JAK-IN-1

Cat. No.: HY-13827
CAS No.: 1334673-53-8
Molecular Formula: C₂₀H₂₄N₆O₂
Molecular Weight: 380.44
Target: JAK
Pathway: Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

Description
JAK-IN-1 is a JAK1/2/3 inhibitor with IC₅₀s of 0.26, 0.8 and 3.2 nM, respectively. JAK-IN-1 shows improved selectivity for JAK3 over JAK1.

IC₅₀ & Target
IC₅₀: 0.26 nM (JAK1), 0.8 nM (JAK2), 3.2 nM (JAK3)[1]

In Vitro
JAK-IN-1 inhibits the proliferation of human CD4 and CD8 T cells in a dose-dependent manner upon stimulation by anti-CD3/anti-CD28 antibody-coated beads partially mimicking the activation signals brought to a T cell by an antigen-presenting cell. JAK-IN-1 is active in both mechanistic and functional cell-based assays using T-cells, one of the major cell types in which JAK3 is potentially relevant[1].

In Vivo
JAK-IN-1 is JAK3 selective in vivo, as judged by higher potency inhibiting JAK1/JAK3- vs JAK2- or JAK1/JAK2/TYK2-driven signaling in whole blood assays. JAK-IN-1 potently inhibits IL-2 stimulated plasma concentrations of JAK-IN-1 for each dose. JAK-IN-1 prevents IL-2-driven STAT5 phosphorylation in a dose- and concentration-dependent manner, with approximately 50% inhibition observed at the 10 mg/kg dose (plasma concentration ~480 nM)[1].

PROTOCOL

Cell Assay[1]
Carboxyfluorescein succinimidyl ester (CFSE)-labeled human PBMCs were exposed to JAK-IN-1 prior to stimulation with anti-CD3/anti-CD28 antibodies. Cell proliferation was then measured by CFSE dilutions as detected by flow cytometry in CD4 positive and CD8 positive T cells after staining with fluorochrome-conjugated antibodies[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration[1]
Adult (10–12 weeks old) C57BL/6 mice are treated with JAK-IN-1 (0.3, 1, 3, 10, 30, and 100 mg/kg). Mice received a single oral suspension dose of JAK-IN-1 or vehicle alone. Two hours after treatment by oral gavage, mice were euthanized for collecting whole blood in heparinized tubes[1].

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REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.
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