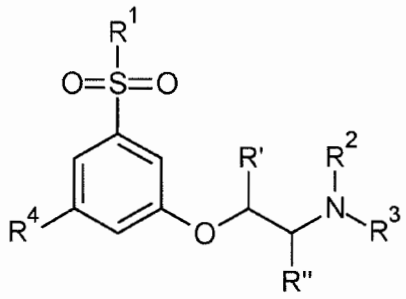
CLAIMS

1. A phenoxy-ethyl-amine derivative of Formula 1:



(1)

a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein

R^1 represents CH_3 or CF_3;

R^2 is selected from the group consisting of C_1-C_4-alkyl, allyl, $CH_2CH_2OCH_3$, $C(CH_3)_2CH_2CH_3$, CH_2-cyclopropyl, cyclobutyl, cyclopentyl, $CH_2CH_2CH_2F$, $CH_2CH_2CHF_2$, CH_2CH_2F, 3,3,3-trifluoropropyl and 4,4,4-trifluorobutyl; and

R^3 is selected from the group consisting of H, CH_3 and CH_2CH_3; or

R^2 and R^3 together form $CH_2(CH_2)CH_2$ or $CH_2(CH_2)_3CH_2$;

R^4 represents F or Cl; and

R' and R" independently represent hydrogen or methyl.

2. The phenoxy-ethyl-amine derivative according to claim 1, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^1 represents CH_3 or CF_3.

3. The phenoxy-ethyl-amine derivative according to either one of claims 1-2, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^2 is selected from the group consisting of C_1-C_4-alkyl, allyl,

$CH_2CH_2OCH_3$, $C(CH_3)_2CH_2CH_3$, CH_2-cyclopropyl, cyclobutyl, cyclopentyl, $CH_2CH_2CH_2F$, $CH_2CH_2CHF_2$, CH_2CH_2F, 3,3,3-trifluoropropyl and 4,4,4-trifluorobutyl.

4. The phenoxy-ethyl-amine derivative according to any one of claims 1-3, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^3 is selected from the group consisting of H and CH_3.

5. The phenoxy-ethyl-amine derivative according to either one of claims 1-2, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^2 and R^3 together form $CH_2(CH_2)CH_2$ or $CH_2(CH_2)_3CH_2$.

6. The phenoxy-ethyl-amine derivative according to any one of claims 1-5, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^4 represents F or Cl.

7. The phenoxy-ethyl-amine derivative according to any one of claims 1-5, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R' and R'' independently represent hydrogen or methyl.

8. The phenoxy-ethyl-amine derivative according to claim 1, which is

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]propan-1-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]butan-1-amine;

N-Ethyl-2-(3-fluoro-5-methylsulfonyl-phenony)ethanamine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]-N-methyl-propan-1-amine;

N-[2-(3-Chloro-5-methylsulfonyl-phenoxy)ethyl]propan-1-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]butan-2-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]cyclopentamine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]-2-methyl-butan-2-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]cyclobutamine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]propan-2-amine;

1-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]piperidine;

N,N-Diethyl-2-(3-fluoro-5-methylsulfonyl-phenoxy)ethanamine;

N-1,1-di-deuterium-propyl-[2-(3-fluoro-5-methanesulfonyl-phenoxy)-ethyl]-amine;

N-(Cyclopropylmethyl)-N-{2-[3-fluoro-5-(methylsulfonyl)-phenoxy]ethyl}amine;

N-{2-[3-Fluoro-5-(methylsulfonyl)phenoxy]ethyl}-N-isobutylamine;

1-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]azetidine;

1-(3-Fluoro-5-methylsulfonyl-phenoxy)-N-propyl-propan-2-amine; or

2-(3-Fluoro-5-methylsulfonyl-phenoxy)-N-propyl-propan-1-amine;

a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a pharmaceutically acceptable salt thereof.

9. A pharmaceutical composition, comprising a therapeutically effective amount of a phenoxy-ethyl-amine derivative of any one of claims 1-8, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, together with at least one pharmaceutically acceptable carrier or diluent.

10. The phenoxy-ethyl-amine derivative of any one of claims 1-8, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, for use as a medicament.

11. Use of a phenoxy-ethyl-amine derivative of any one of claims 1-8, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof,

for the manufacture of a medicament for the treatment, prevention or alleviation of a disease or a disorder or a condition of a mammal, including a human, which disease, disorder or condition is responsive to modulation of dopaminergic function in the central nervous system.

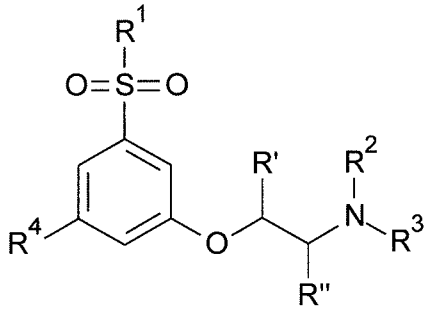
12. The use according to claim 11, wherein the disease, disorder or condition is selected from the group of psychosis, schizophrenia, schizophreniform disorder, bipolar disorder, psychotic disorder, drug induced psychotic disorder, mood disorder, anxiety disorder, depression, obsessive-compulsive disease, dementia, age-related cognitive impairment, Autism spectrum disorders, ADHD, cerebral Palsy, Gilles de la Tourette's syndrome, brain injury, sleep disorder, sexual disorder, eating disorder, obesita, headache, pains in conditions characterized by increased muscular tone, Parkinson's disease, parkinsonian syndrome, dyskinesia, L-DOPA induced dyskinesias, Tardive dyskinesias, dystonia, tics and tremor dementia, Huntington's disease, movement disorder induced by drugs, restless legs, narcolepsy, Alzheimer's disease. and disorder related to Alzheimer's disease.

13. The use according to claim 11, wherein the disease, disorder or condition is selected from the group of schizophrenia, L-DOPA induced dyskinesias and Huntington's disease.

14. A method of treatment, prevention or alleviation of a disease or a disorder or a condition of a living animal body, including a human, which disorder, disease or condition is responsive to modulation of dopaminergic and glutamatergic function in the central nervous system, which method comprises the step of administering to such a living animal body in need thereof a therapeutically effective amount of a phenoxy-ethyl-amine derivative of any one of claims 1-8, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof.

CLAIMS

1. A phenoxy-ethyl-amine derivative of Formula 1:



(1)

a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein

R^1 represents CH_3 or CF_3;

R^2 is selected from the group consisting of C_1-C_4-alkyl, allyl, $CH_2CH_2OCH_3$, $C(CH_3)_2CH_2CH_3$, CH_2-cyclopropyl, cyclobutyl, cyclopentyl, $CH_2CH_2CH_2F$, $CH_2CH_2CHF_2$, CH_2CH_2F, 3,3,3-trifluoropropyl and 4,4,4-trifluorobutyl; and

R^3 is selected from the group consisting of H, CH_3 and CH_2CH_3; or

R^2 and R^3 together form $CH_2(CH_2)CH_2$ or $CH_2(CH_2)_3CH_2$;

R^4 represents F or Cl; and

R' and R'' independently represent hydrogen or methyl.

2. The phenoxy-ethyl-amine derivative according to claim 1, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^1 represents CH_3.

3. The phenoxy-ethyl-amine derivative according to either one of claims 1-2, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^2 is selected from the group consisting of C_1-C_4-alkyl, CH_2-cyclopropyl, cyclobutyl, and cyclopentyl.

4. The phenoxy-ethyl-amine derivative according to any one of claims 1-3, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^3 is selected from the group consisting of H and CH_3.

5. The phenoxy-ethyl-amine derivative according to either one of claims 1-2, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^2 and R^3 together form $CH_2(CH_2)CH_2$ or $CH_2(CH_2)_3CH_2$.

6. The phenoxy-ethyl-amine derivative according to any one of claims 1-5, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R^4 represents F.

7. The phenoxy-ethyl-amine derivative according to any one of claims 1-6, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, wherein R' and R" independently represent hydrogen.

8. The phenoxy-ethyl-amine derivative according to claim 1, which is

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]propan-1-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]butan-1-amine;

N-Ethyl-2-(3-fluoro-5-methylsulfonyl-phenoxy)ethanamine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]-N-methyl-propan-1-amine;

N-[2-(3-Chloro-5-methylsulfonyl-phenoxy)ethyl]propan-1-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]butan-2-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]cyclopentamine

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]-2-methyl-butan-2-amine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]cyclobutamine;

N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]propan-2-amine;

1-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]piperidin;

N,N-Diethyl-2-(3-fluoro-5-methylsulfonyl-phenoxy)ethanamine;

N-1,1-di-deuterium-propyl-[2-(3-fluoro-5-methanesulfonyl-phenoxy)-ethyl]-amine;

N-(Cyclopropylmethyl)-N-{2-[3-fluoro-5-(methylsulfonyl)-phenoxy]ethyl}amine;

N-{2-[3-Fluoro-5-(methylsulfonyl)phenoxy]ethyl}-N-isobutylamine;

1-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]azetidine;

1-(3-Fluoro-5-methylsulfonyl-phenoxy)-N-propyl-propan-2-amine; or

2-(3-Fluoro-5-methylsulfonyl-phenoxy)-N-propyl-propan-1-amine;

a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a pharmaceutically acceptable salt thereof.

9. The phenoxy-ethyl-amine derivative according to claim 1, which is
N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]propan-1-amine;
N-[2-(3-Fluoro-5-methylsulfonyl-phenoxy)ethyl]butan-1-amine;
N-Ethyl-2-(3-fluoro-5-methylsulfonyl-phenoxy)ethanamine
or an N-oxide thereof, or a pharmaceutically acceptable salt thereof.

10. The phenoxy-ethyl-amine derivative according to any one of the preceding claims, or a pharmaceutically acceptable salt thereof.

11. A pharmaceutical composition, comprising a therapeutically effective amount of a phenoxy-ethyl-amine derivative of any one of claims 1-10, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, together with at least one pharmaceutically acceptable carrier or diluent.

12. The phenoxy-ethyl-amine derivative of any one of claims 1- 10, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, for use as a medicament.

13. A phenoxy-ethyl-amine derivative of any one of claims 1-10, a stereoisomer or a mixture of its stereoisomers, or an N-oxide thereof, or a fully or partially deuterated analog thereof, or a pharmaceutically acceptable salt thereof, for use in the treatment, prevention or alleviation of a disease or a disorder or a condition of a mammal, including a human, which disease, disorder or condition is responsive to modulation of dopaminergic function in the central nervous system.

14. A phenoxy-ethyl-amine derivative for use according to claim 13, wherein the disease, disorder or condition is selected from the group of psychosis, schizophrenia, schizophreniform disorder, bipolar disorder, psychotic disorder, drug induced psychotic disorder, mood disorder, anxiety disorder, depression, obsessive-compulsive disease, dementia, age-related cognitive impairment, Autism spectrum disorders, ADHD, cerebral Palsy, Gilles de la Tourette's syndrome, brain injury, sleep disorder, sexual disorder, eating disorder, obesita, headache, pains in conditions characterized by increased muscular tone, Parkinson's disease, parkinsonian syndrome, dyskinesia, L-DOPA induced dyskinesias, Tardive dyskinesias, dystonia, tics and tremor dementia, Huntington's disease, movement

disorder induced by drugs, restless legs, narcolepsy, Alzheimer's disease. and disorder related to Alzheimer's disease.

15. A phenoxy-ethyl-amine derivative for use according to claim 13, wherein the disease, disorder or condition is selected from the group of schizophrenia, L-DOPA induced dyskinesias and Huntington's disease.