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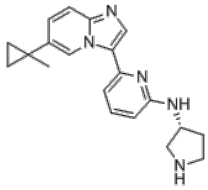
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	KME-2780
Cat. No.	:	PC-21201
CAS No.	:	2968466-26-2
Molecular Formula	:	$C_{20}H_{23}N_5$
Molecular Weight	:	333.44
Target	:	IRAK
Solubility	:	10 mM in DMSO



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Biological Activity

KME-2780 (KME2780) is a potent and selective dual IRAK1 and IRAK4 (**IRAK1/4**) inhibitor with IC50 of 19 and 0.5 nM, respectively.
KME-2780 shows Kd values of 2.2 and 0.2 nM for IRAK1 and IRAK4, respectively.
KME-2780 is significantly more effective at suppressing TLR2-mediated activation of NF-κB and autophosphorylation of IRAK1 in AML cells as compared with the IRAK4 seleective inhibitor KME-3859.
KME-2780 downregulats genes in MAPK/AP1, ATF4, IGFBP, and EGFR signaling in AML cells.
KME-2780 suppresses eukemia stem/progenitor cells (LSPCs), suppresses OCI-AML3 cells, which is insensitive to the genetic inactivation of IRAK1 and IRAK4.
KME-2780 treatment also resulted in a greater expression of CD38, a glycoprotein expressed on mature immune cells.
KME-2780 (30 mg/kg, orally daily) suppresses MDS/AML in xenografted mice.

References

Bennett J, et al. ***Blood.*** 2023 Sep 14;142(11):989-1007.

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

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