Web: www.taiclone.com
Tel: +886-2-2735-9682
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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 [^{125}I]-TARC to human CCR4 with a **pIC $_{50}$** of 7.96 ± 0.11.

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IC50 & Target: CCR4[1]

In Vitro: The GSK2239633A is an allosteric antagonist of human CCR4. GSK2239633A inhibits the binding of [^{125}I]-TARC to human CCR4 with a pIC_{50} 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 pA_2 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 pEC_{50} 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].