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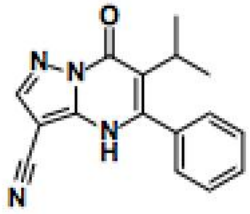
KDM5 Demethylases Inhibitor – CPI-455

Chemical Name: 6-isopropyl-7-oxo-5-phenyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-3-carbonitrile



Molecular Weight:	278.32
Formula:	$C_{16}H_{14}N_4O$
Purity:	≥98%
CAS#:	1628208-23-0
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

CPI-455 is a potent and selective KDM5A inhibitor with IC_{50} ~10 nM. It inhibits KDM5A, KDM5B and KDM5C to similar extents but showed substantially weaker potency toward KDM4C and KDM7B (~200- and 770-fold, respectively) and no measurable inhibition of KDM2B, KDM3B or KDM6A. It specifically alters H3K4 methylation in cells and binds at the demethylase active site. CPI-455 mediated KDM5 inhibition, elevated global levels of H3K4 trimethylation (H3K4me3) and decreased the number of DTPs in multiple cancer cell line models treated with standard chemotherapy or targeted agents.

How to Use:

In vitro: KDM5-C70 was used at 1-10 μM in vitro and cellular assays.

In vivo: n/a

Reference:

1. Vinogradova M, et al. An inhibitor of KDM5 demethylases reduces survival of drug-tolerant cancer cells. (2016) Nat Chem Biol. 12(7):531-8.