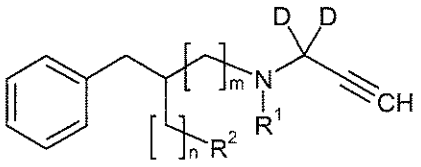
Summary ~~of the Invention~~

The present disclosure~~invention~~ covers compounds of general formula I



I

wherein

D is deuterium;

R^1 is selected from methyl, ethyl, *n*-propyl, *n*-butyl, *iso*-butyl;

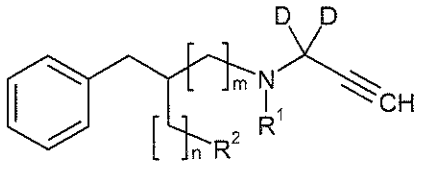
R^2 is fluorine, [^{18}F]fluorine or a leaving group;

n and m are selected from 0 and 1 with the provisos that if n = 0, m must be 1, and if n = 1, m must be 0, and if R^2 is fluorine, n must be 1 and m must be 0;

including all stereoisomeric forms of said compounds, including but not limited to enantiomers and diastereoisomers as well as racemic mixtures, and any suitable salt with an organic or inorganic acid, ester, complex or solvate thereof.

The disclosure~~invention~~ further relates to methods of preparing such compounds, compositions comprising such compounds, kits comprising such compounds or compositions and uses of such compounds, compositions or kits for diagnostic imaging by positron emission tomography (PET).

In a first aspect of the present disclosure, there is provided a compound of formula **I**:



I

wherein

D is deuterium;

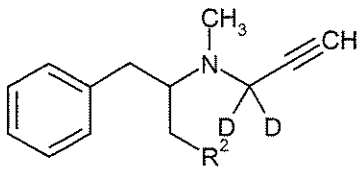
R^1 is selected from methyl, ethyl, *n*-propyl, *n*-butyl, *iso*-butyl;

R^2 is [^{18}F]fluorine or a leaving group, wherein leaving groups are selected from halogen, C_1-C_6-alkylsulfonyloxy, which is optionally substituted by fluorine, and arylsulfonyloxy, which is optionally substituted by hydrogen, methyl, halo and nitro;

n and m are selected from 0 and 1 with the provisos that if n = 0, m must be 1, and if n = 1, m must be 0, and if R^2 is fluorine, n must be 1 and m must be 0;

including all stereoisomeric forms of said compounds, including but not limited to enantiomers and diastereoisomers as well as racemic mixtures, and any suitable salt with an organic or inorganic acid, ester, complex or solvate thereof.

In a second aspect of the present disclosure, there is provided a compound of formula **Ia**:



Ia

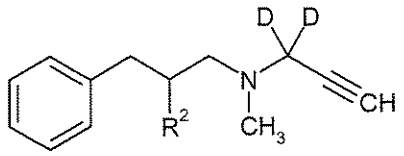
wherein

D is deuterium;

R^2 is selected from [^{18}F]fluoro or chloro;

including enantiomers as well as racemic mixtures, and any suitable salt with an organic or inorganic acid, or solvate thereof.

In a third aspect of the present disclosure, there is provided a compound of formula **Ib**:



Ib

wherein

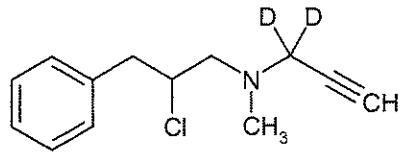
D is deuterium;

R^2 is chloro;

including enantiomers as well as racemic mixtures, and any suitable salt with an organic or inorganic acid, or solvate thereof.

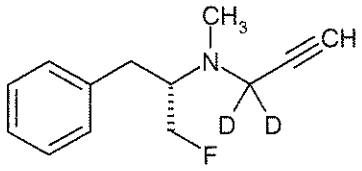
In a fourth aspect of the present disclosure, there is provided a compound selected from the group of compounds consisting of

a compound of formula **Id**,



Id

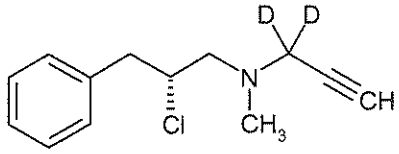
a compound of formula **Ie**,



Ie

wherein F = ^{18}F,

a compound of formula **If**,



If

and any suitable salt with an organic or inorganic acid, or solvate thereof.

In a fifth aspect of the present disclosure, there is provided a [^{18}F] labelled compound as defined herein as a diagnostic compound for PET imaging.

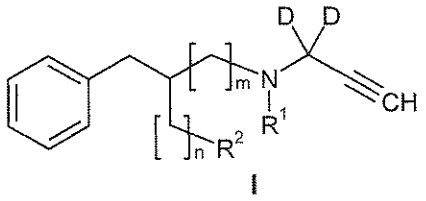
In a sixth aspect of the present disclosure, there is provided a diagnostic compound comprising a [^{18}F] labelled compound as defined herein for PET imaging.

In a seventh aspect of the present disclosure, there is provided a [^{18}F] labelled compound as defined herein as a diagnostic compound for PET imaging of CNS diseases.

In an eighth aspect of the present disclosure, there is provided a diagnostic composition comprising a [^{18}F] labelled compound as defined herein for PET imaging of CNS diseases.

In a ninth aspect of the present disclosure, there is provided a compound or a composition as defined herein for imaging of Alzheimer's disease.

In a tenth aspect of the present disclosure, there is provided a method for the synthesis of a compound according to formula **I**:



I

wherein

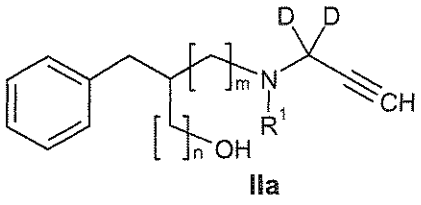
D is deuterium;

R^1 is selected from methyl, ethyl, *n*-propyl, *n*-butyl, *iso*-butyl;

R^2 is a leaving group, wherein leaving groups are selected from halogen, C_1-C_6-alkylsulfonyloxy, which is optionally substituted by fluorine, and arylsulfonyloxy, which is optionally substituted by hydrogen, methyl, halo and nitro;

n and m are selected from 0 and 1 with the provisos that if n = 0, m must be 1, and if n = 1, m must be 0, and if R^2 is fluorine, n must be 1 and m must be 0;

characterized in that
a compound of formula **IIa**:

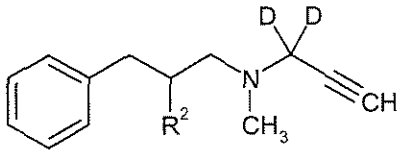


IIa

,

is reacted with a sulfonyl anhydride or a sulfonyl halide.

In an eleventh aspect of the present disclosure, there is provided a method for the synthesis of a compound according to formula **Ib**:



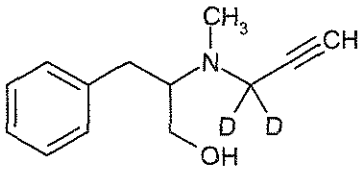
Ib

wherein

D is deuterium;

R^2 is chloro;

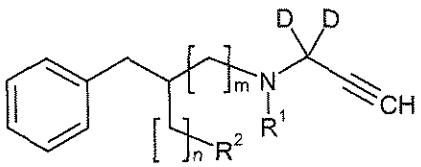
characterized in that
a compound of formula ***IIb****:*



IIb

is reacted with a sulfonyl chloride.

In a twelfth aspect of the present disclosure, there is provided a method for the synthesis of a compound according to formula **I**:



I

wherein

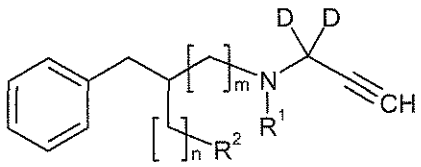
D is deuterium;

R^1 is selected from methyl, ethyl, *n*-propyl, *n*-butyl, *iso*-butyl;

R^2 is [^{18}F]fluorine;

n is 1 and m is 0;

characterized in that
a compound of formula I:



I

wherein

D is deuterium;

R^1 is selected from methyl, ethyl, *n*-propyl, *n*-butyl, *iso*-butyl;

R^2 is a leaving group, wherein leaving groups are selected from halogen, C_1-C_6-alkylsulfonyloxy, which is optionally substituted by fluorine, and arylsulfonyloxy, which is optionally substituted by hydrogen, methyl, halo and nitro;

n is 0 and m is 1;

is reacted with a suitable F-fluorinating agent, wherein F is ^{18}F.