

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

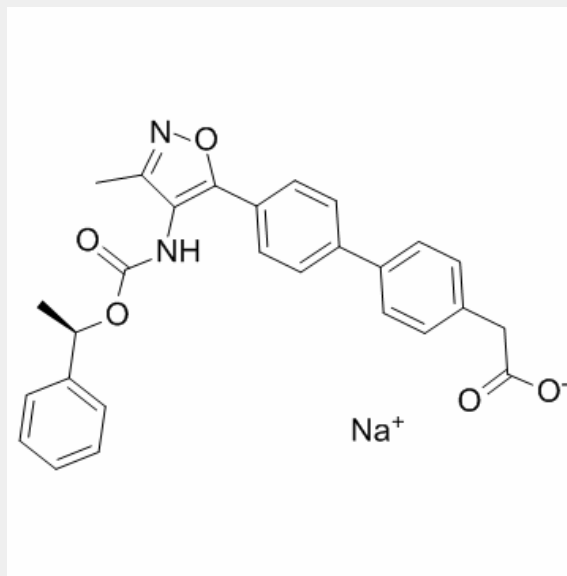
Product Description

AM095 is a selective **LPA₁** receptor antagonist. The **IC₅₀** for AM095 antagonism of LPA-induced calcium flux of human or mouse LPA₁-transfected CHO cells is 0.025 and 0.023 μM , respectively.

IC₅₀ & Target: LPA₁ receptor^[1]

In Vitro: AM095 is a potent LPA₁ receptor antagonist because it inhibits GTP γ S binding to Chinese hamster ovary (CHO) cell membranes overexpressing recombinant human or mouse LPA₁ with IC₅₀ values of 0.98 and 0.73 μM , respectively. AM095 inhibits LPA-driven chemotaxis of CHO cells overexpressing mouse LPA₁ (IC₅₀=778 nM) and human A2058 melanoma cells (IC₅₀=233 nM). The IC₅₀ of AM095 in the human LPA₁ GTP γ S binding assay is comparable with that of our previously published compound AM966 (IC₅₀=0.98 \pm 0.17 μM) and the Debio-0719 compound (IC₅₀=0.60 \pm 0.04 μM)^[1]. AM095 inhibits the LPA-induced calcium flux of CHO cells stably transfected with human or mouse LPA₁. The IC₅₀ for AM095 antagonism of LPA-induced calcium flux of human or mouse LPA₁-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].



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