CVT-12012

Cat. No.: HY-11034

CAS No.: 1018675-35-8

Molecular Formula: $C_{21}H_{21}F_3N_4O_3$

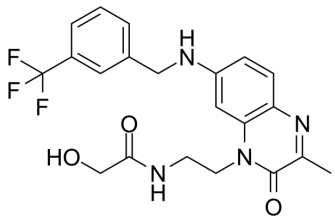
Molecular Weight: 434.41

Target: Stearoyl-CoA Desaturase (SCD)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (287.75 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3020 mL	11.5099 mL	23.0197 mL
	5 mM	0.4604 mL	2.3020 mL	4.6039 mL
	10 mM	0.2302 mL	1.1510 mL	2.3020 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CVT-12012 is a potent and orally bioavailable stearoyl-coA desaturase (SCD) inhibitor, with IC_{50}s of 38 nM, 6.1 nM for rat microsomal and human HEPG2, respectively.

IC_{50} & Target

IC50: 38 nM (rat microsomal), 6.1 nM (human HEPG2)[1][2].

In Vitro

CVT-12012 (Compound 5b) displays the highest potency in both the microsomal and the HEPG2 SCD assays (IC_{50} 38 nM and 6.1 nM, respectively) compared to the other methyl-substituted compounds[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In a rat PK study, CVT-12012 demonstrates good oral bioavailability (78%). It appears that the oral absorption of CVT-12012 is not affected by a significant Pgp efflux, which is expected based on Caco-2 assay result. The plasma clearance of CVT-12012 is high (88 mL/min/kg) with elimination half-life of approximately 1 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Koltun DO, et al. Potent, orally bioavailable, liver-selective stearoyl-CoA desaturase (SCD) inhibitors. Bioorg Med Chem Lett. 2009 Aug 1;19(15):4070-4.

[2]. Atkinson KA, et al. N-benzylimidazole carboxamides as potent, orally active stearoylCoA desaturase-1 inhibitors. Bioorg Med Chem Lett. 2011 Mar 15;21(6):1621-5.

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