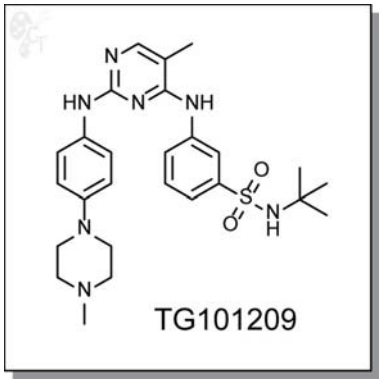
Product Specification Sheet

Product Name: TG101209

Catalog Number: C8120



Technical information:

Chemical Formula:	$C_{26}H_{35}N_7O_2S$
CAS #:	936091-14-4
Molecular Weight:	509.67
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 100mM
Chemical Name:	N-tert-butyl-3-(5-methyl-2-(4-(4-methylpiperazin-1-yl)phenylamino)pyrimidin-4-ylamino)benzenesulfonamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.196mL of DMSO for each mg of TG101209.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

TG101209 is an orally-available, diaminopyrimidine-based inhibitor of JAK2 and Flt3 with IC50 values of 6, 25, and 17 nM, respectively. [1] In a human JAK2V617F-expressing acute myeloid leukemia cell line, TG101209 induced cell cycle arrest (G0/G1) and apoptosis, and inhibits phosphorylation of JAK2V617F, STAT5, and STAT3 at doses between 300-600 nM. In MM1S cells, however, a time-dependent cell cycle arrest was observed in the G2/M stages. [2]

TG101209 was recently utilized in studying a novel BCR-JAK2 tyrosine kinase in which the BCR oligomerization domain is fused to the JAK2 tyrosine-kinase domain. [3]

In lung cancers, TG101209 was shown to inhibit STAT3 activation and survivin expression, and sensitized HCC2429 and H460 cells to radation in clonogenic assays. [4]

Reference:

1. Pardanani et al., TG101209, a small molecule JAK2-selective kinase inhibitor potently inhibits myeloproliferative disorder-associated JAK2V617F and MPLW515L/K mutations. Leukemia 2007, 21, 1658-1668. Pubmed ID: 17541402
2. Ramakrishnan et al., TG101209, a novel JAK2 inhibitor, has significant in vitro activity in multiple myeloma and displays preferential cytotoxicity for CD45+ myeloma cells. Am. J. Hematol. 2010, 85(9), 675-686. Pubmed ID: 20652971
3. Cuesta-Dominguez et al., Transforming and tumorigenic activity of JAK2 by fusion to BCR: molecular mechanisms of action of a novel BCR-JAK2 tyrosine-kinase. PloS ONE 2012, 7(2), e32451. Pubmed ID: 22384256
4. Sun et al., Inhibition of JAK2 signaling by TG101209 enhances radiotherapy in lung cancer models. J. Thorac. Oncol. 2011, 6(4), 699-706. Pubmed ID: 21325979

To reorder: http://www.cellagentech.com/TG101209/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.