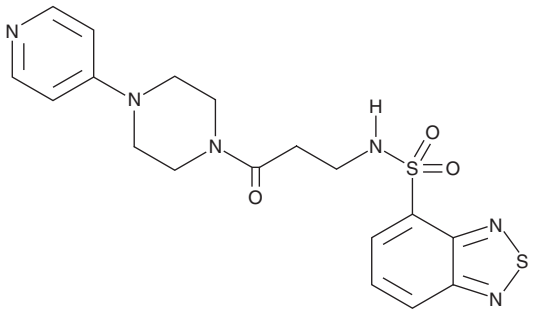
PRODUCT INFORMATION

VU0255035

Item No. 19353

CAS Registry No.:	1135243-19-4
Formal Name:	N-[3-oxo-3-[4-(4-pyridinyl)-1-piperazinyl]propyl]-2,1,3-benzothiadiazole-4-sulfonamide
Synonym:	ML-012
MF:	$C_{18}H_{20}N_6O_3S_2$
FW:	432.5
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

VU0255035 is supplied as a solid. A stock solution may be made by dissolving the VU0255035 in the solvent of choice, which should be purged with an inert gas. VU0255035 is soluble in the organic solvent DMSO at a concentration of approximately 50 mg/ml.

Description

VU0255035 is a competitive, orthosteric antagonist of the muscarinic M_1 receptor (IC_{50} = 130 nM).[1,2] It displays at least 75-fold selectivity for M_1 over other muscarinic receptors, as well as a panel of receptors, ion channels, and transporters.[1,2] VU0255035 is effective in cells and *in vivo* and brain penetrating, blocking pilocarpine-induced seizures without disrupting contextual fear conditioning in mice.[1,2] VU0255035 is used to examine the role of the M_1 receptor in diverse situations.[3-5]

References

1. Sheffler, D.J., Williams, R., Bridges, T.M., *et al.* A novel selective muscarinic acetylcholine receptor subtype 1 antagonist reduces seizures without impairing hippocampus-dependent learning. *Mol. Pharmacol.* **76(2)**, 356-368 (2009).
2. Weaver, C.D., Sheffler, D.J., Lewis, L.M., *et al.* Discovery and development of a potent and highly selective small molecule muscarinic acetylcholine receptor subtype I (mAChR 1 or M_1) antagonist *in vitro* and *in vivo* probe. *Curr. Top. Med. Chem.* **9(13)**, 1217-1226 (2009).
3. Shirey, J.K., Brady, A.E., Jones, P.J., *et al.* A selective allosteric potentiator of the M_1 muscarinic acetylcholine receptor increases activity of medial prefrontal cortical neurons and restores impairments in reversal learning. *J. Neurosci.* **29(45)**, 14271-14286 (2009).
4. Xiang, Z., Thompson, A.D., Jones, C.K., *et al.* Roles of the M1 muscarinic acetylcholine receptor subtype in the regulation of basal ganglia function and implications for the treatment of Parkinson's disease. *J. Pharmacol. Exp. Ther.* **340(3)**, 595-603 (2012).
5. Harada, K., Matsuoka, H., Miyata, H., *et al.* Identification of muscarinic receptor subtypes involved in catecholamine secretion in adrenal medullary chromaffin cells by genetic deletion. *Br. J. Pharmacol.* **172(5)**, 1348-1359 (2015).

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