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

TG101209

Catalog No: tcsc0476

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
936091-14-4

Formula:
$C_{26}H_{35}N_7O_2S$

Pathway:
Protein Tyrosine Kinase/RTK;Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling;Autophagy

Target:
FLT3;JAK;JAK;JAK;Autophagy

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
509.67

Product Description

TG101209 is a selective **JAK2** inhibitor with **IC_{50}** of 6 nM, less potent to **Flt3** and **RET** with **IC_{50}** of 25 nM and 17 nM, appr 30-fold

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selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.

IC50 & Target: IC50: 6 nM (JAK2), 25 nM (Flt3), 17 nM (RET)[1]

In Vitro: TG101209 is an orally bioavailable, small molecule, ATP-competitive inhibitor towards several tyrosine kinases. TG101209 inhibits growth of Ba/F3 cells expressing JAK2V617F or MPLW515L mutations with an IC_{50} of 200 nM. In a human JAK2V617F-expressing acute myeloid leukemia cell line, TG101209 induces cell cycle arrest and apoptosis, and inhibits phosphorylation of JAK2V617F, STAT5 and STAT3. TG101209 suppresses growth of hematopoietic colonies from primary progenitor cells harboring JAK2V617F or MPL515 mutations[1]. TG101209 significantly reduces STAT5 phosphorylation without affecting the total amount of STAT5 protein[2]. TG101209 inhibits survivin and reduces phosphorylation of STAT3 in HCC2429 and H460 lung cancer cells. TG101209 results in radio sensitization of HCC2429 and H460 lung cancer cells in vitro[3]. A recent study indicates TG101209 abrogates BCR-JAK2 and STAT5 phosphorylation, decreases Bcl-xL expression and triggers apoptosis of transformed Ba/F3 cells[4].

In Vivo: TG101209 (100 mg/kg) effectively prolongs the survival in JAK2V617F-induced disease (10 days). Compared with placebo-treated animals, TG101209-treated animals exhibit statistically significant, dose-dependent reduction in the circulating tumor cell burden at day +11 to 20%[1].