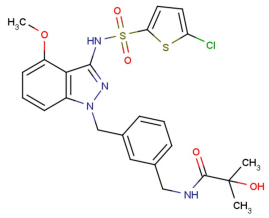
Data Sheet (Cat.No.T11481)

TargetMol
A DRUG SCREENING EXPERT

GSK2239633A

Chemical Properties



CAS No.:	1240516-71-5
Formula:	C24H25ClN4O5S2
Molecular Weight:	549.06
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	GSK2239633A is an antagonist of CC-chemokine receptor 4 (CCR4). GSK2239633A inhibits the binding of [125I]-TARC to human CCR4 (pIC50: 7.96 ± 0.11).
Targets(IC_{50})	[125I]-TARC-CCR4: 7.96(pIC50)
In vitro	GSK2239633A also inhibits thymus- and activation-regulated chemokine-induced (TARC)-induced increases in the F-actin content of isolated human CD4+ CCR4+ T-cells (pA2: 7.11±0.29) [1]. The effect of GSK2239633A (Compound 3) on CCL17-induced increases in the F-actin content of human CD4+ CCR4+ T cells is measured. The pEC50 value is 8.79±0.22 [2].
In vivo	Following oral dosing, blood levels of GSK2239633A reach Cmax rapidly (median Tmax: 1.0-1.5 hours). Following intravenous dosing, plasma GSK2239633A displays rapid, bi-phasic distribution and slow terminal elimination (t1/2: 13.5 hours), suggesting that GSK2239633A is a low to moderate clearance drug. GSK2239633A bioavailability is low with a maximum value determined of only 16% [1]. GSK2239633A (Compound 9) demonstrates good pharmacokinetic data in preclinical animal studies (bioavailability in rats and beagle dogs 85% and 97% respectively) [3].

Solubility Information

Solubility	DMSO: 250 mg/mL (455.32 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.821 mL	9.106 mL	18.213 mL
5 mM	0.364 mL	1.821 mL	3.643 mL
10 mM	0.182 mL	0.911 mL	1.821 mL
50 mM	0.036 mL	0.182 mL	0.364 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Cahn A, et al. Safety, tolerability, pharmacokinetics and pharmacodynamics of GSK2239633, a CC-chemokine receptor 4 antagonist, in healthy male subjects: results from an open-label and from a randomised study. BMC Pharmacol Toxicol. 2013 Feb 28;14:14.
2. Slack RJ, et al. Antagonism of human CC-chemokine receptor 4 can be achieved through three distinct binding sites on the receptor. Pharmacol Res Perspect. 2013 Dec;1(2):e00019.
3. Miah AH, et al. Identification of pyrazolopyrimidine arylsulfonamides as CC-chemokine receptor 4 (CCR4) antagonists. Bioorg Med Chem. 2017 Oct 15;25(20):5327-5340.

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