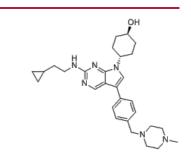


WWW.PROBECHEM.COM

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 ₆ O
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

Minson KA, et al. *JCI Insight*. 2016 Mar;1(3):e85630.

Yan D, et al. *Clin Cancer Res*. 2018 Dec 15;24(24):6523-6535.

Yan D, et al. *J Clin Invest*. 2022 Jun 16:e150517.

Zhang W, et al. J Med Chem. 2014;57(16):7031-7041.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com