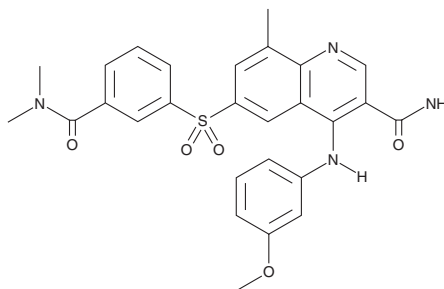


PRODUCT INFORMATION



GSK256066
Item No. 28012

CAS Registry No.: 801312-28-7
Formal Name: 6-[[3-[(dimethylamino)carbonyl]phenyl]sulfonyl]-4-[(3-methoxyphenyl)amino]-8-methyl-3-quinolinecarboxamide
MF: C₂₇H₂₆N₄O₅S
FW: 518.6
Purity: ≥98%
UV/Vis.: λ_{max}: 251, 359 nm
Supplied as: A 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

GSK256066 is supplied as a solid. A stock solution may be made by dissolving the GSK256066 in the solvent of choice, which should be purged with an inert gas. GSK256066 is soluble in the organic solvent DMSO.

Description

GSK256066 is a potent phosphodiesterase 4 (PDE4) inhibitor (IC₅₀s = 4.9, 3.2, 3.8, and 1.1 pM for PDE4A, PDE4B, PDE4C, and PDE4D, respectively).¹ It is greater than 380,000-fold selective for PDE4 over PDE1-3, -5, and -6 and greater than 2,500-fold selective over PDE7. GSK256066 inhibits LPS-induced TNF-α production in human peripheral blood monocytes (IC₅₀ = 0.01 nM) and human whole blood (IC₅₀ = 126 pM). Intratracheal administration of GSK256066 inhibits LPS-induced pulmonary neutrophilia in rats (ED₅₀ = 1.1 μg/kg). It inhibits ovalbumin-induced pulmonary eosinophilia in rats (ED₅₀ = 0.4 μg/kg) and LPS-induced pulmonary neutrophilia in ferrets (ED₅₀ = 18 μg/kg).²

References

1. Tralau-Stewart, C.J., Williamson, R.A., Nials, A.T., et al. GSK256066, an exceptionally high-affinity and selective inhibitor of phosphodiesterase 4 suitable for administration by inhalation: In vitro, kinetic, and in vivo characterization. *J. Pharmacol. Exp. Ther.* **337**(1), 145-154 (2011).
2. Nials, A.T., Tralau-Stewart, C.J., Gascoigne, M.H., et al. In vivo characterization of GSK256066, a high-affinity inhaled phosphodiesterase 4 inhibitor. *J. Pharmacol. Exp. Ther.* **337**(1), 137-144 (2011).

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

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM