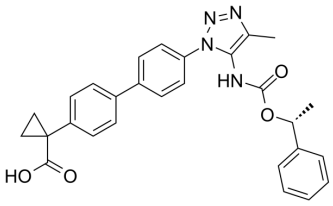
LPA1 receptor antagonist 1

Cat. No.:	HY-18076
CAS No.:	1396006-71-5
Molecular Formula:	$C_{28}H_{26}N_4O_4$
Molecular Weight:	482.53
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LPA1 receptor antagonist 1 is a highly selective Lysophosphatidic Acid receptor-1 (LPA1) antagonist with an IC_{50} of 25 nM.
IC_{50} & Target	IC50: 25 nM (LPA1)[1].
In Vitro	LPA1 receptor antagonist 1 (compound 2) displays very potent and highly selective inhibitory activity toward LPA1, with little inhibition on LPA3 even at very high concentrations. To our knowledge, LPA1 receptor antagonist 1 is the most selective nonlipid LPA1 antagonist so far reported. It appears that compounds (e.g., LPA1 receptor antagonist 1) from the N-aryltriazole chemical class are much more selective for LPA1 than compounds from the corresponding pyrazole series. In comparison with Ki16425 and AM095, LPA1 receptor antagonist 1 shows much improved antiproliferative activity. LPA1 receptor antagonist 1 demonstrates the highest LPA1 selectivity and attenuated LPA-induced NHLF proliferation and contraction with high potency[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral dosing of LPA1 receptor antagonist 1 in mice causes a dose-dependent reduction in serum histamine levels induced following intravenous LPA stimulation. When mice are orally dosed with LPA1 antagonist 1 (100 mg/kg, aqueous suspension) prior to intravenous LPA injection, the LPA-induced histamine level is significantly blocked A clear PK/PD relationship is demonstrated by the correlation between the levels of LPA1 receptor antagonist 1 and LPA-induced histamine concentrations in plasma. Although AM095 almost completely blocks histamine release (100 mg/kg), analysis of plasma samples revealed more than 65-fold higher concentrations of AM095 than LPA1 receptor antagonist 1 (100 mg/kg). The ability of LPA1 receptor antagonist 1 to block histamine release at much lower plasma concentration suggests that further improvement of pharmacokinetic properties of this chemical class could lower the effective dose[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Qian Y, et al. Discovery of highly selective and orally active lysophosphatidic acid receptor-1 antagonists with potent activity on human lung fibroblasts. J Med Chem. 2012 Sep 13;55(17):7920-39.

Caution: Product has not been fully validated for medical applications. For research use only.

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