



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 ₇ O ₂ S
Pathway: Protein Tyrosine Kinase/RTK;Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling;Autophagy
Target: FLT3;JAK;JAK;Autophagy
Purity / Grade: >98%
Solubility: 10 mM in DMSO

Product Description

509.67

Observed Molecular Weight:

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





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 IC₅₀ 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 placebotreated animals, TG101209-treated animals exhibit statistically significant, dose-dependent reduction in the circulating tumor cell burden at day +11 to $20\%^{[1]}$.

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!