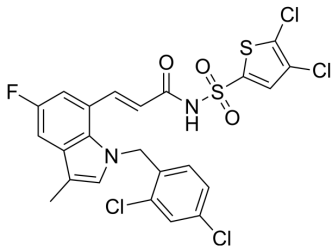
DG-041

Cat. No.:	HY-10835
CAS No.:	861238-35-9
Molecular Formula:	$C_{23}H_{15}Cl_4FN_2O_3S_2$
Molecular Weight:	592
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
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 : 250 mg/mL (422.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6892 mL	8.4459 mL	16.8919 mL
	5 mM	0.3378 mL	1.6892 mL	3.3784 mL
	10 mM	0.1689 mL	0.8446 mL	1.6892 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 (3.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DG-041 is a potent, high affinity and selective EP_3 receptor antagonist with IC_{50}s of 4.6 nM and 8.1 nM in the binding and FLIPR assay, respectively. DG-041 inhibits PGE2 facilitation of platelet aggregation. DG-041 crosses the blood-brain barrier[1] [2].

IC_{50} & Target

EP3
4.6-8.1 nM (IC_{50})

In Vitro

DG-041 was a less potent antagonist of the DP_1 (IC_{50}=131 nM), EP_1 (IC_{50}=486 nM), and TP receptors (IC_{50}=742 nM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

DG-041 (1.78 mg/kg for intravenous or 9.62 mg/kg for oral) has $t_{1/2}$ of 2.7 hours, 4.06 hours and C_{max} of 9.46 μM, 2.74 μM for intravenous and oral administration, respectively. DG-041 has CL of 1250 mL/h/kg for intravenous[1].

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

Animal Model:	Male Sprague Dawley rat[1]
Dosage:	1.78 mg/kg (intravenous) or 9.62 mg/kg (oral)
Administration:	Intravenous or oral
Result:	Had $t_{1/2}$ of 2.7 hours, 4.06 hours and C_{max} of 9.46 μM, 2.74 μM for intravenous and oral administration, respectively.

CUSTOMER VALIDATION

- Mol Cell Endocrinol. 2024 Jan 14:112159.

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REFERENCES

[1]. Singh J, et al. Antagonists of the EP3 receptor for prostaglandin E2 are novel antiplatelet agents that do not prolong bleeding. ACS Chem Biol. 2009 Feb 20;4(2):115-26.

[2]. Hategan G, et al. Heterocyclic 1,7-disubstituted indole sulfonamides are potent and selective human EP3 receptorantagonists. Bioorg Med Chem Lett. 2009 Dec 1;19(23):6797-800.

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