PRODUCT INFORMATION



AM095

Item No. 22141

CAS Registry No.: 1228690-36-5

Formal Name: 4'-[3-methyl-4-[[(1R)-1-phenylethoxy]

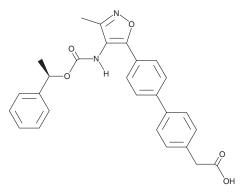
carbonyl]amino]-5-isoxazolyl]-[1,1'-

biphenyl]-4-acetic acid

MF: $C_{27}H_{24}N_2O_5$ FW: 456.5 ≥95% **Purity:** UV/Vis.: λ_{max} : 297 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

AM095 is supplied as a crystalline solid. A stock solution may be made by dissolving the AM095 in the solvent of choice, which should be purged with an inert gas. AM095 is soluble in the organic solvent DMSO.

Description

AM095 is an orally bioavailable antagonist of lysophosphatidic acid receptor 1 (LPA₁) with IC₅₀ values of 25 and 23 nM in CHO cells expressing human or mouse receptors, respectively. It is selective for LPA over LPA $_2$, LPA $_3$, LPA $_4$, and LPA $_5$ (IC $_{50}$ s = >10,000 nM for human LPA $_{2-5}$). It inhibits chemotaxis of CHO cells overexpressing LPA $_1$ and of A2058 human melanoma cells (IC $_{50}$ s = 778 and 233 nM, respectively) and prevents LPA-induced increases in plasma histamine levels in mice (ED₅₀ = 8.3 mg/kg).² It also decreases collagen and protein levels in bronchoalveolar lavage fluid (BALF) in a mouse model of bleomycin-induced lung injury (ED₅₀s = ~10 mg/kg for both) and decreases kidney fibrosis in a mouse model of unilateral ureteral obstruction when administered at a dose of 30 mg/kg for eight days.

References

- 1. Castelino, F.V., Seiders, J., Bain, G., et al. Genetic deletion or pharmacologic antagonism of LPA₁ ameliorates dermal fibrosis in a scleroderma mouse model. Arthritis Rheum. 63(5), 1405-1415 (2011).
- 2. Swaney, J.S., Chapman, C., Correa, L.D., et al. Pharmacokinetic and pharmacodynamic characterization of an oral lysophosphatidic acid type 1 receptor-selective antagonist. J. Pharmacol. Exp. Ther. 336(3), 693-700 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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