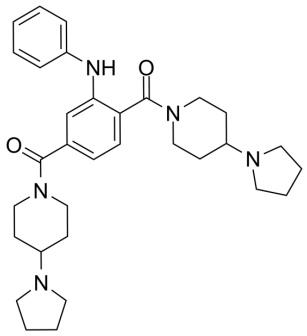
UNC1215

Cat. No.:	HY-15649
CAS No.:	1415800-43-9
Molecular Formula:	$C_{32}H_{43}N_5O_2$
Molecular Weight:	529.72
Target:	Histone Methyltransferase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 270 mg/mL (509.70 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8878 mL	9.4389 mL	18.8779 mL
5 mM	0.3776 mL	1.8878 mL	3.7756 mL
10 mM	0.1888 mL	0.9439 mL	1.8878 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: ≥ 0.83 mg/mL (1.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC1215 is a potent and selective inhibitor for the methyllysine (Kme) reading domain function of L3MBTL3 with a K_d value of 120 nM and an IC_{50} of 40 nM. UNC1215 has the potential to treat malignant brain tumor.

IC_{50} & Target

IC50: 40 nM (L3MBTL3).
Kd: 120 nM (L3MBTL3).

In Vitro	UNC1215 binds L3MBTL3 with a $_{d}$ of 120 nM, competitively displacing mono- or dimethyllysine-containing peptides, and is greater than 50-fold more potent toward L3MBTL3 than other members of the MBT family while also demonstrating selectivity against more than 200 other reader domains examined. X-ray crystallography identified a unique 2:2 polyvalent mode of interaction between UNC1215 and L3MBTL3. In cells, UNC1215 is nontoxic and directly binds L3MBTL3 via the Kme-binding pocket of the MBT domains. UNC1215 increases the cellular mobility of GFP-L3MBTL3 fusion proteins, and point mutants that disrupt the Kme-binding function of GFP-L3MBTL3 phenocopy the effects of UNC1215 on localization[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Med. 2022 Jan 3;219(1):e20210789.
- Cell Syst. 2018 Apr 25;6(4):424-443.e7.
- Patent. US20180263995A1.

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REFERENCES

[1]. James LI, Barsyte-Lovejoy D, Zhong N, et al. Discovery of a chemical probe for the L3MBTL3 methyllysine reader domain. Nat Chem Biol. 2013 Mar;9(3):184-91. doi: 10.1038/nchembio.1157.

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