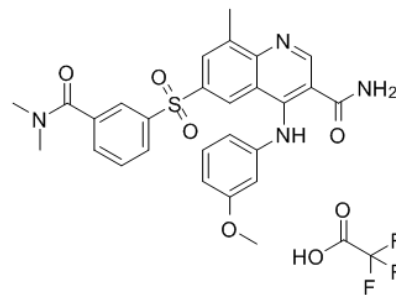


Product Name : GSK-256066 2,2,2-trifluoroacetic acid
Cat. No. : PC-45952
CAS No. : 1415560-64-3
Molecular Formula : C₂₉H₂₇F₃N₄O₇S
Molecular Weight : 632.6075
Target : Phosphodiesterase (PDE)
Solubility : 10 mM in DMSO



Biological Activity

A potent, selective PDE4 inhibitor with pIC₅₀ of 11.5, 11.3, 11.4, and 11.9 for PDE4B, A, C, and D, respectively; displays >380,000-fold selectivity over PDE1/2/3/5/6/7; inhibits TNF α production by LPS-stimulated human peripheral blood monocytes with IC₅₀ of 0.01 nM; inhibites LPS-induced pulmonary neutrophilia with ED₅₀ of 1.1 ug/kg (aqueous suspension) and 2.9 ug/kg (dry powder formulation) in rats.

COPD

Phase 2 Discontinued

References

Woodrow MD, et al. Bioorg Med Chem Lett. 2009 Sep 1;19(17):5261-5.

Tralau-Stewart CJ, et al. J Pharmacol Exp Ther. 2011 Apr;337(1):145-54.

Nials AT, et al. J Pharmacol Exp Ther. 2011 Apr;337(1):137-44.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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