

# 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

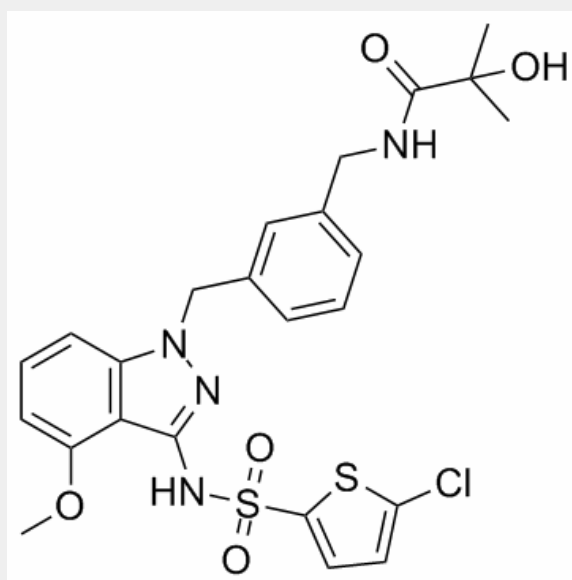
## Product Description

GSK2239633A is a CC-chemokine receptor 4 (**CCR4**) antagonist, which inhibits the binding of [<sup>125</sup>I]-TARC to human CCR4 with a **pIC<sub>50</sub>** of 7.96 ± 0.11.

IC50 & Target: CCR4<sup>[1]</sup>

**In Vitro:** The GSK2239633A is an allosteric antagonist of human CCR4. GSK2239633A inhibits the binding of [<sup>125</sup>I]-TARC to human CCR4 with a pIC<sub>50</sub> 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<sup>+</sup> CCR4<sup>+</sup> T-cells with a pA<sub>2</sub> of 7.11±0.29<sup>[1]</sup>. The effect of GSK2239633A (Compound 3) on CCL17-induced increases in the F-actin content of human CD4<sup>+</sup> CCR4<sup>+</sup> T cells is measured. The pEC<sub>50</sub> value is 8.79±0.22<sup>[2]</sup>.

**In Vivo:** Following intravenous dosing, plasma GSK2239633A displays rapid, bi-phasic distribution and slow terminal elimination (t<sub>1/2</sub>: 13.5 hours), suggesting that GSK2239633A is a low to moderate clearance drug. Following oral dosing, blood levels of GSK2239633A reach C<sub>max</sub> rapidly (median t<sub>max</sub>: 1.0-1.5 hours). Estimated GSK2239633A bioavailability is low with a maximum value determined of only 16%<sup>[1]</sup>. GSK2239633A (Compound 9) demonstrates good pharmacokinetic data in preclinical animal studies (bioavailability in rats and beagle dogs 85% and 97% respectively)<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!