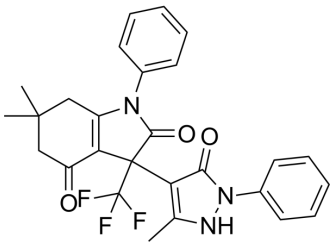
ELOVL6-IN-3

Cat. No.:	HY-139451
CAS No.:	712346-06-0
Molecular Formula:	$C_{27}H_{24}F_3N_3O_3$
Molecular Weight:	495.49
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (100.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0182 mL	10.0910 mL	20.1820 mL
	5 mM	0.4036 mL	2.0182 mL	4.0364 mL
	10 mM	0.2018 mL	1.0091 mL	2.0182 mL

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

BIOLOGICAL ACTIVITY

Description

ELOVL6-IN-1 is a potent, orally active and selective ELOVL6 inhibitor. ELOVL6-IN-1 dose-dependently inhibits mouse ELOVL6 activities, with an IC_{50} value of 0.350 μM. ELOVL6-IN-1 inhibits ELOVL6 in a noncompetitive manner for malonyl-CoA (K_i=994 nM) and palmitoyl-CoA[1].

IC_{50} & Target

IC50: 0.35 μM (ELOVL6), Ki: 994 nM (ELOVL6)[1]

In Vitro

ELOVL6-IN-1 has sufficiently lipophilic having the potential to penetrate the intracellular space in a passive diffusion manner [1].

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

In Vivo

ELOVL6-IN-1 (10 mg/kg; p.o.; 0~2 hours) displays appreciable plasma and liver exposure[1].

?ELOVL6-IN-1 (10 and 30 mg/kg; p.o.; 0~2 hours) reduces the elongation index of the liver lipids[1].

?ELOVL6-IN-1 (100 mg/kg; p.o.; 2 days) reduces the elongation index of the total fatty acids of the liver[1].

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

REFERENCES

[1]. Takahashi T, Nagase T, Sasaki T, et al. Synthesis and evaluation of a novel indoledione class of long chain fatty acid elongase 6 (ELOVL6) inhibitors. J Med Chem. 2009;52(10):3142-3145.

[2]. Zheng Junxia, Wu Zhiwei, Dai Mibei, Xu Zhihui, Li Xiaomei, Zhu Shanshan, Lin Chuyun, Hu Peijian, Zhang Luo, Huang Huarong, Zhao Suqing, Zhang Kun and Sun Pinghua, Quantitative Structure Activity Relationship Studies on a Novel Indolediones as Long Chain Fatty Acid Elongase 6 (ELOVL6) Inhibitors, Letters in Drug Design & Discovery 2011; 8(5) .

[3]. Shimamura K, et al. 5,5-Dimethyl-3-(5-methyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)-1-phenyl-3-(trifluoromethyl)-3,5,6,7-tetrahydro-1H-indole-2,4-dione, a potent inhibitor for mammalian elongase of long-chain fatty acids family 6: examination of its potential utility as a pharmacological tool. J Pharmacol Exp Ther. 2009;330(1):249-256.

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