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

AM095

Catalog No: tcsc1118

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
1345614-59-6

Formula:
$C_{27}H_{23}N_2NaO_5$

Pathway:
GPCR/G Protein

Target:
LPL Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 170 mg/mL (355.30 mM)

Observed Molecular Weight:
478.47

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Product Description

AM095 is a selective **LPA_1** receptor antagonist. The **IC_{50}** for AM095 antagonism of LPA-induced calcium flux of human or mouse LPA_1 -transfected CHO cells is 0.025 and 0.023 μM, respectively.

IC50 & Target: LPA_1 receptor[1]

In Vitro: AM095 is a potent LPA_1 receptor antagonist because it inhibits GTPγS binding to Chinese hamster ovary (CHO) cell membranes overexpressing recombinant human or mouse LPA_1 with IC_{50} values of 0.98 and 0.73 μM, respectively. AM095 inhibits LPA-driven chemotaxis of CHO cells overexpressing mouse LPA_1 (IC_{50}=778 nM) and human A2058 melanoma cells (IC_{50}=233 nM). The IC_{50} of AM095 in the human LPA_1 GTPγS binding assay is comparable with that of our previously published compound AM966 (IC_{50}=0.98±0.17 μM) and the Debio-0719 compound (IC_{50}=0.60±0.04 μM)[1]. AM095 inhibits the LPA-induced calcium flux of CHO cells stably transfected with human or mouse LPA_1. The IC_{50} for AM095 antagonism of LPA-induced calcium flux of human or mouse LPA_1-transfected CHO cells is 0.025 and 0.023 μM, respectively[2].

In Vivo: AM095 has high oral bioavailability and a moderate half-life and is well tolerated at the doses tested in rats and dogs after oral and intravenous dosing. After oral (10 mg/kg) dosing in rats, AM095 plasma concentrations peaked at 2 h with a C_{max} of 41 μM, thereafter decreasing to 10 nM by 24 h. After intravenous (2 mg/kg) dosing, a C_{max} of 12 μM is observed within 15 min, which also decreased to approximately 10 nM by 24 h, yielding a $t_{1/2}$ of 1.79 h. In dogs, a single oral dose of 5 mg/kg yielded a peak plasma concentration of 21 μM within 15 min of dosing, which then decreased to 10 nM by 24 h. In contrast, an intravenous dose of 2 mg/kg resulted in a C_{max} of 11 μM within 15 min and decreased to 15 nM by 8 h, yielding a $t_{1/2}$ of 1.5 h[1].