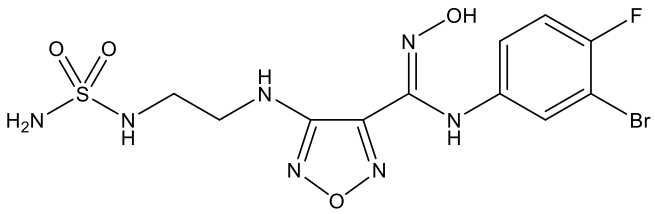
Catalog # 10-4499
Epacadostat
CAS# 1204669-58-8

N-(3-Bromo-4-fluorophenyl)-N'-hydroxy-4-{[2-(sulfamoylamino)ethyl]amino}-1,2,5-oxadiazole-3-carboximidamide;
INCB024360
Lot # FBS1120



Epacadostat is a potent (IC_{50} = 10nM)[1] and selective inhibitor of Indoleamine-2,3-dioxygenase 1 (IDO1) with no activity at IDO2 or TDO.[2] It restored tryptophan levels and significantly impaired kynurenine generation in CT26 colon carcinoma (IC_{50} = 76 nM) and PAN02 pancreatic carcinoma (IC_{50} = 27 nM) cells. Epacadostat increases the number and activity of tumor-infiltrating lymphocytes as well as increasing the ration of effector T cells to regulatory T cells.[1,2] Because of these immune system enhancing properties[3], it is being investigated as a synergistic agent for use with other immune-oncology agents such as anti-PD-1 and anti-CTL4 antibodies.[4,5,6]

1) Liu *et al.* (2010) *Selective inhibition of IDO1 effectively regulates mediators of antitumor immunity;* Blood **115** 3520
2) Koblish *et al.* (2010) *Hydroxyamidine Inhibitors of Indolamine-2,3-dioxygenase Potently Suppress Systemic Tryptophan Catabolism and the Growth of IDO-Expressing Tumors;* Mol.CancerTher. **9**
3) Jochems *et al.* (2016) *The IDO1 selective inhibitor epacadostat enhances dendritic cell immunogenicity and lytic ability of tumor antigen-specific T cells;* Oncotarget **7** 3776
4) Yentz and Smith (2018) *Indoleamine-2,3-dioxygenase Inhibition as a Strategy to Augment Cancer Immunotherapy;* BioDrugs **32** 311
5) Zhu *et al.* (2019) *Indoleamine Dioxygenase Inhibitors: Clinical Rationale and Current Development;* Curr.Oncol.Rep. **21** 2
6) Mitchell *et al.* (2018), *Epacadostat Plus Pembrolizumab in Patients with Advanced Solid Tumors: Phase I Results From a Multicenter, Open-Label Phase I/II Trial (ECHO-202/KEYNOTE-037);* J.Clin.Oncol. **36** 3223

PHYSICAL DATA

Molecular Weight:	438.23
Molecular Formula:	$C_{11}H_{13}BrFN_7O_4S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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