Small Molecules

TG101348

JAK/STAT pathway inhibitor;
Inhibits JAK2

Catalog # 73472 — 1 mg
73474 — 10 mg

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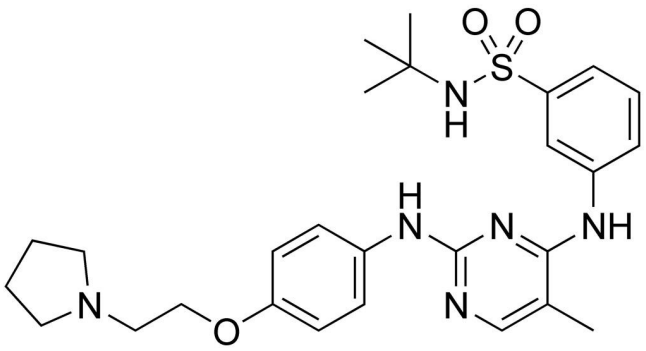
Product Description

TG101348 is an inhibitor of Janus kinase 2 (JAK2) with an IC_{50} of 6 nM. It also inhibits the related kinases Fms-related tyrosine kinase 3 (FLT3), RET, and JAK3 with less potent activity, having IC_{50} values of 25, 17, and 169 nM, respectively (Pardanani et al.). It is proposed to bind to the ATP binding pocket of its kinase targets (Zhou et al.).

Alternative Names: Fedratinib; SAR302503
CAS Number: 936091-26-8
Chemical Formula: $C_{27}H_{36}N_6O_3S$
Molecular Weight: 524.7 g/mol
Purity: ≥ 98%
Chemical Name: N-(1,1-dimethylethyl)-3-[[5-methyl-2-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]amino]-4-pyrimidinyl]amino]-benzenesulfonamide

Structure:



Properties

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Solubility:

· DMSO ≤ 95 mM
· Absolute ethanol ≤ 1 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 191 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Inhibits growth of Ba/F3 cells expressing JAK2 V617F or MPL W515L mutations (Pardanani et al.).
· Reduces tumor cell burden and increases survival in mouse models of JAK2 V617F-induced hematopoietic and myeloproliferative disease (Pardanani et al.; Wernig et al.).
· Sensitizes erlotinib-resistant non-small cell lung cancer cells to erlotinib treatment in vitro and in a mouse xenograft model (Zhang et al.).
· Displaces BRD4 from chromatin and suppresses c-MYC expression in multiple myeloma cells in vitro (Ciceri et al.).

References

Ciceri P et al. (2014) Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. Nat Chem Biol 10(4): 305–12. Pardanani A et al. (2007) TG101209, a small molecule JAK2-selective kinase inhibitor potently inhibits myeloproliferative disorder-associated JAK2V617F and MPLW515L/K mutations. Leukemia 21(8): 1658–68.
Wernig G et al. (2008) Efficacy of TG101348, a selective JAK2 inhibitor, in treatment of a murine model of JAK2V617F-induced polycythemia vera. Cancer Cell 13(4): 311–20.
Zhang F-Q et al. (2015) JAK2 inhibitor TG101348 overcomes erlotinib-resistance in non-small cell lung carcinoma cells with mutated EGF receptor. Oncotarget 6(16): 14329–43.
Zhou T et al. (2014) Specificity and mechanism-of-action of the JAK2 tyrosine kinase inhibitors ruxolitinib and SAR302503 (TG101348). Leukemia 28(2): 404–7.

Related Small Molecules

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules, or contact us at techsupport@stemcell.com.

This product is hazardous. Please refer to the Safety Data Sheet (SDS).

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