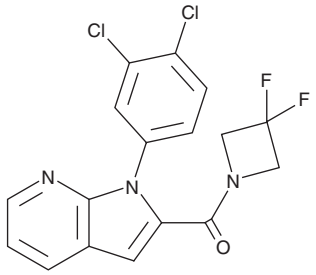
PRODUCT INFORMATION

PDE4B Inhibitor

Item No. 32732

CAS Registry No.: 2410550-31-9
Formal Name: [1-(3,4-dichlorophenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl](3,3-difluoro-1-azetidinyl)-methanone
Synonym: KVA-D-88
MF: $C_{17}H_{11}Cl_2F_2N_3O$
FW: 382.2
Purity: ≥98%
UV/Vis.: λ_{max}: 298 nm
Supplied as: A crystalline 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

PDE4B inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the PDE4B inhibitor in the solvent of choice, which should be purged with an inert gas. PDE4B inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PDE4B inhibitor in these solvents is approximately 30 mg/ml.

PDE4B inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PDE4B inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PDE4B inhibitor has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PDE4B inhibitor is an inhibitor of phosphodiesterase 4B (PDE4B; IC_{50} = 140 nM).[1,2] It is selective for PDE4B over a panel of 20 PDE isoforms and a panel of 39 CNS receptors at 10 µM but does inhibit the activity of PDE4C1, PDE3B, the serotonin (5-HT) receptor subtype 5-HT_{2C}, and sigma-2 (σ_2) receptors by greater than 50%.[1] PDE4B inhibitor (1 µM) decreases LPS- or Pam_3Cys-induced production of TNF-α in mouse bone marrow-derived macrophages (BMDMs). It reduces cocaine self-administration and the progressive ratio breakpoint in mice when administered at a dose of 5 mg/kg.[2]

References

1. Vadukoot, A.K., Sharma, S., Aretz, C.D., *et al.* Synthesis and SAR studies of 1*H*-pyrrolo[2,3-*b*]pyridine-2-carboxamides as phosphodiesterase 4B (PDE4B) inhibitors. *ACS Med. Chem. Lett.* **11(10)**, 1848-1854 (2020).
2. Burkovetskaya, M.E., Liu, Q., Vadukoot, A.K., *et al.* KVA-D-88, a novel preferable phosphodiesterase 4B inhibitor, decreases cocaine-mediated reward properties *in vivo*. *ACS Chem. Neurosci.* **11(15)**, 2231-2242 (2020).

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