

Product Data Sheet

Product Name: VU0152100

Cat. No.: GC16819

Chemical Properties

Cas. No. 409351-28-6

Chemical Name 3-amino-N-[(4-methoxyphenyl)methyl]-4,6-dimethylthieno[2,3-b]pyridine-2-carboxamide

SMILES CC1=CC(=NC2=C1C(=C(S2)C(=O)NCC3=CC=C(C=C3)OC)N)C

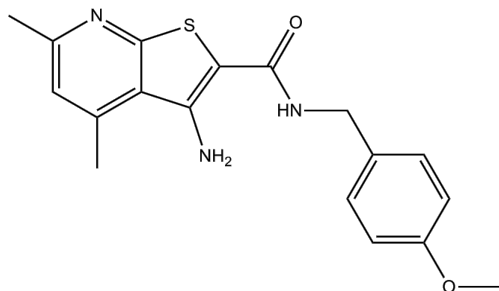
Formula $C_{18}H_{19}N_3O_2S$ M.Wt 341.43

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, DMSO: PBS (pH 7.2) (1:6): 0.14 mg/ml
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

VU0152100 is a selective and positive allosteric modulators of M4 receptor with the EC₅₀ value of 380±93nM[1].

VU0152100 has shown a potent positive allosteric modulators that increase the response of the M4 receptor to the endogenous agonist ACh in in vitro study. In addition, VU0152100 has been reported to dose-dependently potentiate the response to the agonist (ACh) with the EC₅₀ value of 1.9±0.2μM, and increase the maximal response to ACh to approximately 130%. Furthermore, VU0152100 has

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been revealed to enhance receptor activation by increasing the affinity of M4 for acetylcholine. Apart from these, VU0152100 has been found to induce a 20 to 25-fold leftward shift in the potency of ACh to displace [3H]NMS binding to M4 receptor with the K_i value from $252 \pm 19.7 \text{ nM}$ to $12.2 \pm 0.49 \text{ nM}$ [1].

References:

[1] Brady AE1, Jones CK, Bridges TM, Kennedy JP, Thompson AD, Heiman JU, Breininger ML, Gentry PR, Yin H, Jadhav SB, Shirey JK, Conn PJ, Lindsley CW. Centrally active allosteric potentiators of the M4 muscarinic acetylcholine receptor reverse amphetamine-induced hyperlocomotor activity in rats. *J Pharmacol Exp Ther.* 2008 Dec;327(3):941-53.

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