PRODUCT INFORMATION



GSK356278

Item No. 30412

CAS Registry No.: 720704-34-7

Formal Name: 5-[5-[(2,4-dimethyl-5-thiazolyl)

> methyl]-1,3,4-oxadiazol-2-yl]-1-ethyl-N-(tetrahydro-2H-pyran-4-yl)-1Hpyrazolo[3,4-b]pyridin-4-amine

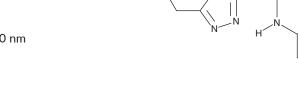
MF: $C_{21}H_{25}N_7O_2S$

FW: 439.5 **Purity:**

UV/Vis.: λ_{max} : 247, 255, 310 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

GSK356278 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK356278 in the solvent of choice, which should be purged with an inert gas. GSK356278 is soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml.

Description

GSK356278 is a potent, selective, and orally bioavailable phosphodiesterase 4 (PDE4) inhibitor with anxiolytic and cognition-improving effects. It is greater than 100-fold selective for PDE4A, -B, and -D (IC₅₀s = 2.51, 1.58, and 2 nM for PDE4A, PDE4B, and PDE4D, respectively) over 10 other members of the PDE family, as well as over a panel of 154 diverse receptors, ion channels, and enzymes. It inhibits LPS-induced release of TNF- α in isolated human whole blood (IC $_{50}$ = 23.1 nM) and reduces LPS-induced neutrophilia in rats (ED₅₀ = 0.09 mg/kg). GSK356278 (0.01-1 mg/kg) induces anxiolytic behavior in marmosets, and improves performance of non-human primates in an object retrieval test when administered at a dose of 1 mg/kg.

Reference

1. Rutter, A.R., Poffe, A., Cavallini, P., et al. GSK356278, a potent, selective, brain-penetrant phosphodiesterase 4 inhibitor that demonstrates anxiolytic and cognition-enhancing effects without inducing side effects in preclinical species. J. Pharmacol. Exp. Ther. 350(1), 153-163 (2014).

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

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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