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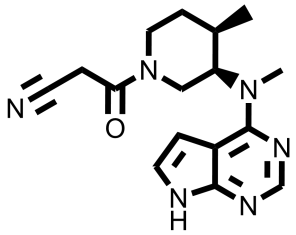
JAK Inhibitor CP-690550

Chemical Name: 3-((3R,4R)-4-methyl-3-(methyl(7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino)piperidin-1-yl)-3-oxopropanenitrile



Molecular Weight:	312.38
Formula:	$C_{16}H_{20}N_6O$
Purity:	≥98%
CAS#:	477600-75-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

CP-690550 is a potent and selective JAK inhibitor currently in clinical trials for rheumatoid arthritis (RA) and other autoimmune disease indications. It inhibits recombinant human JAK1 (IC_{50} ~ 3.2 nM), JAK2 (IC_{50} ~4.1 nM), and JAK3 (IC_{50} ~1.6 nM). In cellular assays CP-690550 showed potent effects in the IL-2 blast proliferation assay (IC_{50} ~11 nM, mediated via JAK1 and JAK3) and HU03 cellular specificity assay (IC_{50} ~324 nM, mediated via JAK2), but has relatively minimal effects on general cell growth (>10 μM activity in the HFF assay).

How to Use:

In vitro: CP-690550 was used at 0.05-1 μM concentration in a panel of in vitro assays.

In vivo: CP-690550 was orally dosed to rats at 10-50 mg/kg once or twice per day.

Reference:

1. Flanagan ME, et al. Discovery of CP-690,550: a potent and selective Janus kinase (JAK) inhibitor for the treatment of autoimmune diseases and organ transplant rejection. (2010) J Med Chem. 53(24):8468-84
2. Meyer DM, et al. Anti-inflammatory activity and neutrophil reductions mediated by the JAK1/JAK3 inhibitor, CP-690,550, in rat adjuvant-induced arthritis. (2010) J Inflamm (Lond).11;7:41.
3. Ghoreschi K, et al. Modulation of innate and adaptive immune responses by tofacitinib (CP-690,550). (2011) J Immunol. 186(7):4234-43.
4. Ju W, et al. CP-690,550, a therapeutic agent, inhibits cytokine-mediated Jak3 activation and proliferation of T cells from patients with ATL and HAM/TSP. (2011) Blood. 117(6):1938-46.