

# PRODUCT INFORMATION



**TG101348**

Item No. 16289

**CAS Registry No.:** 936091-26-8  
**Formal Name:** N-(1,1-dimethylethyl)-3-[[5-methyl-2-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]amino]-4-pyrimidinyl]amino]-benzenesulfonamide

**Synonyms:** Fedratinib, SAR302503

**MF:** C<sub>27</sub>H<sub>36</sub>N<sub>6</sub>O<sub>3</sub>S

**FW:** 524.7

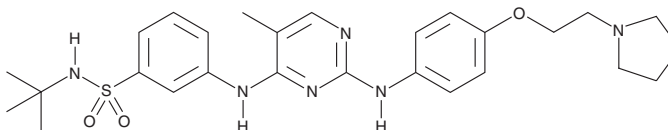
**Purity:** ≥98%

**UV/Vis.:** λ<sub>max</sub>: 275 nm

**Supplied as:** A crystalline solid

**Storage:** -20°C

**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## Laboratory Procedures

TG101348 is supplied as a crystalline solid. A stock solution may be made by dissolving the TG101348 in the solvent of choice, which should be purged with an inert gas. TG101348 is soluble in organic solvents such as ethanol and DMSO. The solubility of TG101348 in these solvents is approximately 0.5 and 50 mg/ml, respectively.

## Description

TG101348 is a JAK2 inhibitor (IC<sub>50</sub> = 3 nM for wild-type and JAK2<sup>V617F</sup> enzymes).<sup>1</sup> It is 35-, 334-, and 135-fold selective for JAK2 over JAK1, JAK3, and tyrosine kinase 2 (TYK2), respectively. It is also selective for JAK2 over a panel of 34 kinases at 500 nM but does inhibit FMS-related tyrosine kinase 3 (FLT3) and RET (IC<sub>50</sub>s = 15 and 48 nM, respectively). TG101348 reduces the proliferation of HEL human erythroleukemic cells as well as Ba/F3 murine hematopoietic cells expressing JAK2<sup>V617F</sup> (IC<sub>50</sub>s = 305 and 270 nM, respectively). It inhibits the differentiation of hematopoietic stem cells and myeloid progenitor cells isolated from patients with the myeloproliferative neoplasm (MPN) polycythemia vera when used at a concentration of 300 nM.<sup>2</sup> TG101348 (60 and 120 mg/kg) improves survival and reduces spleen weight in a syngeneic mouse model of polycythemia vera induced by transplantation of *Jak2*<sup>V617F</sup>-expressing whole bone marrow.<sup>1</sup>

## References

1. Wernig, G., Kharas, M.G., Okabe, R.O., et al. Efficacy of TG101348, a selective JAK2 inhibitor, in treatment of a murine model of JAK2<sup>V617F</sup>-induced polycythemia vera. *Cancer Cell* **13**(4), 311-320 (2008).
2. Geron, I., Abrahamsson, A.E., Barroga, C.F., et al. Selective inhibition of JAK2-driven erythroid differentiation of polycythemia vera progenitors. *Cancer Cell* **13**(4), 321-330 (2008).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

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## CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM