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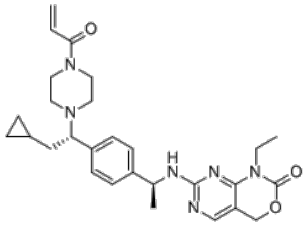
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LY3410738
Cat. No.	:	PC-49659
CAS No.	:	2230263-60-0
Molecular Formula	:	$C_{28}H_{36}N_6O_3$
Molecular Weight	:	504.64
Target	:	Indoleamine 2,3-Dioxygenase (IDO)
Solubility	:	10 mM in DMSO



Biological Activity

LY3410738 (Crelosidenib) is a potent, selective, and covalent inhibitor of mutant IDH1 (**IDH1-R132**), LY3410738 is more effective than Ivosidenib (Cat#PC-45550) and potentiates antileukemic activity of AML.
LY3410738 modifies a single cysteine (Cys269) in an allosteric binding pocket and rapidly inactivates the enzyme, selectively inhibiting 2-HG production without affecting alpha-ketoglutarate (a-KG) levels.
LY3410738 displayed greater potency for inhibition of 2-HG production and differentiation of the IDH1 mutant cells compared to AG-120.
LY3410738 treatement caused sustained 2-HG inhibition leading to a more robust and durable efficacy with respect to AG-120 in vivo.
LY3410738 increased efficacy with Cytarabine and Azacitidine or the FLT3 inhibitor Midostaurin, exhibited a potent anti-leukemic effect, reduction of 2-HG level, and enhanced differentiation of the leukemic blasts in FLT3-mutated AML mice.
LY3410738 also showed synergistic effect when combined with FDA approved Bcl-2 inhibitor, venetoclax in isogenic cells with IDH1R132H mutation, as well as in AML xenograft model derived from a patient refractory to AG-120.

References

Abstract 6417: ***Cancer Res*** (2020) 80 (16_Supplement): 6417.

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