Product Data Sheet

Chemical Properties

Product Name: GSK256066
Cas No.: 801312-28-7
M.Wt: 518.58
Formula: C27H26N4O5S

Chemical Name: 6-[3-(dimethylcarbamoyl)phenyl]sulfonyl-4-(3-methoxyanilino)-8-methylquinoline-3-carboxamide

Canonical SMILES: CC1=C2C(=CC(=C1)S(=O)(=O)C3=CC(=C3)C(=O)N(C)C)C(=C(C=N2)C(=O)N)NC4=CC(=CC=C4)OC

Solubility: <1.04 mg/mL in DMSO, <2.09 mg/mL in EtOH, <2.29 mg/mL in H2O

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.

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

Biological Activity

Targets: Metabolism
Pathways: PDE

Description:
Apparent IC50 3.2 pM, steady state IC50 < 0.5 pM
GSK256066 is an exceptionally high-affinity and selective inhibitor of PDE4 designed for inhaled delivery.
Oral phosphodiesterase (PDE) 4 inhibitors have demonstrated clinical efficacy in chronic obstructive pulmonary disease and asthma.
In vitro: GSK256066 is a slow- and tight-binding inhibitor of PDE4B, more potent than any
previously documented compound, for example roflumilast, tofimilast and cilomilast [1].

**In vivo:** When administered by the intratracheal route to rats, GSK256066 inhibited LPS-induced pulmonary neutrophilia with ED50s of 1.1 μg/kg (aqueous suspension) and 2.9 μg/kg (dry powder formulation) and was more potent than an aqueous suspension of the corticosteroid fluticasone propionate (ED50 9.3 μg/kg) [1].

Clinical trial: Administration of inhaled GSK256066 was well-tolerated in patients with moderate COPD. Further studies would be required to confirm the favorable safety profile and to demonstrate clinical efficacy of this compound [2].

**Reference:**


**Protocol**

**Cell experiment:**

**Cell lines** Human peripheral blood mononuclear cells, PBMCs

**Preparation method** Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Reacting conditions**

**Applications**

GSK256066 effectively inhibited tumor necrosis factor α (TNFα) production by LPS-stimulated PBMCs with IC50 value of 0.01 nM and by LPS-stimulated whole blood with IC50 value of 126 pM. GSK256066 was more potent in both PBMCs and whole blood than other comparator compounds tested.

**Reference:**

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.