PRODUCT INFORMATION



TP-0903

Item No. 28757

CAS Registry No.:	1341200-45-0
Formal Name:	2-[[5-chloro-2-[[4-[(4-methyl-1-
	piperazinyl)methyl]phenyl]amino]-
	4-pyrimidinyl]amino]-N,N-dimethyl-
	benzenesulfonamide
MF:	C ₂₄ H ₃₀ ClN ₇ O ₂ S
FW:	516.1
Purity:	≥98% "
UV/Vis.:	λ_{max} : 281, 330 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

TP-0903 is supplied as a crystalline solid. A stock solution may be made by dissolving the TP-0903 in the solvent of choice, which should be purged with an inert gas. TP-0903 is soluble in the organic solvent DMSO, with warming and sonication, at a concentration of approximately 1 mg/ml.

Description

TP-0903 is an inhibitor of the receptor tyrosine kinase Axl (IC₅₀ = 27 nM).¹ It exhibits greater than 50% inhibition of 11 kinases, including MER, TYRO3, JAK2, ALK, and Abl1, in a panel of 75 kinases at 200 nM, with IC₅₀ values of 3 and 12.4 nM for Aurora A and B, respectively. TP-0903 decreases the phosphorylation of Akt and Axl in GAS6-stimulated, serum-starved PSN-1 pancreatic cancer cells (EC₅₀s = 305 and 222 nM, respectively). It decreases cell viability (IC₅₀ = 6 nM) and induces cell cycle arrest at the G_2/M phase in PSN-1 cells when used at a concentration of 30 nM. TP-0903 also reduces the viability of colorectal cancer (CRC) cell lines with IC₅₀ values ranging from 4.5 to 123 nM.² It exhibits 69 and 44% tumor growth inhibition in an HCT116 mouse xenograft model and a K-Ras-mutant CRC patient-derived xenograft (PDX) mouse model, respectively, when administered at a dose of 40 mg/kg.

References

- 1. Mollard, A., Warner, S.L., Call, L.T., et al. Design, synthesis and biological evalutation of a series of novel Axl kinase inhibitors. ACS Med. Chem. Lett. 2(12), 907-912 (2011).
- 2. Mangelson, R., Peterson, P., Foulks, J.M., et al. Abstract 2197: The AXL kinase inhibitor, TP-0903, demonstrates efficacy in preclinical models of colorectal cancer independent of KRAS mutation status. Cancer Res. 79(13 Suppl), (2019).

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.

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