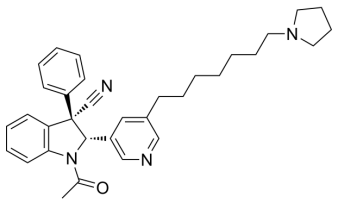
KDM2A/7A-IN-1

Cat. No.:	HY-108706
CAS No.:	2169272-46-0
Molecular Formula:	$C_{33}H_{38}N_4O$
Molecular Weight:	506.68
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (394.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9736 mL	9.8682 mL	19.7363 mL
	5 mM	0.3947 mL	1.9736 mL	3.9473 mL
	10 mM	0.1974 mL	0.9868 mL	1.9736 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (9.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (9.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (9.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KDM2A/7A-IN-1 is a first-in-class, selective and cell-permeable inhibitor of histone lysine demethylases KDM2A/7A, with an IC_{50} of 0.16 μM for KDM2A, exhibits 75 fold selevtivity over other JmjC lysine demethylases, and is inactive on methyl transferases, and histone acetyl transferases[1].

IC_{50} & Target

KDM2

In Vitro

KDM2A/7A-IN-1 ((S,S)-6) is a first-in-class, selective and cell-permeable inhibitor of histone lysine demethylases KDM2A/7A,

with an IC_{50} of 0.16 μM for KDM2A, exhibits 75 fold selevtivity over other JmjC lysine demethylases, and is inactive to methyl transferases, and histone acetyl transferases[1].

KDM2A/7A-IN-1 (0.4, 3.1, 6.2 μM) augments cellular H3K36me2 levels in HeLa cells ectopically expressing catalytically active KDM2A[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gerken PA, et al. Discovery of a Highly Selective Cell-Active Inhibitor of the Histone Lysine Demethylases KDM2/7. Angew Chem Int Ed Engl. 2017 Dec 4;56(49):15555-15559.

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