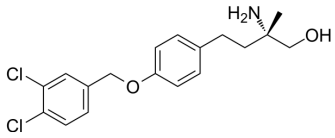
P053

Cat. No.:	HY-126015
CAS No.:	2748196-63-4
Molecular Formula:	$C_{18}H_{21}Cl_2NO_2$
Molecular Weight:	354.27
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (282.27 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8227 mL	14.1135 mL	28.2271 mL
	5 mM	0.5645 mL	2.8227 mL	5.6454 mL
	10 mM	0.2823 mL	1.4114 mL	2.8227 mL

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

BIOLOGICAL ACTIVITY

Description

P053 is a potent, non-competitive and selective ceramide synthase 1 (CerS1) inhibitor wirh an IC_{50} of 0.5 μM. P053 acts as an endogenous inhibitor of mitochondrial fatty acid oxidation in muscle. Whole-body adiposity regulator[1].

IC_{50} & Target

IC50: 0.54±0.06 μM (hCerS1), 0.46±0.08 μM (mCerS1), 28.6±0.15 μM (hCerS2), 18.5±0.12 μM (mCerS2), 17.2±0.09 μM (hCerS4), 7.2±0.10 μM (mCerS5), 11.4±0.17 μM (hCerS6)[1]

In Vitro

P053 is the first isoform-specific ceramide synthase inhibitor. P053 inhibits CerS1 with nanomolar potency. P053 inhibits different human (h) or murine (m) CerS isoforms hCerS1, mCerS1, hCerS2, mCerS2, hCerS4, mCerS5, and hCerS6 with IC_{50}s of 0.54±0.06, 0.46±0.08, 28.6±0.15, 18.5±0.12, 17.2±0.09, 7.2±0.10, and 11.4±0.17 μM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

P053 (5 mg/kg; administered daily by oral gavage; 7 days, in male C57BL6/J mice) reduces C18 ceramide levels in skeletal muscle (SkM) [1].

Daily P053 administration to mice fed a high-fat diet (HFD) increases fatty acid oxidation in skeletal muscle and impedes increases in muscle triglycerides and adiposity, but does not protect against HFD-induced insulin resistance[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL6/J mice[1]
Dosage:	5 mg/kg
Administration:	Oral gavage; daily
Result:	Reduced C18 ceramide levels in SkM by 31%, whereas 1 mg/kg/day had no effect.

REFERENCES

[1]. Turner N, et al. A selective inhibitor of ceramide synthase 1 reveals a novel role in fat metabolism. Nat Commun. 2018 Aug 21;9(1):3165.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA