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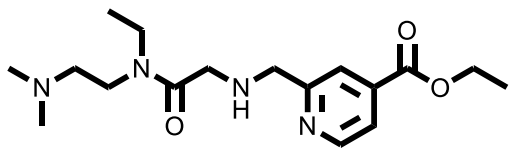
JARID1 Histone Demethylases Inhibitor – KDM5-C70

Chemical Name: ethyl 2-(((2-((2-(dimethylamino)ethyl)(ethyl)amino)-2-oxoethyl)amino)methyl)isonicotinate



Molecular Weight:	336.43
Formula:	$C_{17}H_{28}N_4O_3$
Purity:	≥98%
CAS#:	n/a
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

KDM5-C70 is an ethyl ester derivative of KDM5-C49, which is a potent and selective inhibitor of Jumonji AT-Rich Interactive Domain 1 (JARID1) histone demethylases. The highly polar carboxylate group of KDM5-C49 restricts its cellular permeability; therefore KDM5-C70 was developed as a pro-drug, masking the polarity of the acid group of the KDM5-C49, for cellular assays and in vivo use. KDM5-C70 increases H3K4me3 levels in myeloma cells. Treatment of MCF7 and MDA-MB-231 breast cancer cells with KDM5-C70 significantly increased global levels of H3K4me3 while having little impact on H3K4me2/me1 or modifications regulated by other histone lysine demethylases.

How to Use:

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

In vivo: possible use for in vivo study (IP dosing 15-50 mg/kg, bid)

Reference:

1. Marc Labelle, et al. Inhibitors of Histone Demethylases. (2014). PCT WO 2014053491
2. Johansson C, et al. Structural analysis of human KDM5B guides histone demethylase inhibitor development. (2016) Nat Chem Biol. 12(7):539-45.
3. Horton JR, et al. Structural Basis for KDM5A Histone Lysine Demethylase Inhibition by Diverse Compounds. (2016) Cell Chem Biol. 23(7):769-81.