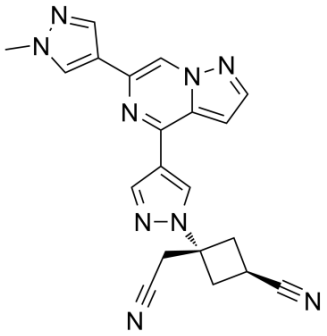
PF-06826647

Cat. No.:	HY-126290
CAS No.:	2127109-84-4
Molecular Formula:	$C_{20}H_{17}N_9$
Molecular Weight:	383.41
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (43.48 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6082 mL	13.0409 mL	26.0817 mL
	5 mM	0.5216 mL	2.6082 mL	5.2163 mL
	10 mM	0.2608 mL	1.3041 mL	2.6082 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (4.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06826647 is an orally active and selective TYK2 inhibitor (IC_{50}=17 nM), which binds to TYK2 catalytically active JH1 domain. PF-06826647 displays selectivity for TYK2 over JAK1 (IC_{50}=383 nM) and JAK2 (74 nM). PF-06826647 can be used for the research of psoriasis, ulcerative colitis, and hidradenitis suppurativa[1][2].

IC_{50} & Target

IC50: 17 nM (TYK2 JH1)[1]

In Vitro

PF-06826647 inhibits a panel of 231 kinases at non-physiologically conditions for each kinase Km showed 21 non-JAK kinases with >50% at 1 μM dose[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF-06826647 (30, 10, 3 mg/kg, p.o.; once per day) reduces clinical end points associated with the mouse imiquimod-induced skin inflammation model. The measured average unbound daily concentrations of 1, 3, 10, and 30 mg/kg are 14.5, 45.7, 225,

	and 668 nM, respectively, resulting in average IL-12 inhibition of 51, 77, 94, and 98%[2]. PF-06826647 (1 mg/kg; i.v.; sprague-Dawley rats) shows the systemic clearance is 12 (mL/min)/kg, the steady state volume of distribution (V_{ss}) is 1.1 L/kg, and the AUC_{inf} is 1380 ng•h/ mL[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Inflamm Bowel Dis. 2020 Dec 9;izaa318.

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REFERENCES

[1]. Wrobleski ST, et al. Highly Selective Inhibition of Tyrosine Kinase 2 (TYK2) for the Treatment of Autoimmune Diseases: Discovery of the Allosteric Inhibitor BMS-986165. J Med Chem. 2019 Jul 18.

[2]. Gerstenberger BS, et al. Discovery of Tyrosine Kinase 2 (TYK2) Inhibitor (PF-06826647) for the Treatment of Autoimmune Diseases [published online ahead of print, 2020 Aug 25]. J Med Chem. 2020;10.1021/acs.jmedchem.0c00948.

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