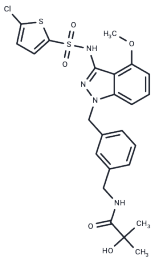
Data Sheet (Cat.No.T11481)

TargetMol

GSK2239633A

Chemical Properties



CAS No. : 1240516-71-5

Formula: C24H25ClN4O5S2

Molecular Weight: 549.06

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK2239633A is an allosteric antagonist of CC-chemokine receptor 4 (CCR4) with a pIC50 of 7.96 for the binding of [125I]-TARC to human CCR4.
Targets(IC50)	CCR
In vitro	GSK2239633A increases the CCL17-induced F-actin content of human CD4+ CCR4+ T cells with a pEC50 value of 8.79±0.22[1]. GSK2239633A inhibits thymus- and activation-regulated chemokine-induced (TARC)-induced increases in the F-actin content of isolated human CD4+ CCR4+ T-cells with a pA2 of 7.11±0.29[3].
In vivo	GSK2239633A demonstrates good pharmacokinetic data in preclinical animal studies with bioavailability in rats and beagle dogs of 85% and 97% respectively[2]. Plasma GSK2239633A (i.v.) displays rapid, bi-phasic distribution and slow terminal elimination (13.5 h), suggesting that GSK2239633A is a low to moderate clearance drug. Blood levels of GSK2239633A(oral) reach Cmax rapidly (median tmax: 1.0-1.5 hours)[3].

Solubility Information

Solubility	DMSO: 150 mg/mL (273.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (18.21 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (18.21 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8213 mL	9.1065 mL	18.2129 mL
5 mM	0.3643 mL	1.8213 mL	3.6426 mL
10 mM	0.1821 mL	0.9106 mL	1.8213 mL
50 mM	0.0364 mL	0.1821 mL	0.3643 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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.
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.

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