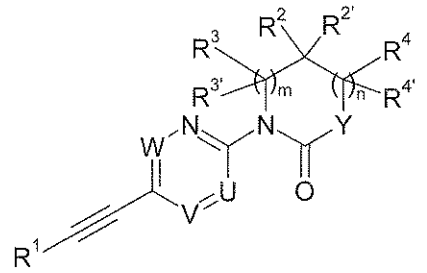
solubility and exhibit poor oral bioavailability.
Therefore, there remains a need for compounds that overcome these deficiencies and that effectively provide selective allosteric modulators for the mGluR5 receptor.

Compounds of formula I are distinguished by having valuable therapeutic properties. They can be used in the treatment or prevention of disorders, relating to allosteric modulators for the mGluR5 receptor.

The most preferred indications for compounds which are allosteric modulators are schizophrenia and cognition.

The present disclosure~~invention~~ 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



I

wherein

U is =N- or =C(R^5)-;

V is –CH= or –N=;

W is =CH- or =N-;

with the proviso that only one of U, V or W can be nitrogen.

R^5 is hydrogen, methyl or halogen;

Y is $-N(R^6)-$, $-O-$, $-C(R^{7'})(R^7)-$, $-CH_2O-$ or $-CH_2S(O)_2-$;
wherein R^6 is hydrogen or lower alkyl and $R^7/R^{7'}$ are independently from each other hydrogen, hydroxy, lower alkyl or lower alkoxy;

R^1 is phenyl or heteroaryl, which are optionally substituted by one or two substituents, selected from halogen, lower alkyl or lower alkoxy;

$R^2/R^{2'}$ are independently from each other hydrogen, lower alkyl, hydroxy, lower alkoxy, C_3-C_6-cycloalkyl, CH_2-lower alkoxy, or may form together with the carbon atom to which they are attached a C_3-C_6-cycloalkyl or a ring containing $-CH_2OCH_2-$;

m is 0, 1 or 2;
in case m is 1,
$R^3/R^{3'}$ are independently from each other hydrogen, lower alkyl, CH_2-lower alkoxy or may form together with the carbon atom to which they are attached a C_3-C_6-cycloalkyl; or R^3 and R^2 may form together with the carbon atom to which they are attached a C_{3-6}-cycloalkyl or a ring containing $-(CH_2)_2OCH_2-$;

n is 0 or 1;
in case n is 1,
$R^4/R^{4'}$ are independently from each other hydrogen, lower alkyl, CH_2-lower alkoxy or may form together with the carbon atom to which they are attached a C_3-C_6-cycloalkyl; R^4 and R^2 may form together with the carbon atom to which they are attached a C_{3-6}-cycloalkyl;

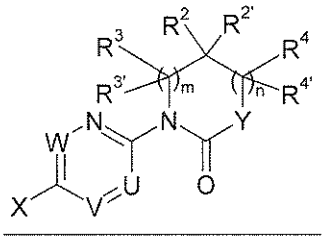
or if n is 0 and Y is $-N(R^6)-$, then R^6 and R^2 may form together with the carbon atom and the nitrogen atom to which they are attached a C_{3-6}-cycloalkyl;

or if n and m are 0, then R^2 and R^7 may form together with the carbon atoms to which they are attached a C_{3-6}-cycloalkyl;

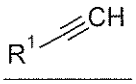
or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof.

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

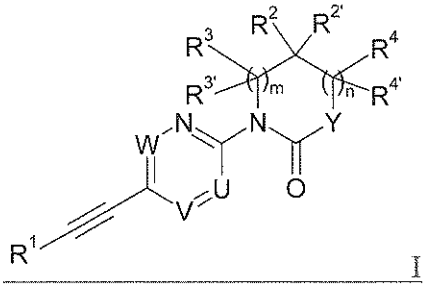
reacting a compound of formula



wherein X is a suitable leaving group, which can be substituted by an acetylene moiety selected from a bromine or iodine atom, a trialkylstannyl group, a boronic acid or boronic ester group, with a suitable aryl-acetylene of formula



to a compound of formula



I

wherein the substituents are described above, or

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.

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.

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

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.

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.