Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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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_{50}** of 127 pM for JAK3, with 410, 2700 and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively.

IC50 & Target: IC50: 127 pM (JAK3)[1]

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 μM[1].