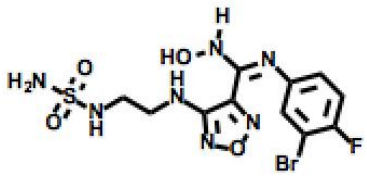
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

IDO Inhibitor – INCB024360 (Epacadostat)

Chemical Name: (E)-N'-(3-bromo-4-fluorophenyl)-N-hydroxy-4-((2-(sulfamoylamino)ethyl)amino)-1,2,5-oxadiazole-3-carboximidamide



Molecular Weight:	438.23
Formula:	$C_{11}H_{13}BrFN_7O_4S$
Purity:	≥98%
CAS#:	1204669-58-8
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

INCB024360 is a potent and selective indoleamine 2,3-dioxygenase (IDO1) inhibitor with IC_{50} ~10 nM It displays high selectivity over other related enzymes such as IDO2 or tryptophan 2,3-dioxygenase (TDO). In coculture systems of human allogeneic lymphocytes with dendritic cells (DCs) or tumor cells, INCB024360 inhibition of IDO1 promotes T and natural killer (NK)-cell growth, increases IFN-gamma production, and reduces conversion to regulatory T (T(reg))-like cells. Administration of INCB024360 to tumor-bearing mice significantly inhibits tumor growth in a lymphocyte-dependent manner. INCB024360 has completed Phase I clinical trials and is now being used in combination with other cancer immunotherapy agents.

How to Use:

In vitro: INCB024360 was used at 1 μM final concentration in various in vitro assays.

In vivo: INCB024360 was dosed at 75 mg/Kg (BID, subcutaneous injection) to mice bearing GM-CSF-secreting B16 tumors. Formulation is 5% DMA, 47.5% propylene glycol.

Reference:

1. Yue EW, et al. Discovery of potent competitive inhibitors of indoleamine 2,3-dioxygenase with in vivo pharmacodynamic activity and efficacy in a mouse melanoma model. (2009) J Med Chem. 52(23):7364-7.
2. Liu X, et al. Selective inhibition of IDO1 effectively regulates mediators of antitumor immunity. (2010) Blood. 115(17):3520-30.