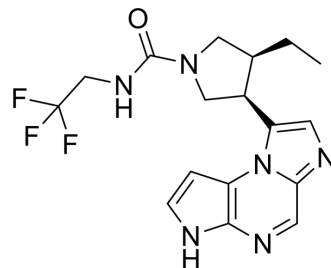


Upadacitinib

Cat. No.:	HY-19569
CAS No.:	1310726-60-3
Molecular Formula:	C ₁₇ H ₁₉ F ₃ N ₆ O
Molecular Weight:	380.37
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt
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 (262.90 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.6290 mL	13.1451 mL	26.2902 mL
	5 mM		0.5258 mL	2.6290 mL	5.2580 mL
	10 mM		0.2629 mL	1.3145 mL	2.6290 mL

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

In Vivo

- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 2.75 mg/mL (7.23 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.75 mg/mL (7.23 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 1.67 mg/mL (4.39 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 1.67 mg/mL (4.39 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1.67 mg/mL (4.39 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline
Solubility: ≥ 0.55 mg/mL (1.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Upadacitinib (ABT-494) is a potent, orally active and selective Janus kinase 1 (JAK1) inhibitor (IC ₅₀ =43 nM). Upadacitinib (ABT-494) displays approximately 74 fold selective for JAK1 over JAK2 (200 nM) in cellular assays dependent on specific, relevant cytokines. Upadacitinib (ABT-494) can be used for several autoimmune disorders research ^{[1][2]} .			
IC₅₀ & Target	JAK1 0.043 μM (IC ₅₀)	JAK2 0.2 μM (IC ₅₀)	JAK3 2.3 μM (IC ₅₀)	Tyk2 4.7 μM (IC ₅₀)
In Vitro	In biochemical assays, Upadacitinib is 74-fold more selective for JAK-1 than for JAK-2 (which is involved in erythropoiesis) and 58-fold more selective for JAK-1 than for JAK-3 (which is involved in immunosurveillance) ^[1] . The enhanced selectivity of Upadacitinib for JAK-1 over JAK-2 and JAK-3 may offer an improved benefit-risk profile in patients with RA range ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	Upadacitinib (0.1-10 mg/kg; oral gavage; twice a day for 10 days) demonstrates efficacy in rat arthritis models ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
Animal Model:		Female Lewis rats (Rat adjuvant-induced arthritis model) ^[3]		
Dosage:		0.1, 0.3, 1, 3, 10 mg/kg		
Administration:		Oral gavage; twice a day for 10 days		
Result:		Inhibited disease pathology in rat adjuvant induced arthritis.		

CUSTOMER VALIDATION

- Cell. 2024 Jan 4;187(1):44-61.e17.
- Ann Rheum Dis. 2021 Jul;80(7):865-875.
- Mol Syst Biol. 2023 Dec 18.
- ACS Infect Dis. 2023 Nov 20.
- Biomedicines. 2021, 9(10), 1413.

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REFERENCES

- [1]. Nakayamada S, et al. Recent Progress in JAK Inhibitors for the Treatment of Rheumatoid Arthritis. BioDrugs. 2016 Oct;30(5):407-419.
- [2]. J. Voss, et al. THU0127 Pharmacodynamics of A Novel JAK1 Selective Inhibitor in Rat Arthritis and Anemia Models and in Healthy Human Subjects. doi 10.1136/annrheumdis-2014-eular.3823.
- [3]. Parmentier JM, et al. In vitro and in vivo characterization of the JAK1 selectivity of upadacitinib (ABT-494). BMC Rheumatol. 2018 Aug 28;2:23.

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

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