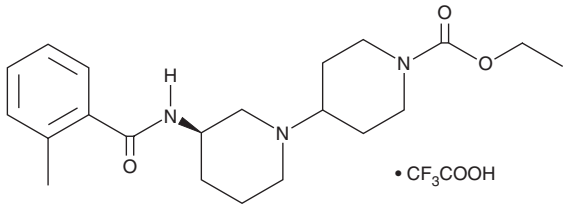
PRODUCT INFORMATION

VU0364572 (trifluoroacetate salt)

Item No. 20466

CAS Registry No.: 1240514-89-9
Formal Name: (3R)-3-[(2-methylbenzoyl)amino]-[1,4'-bipiperidine]-1'-carboxylic acid, ethyl ester, 2,2,2-trifluoroacetate
MF: $C_{21}H_{31}N_3O_3 \bullet CF_3COOH$
FW: 487.5
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years
Special Conditions: Hygroscopic



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

VU0364572 (trifluoroacetate salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the VU0364572 (trifluoroacetate salt) in the solvent of choice. VU0364572 (trifluoroacetate salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of VU0364572 (trifluoroacetate salt) in these solvents is approximately 25, 14, and 16 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of VU0364572 (trifluoroacetate salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of VU0364572 (trifluoroacetate salt) in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

VU0364572 is a potent and selective agonist of the muscarinic acetylcholine receptor 1 (M_1; EC_{50} = 0.2 µM compared to >10 µM for M_2-M_5).[1] It induces concentration-dependent increases in Ca^{2+} mobilization and ERK1/2 phosphorylation in CHO cells transfected with the human M_1 receptor (EC_{50}s= 0.89 and 10 µM, respectively) with no effect on β-arrestin recruitment. VU0364572 also improves hippocampal-dependent spatial learning and localization abilities and acquisition of contextual fear learning but cannot reverse amphetamine-induced hyperlocomotion in rats. This suggests therapeutic potential of VU0364572 for the treatment of Alzheimer's disease but not psychosis.[2]

References

1. Lebois, E.P., Digby, G.J., Sheffler, D.J., *et al.* Development of a highly selective, orally bioavailable and CNS penetrant M_1 agonist derived from the MLPCN probe ML071. *Bioorg. Med. Chem. Lett.* **21(21)**, 6451-6455 (2011).
2. Digby, G.J., Noetzel, M.J., Bubser, M., *et al.* Novel allosteric agonists of M_1 muscarinic acetylcholine receptors induce brain region-specific responses that correspond with behavioral effects in animal models. *J. Neurosci.* **32(25)**, 8532-8544 (2012).

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