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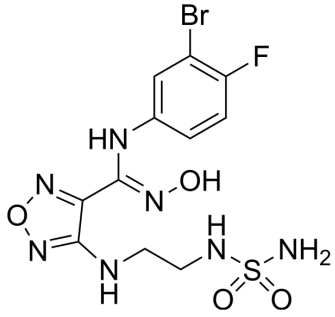
Epacadostat

Cat. No.:	HY-15689
CAS No.:	1204669-58-8
Molecular Formula:	$C_{11}H_{13}BrFN_7O_4S$
Molecular Weight:	438.23
Target:	Indoleamine 2,3-Dioxygenase (IDO)
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 : 100 mg/mL (228.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2819 mL	11.4095 mL	22.8191 mL
	5 mM	0.4564 mL	2.2819 mL	4.5638 mL
	10 mM	0.2282 mL	1.1410 mL	2.2819 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.62 mg/mL (5.98 mM); Clear solution
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.62 mg/mL (5.98 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epacadostat (INCB 024360) is a potent and selective indoleamine 2,3-dioxigenase 1 (IDO1) inhibitor with an IC_{50} of 71.8 nM[1].

IC_{50} & Target	IDO1 71.8 nM (IC_{50})
In Vitro	In cellular assays, Epacadostat (INCB 024360) selectively inhibits human IDO1 with IC_{50} values of approximately 10 nM, demonstrating little activity against other related enzymes such as IDO2 or tryptophan 2,3-dioxygenase (TDO). Epacadostat (INCB 024360) also exhibits significant activity toward mouse IDO1, with an IC_{50} value of 52.4 nM±15.7 nM, in a similar assay using mouse IDO1-transfected HEK293/MSR cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Female Balb/c mice bearing CT26 tumors are treated orally twice daily for 12 d with Epacadostat at 100 mg/kg. Epacadostat (INCB 024360) suppresses kynurenine equivalently in plasma, tumors, and lymph nodes. In na ve C57BL/6 mice, 50 mg/kg Epacadostat (INCB 024360) decreases plasma kynurenine levels within 1 hour and those levels stay at least 50% suppressed through the 8-hour time course[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	To determine Epacadostat activity against IDO in recombinant cells, HEK293/MSR cells are transiently transfected with full-length human or mouse IDO1, or mouse IDO2 cDNA, with Transit-293 transfection reagent or Lipofectamine 2000 reagents. Epacadostat (INCB 024360) at different concentrations is added to the recovered transfected cells seeded at 2×10^4 cells per well in a 96-well plate (200 µL/well). The cells are incubated for 2 days, and kyn in the supernatants is measured as described in the HeLa cell assay. The tryptophan 2,3-dioxygenase (TDO) assay is performed similarly with HEK293/MSR cells transfected with a human TDO expression vector[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] The female C57BL/6 mice are dosed orally with 50 mg/kg Epacadostat. C57BL/6 wild-type or $Ido1^{-/-}$-deficient mice are administered a single oral dose of Epacadostat (INCB 024360), at which point food is removed from the cages until after the 8-h time point. At various time points after dosing, mice are euthanized and blood is collected by cardiac puncture. Plasma is analyzed for the presence of Epacadostat (INCB 024360), tryptophan, and kynurenine according to the methods below. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Discov. 2022 May 10;candisc.0680.2021.
- Int J Biol Sci. 2021 Jan 1;17(1):339-352.
- Br J Pharmacol. 2018 Jul;175(14):3034-3049.
- OncoImmunology. 2020 Mar 9;9(1):1730538.
- Eur J Med Chem. 29 October 2022, 114860.

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REFERENCES

[1]. Liu X, et al. Selective inhibition of IDO1 effectively regulates mediators of antitumor immunity. Blood. 2010 Apr 29;115(17):3520-30.

[2]. Koblish HK, et al. Hydroxyamidine inhibitors of indoleamine-2,3-dioxygenase potently suppress systemic tryptophan catabolism and the growth of IDO-expressing tumors. Mol Cancer Ther. 2010 Feb;9(2):489-98.

[3]. Fu R, et al. LW106, a novel indoleamine 2,3-dioxygenase 1 inhibitor, suppresses tumour progression by limiting stroma-immune crosstalk and cancer stem cell enrichment in tumour micro-environment. Br J Pharmacol. 2018 Jul;175(14):3034-3049.

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