

# FM381

Catalog No: tcsc0022839



## Available Sizes

**Size:** 2mg

**Size:** 5mg

**Size:** 10mg

**Size:** 25mg

**Size:** 50mg

**Size:** 100mg



## Specifications

### Formula:

$C_{24}H_{24}N_6O_2$

### Pathway:

Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

### Target:

JAK;JAK;JAK

### Purity / Grade:

>98%

### Solubility:

DMSO : 12.8 mg/mL (29.87 mM; Need ultrasonic and warming)

### Observed Molecular Weight:

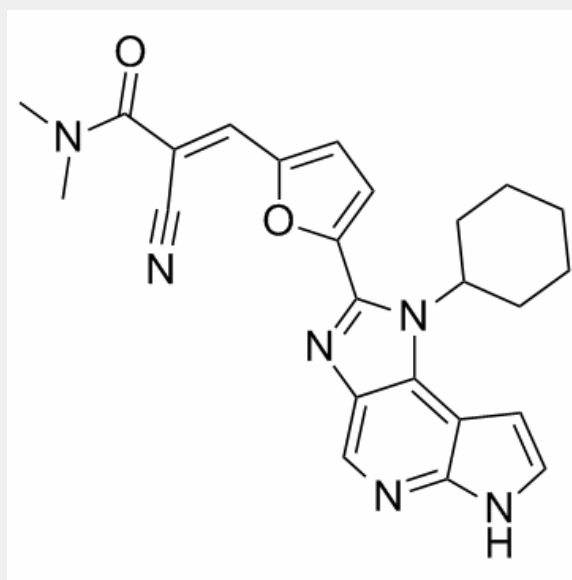
428.49

## Product Description

FM381 is a potent covalent reversible inhibitor of **JAK3** targeting the unique Cys909 at the gatekeeper position +7 in JAK3. FM-381 has an **IC<sub>50</sub>** of 127 pM for JAK3, with 410, 2700 and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively.

IC50 & Target: IC50: 127 pM (JAK3)<sup>[1]</sup>

**In Vitro:** FM381 is screened against a panel of 410 kinases at concentrations of 100 nM and 500 nM. FM381 has no relevant effect on the activity of any tested kinases except JAK3 at a concentration of 100 nM. At 500 nM, FM381 moderately inhibits 11 other kinases besides JAK3 with residual activities below 50%. FM-381 is found to be inactive in a selectivity panel of frequently hit BRDs (BRD4, BRPF, CECR, FALZ, TAF1, BRD9). Strongest hits is 500 nM for TAF1@2. FM381 selectively Inhibit JAK3 Signaling in Human CD4+ T Cells. FM-381 shows an apparent EC50 of 100 nM in a dose dependent BRET assay and blocks IL2 stimulated (JAK3/JAK1 dependent) STAT5 phosphorylation at 100 nM, but not JAK3 independent IL6 (JAK1/2/TYK dependent) stimulated STAT3 signalling in Human CD4+ T cells up to 1  $\mu$ M<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!