

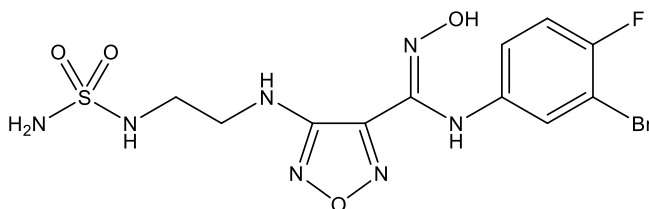


**Catalog # 10-4499**

**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 ( $IC_{50} = 10\text{nM}$ )<sup>1</sup> and selective inhibitor of Indoleamine-2,3-dioxygenase 1 (IDO1) with no activity at IDO2 or TDO.<sup>2</sup> It restored tryptophan levels and significantly impaired kynurenine generation in CT26 colon carcinoma ( $IC_{50} = 76\text{ nM}$ ) and PAN02 pancreatic carcinoma ( $IC_{50} = 27\text{ nM}$ ) 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.<sup>1,2</sup> Because of these immune system enhancing properties<sup>3</sup>, it is being investigated as a synergistic agent for use with other immune-oncology agents such as anti-PD-1 and anti-CTL4 antibodies.<sup>4,5,6</sup>

- 1) Liu *et al.* (2010) *Selective inhibition of IDO1 effectively regulates mediators of antitumor immunity*; Blood **115** 3520
- 2) Koblisch *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<sub>11</sub>H<sub>13</sub>BrFN<sub>7</sub>O<sub>4</sub>S  
Purity: >98% by HPLC  
NMR: (Conforms)  
Solubility: DMSO (>25 mg/ml)  
Physical Description: White solid  
Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**