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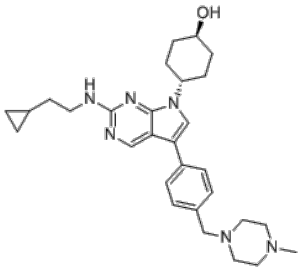
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MRX-2843
Cat. No.	:	PC-49059
CAS No.	:	1429882-07-4
Molecular Formula	:	$C_{29}H_{40}N_6O$
Molecular Weight	:	488.680
Target	:	TAM Receptor (Tyro3-Axl-Mer)
Solubility	:	10 mM in DMSO



Biological Activity

MRX-2843 (UNC2371) is a potent, selective, orally available ATP-competitive type 1 inhibitor of both Mer and Flt3 with IC50 of 1.3 and 1.0 nM, respectively.
MRX-2843 shows 15-fold selectivity for these kinases over the other members of the TAM-family, AXL and TYRO-3 (IC50=15 and 17 nM), and other relevant tyrosine kinases.
MRX-2843 (10-100 nM) inhibits MERTK activation and mediates functional antileukemia effects in MERTK-dependent AML models, inhibits MERTK phosphorylation, MRX-2843 (25-300 nM) inhibits downstream signaling through pathways (phosphorylation of ERK1/2, AKT, and STAT6) in Kasumi-1 cells.
MRX-2843 inhibits FLT3 activation and mediates functional antileukemic effects in FLT3-ITD AML models, inhibitsphosphorylation of FLT3 and downstream signaling through STAT5, ERK1/2, and AKT, inhibits clonal expansion in MOLM-14 cultures (IC50=29.5 nM).
MRX-2843 selectively inhibits colony formation in primary AML patient samples and prolongs survival in patient-derived xenograft models of AML.

References

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