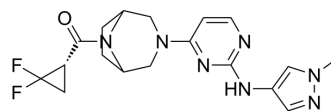


Brepocitinib

Cat. No.:	HY-112708
CAS No.:	1883299-62-4
Molecular Formula:	C ₁₈ H ₂₁ F ₂ N ₇ O
Molecular Weight:	389.4
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (321.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.5681 mL	12.8403 mL	25.6805 mL
	5 mM	0.5136 mL	2.5681 mL	5.1361 mL
	10 mM	0.2568 mL	1.2840 mL	2.5681 mL

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

BIOLOGICAL ACTIVITY

Description

Brepocitinib (PF-06700841) is a potent dual Janus kinase 1 (JAK1) and TYK2 inhibitor with IC₅₀s of 17 nM and 23 nM, respectively. Brepocitinib also inhibits JAK2 and JAK3 with IC₅₀s of 77 nM and 6.49 μM, respectively^[1].

IC₅₀ & Target

JAK1	JAK2	JAK3
17 nM (IC ₅₀)	77 nM (IC ₅₀)	6.9 μM (IC ₅₀)

In Vitro

Brepocitinib (PF-06700841; Compound 23) potently inhibits TYK2/JAK2 mediated IL-12/pSTAT4 and IL-23/pSTAT3 (human whole blood (HWB) IC₅₀s of 65 and 120 nM, respectively)^[1].
 Brepocitinib has good potency against IL6/pStat1 in the CD3⁺ cellular subset (IC₅₀ of 81 nM), but lower inhibition of IL6/pSTAT3, again in the CD3⁺ cellular subset (IC₅₀ of 641 nM)^[1].
 Brepocitinib also inhibits the JAK1/JAK3 driven γ-common chain cytokines, represented by IL-15/pStat5 and IL-21/pSTAT3 with reasonable potency (HWB IC₅₀s of 238 and 204 nM, respectively)^[1].
 Brepocitinib inhibits EPO/pSTAT5 (JAK2 homodimer) in HWB spiked with CD34⁺ progenitor cells (IC₅₀ of 577 nM).
 IL10/pSTAT3 (TYK2/JAK1) and IL27/pSTAT3 (JAK1/JAK2/TYK2) are also inhibited by Brepocitinib with IC₅₀s of 305 nM and 86 nM, respectively^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Brepocitinib (PF-06700841; Compound 23; 3-30 mg/kg; oral administration; for 7 consecutive days; female Lewis rats) treatment significantly reduces paw volume increase in a dose-dependent manner. The plasma concentrations in animals dosed with Brepocitinib at peak (30 min) and trough (24 h) time intervals post final dose respectively are as follows: 3 mg/kg, 3.54 μ M, 0.0221 μ M; 10 mg/kg, 10.95 μ M, 0.06 μ M; and 30 mg/kg, 23.89 μ M, 0.06 μ M^[1].

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

Animal Model:	Female Lewis rats with induced arthritis ^[1]
Dosage:	3 mg/kg, 10 mg/kg, or 30 mg/kg
Administration:	Oral administration; for 7 consecutive days
Result:	Increased in paw volume was significantly lower and dose-dependent.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2024 May 30;43(1):152.
- Inflamm Bowel Dis. 2020 Dec 9;izaa318.
- Heliyon. 2023 Jan 13.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Fensome A, et al. Dual Inhibition of TYK2 and JAK1 for the Treatment of Autoimmune Diseases: Discovery of ((S)-2,2-Difluorocyclopropyl)((1R,5S)-3-(2-((1-methyl-1H-pyrazol-4-yl)amino)pyrimidin-4-yl)-3,8-diazabicyclo[3.2.1]octan-8-yl)methanone (PF-06700841). J Med Chem. 2018 Oct 11;61(19):8597-8612.

Caution: Product has not been fully validated for medical applications. For research use only.

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