Data Sheet (Cat.No.TQ0061)

CHZ868

Chemical Properties

CAS No.:	1895895-38-1
Formula:	C22H19F2N5O2
Molecular Weight:	423.42
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	CHZ868 is a type II JAK2 inhibitor (IC50: 0.17 μM in EPOR JAK2 WT Ba/F3 cell).
In vitro	CHZ868 potently inhibits constitutive STAT5 and JAK2 phosphorylation in JAK2V617F SET2 cells. CHZ868 potently inhibits the proliferation of SET2 cells (GI50: 59 nM) and has 6-fold less growth inhibitory activity against CMK cells (GI50: 378 nM) [1]. At 100 nM CHZ868 has activity against 26 kinases, including JAK2 and TYK2. CHZ868 potently suppresses the growth of CRLF2-rearranged human B-ALL cells, abrogates JAK2 signaling [2].
In vivo	CHZ868 is characterized by good metabolic stability, and low water solubility, as well as by moderate blood clearance and good oral bioavailability. CHZ868 improves survival in mice with human or murine B-ALL. CHZ868 and dexamethasone synergistically induce apoptosis in JAK2-dependent B-ALLs and further improves survival compared to CHZ868 alone [2].
Cell Research	CHZ868 is dissolved in DMSO to make 10 mM stock solution and diluted in culture media. Cells are treated with CHZ868 (0, 0.05, 0.1, 0.2 μM) or vehicle (DMSO). After 48 hr (Ba/F3 cells) or 72 hr (MHH-CALL4 and PDX cells), CellTiter-Glo Luminescent Cell Viability Assay is added (10 μL undiluted or 25 μL of a 1:2 dilution in each well) and plates are read [2].
Animal Research	CHZ868 is reconstituted in 0.5% methylcellulose / 0.5% Tween-80 and administered at doses of 10 or 30 mg/kg/day by oral gavage. Pharmacokinetic/pharmacodynamic and efficacy studies in the mouse model of rhEpo-induced polycythemia are carried out essentially. Detection of STAT5 phosphorylation in spleen lysates by Meso Scale Discovery is performed [2].

Solubility Information

Solubility	DMSO: 145 mg/mL (342.45 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.362 mL	11.809 mL	23.617 mL
5 mM	0.472 mL	2.362 mL	4.723 mL
10 mM	0.236 mL	1.181 mL	2.362 mL
50 mM	0.047 mL	0.236 mL	0.472 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Meyer SC, et al. CHZ868, a Type II JAK2 Inhibitor, Reverses Type I JAK Inhibitor Persistence and Demonstrates Efficacy in Myeloproliferative Neoplasms. Cancer Cell. 2015 Jul 13;28(1):15-28.
2. Wu SC, et al. Activity of the Type II JAK2 Inhibitor CHZ868 in B Cell Acute Lymphoblastic Leukemia. Cancer Cell. 2015 Jul 13;28(1):29-41.

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