, R⁸ and R⁹,
10 xi) pyrimidinyl optionally substituted by R⁷, R⁸ and R⁹,
xii) pyridazinyl optionally substituted by R⁷, R⁸ and R⁹, and
xiii) isoxazolyl optionally substituted by R⁷, R⁸ and R⁹;

R² is selected from

- i) C₁₋₆-alkyl,
15 ii) hydroxy
iii) hydroxy-C₁₋₆-alkyl, and
iv) C₁₋₆-alkoxy-C₁₋₆-alkyl;

R³ is selected from

- i) Cl, and
20 ii) F;

X is selected from

- i) CR⁶, and
ii) N;

R⁶ is selected from

- 25 i) H,
ii) Cl, and
iii) F;

R⁴ is selected from

- i) Br, and
- ii) Cl;

R⁵ is selected from

- 5 i) C₁₋₆-alkyl,
- ii) C₁₋₆-alkoxy,
- iii) halogen,
- iv) halo-C₁₋₆-alkyl,
- v) C₃₋₈-cycloalkyl;

10 R⁷, R⁸ and R⁹ are independently selected from

- i) C₁₋₆-alkyl, and
- ii) C₁₋₆-alkoxy;

or pharmaceutically acceptable salts.

3. A compound of formula (I) according to claim 1 or 2, wherein

15 R¹ is selected from

- i) H,
- ii) C₁₋₆-alkyl,
- iii) hydroxy,
- iv) hydroxy-C₁₋₆-alkyl,
- 20 v) C₃₋₈-cycloalkyl optionally substituted by R⁷, R⁸ and R⁹,
- vi) pyrazolyl optionally substituted by R⁷, R⁸ and R⁹,
- vii) pyrimidinyl optionally substituted by R⁷, R⁸ and R⁹,
- viii) pyridazinyl optionally substituted by R⁷, R⁸ and R⁹, and
- ix) isoxazolyl optionally substituted by R⁷, R⁸ and R⁹;

25 R² is selected from

- i) C₁₋₆-alkyl,
- ii) hydroxy

iii) hydroxy-C₁₋₆-alkyl, and

iv) C₁₋₆-alkoxy-C₁₋₆-alkyl;

R³ is F;

X is selected from

5 i) CR⁶, and

ii) N;

R⁶ is selected from

i) H, and

ii) F;

10 R⁴ is selected from

i) Br, and

ii) Cl;

R⁵ is selected from

i) C₁₋₆-alkyl,

15 ii) halogen, and

iii) halo-C₁₋₆-alkyl;

R⁷, R⁸ and R⁹ are independently selected from C₁₋₆-alkyl,

or pharmaceutically acceptable salts.

4. A compound according to any one of claims 1 to 3, wherein

20 R¹ is C₁₋₆-alkyl;

R² is C₁₋₆-alkyl;

R³ is F;

X is CR⁶;

R⁶ is F;

25 R⁴ is Cl;

R⁵ is halo-C₁₋₆-alkyl;

or pharmaceutically acceptable salts.

5. A compound according to any one of claims 1 to 4, selected from
- (4S)-8-bromo-7-chloro-6-(2-fluorophenyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 8-bromo-7-chloro-6-(2,6-difluorophenyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-4-ol;
- 5 (4S)-8-bromo-7-chloro-6-(2-fluorophenyl)-4-methyl-1-pyridazin-3-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 8-bromo-7-chloro-6-(2-fluorophenyl)-1-pyridazin-3-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-4-ol;
- 10 (4S)-8-bromo-7-chloro-6-(2,6-difluorophenyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-pyrimidin-4-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-(1-methylpyrazol-4-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 15 (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-pyridazin-3-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(3-fluoro-2-pyridyl)-4-methyl-1-pyridazin-3-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-2,4-dihydro-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-1-one;
- 20 (4S)-7,8-dichloro-6-(3-fluoro-2-pyridyl)-4-methyl-1-pyrimidin-4-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(3-fluoro-2-pyridyl)-4-methyl-1-(1-methylpyrazol-4-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 25 (4S)-7,8-dichloro-1-cyclopropyl-6-(3-fluoro-2-pyridyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-pyridazin-3-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-pyrimidin-4-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 30 (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-pyrimidin-4-yl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;

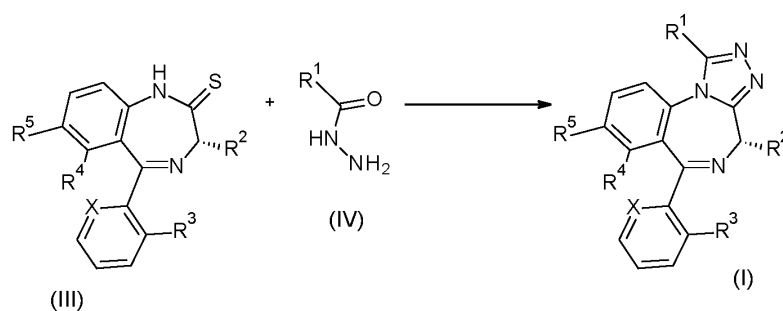
- (4S)-8-bromo-7-chloro-6-(2,6-difluorophenyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-(1-methylpyrazol-4-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 5 (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-(1-methylpyrazol-3-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 10 (4S)-8-bromo-7-chloro-1-cyclopropyl-6-(3-fluoro-2-pyridyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-8-bromo-7-chloro-6-(3-fluoro-2-pyridyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 15 (4S)-8-bromo-7-chloro-6-(3-fluoro-2-pyridyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-(6-methylpyridazin-3-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 5-[(4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-1-yl]-3-methyl-isoxazole;
- 20 (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-1-(6-methylpyridazin-3-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-bromo-8-chloro-6-(2,6-difluorophenyl)-4-methyl-2,4-dihydro-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-1-one;
- 25 (4S)-7-chloro-6-(2,6-difluorophenyl)-1,4-dimethyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-chloro-8-(1,1-difluoroethyl)-6-(2,6-difluorophenyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-(6-methylpyrimidin-4-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 30

- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-4-methyl-1-(2-methylpyrimidin-4-yl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7,8-dichloro-6-(2,6-difluorophenyl)-1-(2,6-dimethylpyrimidin-4-yl)-4-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 5 (4S)-7-chloro-6-(2,6-difluorophenyl)-1,4,8-trimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-8-bromo-7-chloro-6-(2,6-difluorophenyl)-4-ethyl-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-chloro-8-(difluoromethyl)-6-(2,6-difluorophenyl)-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 10 (4R)-8-bromo-7-chloro-6-(2,6-difluorophenyl)-4-(methoxymethyl)-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- [(4R)-8-bromo-7-chloro-6-(2,6-difluorophenyl)-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-4-yl]methanol;
- 15 (4S)-7-chloro-6-(2,6-difluorophenyl)-8-iodo-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- [(4S)-7-chloro-6-(2,6-difluorophenyl)-4-methyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-1-yl]methanol;
- (4R)-7-chloro-6-(2,6-difluorophenyl)-4-(methoxymethyl)-1-methyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 20 [(4R)-7-chloro-6-(2,6-difluorophenyl)-1-methyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-4-yl]methanol;
- (4S)-7-chloro-6-(2,6-difluorophenyl)-4-methyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- 25 (4S)-7-chloro-6-(2,6-difluorophenyl)-1-ethyl-4-methyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- (4S)-7-chloro-6-(2,6-difluorophenyl)-8-ethyl-1,4-dimethyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine;
- or pharmaceutically acceptable salts.
- 30 6. A compound according to any one of claims 1 to 5, wherein the compound is

(4S)-7-chloro-6-(2,6-difluorophenyl)-1,4-dimethyl-8-(trifluoromethyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine.

or pharmaceutically acceptable salts.

7. A process for the preparation of a compound of formula (I) according to any one of claims 1 to 6 comprising the reaction of a compound of formula (III) with a compound of formula (IV) wherein R^1 , R^2 , R^3 , R^4 and R^5 are as defined in anyone of the claims 1 to 4.



8. A compound according to any one of claims 1 to 6 for use as therapeutically active substance.
9. A pharmaceutical composition comprising a compound according to any one of claims 1 to 6 and a therapeutically inert carrier.
10. A compound according to any one of claims 1 to 6 for use in the treatment or prophylaxis of autism spectrum disorder, Rett syndrome, post-traumatic stress disorder and fragile-X disorder.