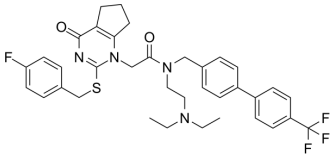
Darapladib

Cat. No.:	HY-10521
CAS No.:	356057-34-6
Molecular Formula:	$C_{36}H_{38}F_4N_4O_2S$
Molecular Weight:	667
Target:	Phospholipase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
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 : ≥ 100 mg/mL (149.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4993 mL	7.4963 mL	14.9925 mL
5 mM	0.2999 mL	1.4993 mL	2.9985 mL
10 mM	0.1499 mL	0.7496 mL	1.4993 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: ≥ 2.5 mg/mL (3.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.75 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Darapladib (SB-480848) is an orally active, selective and reversible Lp-PLA2 inhibitor (IC_{50}=0.25 nM). Darapladib can trigger irreversible actions on glioma cell apoptosis and induce cycle arrest. Darapladib can be used in the study of atherosclerosis and cancer[1][2][3][4].

IC_{50} & Target

IC50: 0.25 nM (Lp-PLA_2)[1]

In Vitro

Darapladib (5 μM; 6, 12 h) induces cell cycle arrest in glioma cells (C6 glioma cells and U251MG cells)[2].
Darapladib (5 μM; 3, 6 h) triggers cell apoptosis in glioma cells[2].
Darapladib (5 μM; 5, 15, 30, 60 and 90 min) induces an increase in phosphorylation of ERK1/2 proteins in glioma cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	C6 glioma cells and U251MG cells.
Concentration:	5 μM
Incubation Time:	3, 6 h
Result:	Triggered cell apoptosis in glioma cells.

Cell Cycle Analysis[2]

Cell Line:	C6 glioma cells and U251MG cells.
Concentration:	5 μM
Incubation Time:	6, 12 h
Result:	Induced cell cycle arrest in glioma cells.

Western Blot Analysis[2]

Cell Line:	C6 glioma cells and U251MG cells.
Concentration:	5 μM
Incubation Time:	5, 15, 30, 60 and 90 min
Result:	Induced an increase in phosphorylation of ERK1/2 proteins, but reduced AKT phosphorylation in glioma cells.

In Vivo

Darapladib (50 mg/kg; p.o.; once daily for 6 weeks) significantly inhibits serum Lp-PLA2 activity in LDLR-deficient mice[3].
Darapladib (50 mg/kg; p.o.; once daily for 6 weeks) decreases serum hs-CRP and IL-6 levels[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male homozygous LDLR-deficient mice (C57/Bl6 genetic background)[3].
Dosage:	50 mg/kg
Administration:	Oral administration; once daily for 6 weeks.
Result:	Significantly inhibited activity of serum Lp-PLA2.

CUSTOMER VALIDATION

- J Med Chem. 2016 May 26;59(10):5115-20.
- Eur J Pharmacol. 2020 Nov 15;887:173559.
- Sci Rep. 2017 Oct 3;7(1):12628.
- RSC Adv. 2017, 7(83):52762-52771.

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REFERENCES

[1]. Wang YJ, et al. The selective lipoprotein-associated phospholipase A2 inhibitor darapladib triggers irreversible actions on glioma cell apoptosis and mitochondrial dysfunction. Toxicol Appl Pharmacol. 2020 Sep 1;402:115133.

[2]. Riley RF, et al. Darapladib, a reversible lipoprotein-associated phospholipase A2 inhibitor, for the oral treatment of atherosclerosis and coronary artery disease. IDrugs. 2009 Oct;12(10):648-55.

[3]. Blackie JA, et al. The identification of clinical candidate SB-480848: a potent inhibitor of lipoprotein-associated phospholipase A2. Bioorg Med Chem Lett. 2003 Mar 24;13(6):1067-70.

[4]. Hu MM, et al. The inhibition of lipoprotein-associated phospholipase A2 exerts beneficial effects against atherosclerosis inLDLR-deficient mice. Acta Pharmacol Sin. 2011 Oct;32(10):1253-1258.

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