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Data Sheet

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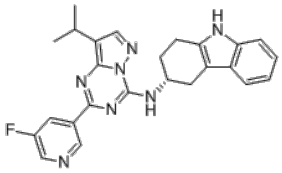
Product Name	:	IK-175
Cat. No.	:	PC-38860
CAS No.	:	2247950-42-9
Molecular Formula	:	$C_{25}H_{24}FN_7$
Molecular Weight	:	441.514
Target	:	Aryl hydrocarbon Receptor (AhR)
Solubility	:	10 mM in DMSO



Biological Activity

IK-175 is a potent, selective and orally active **aryl hydrocarbon receptor (AHR)** antagonist with IC50 of 91 nM in human HepG2 cells expressing an AHR-dependent DRE-luciferase reporter and stimulated with 80 nM of the AHR agonist VAF347.
IK-175 inhibits AHR activity in experimental systems derived from multiple species including mouse, rat, monkey, and humans.
IK-175 inhibits AHR activity in rodent and human cancer cell lines as well as human and nonhuman primate primary immune cells, with concentration dependent effects on AHR target gene expression and cytokine release.
Orally administered IK-175 dose-dependently blocks ligand stimulated-AHR activation of Cyp1a1 transcription in liver and spleen, demonstrating on-target in vivo activity in mice.
IK-175 alone and in combination with an anti-PD-1 antibody demonstrates significant antitumor activity in syngeneic mouse models of colorectal cancer (CT26.WT) and melanoma (B16-IDO1).

References

Karen McGovern, et al. ***Mol Cancer Ther***. 2022 Jun 6;molcanther.0984.2021-12-8 12:58:05.173.

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