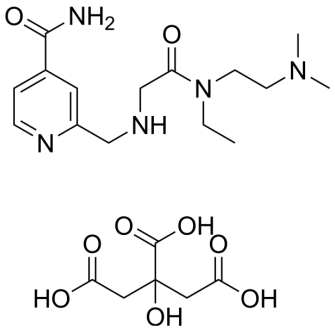
KDOAM-25 citrate

Cat. No.:	HY-102047B
CAS No.:	2448475-08-7
Molecular Formula:	$C_{21}H_{33}N_5O_9$
Molecular Weight:	499.51
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (400.39 mM; Need ultrasonic)
H_2O : 100 mg/mL (200.20 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0020 mL	10.0098 mL	20.0196 mL
5 mM	0.4004 mL	2.0020 mL	4.0039 mL
10 mM	0.2002 mL	1.0010 mL	2.0020 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 (10.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (10.01 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KDOAM-25 citrate is a potent and highly selective histone lysine demethylases 5 (KDM5) inhibitor with IC_{50}s of 71 nM, 19 nM, 69 nM, 69 nM for KDM5A, KDM5B, KDM5C, KDM5D, respectively. KDOAM-25 citrate increases global H3K4 methylation at transcriptional start sites and impairs proliferation in multiple myeloma MM1S cells[1].

IC_{50} & Target

KDM5

In Vitro

KDOAM-25 citrate inhibits most potently KDM5B with an IC_{50} of ⊠50 μM and the other KDM5 family members at concentrations above 100 μM. KDOAM-25 citrate shows no cellular activity on any of the other tested JmjC family members

[1].

?KDOAM-25 citrate is able to reduce the viability of MM1S cells with an IC_{50} of ⊠30 μM after a delay of 5-7 days[1].

?KDOAM-25 citrate treatment results in a G1 cell-cycle arrest with an increased proportion of MM1S in G1 and a decrease of the proportion of cells in G2 without an increase in the proportion of cells in the apoptotic sub-G1 phase[1].

?KDOAM-25 citrate (50 μM) increases with approximately twice as much H3K4me3 in in multiple myeloma cells[1].

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

CUSTOMER VALIDATION

- Research Square Preprint. 2023 Dec 2.

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REFERENCES

[1]. Tumber A, et al. Potent and Selective KDM5 Inhibitor Stops Cellular Demethylation of H3K4me3 at Transcription Start Sites and Proliferation of MM1S Myeloma Cells. Cell Chem Biol. 2017 Mar 16;24(3):371-380.

Caution: Product has not been fully validated for medical applications. For research use only.

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