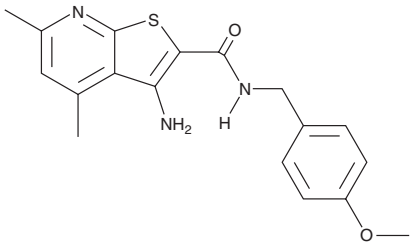
PRODUCT INFORMATION

VU0152100

Item No. 32968

CAS Registry No.:	409351-28-6
Formal Name:	3-amino-N-[(4-methoxyphenyl)methyl]-4,6-dimethyl-thieno[2,3-b]pyridine-2-carboxamide
MF:	$C_{18}H_{19}N_3O_2S$
FW:	341.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 218, 283 nm
Supplied as:	A crystalline 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

VU0152100 is supplied as a crystalline solid. A stock solution may be made by dissolving the VU0152100 in the solvent of choice, which should be purged with an inert gas. VU0152100 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of VU0152100 in these solvents is approximately 30 mg/ml.

VU0152100 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VU0152100 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. VU0152100 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

VU0152100 is a positive allosteric modulator of M_4 muscarinic acetylcholine receptors.[1] It potentiates calcium mobilization induced by acetylcholine (ACh) in CHO-K1 cells expressing the rat M_4 receptor with an EC_{50} value of 380 nM. VU0152100 is selective for M_4 over M_1, M_2, M_3 and M_5 receptors, as well as a panel of 68 additional GPCRs, ion channels, transporters, and enzymes, but does bind to the benzodiazepine binding site of the $GABA_A$ receptor at 10 µM. It reduces cocaine-induced increases in locomotor activity and cocaine self-administration in mice when administered at a dose of 1 mg/kg.[2]

References

1. Brady, A.E., Jones, C.K., Bridges, T.M., *et al.* Centrally active allosteric potentiators of the M_4 muscarinic acetylcholine receptor reverse amphetamine-induced hyperlocomotor activity in rats. *J. Pharmacol. Exp. Ther.* **327(3)**, 941-953 (2008).
2. Dencker, D., Weikop, P., Sørensen, G., *et al.* An allosteric enhancer of M_4 muscarinic acetylcholine receptor function inhibits behavioral and neurochemical effects of cocaine. *Psychopharmacology* **224(2)**, 277-287 (2012).

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