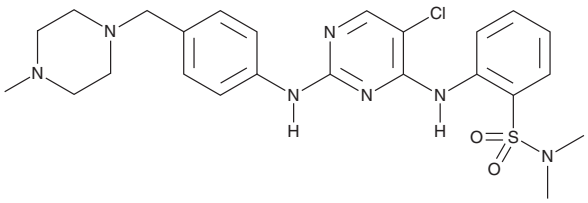
PRODUCT INFORMATION

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: $C_{24}H_{30}ClN_7O_2S$
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 (IC_{50} = 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 IC_{50} 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 (EC_{50}s = 305 and 222 nM, respectively). It decreases cell viability (IC_{50} = 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 IC_{50} 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).

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