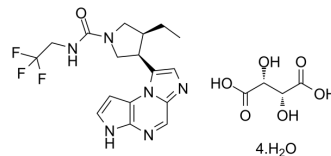


Upadacitinib tartrate tetrahydrate

Cat. No.:	HY-19569A
CAS No.:	1607431-21-9
Molecular Formula:	C ₁₇ H ₁₉ F ₃ N ₆ O ₆ ·4H ₂ O
Molecular Weight:	602.52
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Upadacitinib (ABT-494) tartrate tetrahydrate is a potent, orally active and selective Janus kinase 1 (JAK1) inhibitor (IC ₅₀ =43 nM). Upadacitinib tartrate tetrahydrate displays approximately 74 fold selective for JAK1 over JAK2 (200 nM) in cellular assays dependent on specific, relevant cytokines. Upadacitinib tartrate tetrahydrate 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 tartrate tetrahydrate 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] . 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) tartrate tetrahydrate 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

- Ann Rheum Dis. 2021 Jul;80(7):865-875.
- Biomedicines. 2021, 9(10), 1413.
- Mol Pharmacol. April 5, 2022.

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

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