Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GSK 256066 Trifluoroacetate

Catalog No: tcsc1182

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1415560-64-3

Formula:
$C_{29}H_{27}F_3N_4O_7S$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphodiesterase (PDE)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
GSK256066 (2,2,2-trifluoroacetic acid)

Observed Molecular Weight:
632.61

Product Description

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GSK256066 is a selective PDE4B(equal affinity to isoforms A-D) inhibitor with IC50 of 3.2 pM, >380,000-fold selectivity versus PDE1/2/3/5/6 and >2500-fold selectivity against PDE4B versus PDE7.

IC50 value: 3.2 pM [1]

Target: PDE4B

in vitro: GSK256066 is a slow and tight binding inhibitor of PDE4B with apparent IC50 of 3.2 pM. GSK256066 is an extremely potent inhibitor of LPS-stimulated TNFα production in PBMCs with pIC50 of 11.0 and IC50 of 10 pM and human whole-blood cultures with pIC50 of 9.90 and IC50 of 126 pM. GSK256066 is highly selective for PDE4 (>3.8 × 105-fold versus PDE1, PDE2, PDE3, PDE5, and PDE6 and >2.5 × 103-fold against PDE7). GSK256066 inhibits PDE4 isoforms A-D with equal affinity [1].

in vivo: GSK256066 inhibits the LPS-induced pulmonary neutrophilia with an ED50 of 1.1 μg/kg, achieving maximal inhibition of 72% at 30 μg/kg when given in the aqueous suspension. GSK256066 inhibits the LPS-induced pulmonary neutrophilia with ED50 of 2.9 μg/kg, achieving maximal inhibition of 62% when given in the dry powder formulation. GSK256066 shows a moderate plasma clearance of 39 ml/min/kg, a moderate volume of distribution of 0.8 L/kg, and a relatively short half-life of 1.1 hour in the male CD rat [1]. GSK256066 sustains at a high lung concentration of 2.6 μg/g after intra-tracheal administration as an aqueous suspension at a dose of 30 μg/kg in rats [2]. GSK256066 (10 μg/kg) is administered intratracheally at different times (2, 6, 12, 18, 24, and 36 hours) before LPS administration, inhibiting LPS-Induced Pulmonary Neutrophilia in rat lipopolysaccharide (LPS)-induced models of acute pulmonary inflammation. GSK256066 (0.3–100 μg/kg) inhibits LPS-induced increases in exhaled nitric oxide with ED50 of 35 μg/kg in rat. GSK256066 (10 μg/kg) is administered half a hour before OVA administration in rat, inhibiting OVA-induced pulmonary eosinophilia with ED50 of 0.4 μg/kg. GSK256066 administered intratracheally as a dry powder blended in respiratory-grade lactose at doses of 3 to 100 μg/kg 2 hours before inhaled LPS challenge in ferrets, inhibiting LPS-induced pulmonary neutrophilia with ED50 of 18 μg/kg without inducing emetic episodes [3].