

Negative allosteric modulators of metabotropic glutamate receptors, belonging to the first group, can be used for the treatment or prevention of acute and/or chronic neurological disorders such as Parkinson's disease, Fragile-X syndrome, autistic disorders, cognitive disorders and memory deficits, as well as chronic and acute pain and gastroesophageal reflux disease (GERD).

5 Other treatable indications in this connection are restricted brain function caused by bypass operations or transplants, poor blood supply to the brain, spinal cord injuries, head injuries, hypoxia caused by pregnancy, cardiac arrest and hypoglycaemia. Further treatable indications are ischemia, Huntington's chorea, amyotrophic lateral sclerosis (ALS), dementia caused by AIDS, eye injuries, retinopathy, idiopathic parkinsonism or parkinsonism caused by
10 medicaments as well as conditions which lead to glutamate-deficiency functions, such as e.g. muscle spasms, convulsions, migraine, urinary incontinence, nicotine addiction, opiate addiction, anxiety, vomiting, dyskinesia and depressions.

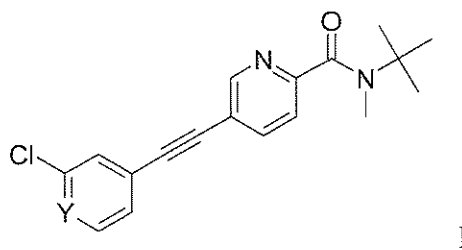
Disorders mediated full or in part by mGluR5 are for example acute, traumatic and chronic degenerative processes of the nervous system, such as Alzheimer's disease, senile dementia,
15 Parkinson's disease, Huntington's chorea, amyotrophic lateral sclerosis and multiple sclerosis, psychiatric diseases such as schizophrenia and anxiety, depression, pain and drug dependency (*Expert Opin. Ther. Patents (2002), 12, (12)*).

Selective mGluR5 antagonists are especially useful for the treatment of disorders where reduction of mGluR5 receptor activation is desired, such as anxiety and pain, depression,
20 Fragile-X syndrom, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).

Objects of the ~~present invention~~ embodiments disclosed herein are compounds of formula I and their pharmaceutically acceptable salts, the above-mentioned compounds as
pharmaceutically active substances and their production. Further objects of the ~~invention~~
25 embodiments disclosed herein are medicaments based on a compound in accordance with the ~~invention-disclosure~~ and their manufacture as well as the use of the compounds in the control or prevention of mGluR5 receptor (NAM) mediated disorders, which are anxiety and pain, depression, Fragile-X syndrom, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD, and, respectively, for the production of corresponding
30 medicaments.

In one aspect, the present disclosure relates to a compound of formula I

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wherein

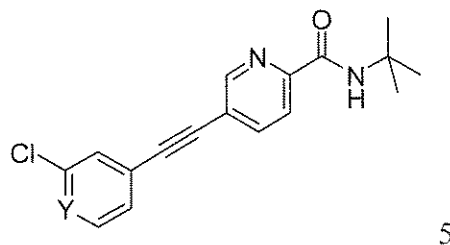
5 Y is N or CH

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

In another aspect, the present disclosure relates to a compound as disclosed herein for use as therapeutically active substance.

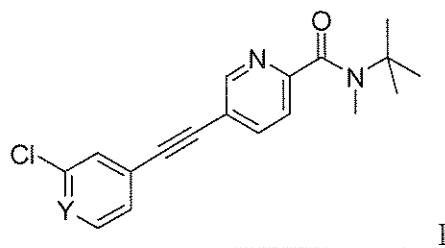
10 In another aspect, the present disclosure relates to a process for preparation of a compound of formula I as disclosed herein, comprising the variants

a) reacting a compound of formula



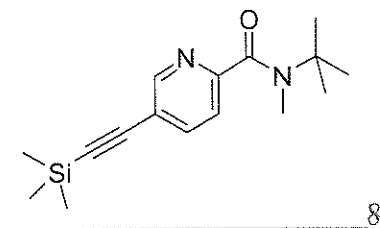
with the compound CH₃I

15 to a compound of formula

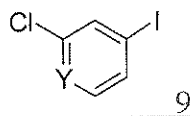


wherein the substituents are as described herein or

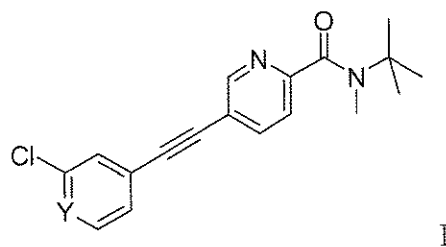
b) reacting a compound of formula



with a compound of formula



to a compound of formula



wherein the substituents are as described herein.

In another aspect, the present disclosure relates to a pharmaceutical composition

comprising a compound as disclosed herein and a therapeutically active carrier.

In another aspect, the present disclosure relates to the use of a compound as disclosed herein for the manufacture of a medicament for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).

In another aspect, the present disclosure relates to a compound as disclosed herein for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).

One embodiment of the present invention are compounds of formula I, wherein Y is N.

This compound is

5-(2-chloro-pyridin-4-ylethynyl)-pyridine-2-carboxylic acid tert-butyl-methyl-amide.

~~9. The use of a compound according to any one of claims 1–5 for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).~~

5 ~~109. The use of a compound as claimed in any one of claims 1-5 for the manufacture of a medicament for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).~~

10 ~~110. A compound according to any one of claims 1–5 for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD).~~

15 ~~12. A method for the treatment of anxiety and pain, depression, Fragile-X syndrome, autism spectrum disorders, Parkinson's disease, and gastroesophageal reflux disease (GERD), which method comprises administering an effective amount of a compound as defined in any one of claims 1–5.~~

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