Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Apremilast

Catalog No: tcsc0671

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
608141-41-9

Formula:
$C_{22}H_{24}N_2O_7S$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphodiesterase (PDE)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (108.58 mM); H2O :

Alternative Names:
CC-10004

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Observed Molecular Weight:
460.5

Product Description

Apremilast is a novel phosphodiesterase 4 (**PDE4**) inhibitor, regulates inflammation through multiple cAMP downstream effectors.

Apremilast inhibits PDE4 with an **IC_{50}** of 74 nM using 1 μM cAMP as substrate.

IC50 & Target: IC50: 74 nM (PDE4)[1]

In Vitro: Apremilast inhibits TNF-α release by lipopolysaccharide (LPS) with an IC_{50} of 104 nM (pIC_{50}=6.98±0.2), which almost exactly replicates previous reported TNF-α inhibition by Apremilast on peripheral blood mononuclear cells (PBMCs) (IC_{50}=110 nM) and which is similar to the potency of Apremilast for PDE4 enzymatic inhibition (IC_{50}=74 nM). These results are clearly consistent with the hypothesis that Apremilast inhibits TNF-α by increasing intracellular cAMP levels. PKA, Epac1 and Epac2 knockdowns prevented TNF-α inhibition and IL-10 stimulation by Apremilast[1].

In Vivo: Apremilast, orally administered (5 mg/kg), significantly inhibits TNF-α production in the air pouch by 39 % (61±6 % of vehicle, P [1]. Apremilast is a novel, oral PDE4 inhibitor that has been shown to regulate inflammatory mediators. After oral administration of Apremilast, a mean maximum plasma concentration (C_{max}) is found to be 67.00±14.87 ng/mL. The plasma concentration of Apremilast decreases rapidly and is eliminated from plasma with a terminal half-life of 0.92±0.46 h[2]