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

JANEX-1

Catalog No: tcsc1604

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
202475-60-3

Formula:
$C_{16}H_{15}N_3O_3$

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

Target:
JAK;JAK;JAK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 3 mg/mL (10.09 mM)

Alternative Names:
WHI-P131;Jak3 inhibitor I

Observed Molecular Weight:
297.31

Product Description

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JANEX-1 is a potent and specific **JAK3** inhibitor (estimated $\mathbf{K_i}$=2.3 μM). JANEX-1 (WHI-P131) shows potent JAK3-inhibitory activity (**$\mathbf{IC_{50}}$** of 78 μM), does not inhibit JAK1 and JAK2.

IC50 & Target: Ki: 2.3 μM (JAK3)[1]

IC50: 78 μM (JAK3)[1]

In Vitro: JANEX-1 (WHI-P131) shows potent JAK3-inhibitory activity (IC_{50} of 78 μM), does not inhibit JAK1 and JAK2, the ZAP/SYK family tyrosine kinase SYK, the TEC family tyrosine kinase BTK, the SRC family tyrosine kinase LYN, or the receptor family tyrosine kinase insulin receptor kinase, even at concentrations as high as 350 μM. JANEX-1 induces apoptosis in JAK3-expressing human leukemia cell lines NALM-6 and LC1;19 but not in melanoma (M24-MET) or squamous carcinoma (SQ20B) cells. WHI-P131 inhibits the clonogenic growth of JAK3-positive leukemia cell lines DAUDI, RAMOS, LC1;19, NALM-6, MOLT-3, and HL-60 (but not JAK3-negative BT-20 breast cancer, M24-MET melanoma, or SQ20B squamous carcinoma cell lines) in a concentration-dependent fashion. WHI-P131 inhibits clonogenic growth in a concentration-dependent fashion with EC_{50}s of 24.4 μM for NALM-6 cells and 18.8 μM for DAUDI cells. At 100 μM, WHI-P131 inhibits the in vitro colony formation by these leukemia cell lines by >99%. In contrast, JANEX-1 does not inhibit the clonogenic growth of JAK3-negative M24-MET melanoma or SQ20B squamous carcinoma cell lines[1].

In Vivo: JANEX-1 is administered at doses ranging from 5 to 100 mg/kg. Evaluation of CPK activity revealed a dose-response curve with an effective dose 50 (ED_{50}) value of 7.44 mg/kg. Mice receiving JANEX-1 displayed significantly reduced CPK and LDH levels. In addition, the infarct size of JANEX-1-treated mice (30.16±2.79%) is significantly decreased when compared with I/R-operated mice (65.64±3.76%)[2]. JANEX-1 (WHI-P131) is absorbed rapidly, and the time to reach the maximum plasma JANEX-1 concentration (t_{max}) is 24.7±1.7 min. JANEX-1 is rapidly eliminated with an elimination half-life of 45.6±5.5 min. Although the predicted maximum plasma JANEX-1 concentration is 10.5 ± 0.8 μM, which is only half of the C_{max} following i.v. administration of the same bolus dose, the i.p. bioavailability is 94.6% and the systemic exposure levels (i.e., AUC) are very similar to those observed after i.v. injection (17.1±2.2 μM•h versus 18.1±1.2 μM•h)[3].