

Catalog Number: CM04894

## 产品信息

**Catalog Number:**  
CM04894

**CAS号:**  
2226521-65-7

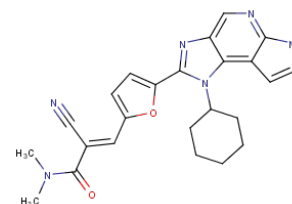
**分子式:**  
C<sub>24</sub>H<sub>24</sub>N<sub>6</sub>O<sub>2</sub>

**主要靶点:**  
JAK

**主要通路:**  
表观遗传|蛋白酪氨酸激酶|干细胞|  
血管生成|JAK/STAT 信号通路

**分子量:**  
428.49

**溶解度:**  
H<sub>2</sub>O:Insoluble;DMSO:12.5 mg/mL  
(29.17 mM)



## 靶点活性

JAK3:127 pM

## 体外活性

FM-381是一种针对JAK3独特的Cys909位点在门卫(GK)位置+7的强效可逆共价抑制剂, 显示出对JAK3的显著IC<sub>50</sub>值为0.127 nM, 分别对JAK1、JAK2和TYK2具有400倍、2700倍及3600倍的选择性。在常被激活的BRDs (BRD4、BRPF、CECR、FALZ、TAF1、BRD9) 选择性面板中, FM-381未显示活性。FM-381在剂量依赖性BRET测定中表现出100 nM的明显EC<sub>50</sub>, 并在100 nM时阻断了IL2刺激下的(JAK3/JAK1依赖的) STAT5磷酸化, 但在高达1 μM的剂量下不影响JAK3独立的IL6刺激下的(JAK1/2/TYK依赖的) STAT3信号在人类CD4+ T细胞中的传导[1]。

## 细胞实验

CD4+ T Cell cytokine stimulation assay is performed. T cells are purified from peripheral blood mononuclear cells from human donors. Equal numbers of cells are incubated for 1 hr with JAK inhibitors (FM381) (0, 10, 50, 100, 300 nM) or DMSO control and stimulated with cytokines for 30 min. The cells are lysed, and the proteins are separated via PAGE and transferred to a polyvinylidene fluoride membrane. The proteins of interest are blotted with specific antibodies and visualized with an infrared imaging system[1].

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.