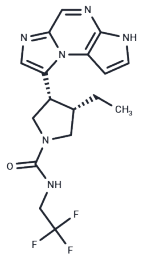
Data Sheet (Cat.No.T7503)

TargetMol

Upadacitinib

Chemical Properties



CAS No. : 1310726-60-3

Formula: C17H19F3N6O

Molecular Weight: 380.37

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Upadacitinib (ABT-494) (ABT-494) is a selective Janus kinase (JAK) 1 inhibitor, which is being studied for the treatment of several autoimmune disorders in the IC50 of 43 nM.
Targets(IC50)	JAK
In vitro	Upadacitinib is 74-fold more selective for JAK-1 than for JAK-2, which is involved in erythropoiesis. And Upadacitinib is 58-fold more selective for JAK-1 than for JAK-3, which is involved in immunosurveillance. 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.
In vivo	Upadacitinib, a second JAK inhibitor, has been developed by AbbVie.Upadacitinib finished multiple-dose Phase I studies in 2013. Upadacitinib show to be safe and well-tolerated up to multiple doses of 24 mg twice daily using the immediate release formulation in phase I trials. Upadacitinib exposure is dose proportional to the evaluated multiple dose.

Solubility Information

Solubility	DMSO: 55 mg/mL (144.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.629 mL	13.1451 mL	26.2902 mL
5 mM	0.5258 mL	2.629 mL	5.258 mL
10 mM	0.2629 mL	1.3145 mL	2.629 mL
50 mM	0.0526 mL	0.2629 mL	0.5258 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.

Reference

Nakayamada S, et al. Recent Progress in JAK Inhibitors for the Treatment of Rheumatoid Arthritis. BioDrugs. 2016 Oct;30(5):407-419.

Si H, Wang J, He R, et al. Identification of U937JAK3-M511I Acute Myeloid Leukemia Cells as a Sensitive Model to JAK3 Inhibitor. Frontiers in oncology. 2021, 11: 807200-807200.

Kremer JM, et al. A Phase IIb Study of ABT-494, a Selective JAK-1 Inhibitor, in Patients With Rheumatoid Arthritis and an Inadequate Response to Anti-Tumor Necrosis Factor Therapy. Arthritis Rheumatol. 2016Dec;68(12):2867-2877.

Wang F, Wang S, Zhang C, et al.Noncanonical JAK1/STAT3 interactions with TGF-β modulate myofibroblast transdifferentiation and fibrosis.American Journal of Physiology-Lung Cellular and Molecular Physiology.2022, 323 (6): L698-L714.