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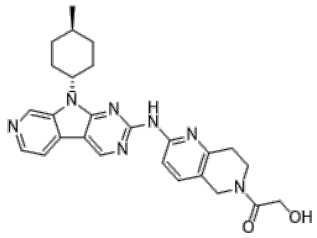
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AMG 925
Cat. No.	:	PC-26046
CAS No.	:	1401033-86-0
Molecular Formula	:	$C_{26}H_{29}N_7O_2$
Molecular Weight	:	471.57
Target	:	FLT3
Solubility	:	10 mM in DMSO



Biological Activity

AMG 925 (AMG925, AMG-925) is a potent and orally bioavailable dual inhibitor of CDK4 and FLT3 with IC50 of 3 nM and 1 nM for CDK4/Cyclin D1 and FLT3 respectively.
AMG 925 (AMG925, AMG-925) much weakly inhibits CDK1/Cyclin B (IC50=2.2 uM).
AMG 925 (AMG925, AMG-925) shows high affinity for a panel of activated variants of FLT3 with Kd of 1-4 nM (FLT3ITD, FLT3D835Y, FLT3D835H, FLT3K663Q, FLT3 N841I).
AMG 925 (AMG925, AMG-925) inhibited pSTAT5 in MOLM13 and pRb in Colo205 with IC50s of 0.005 and 0.023 μM, respectively.
AMG 925 induced apoptosis in FLT3ITD AML cell lines and the FLT3ITD,D835Y mutant AML cell line.
AMG 925 showed significant growth inhibition of MOLM13 xenografts in nude mice, and the activity correlates with inhibition of STAT5 and Rb phosphorylation.

References

Li Z, et al. J Med Chem. 2014 Apr 24;57(8):3430-49.

Keegan K, et al. Mol Cancer Ther. 2014 Apr;13(4):880-9.

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