Taiclone®
Quality Guarantee

Web: www.taiclone.com
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Ruxolitinib

Catalog No: tcsc0864

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
941678-49-5

Formula:
$C_{17}H_{18}N_6$

Pathway:
Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling;Autophagy;Autophagy

Target:
JAK;JAK;JAK;Autophagy;Mitophagy

Purity / Grade:
>98%

Solubility:
H2O :

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Alternative Names:
INCB018424

Observed Molecular Weight:
306.37

Product Description

Ruxolitinib is the first potent, selective **JAK1/2** inhibitor to enter the clinic with **IC_{50}** of 3.3 nM/2.8 nM in cell-free assays, and has > 130-fold selectivity for JAK1/2 versus JAK3.

IC50 & Target: IC50: 3.3 nM (JAK1), 2.8 nM (JAK2)

In Vitro: Ruxolitinib potently and selectively inhibits JAK2V617F-mediated signaling and proliferation, markedly increases apoptosis in a dose dependent manner, and at 64 nM results in a doubling of cells with depolarized mitochondria in Ba/F3 cells. Ruxolitinib demonstrates remarkable potency against erythroid colony formation with IC_{50} of 67 nM, and inhibits proliferating of erythroid progenitors from normal donors and polycythemia vera patients with IC_{50} values of 407 nM and 223 nM, respectively[1].

In Vivo: Ruxolitinib (180 mg/kg, orally, twice a day) results in survive rate of greater than 90% by day 22 and markedly reduces splenomegaly and circulating levels of inflammatory cytokines, and preferentially eliminated neoplastic cells, resulting in significantly prolonged survival without myelosuppressive or immunosuppressive effects in a JAK2V617F-driven mouse model[1]. In the Ruxolitinib group, the primary end point is reached in 41.9% of patients, as compared with 0.7% in the placebo group in the double-blind trial of myelofibrosis. Ruxolitinib results in maintaining of reduction in spleen volume and improvement of 50% or more in the total symptom score[2]. Ruxolitinib (15 mg twice daily) treatment leads a total of 28% of the patients to have at least a 35% reduction in spleen volume at week 48 in patients with myelofibrosis, as compared with 0% in the group receiving the best available therapy. The mean palpable spleen length has decreased by 56% with Ruxolitinib but has increased by 4% with the best available therapy at week 48. Patients in the ruxolitinib group has an improvement in overall quality-of-life measures and a reduction in symptoms associated with myelofibrosis[3].