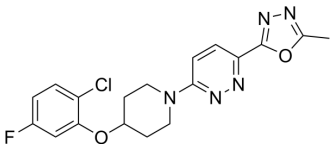
CAY10566

Cat. No.:	HY-15823
CAS No.:	944808-88-2
Molecular Formula:	$C_{18}H_{17}ClFN_5O_2$
Molecular Weight:	390
Target:	Stearoyl-CoA Desaturase (SCD)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (64.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5641 mL	12.8205 mL	25.6410 mL
	5 mM	0.5128 mL	2.5641 mL	5.1282 mL
	10 mM	0.2564 mL	1.2821 mL	2.5641 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: ≥ 2.5 mg/mL (6.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CAY10566 is a potent, orally bioavailable and selective stearoyl-CoA desaturase1 (SCD1) inhibitor with IC_{50}s of 4.5 and 26 nM in mouse and human enzymatic assays, respectively.CAY10566 also shows excellent cellular activity in blocking the conversion of saturated long-chain fatty acid-CoAs (LCFA-CoAs) to monounsaturated LCFA-CoAs in HepG2 cells (IC_{50}=7.9 nM or 6.8 nM)[1][2].

IC_{50} & Target

IC50: 4.5 nM (SCD1 in mouse), 26 nM (SCD1 in human)[2]

In Vitro

CAY10566 (0.0001-10 μM; 24 hours) concentration-dependently decreases Swiss 3T3 cell proliferation[3].

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

Cell Proliferation Assay[3]

Cell Line:	Swiss 3T3 cells
Concentration:	0.0001, 0.001, 0.01, 0.1, 1, 10 μM
Incubation Time:	24 hours
Result:	Swiss 3T3 cell proliferation was concentration-dependently decreased.

In Vivo

After establishment of palpable tumors, the mice are treated with vehicle or SCD1 inhibitor (2.5 mg/kg CAY10566 orally twice daily). The effect of SCD1 inhibition on the Akt-driven tumors is greater than on the Ras-driven tumors, with the mean tumor volume at day 13 or 14 post therapy, relative to untreated tumors, 0.5±0.04 and 0.67±0.05 respectively (P=0.01 for Ras-Akt comparison, by two-tailed t test)[4].

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

CUSTOMER VALIDATION

- Nat Commun. 2021 May 17;12(1):2869.
- Redox Biol. 2021 Jan;38:101807.
- Proc Natl Acad Sci U S A. 2022 Oct 11;119(41):e2203480119.
- J Agric Food Chem. 2020 Oct 28;68(43):12058-12066.
- Toxicol Appl Pharmacol. 2023 Dec 10:116788.

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REFERENCES

[1]. Masuda M, et al. Activating transcription factor 4 regulates stearate-induced vascular calcification. J Lipid Res. 2012 Aug;53(8):1543-52.

[2]. Liu G, et al. Discovery of potent, selective, orally bioavailable stearoyl-CoA desaturase 1 inhibitors. J Med Chem. 2007 Jun 28;50(13):3086-100.

[3]. Koeberle A, et al. Palmitoleate is a mitogen, formed upon stimulation with growth factors, and converted to palmitoleoyl-phosphatidylinositol. J Biol Chem. 2012 Aug 3;287(32):27244-54.

[4]. Kamphorst JJ, et al. Hypoxic and Ras-transformed cells support growth by scavenging unsaturated fatty acids from lysophospholipids. Proc Natl Acad Sci U S A. 2013 May 28;110(22):8882-7.

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