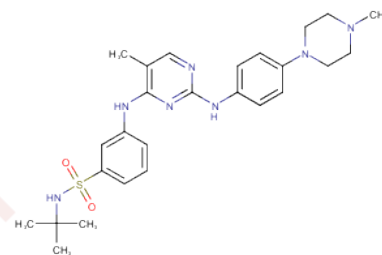


TG101209

Chemical Properties

CAS No. : 936091-14-4
 Formula: C₂₆H₃₅N₇O₂S
 Molecular Weight: 509.67
 Appearance: no data available
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	TG101209 is a selective JAK2 inhibitor with IC ₅₀ of 6 nM.
Targets(IC ₅₀)	Apoptosis,FLT,c-RET,JAK,Autophagy
In vitro	Compared to animals treated with a placebo, those administered TG101209 exhibited a significant reduction in the burden of circulating tumor cells in a dose-dependent manner, with a reduction ratio of up to 20% at +11 days. Administering 100 mg/kg of TG101209 effectively extended the survival time of animals afflicted with JAK2V617F-induced disease by 10 days.
In vivo	TG101209 inhibits survivin in HCC2429 and H460 lung cancer cells and reduces the phosphorylation of STAT3. It induces cell cycle arrest and apoptosis in acute myeloid leukemia cell lines expressing the human JAK2V617F mutation, while inhibiting the phosphorylation of JAK2V617F, STAT5, and STAT3. TG101209 suppresses the growth of Ba/F3 cells expressing the JAK2V617F or MPLW515L mutations, with an IC ₅₀ of approximately 200 nM. It inhibits the growth of hematopoietic colonies from progenitors carrying the JAK2V617F or MPL515 mutations. TG101209 significantly reduces the phosphorylation of STAT5 without affecting the total STAT5 protein level. It eliminates the phosphorylation of BCR-JAK2 and STAT5, reduces Bcl-xL expression, and induces apoptosis in transformed Ba/F3 cells.
Kinase Assay	Cell-free Kinase Activity Assays: IC ₅₀ values for TG101209 are determined using a luminescence-based kinase assay with recombinant JAK2, VEGFR2/KDR, and JAK3 obtained from Upstate Cell Signaling Solutions. Kinase reactions are carried out in a buffer consisting of 40 mM Tris buffer (pH 7.4), 50 mM MgCl ₂ , 800 μM EGTA, 350 μM Triton X-100, 2 mM β-mercaptoethanol, 100 μM peptide substrate, and an appropriate amount of JAK2, VEGFR2/KDR or JAK3 such that the assay is linear over 60 minutes. The reaction is initiated by the addition of 10 μL of ATP to a final concentration of 3 mM and terminated by the addition of Kinase-Glo reagent after 60 minutes. Luciferase activity is quantified using an Ultra 384 instrument set for luminosity measurements. IC ₅₀ values are derived from experimental data using the non-linear curve fitting capabilities of the GraphPad Prism 4.0 software. The single concentration inhibition data for a panel of 63 kinases is determined using the SelectScreen™ service.
Cell Research	In brief, approximately 2 × 10 ³ cells are plated into microtiterplate wells in 100 μl RPMI-1640 growth media with indicated concentrations of TG101209. The relative

growth of cells is quantified at 24-hour intervals using Cell Proliferation Kit II (XTT) as per manufacturer's guidelines. After incubation, 20 mL of XTT is added to the wells and allowed to incubate for 4-6 hours. The colored formazan product is measured spectrophotometrically at 450 nm with correction at 650 nm, and IC50 values are determined using the GraphPad Prism 4.0 software. Data are subjected to a non-linear regression-fit analysis and IC50 values are determined as the concentration that inhibited proliferation by 50%. All experiments are done in triplicate and the results normalized to growth of untreated cells.(Only for Reference)

Solubility Information

Solubility	DMSO: 94 mg/mL (184.4 mM), Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), < 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9621 mL	9.8103 mL	19.6205 mL
5 mM	0.3924 mL	1.9621 mL	3.9241 mL
10 mM	0.1962 mL	0.981 mL	1.9621 mL
50 mM	0.0392 mL	0.1962 mL	0.3924 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pardanani A, et al, Leukemia, 2007, 21(8), 1658-1668.
Ma AC, et al, Exp Hematol, 2009, 37(12), 1379-1386.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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