

GSK2239633A

Catalog No: tcsc0018178



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

1240516-71-5

Formula:

$C_{24}H_{25}ClN_4O_5S_2$

Pathway:

Immunology/Inflammation;GPCR/G Protein

Target:

CCR;CCR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

549.06

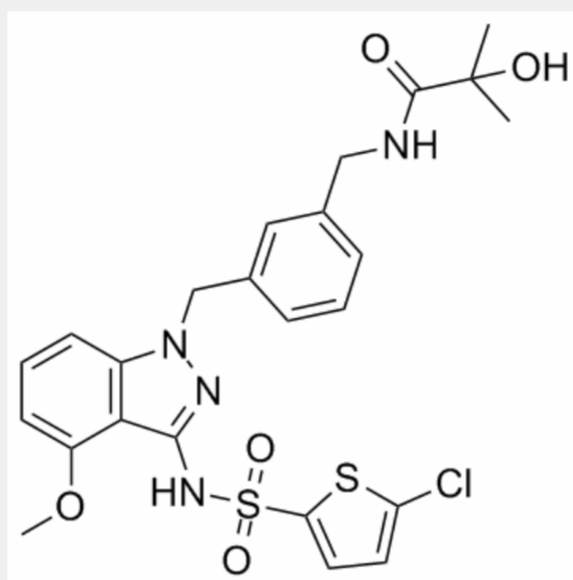
Product Description

GSK2239633A is a CC-chemokine receptor 4 (**CCR4**) antagonist, which inhibits the binding of [¹²⁵I]-TARC to human CCR4 with a **IC₅₀** of 7.96 ± 0.11.

IC50 & Target: CCR4^[1]

In Vitro: The GSK2239633A is an allosteric antagonist of human CCR4. GSK2239633A inhibits the binding of [¹²⁵I]-TARC to human CCR4 with a pIC₅₀ of 7.96±0.11 and also 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^[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 intravenous dosing, plasma GSK2239633A displays rapid, bi-phasic distribution and slow terminal elimination (t_{1/2}: 13.5 hours), suggesting that GSK2239633A is a low to moderate clearance drug. Following oral dosing, blood levels of GSK2239633A reach C_{max} rapidly (median t_{max}: 1.0-1.5 hours). Estimated 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].



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