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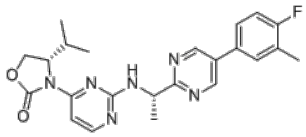
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	IDH889
Cat. No.	:	PC-35173
CAS No.	:	1429179-07-6
Molecular Formula	:	$C_{23}H_{25}FN_6O_2$
Molecular Weight	:	436.491
Target	:	Isocitrate Dehydrogenase (IDH)
Solubility	:	10 mM in DMSO



Biological Activity

IDH889 (IDH-889) is a potent, selective, brain penetrant, orally active **IDH1 R132H mutant** with IC50 of 20 nM, with good overall selectivity vs the wt IDH.
IDH889 binds into an allosteric, induced-fit pocket in IDH1R132H, shows potent cellular inhibition of 2-HG production in the engineered HCT116-IDH1R132H cell line with IC50 of 14 nM.
IDH889 inhibits 2-HG inhibition, modulates mutant IDH1-dependent DNA methylation changes in vivo.
IDH889 causes time-dependent changes, preferential hypomethylation of the loci in mutant cells.
IDH889 shows robust reduction of tumor derived 2-HG in a murine IDH1 mutant tumor xenograft model.
In addition to the potential treatment of AML, chondrosarcoma, cholangiocarcinoma, and other forms of mutant-IDH1 driven cancers, IDH889 demonstrates brain penetrant exposure.

References

Levell JR, et al. ***ACS Med Chem Lett.*** 2016 Dec 16;8(2):151-156.

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

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