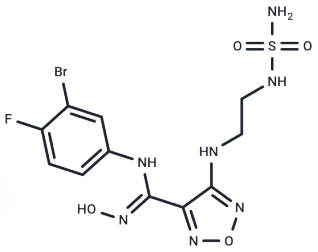
Data Sheet (Cat.No.T3548)

TargetMol

Epacadostat

Chemical Properties



CAS No. : 1204669-58-8

Formula: C11H13BrFN7O4S

Molecular Weight: 438.23

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Epacadostat (INCB 024360) is an oral, potent and selective IDO1 inhibitor with IC50 value of 71.8 nM.
Targets(IC50)	IDO,Indoleamine 2,3-Dioxygenase (IDO)
In vitro	**METHODS**: Epacadostat (INCB 024360) (0.0001, 0.01, 1, 100, 10000nM) was used to treat SKOV-3 cells and the release of kynurenine was measured. **RESULTS** Epacadostat (INCB 024360) inhibited the catalytic activity of IDO1 with an IC50 value of 17.63 nM ± 2.26 (pIC50 = 7.76 ± 0.06). [2]
In vivo	**METHODS**: Mice were injected subcutaneously with 200 μl TC-1 cells on the back. After the tumor was palpable, Epacadostat (INCB 024360) (50 mg/kg), IFN-γ (100 ng/mouse), and kynurenine (100 mg/kg) were injected intraperitoneally every day. Tumor volume was measured every 3 days. **RESULTS** Intraperitoneal injection of Epacadostat (INCB 024360) caused a significant increase in tumor volume and tumor weight, and inhibited the expression of CD80 on macrophages in tumor tissues. [3]
Cell Research	INCB 024360 (INCB024360) is dissolved in DMSO and stored, and then diluted with appropriate media before use[1]. To determine INCB 024360 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. INCB 024360 at different concentrations is added to the recovered transfected cells seeded at 2×104 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].

Solubility Information

Solubility	Ethanol: 53 mg/mL (120.94 mM),Sonication is recommended. DMSO: 250 mg/mL (570.48 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (22.82 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (22.82 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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	1.141 mL	2.2819 mL
50 mM	0.0456 mL	0.2282 mL	0.4564 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

Nguyen D J M, Theodoropoulos G, Li Y Y, et al. Targeting the kynurenine pathway for the treatment of cisplatin resistant lung cancer. Molecular Cancer Research. 2019: molcanres. 0239.2019

Rossini S, et al. Epacadostat stabilizes the apo-form of IDO1 and signals a pro-tumorigenic pathway in human ovarian cancer cells. Front Immunol. 2024 Jan 25;15:1346686.

Yang SL, et al. The IFN-γ-IDO1-kynureine pathway-induced autophagy in cervical cancer cell promotes phagocytosis of macrophage. Int J Biol Sci. 2021 Jan 1;17(1):339-352.