



APOLLO SCIENTIFIC

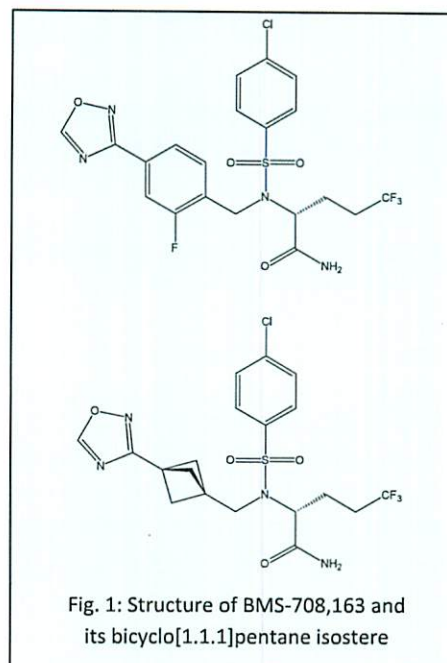
Bicyclopentanes

Much recent research has been focussed on their pharmaceutical potential of bicyclo[1.1.1]pentanes, in particular into their use as bioisosteres for aromatic groups. Replacement of a fluorophenyl group in the γ -Secretase inhibitor BMS-708,163 with bicyclo[1.1.1]pentane (Fig. 1) was found to improve solubility and passive permeability without compromising the inhibitor properties¹. BMS-708,163 is currently being investigated as a potential drug which could be used to treat Alzheimer's disease.

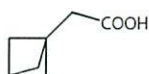
Thanks to their rigidity, functionalised bicyclo[1.1.1]pentanes, have also shown promise as alternatives to cycloalkane groups, like cyclohexane, behaving as conformational locks. As they are unable to ring flip, bicyclo[1.1.1]pentane can be used to retain stereochemistry of these molecule. Alternatively they could also be used as isosteres for bulky, conformational locking functional groups, like t Bu groups, or rigid linear groups like alkynes².

¹J. Med. Chem., 2012, 55, 3414

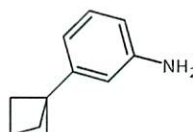
²Chem. Med. Chem., 2015, 10, 461



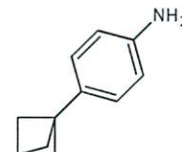
OR312074
Bicyclo[1.1.1]pentane-1-carboxylic acid
22287-28-1



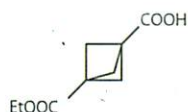
OR312470
2-(Bicyclo[1.1.1]pentan-1-yl)acetic acid
131515-31-6



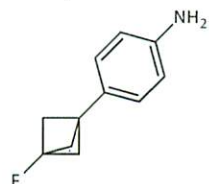
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3-(Bicyclo[1.1.1]pentan-1-yl)aniline
1823935-84-7



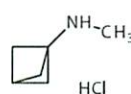
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4-(Bicyclo[1.1.1]pentan-1-yl)aniline
1823343-61-8



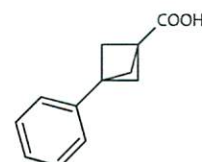
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3-(Ethoxycarbonyl)bicyclo[1.1.1]pentane-1-carboxylic acid
1823373-90-5



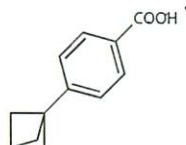
PC405693
4-(3-Fluorobicyclo[1.1.1]pentan-1-yl)aniline
1936606-29-9



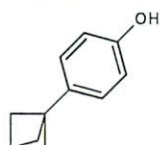
OR300175
N-Methylbicyclo[1.1.1]pentan-1-amine hydrochloride
1882089-75-9



OR312133
3-Phenylbicyclo[1.1.1]pentane-1-carboxylic acid
83249-04-1



OR312395
4-(Bicyclo[1.1.1]pentan-1-yl)benzoic acid
1823331-06-1



OR312398
4-(Bicyclo[1.1.1]pentan-1-yl)phenol
1823939-03-2



OR312450
1-(Bromomethyl)bicyclo[1.1.1]pentane
161043-38-5



OR312447
1,3-Diiodobicyclo[1.1.1]pentane
105542-98-1

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