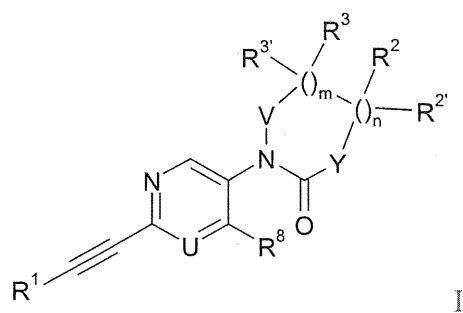


The present ~~invention~~-disclosure relates to compounds of formula I and to their pharmaceutically acceptable salts, in cases where this applies to mixtures of enantiomers or diastereomers or their enantiomerically or diastereomerically pure forms, to these compounds as pharmaceutically active substances, to the processes for their production as well as to the use in the treatment or prevention of disorders, relating to allosteric modulators for the mGluR5 receptor, such as schizophrenia, cognition, fragile X syndrome or autism, and to pharmaceutical compositions containing the compounds of formula I.-

In a first aspect, there is provided ethynyl derivatives of formula I



wherein

U is N or CH,

R⁸ is hydrogen, halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

Y is -N(R⁴)-, -O- or -C(R⁵R^{5'})-;

wherein R⁴ is hydrogen or C₁₋₄-alkyl and R⁵/R^{5'} are independently hydrogen, hydroxy, C₁₋₄-alkyl or C₁₋₄-alkoxy;

V is -N(R⁶)- or -C(R⁷R^{7'}),

wherein R⁶ is hydrogen or C₁₋₄-alkyl and R⁷/R^{7'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a

C₃-C₆-cycloalkyl;

R¹ is phenyl or heteroaryl, which are optionally substituted by halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

m is 0 or 1; in case m is 1,

R³/R^{3'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may

form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

n is 0 or 1; in case n is 1,

R²/R^{2'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may

form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

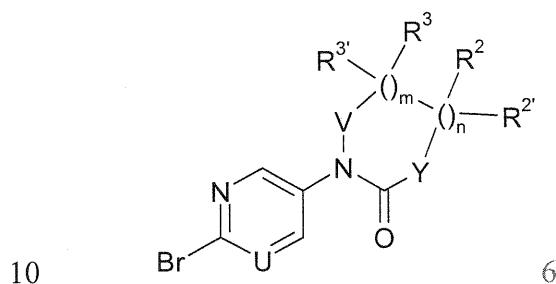
or _____ if m is 1 and n is 0, R^3 and R^7 may form together with the carbon atoms to which they are attached a C_{4-6} -cycloalkyl;

or _____ if m is 1 and n is 1, R^2 and R^3 or R^3 and R^7 may form together with the carbon atoms to which they are attached a C_{4-6} -cycloalkyl;

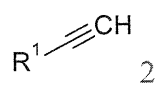
- 5 or to a pharmaceutically acceptable acid addition salt, to a racemic mixture, or to its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof.

In a second aspect, there is provided a process for preparation of a compound of formula I as described above, comprising the variants

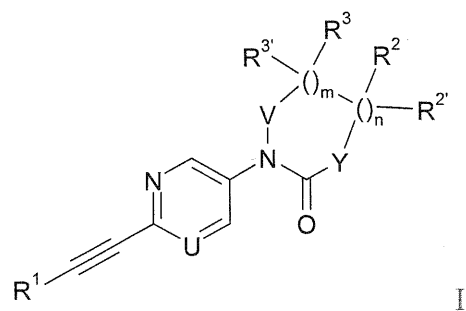
a) reacting a compound of formula



with a suitable aryl-acetylene of formula

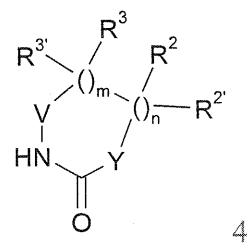


to a compound of formula

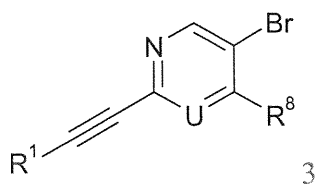


- 15 wherein the substituents are described above, or

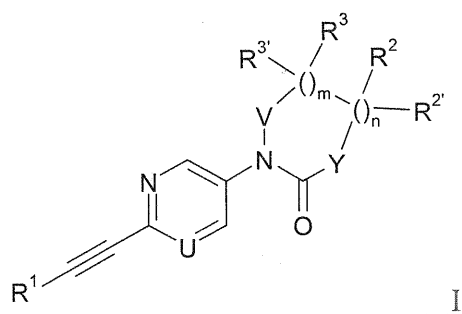
b) reacting a compound of formula



with a suitable compound of formula



to a compound of formula



5 wherein the substituents are described above, and,

if desired, converting the compounds obtained into pharmaceutically acceptable acid addition salts.

In a third aspect, there is provided a compound as defined above for use as therapeutically active substance.

10 In a fourth aspect, there is provided a pharmaceutical composition comprising at least one of the compounds as defined above as well as its pharmaceutically acceptable salt.

In a fifth aspect, there is provided a compound as defined above, when applicable as mixtures of enantiomers, diastereomers, or in enantiomerically pure form; as well as its pharmaceutically acceptable salt, for use as a medicament.

15 In a sixth aspect, there is provided use of a compound as defined above as well as its pharmaceutically acceptable salt for the manufacture of a medicament for the treatment or prevention of schizophrenia, cognitive diseases, fragile X syndrome or autism.

In a seventh aspect, there is provided a compound as defined above for use in the treatment or prevention of schizophrenia, cognitive diseases, fragile X syndrome or autism.

20 The following definitions of the general terms used in the present description apply irrespective of whether the terms in question appear alone or in combination.

As used herein, the term "lower alkyl" denotes a saturated, i.e. aliphatic hydrocarbon group including a straight or branched carbon chain with 1 – 4 carbon atoms. Examples for "alkyl" are methyl, ethyl, n-propyl, and isopropyl.

25 The term "alkoxy" denotes a group -O-R' wherein R' is lower alkyl as defined above.

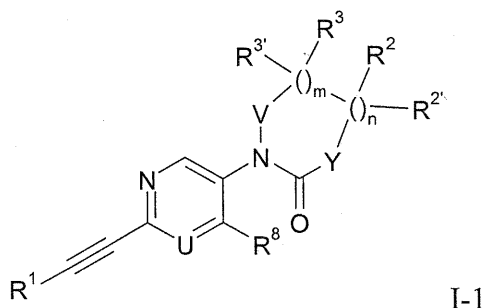
The term "ethynyl" denotes the group -C≡C-.

The term "cycloalkyl" denotes a saturated carbon ring, containing from 3 to 6 carbon ring atoms, for example cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

The term "heteroaryl" denotes a 5 or 6-membered aromatic ring, containing at least one N, O or S-heteroatom, for example pyridinyl, pyrimidinyl, pyrazolyl, pyridazinyl, imidazolyl, triazolyl, thienyl or pyrazinyl.

The term "pharmaceutically acceptable salt" or "pharmaceutically acceptable acid addition salt" embraces salts with inorganic and organic acids, such as hydrochloric acid, nitric acid, sulfuric acid, phosphoric acid, citric acid, formic acid, fumaric acid, maleic acid, acetic acid, succinic acid, tartaric acid, methane-sulfonic acid, p-toluenesulfonic acid and the like.

One embodiment of the invention are compounds of formula



wherein

U is N or CH;

R⁸ is hydrogen;