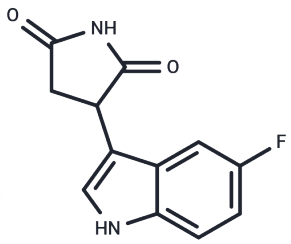
Data Sheet (Cat.No.T4307)

PF-06840003

Chemical Properties



CAS No. : 198474-05-4

Formula: C12H9FN2O2

Molecular Weight: 232.21

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	PF-06840003 (EOS200271) is a specific and orally active IDO-1 inhibitor.
Targets(IC50)	IDO,Indoleamine 2,3-Dioxygenase (IDO)
In vitro	The s of PF-06840003 has inhibitory for hIDO-1 (IC50: 0.41 μM), mouse IDO-1 (IC50: 1.5 μM) and dog IDO-1 (IC50: 0.59 μM), respectively. It has very weak inhibitory against hTDO-2 (IC50: 140 μM). In cellular assays, PF-06840003 shows activity both in the LPS/INFγ-stimulated THP1 cells (IC50: 1.7 μM) and in the HeLa assay (IC50: 1.8 μM). PF-06840003 is a very weak inhibitory against CYPs (IC50>100 μM) except 2C19 (IC50: 78 μM).
In vivo	In mice, PF-06840003 reduces intratumoral kynurenine levels (>80%). In multiple preClinicalal syngeneic models in mice, It inhibits tumor growth by combinated with immune checkpoint inhibitors. PF-0684003 has favorable predicted human pharmacokinetic properties, including a predicted t1/2 of 16-19 hours.

Solubility Information

Solubility	DMSO: 60 mg/mL (258.39 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: 2 mg/mL (8.61 mM),Sonication is recommended. *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	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
50 mM	0.0861 mL	0.4306 mL	0.8613 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

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.

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

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Nguyen D J M, Theodoropoulos G, Li Y Y, et al. Targeting the kynurenine pathway for the treatment of cisplatin-resistant lung cancer[J]. Molecular Cancer Research. 2020, 18(1): 105-117.

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