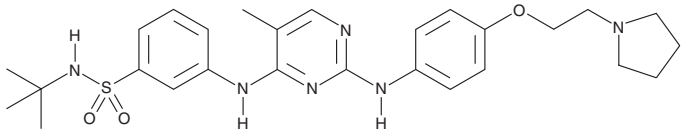
PRODUCT INFORMATION

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:	$C_{27}H_{36}N_6O_3S$
FW:	524.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 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_{50} = 3 nM for wild-type and $JAK2^{V617F}$ enzymes).[1] 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_{50}s = 15 and 48 nM, respectively). TG101348 reduces the proliferation of HEL human erythroleukemic cells as well as Ba/F3 murine hematopoioetic cells expressing $JAK2^{V617F}$ (IC_{50}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.[2] 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*^{V617F}-expressing whole bone marrow.[1]

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 JAK2V617F-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).

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