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TG101348 (SAR302503)

产品编号: D50838

CAS: 936091-26-8

分子式: C27H36N6O3S

纯度: ≥98%

InChi: InChI=1S/C27H36N6O3S/c1-20-19-28-26(30-21-10-12-23(13-11-21)36-17-16-33-14-5-6-15-33)31-25(20)29-22-8-7-9-24(18-22)37(34,35)32-27(2,3)4/h7-13,18-19,32H,5-6,14-17H2,1-4H3,(H2,28,29,30,31)

InChi Key: JOOXLOJCABQBSG-UHFFFAOYSA-N

Smiles: CC1=CN=C(NC2C=CC(=CC=2)OCCN2CCCC2)N=C1NC1C=C(C=CC=1)S(=O)(=O)NC(C)(C)C

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: TG101348 is a potent, specific and orally bioavailable inhibitor of JAK2 (IC50 ~3 nM) and BRD4 (IC50 ~164 nM). It is 35- and 334-fold more selective for JAK2 versus JAK1 and JAK3. It also significantly inhibits JAK2 V617F, Flt3, and Ret with IC50 of 3 nM, 15 nM, and 48 nM, respectively. TG101348 induces apoptosis in both HEL and Ba/F3 JAK2V617F cells, but not in normal human dermal fibroblasts at concentrations up to 10 uM, and its antiproliferative IC50 against fibroblasts is > 5 uM. In a UT7/EPO cell line, TG101348 inhibited STAT5 phosphorylation at 600 nM and inhibited AKT phosphorylation while reducing GATA-1 S310 phosphorylation. It also displaces BRD4 from chromatin and suppresses c-Myc expression. The combination of inhibitory activities on independent kinase and bromodomain oncogenic pathways exemplifies a new strategy for rational single-agent polypharmacological targeting.