

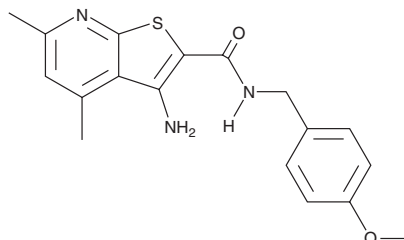
PRODUCT INFORMATION



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: C₁₈H₁₉N₃O₂S
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 M₄ muscarinic acetylcholine receptors.¹ It potentiates calcium mobilization induced by acetylcholine (ACh) in CHO-K1 cells expressing the rat M₄ receptor with an EC₅₀ value of 380 nM. VU0152100 is selective for M₄ over M₁, M₂, M₃ and M₅ receptors, as well as a panel of 68 additional GPCRs, ion channels, transporters, and enzymes, but does not 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 M₄ 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 M₄ muscarinic acetylcholine receptor function inhibits behavioral and neurochemical effects of cocaine. *Psychopharmacology* **224**(2), 277-287 (2012).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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