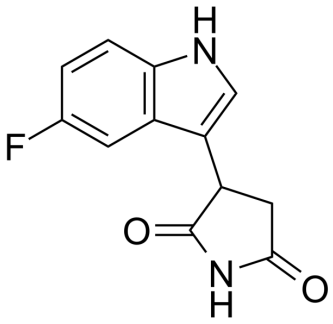
PF-06840003

Cat. No.:	HY-101111
CAS No.:	198474-05-4
Molecular Formula:	$C_{12}H_9FN_2O_2$
Molecular Weight:	232.21
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 (430.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3064 mL	21.5322 mL	43.0645 mL
5 mM	0.8613 mL	4.3064 mL	8.6129 mL
10 mM	0.4306 mL	2.1532 mL	4.3064 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06840003 (EOS200271) is a highly selective, orally active and brain-penetrant IDO-1 inhibitor with IC_{50}s of 0.41 μM, 0.59 μM, and 1.5 μM for hIDO-1, dIDO-1, and mIDO-1, respectively[1][2].

IC_{50} & Target

IDO-1

In Vitro

PF-06840003 reverses IDO-1-induced T-cell anergy in vitro[1]. PF-06840003 shows activity both in the HeLa assay (IC_{50}=1.8 μ

	M) as well as in the LPS/ INFγ-stimulated THP1 cells (IC_{50}=1.7 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-06840003 reduces intratumoral kynurenine levels in mice by >80% and inhibits tumor growth in multiple preclinical syngeneic models in mice, in combination with immune checkpoint inhibitors. PF-0684003 has favorable predicted human pharmacokinetic properties, including a predicted t1/2 of 16-19 hours[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Tumang J, et al. PF-06840003: a highly selective IDO-1 inhibitor that shows good in vivo efficacy in combination with immune checkpoint inhibitors. [abstract]. In: Proceedings of the 107th Annual Meeting of the American Association for Cancer Research; 2016 Apr 16-20; New Orleans, LA. Philadelphia (PA): AACR; Cancer Res 2016;76(14 Suppl):Abstract nr4863.

[2]. Indoleamine 2,3-Dioxygenase (IDO-1) Inhibitor 3-(5-Fluoro-1H-indol-3-yl)pyrrolidine-2,5-dione (EOS200271/PF-06840003) and Its Characterization as a Potential Clinical Candidate. J Med Chem. 2017 Dec 14;60(23):9617-9629.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA