

# 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 :  $\geq 105$  mg/mL (213.88 mM)

**Observed Molecular Weight:**

490.93

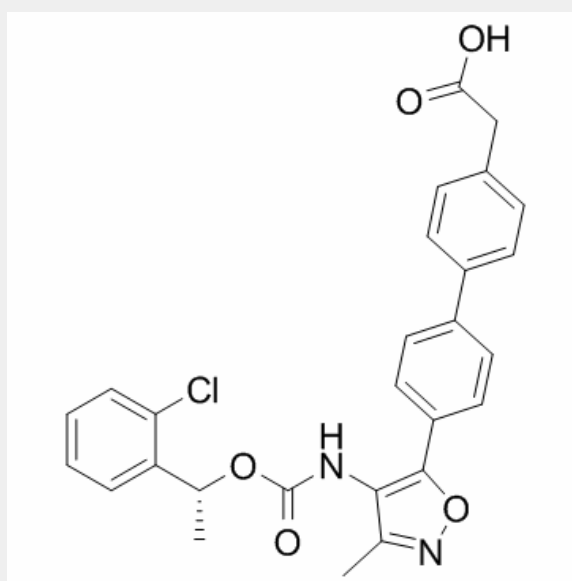
## Product Description

AM966 is a high affinity, selective, oral **LPA<sub>1</sub>**-antagonist, inhibits LPA-stimulated intracellular calcium release (**IC<sub>50</sub>**=17 nM).

IC<sub>50</sub> & Target: **LPA<sub>1</sub>**<sup>[1]</sup>

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

**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<sup>[1]</sup>.



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