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

AM966

Catalog No: tcsc1013

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1228690-19-4

Formula:
$C_{27}H_{23}ClN_2O_5$

Pathway:
GPCR/G Protein

Target:
LPL Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 105 mg/mL (213.88 mM)

Observed Molecular Weight:
490.93

Product Description

AM966 is a high affinity, selective, oral **LPA_1**-antagonist, inhibits LPA-stimulated intracellular calcium release (**IC_{50}**=17 nM).

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IC50 & Target: **LPA_1**[1]

In Vitro: AM966 is a potent, selective, orally bioavailable LPA_1 receptor antagonist. AM966 inhibits LPA_1-mediated chemotaxis of human A2058 melanoma cells (IC_{50}=138±43 nM), IMR-90 human lung fibroblasts (IC_{50}=182±86 nM) and CHO mLPA_1 cells (IC_{50} =469±54 nM)[1]. LPA-induced ERK1/2 activation is completely blocked by AM966 (100 nM), which selectively antagonizes LPA_1 over LPA_{2-5}, with an IC_{50} value of 3.8±0.4 nM. Pre-treatment with AM966 (100 nM) completely blocks ERK1/2 phosphorylation induced by either amitriptyline or mianserin[2].

In Vivo: AM966 (30 mg/kg, BID) reduces vascular leakage, inflammation and lung injury and inflammation in a 3 day bleomycin model. AM966 inhibits lung fibrosis, maintains mouse body weight and decreases lung inflammation 14 days after bleomycin lung injury. AM966 reduces vascular leakage, tissue injury and pro-fibrotic cytokine production in the 14 day bleomycin study. AM966 demonstrates greater efficacy compared to pirfenidone in the 14 day bleomycin model. AM966 decreases mortality and fibrosis at late time points after bleomycin injury[1].