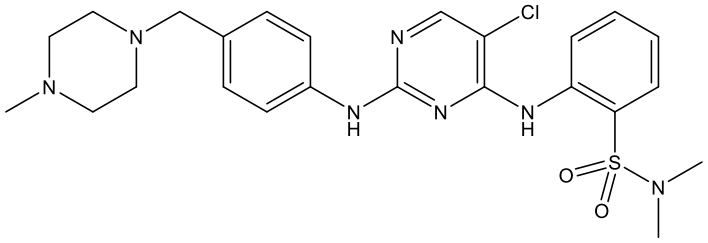
Catalog # 10-4679

Dubermatinib

CAS# 1341200-45-0

2-[[5-Chloro-2-[4-[(4-methylpiperazine-1-yl)methyl]pyrimidine-4-yl]amino]-N,N-dimethylbenzenesulfonamide; TP-0903

Lot # FBS3049



Dubermatinib is a potent (IC_{50} = 27 nM) inhibitor of the TAM family kinase AXL.1 It displayed potent activity against the pancreatic tumor cell line PSN-1 (IC_{50} = 6 nM). Dubermatinib also strongly inhibited the kinases Aurora A/B, JAK2, ABL1, and CHEK1. It induced apoptosis in chronic lymphocytic leukemia (CLL) cells and acted synergistically with BTK inhibitors against CLL cells.[2] It inhibited neuroblastoma cell growth and enhanced sensitivity to conventional chemotherapy.[3] Dubermatinib was also active in a drug-resistant acute myeloid leukemia model[4] and reduced metastasis and therapy resistance in pancreatic cancer models[5].

1) Mollard *et al*. (2011), *Design, Synthesis, and Biological Evaluation of a Series of Novel AXL Kinase Inhibitors*; ACS Med. Chem. Lett. **2** 907
2) Sinha *et al*. (2015); *Targeted Axl Inhibition Primes Chronic Lymphocytic Leukemia B Cells to Apoptosis and Shows Synergistic/Additive Effects in Combination with BTK Inhibitors;* Clin. Cancer Res. **21** 115
3) Aveic *et al*. (2018); *TP-0903 inhibits neuroblastoma cell growth and enhances the sensitivity to conventional chemotherapy*; Eur. J. Pharmacol. **818** 435
4) Jeon *et al*. (2020); *TP-0903 is active in models of drug-resistant acute myeloid leukemia*; JCI Insight **5** e140169
5) Zhang *et al*. (2022); Axl Inhibitor *TP-0903 Reduces Metastasis and Therapy Resistance in Pancreatic Cancer*; Mol. Cancer Ther. **21** 38

PHYSICAL DATA

Molecular Weight:	516.06
Molecular Formula:	$C_{24}H_{30}ClN_7O_2S$
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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