Proteins

Screening Libraries

Product Data Sheet

TC LPA5 4

Cat. No.: HY-107615 CAS No.: 1393814-38-4 Molecular Formula: $C_{23}H_{23}CIN_2O_3$ Molecular Weight: 410.89

Target: LPL Receptor Pathway: GPCR/G Protein

4°C, protect from light Storage:

* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 62.5 mg/mL (152.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4337 mL	12.1687 mL	24.3374 mL
	5 mM	0.4867 mL	2.4337 mL	4.8675 mL
	10 mM	0.2434 mL	1.2169 mL	2.4337 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.06 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TC LPA5 4 is a LPA5 (GPR92)-specific non-lipid antagonist. TC LPA5 4 inhibits LPA-induced aggregation of isolated human platelet (LPA $_5$ -RH7777 cell line) with an IC $_{50}$ of 800 nM. TC LPA5 4 displays selectivity for LPA $_5$ over 80 other screened agent targets^[1]. TC LPA5 4 inhibits cell proliferation and migration of thyroid cancer cells^[2].

In Vitro

TC LPA5 4 inhibits the proliferation on thyroid cancer cells CGTH-W3, TPC-1, B-CAPAP, and BHT101 significantly with IC $_{50}$ at 103.0 μM, 84.9 μM, 55.9μM, and 57.17 μM. TC LPA5 4 (5 μM; 24 hours) significantly inhibits LPA-stimulated migration of CGTH-W3 and TPC-1 cells, with an inhibitory rate of ~ 30%. B-CPAP and BHT101 cells expressed higher LPAR5 mRNA. TC LPA5 4 inhibits these two cell lines' proliferations with IC $_{50}$ at 55.9 μ M and 57.17 μ M. CGTH-W3 and TPC-1 cells expressed lower LPAR5 mRNA. TC LPA5 4 displays differential antitumor activity with IC₅₀ at 103.0 μM and 84.9 μM^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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In Vivo

TC LPA5 4 (10 mg/kg; intraperitoneal injection; 5 days/week for 2 weeks) could delay CGTH-W3 xenograft growth in nude mice^[2].

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Animal Model:	BALB/C nu/nu mice, aged 4 5 weeks (CGTH-W3 xenografts) ^[2]		
Dosage:	10 mg/kg		
Administration:	Intraperitoneal injection; 5 days/week for 2 weeks		
Result:	Significantly inhibits CGTH-W3 xenograft growth with inhibitory rates of 46.7%.		

REFERENCES

[1]. Kozian DH, et al. Selective non-lipid modulator of LPA5 activity in human platelets. Bioorg Med Chem Lett. 2012;22(16):5239-5243.

[2]. Zhao WJ, et al. LPAR5 promotes thyroid carcinoma cell proliferation and migration by activating class IA PI3K catalytic subunit p110β. Cancer Sci. 2021;112(4):1624-1632.

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

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