

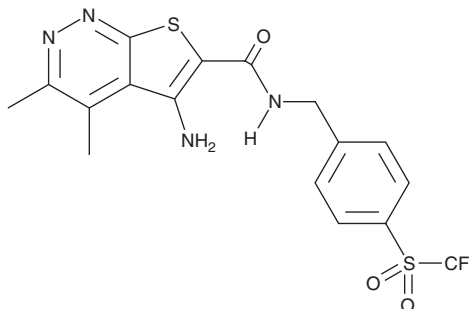
PRODUCT INFORMATION



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: C₁₇H₁₅F₃N₄O₃S₂
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 M₄ muscarinic acetylcholine receptor that potentiates acetylcholine responses in CHO cells expressing M₄ receptors (EC₅₀s = 17.7, 630, and 1,000 nM for rat, human, and cynomolgus monkey receptors, respectively).¹ It is selective for M₄ over M₁₋₃ and M₅ 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 M₄ 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 M₄ 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 M₄ muscarinic receptors improve behavioral and physiological alterations in early symptomatic YAC128 mice. *Proc. Natl. Acad. Sci. U.S.A.* **112**(45), 14078-14083 (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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