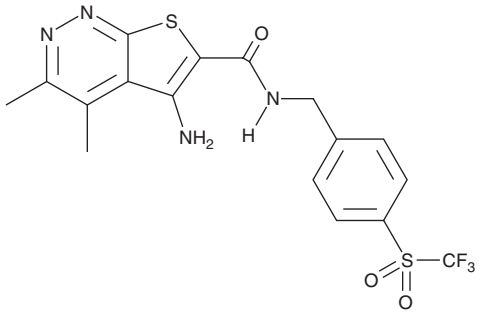
PRODUCT INFORMATION

VU0467154

Item No. 25555



CAS Registry No.:	1451993-15-9
Formal Name:	5-amino-3,4-dimethyl-N-[[4-[(trifluoromethyl)sulfonyl]phenyl]methyl]-thieno[2,3-c]pyridazine-6-carboxamide
MF:	$C_{17}H_{15}F_3N_4O_3S_2$
FW:	444.5
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years

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

Laboratory Procedures

VU0467154 is supplied as a solid. A stock solution may be made by dissolving the VU0467154 in the solvent of choice. VU0467154 is soluble in the organic solvent DMSO, which should be purged with an inert gas.

Description

VU0467154 is a positive allosteric modulator of the M_4 muscarinic acetylcholine receptor that potentiates acetylcholine responses in CHO cells expressing M_4 receptors (EC_{50}s = 17.7, 630, and 1,000 nM for rat, human, and cynomolgus monkey receptors, respectively).[1] It is selective for M_4 over M_{1-3} and M_5 receptors and a panel of receptors, transporters, and cation channels when used at a concentration of 10 µM. *In vivo*, VU0467154 (3-56.6 mg/kg, p.o.) reverses amphetamine-induced hyperlocomotion in rats. It reverses MK-801-induced hyperlocomotion, deficits in a pairwise visual discrimination task, and impairments in the acquisition of contextual fear conditioning in wild-type, but not M_4 knockout, mice. VU0467154 (3-30 mg/kg) also increases freezing behavior in contextual and tone fear conditioning tests in wild-type mice when administered prior to the conditioning session. Chronic administration of VU0467154 (10 mg/kg once daily) reduces motor incoordination and prevents decreases in locomotion in the YAC128 transgenic mouse model of Huntington's disease.[2]

References

1. Bubser, M., Bridges, T.M., Dencker, D., *et al.* Selective activation of M_4 muscarinic acetylcholine receptors reverses MK-801-induced behavioral impairments and enhances associative learning in rodents. *ACS Chem. Neurosci.* **5(10)**, 920-942 (2014).
2. Pancani, T., Foster, D.J., Moehle, M.S., *et al.* Allosteric activation of M_4 muscarinic receptors improve behavioral and physiological alterations in early symptomatic YAC128 mice. *Proc. Natl. Acad. Sci. U.S.A.* **112(45)**, 14078-14083 (2015).

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