

Product Data Sheet

Product Name: GSK 256066 Trifluoroacetate

Cat. No.: GC36187

Chemical Properties

Cas. No. 1415560-64-3

SMILES OC(C(F)(F)F)=O.O=C(N)C1=C(C2=CC(S(=O)(=O)C3=CC=CC(C(N(C)C)=O)=C3)=O)=CC(C)=C2N=C1)NC4=CC=CC(OC)=C4

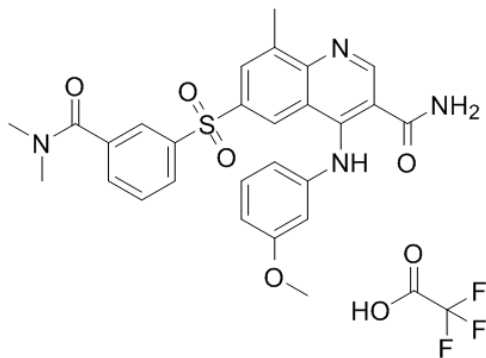
Formula $C_{29}H_{27}F_3N_4O_7S$ M.Wt 632.61

Solubility DMSO: 50 mg/mL (79.04 mM) 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.

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

Structure



Background

GSK 256066 Trifluoroacetate is a selective and high-affinity phosphodiesterase 4 (PDE) inhibitor, with an IC₅₀ of 3.2 pM for PDE4B; developed for the treatment of chronic obstructive pulmonary disease[1]. IC₅₀: 3.2 pM (PDE4B)[1]

GSK 256066 Trifluoroacetate is an exceptionally high-affinity inhibitor of PDE4 designed for inhaled

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

administration[1]. GSK 256066 Trifluoroacetate is highly selective for PDE4, with >380,000-fold versus PDE1/2/3/5/6 and >2500-fold against PDE7, and inhibits PDE4 isoforms A-D with equal affinity[1]. GSK 256066 Trifluoroacetate inhibits tumor necrosis factor α production by lipopolysaccharide (LPS)-stimulated human peripheral blood monocytes with IC₅₀ of 0.01 nM[1].

GSK 256066 Trifluoroacetate (0.3-100 μ g/kg; intratracheally) inhibits the eosinophil number increased in the bronchoalveolar lavage (BAL) in a dose-dependent fashion, in lipopolysaccharide (LPS)- and ovalbumin (OVA)-induced acute pulmonary inflammation rat models.[2]. GSK 256066 Trifluoroacetate inhibits LPS-induced pulmonary neutrophilia, and no emetic episodes are observed in ferrets[2]. Animal Model: Male Brown Norway rats(180-200 g)[2]

[1]. Tralau-Stewart CJ, 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, 2011, 337(1), 145-154. [2]. Nials AT, et al. In vivo characterization of GSK256066, a high-affinity inhaled phosphodiesterase 4 inhibitor. J Pharmacol Exp Ther, 2011, 337(1), 137-144.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA