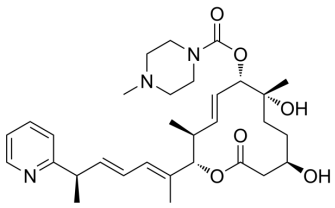
H3B-8800

Cat. No.:	HY-111517
CAS No.:	1825302-42-8
Molecular Formula:	$C_{31}H_{45}N_3O_6$
Molecular Weight:	555.71
Target:	DNA/RNA Synthesis; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (179.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7995 mL	8.9975 mL	17.9950 mL
5 mM	0.3599 mL	1.7995 mL	3.5990 mL
10 mM	0.1799 mL	0.8997 mL	1.7995 mL

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

BIOLOGICAL ACTIVITY

Description

H3B-8800 is a potent and orally active SF3B splicing modulator. H3B-8800 direct interaction with the SF3b complex and shows anti-cancer activity. H3B-8800 has the potential for the research of acute myeloid leukemia (AML) with SF3B1 mutant [1].

IC_{50} & Target

SF3B splicing[1]

In Vitro

H3B-8800 (0.1-10000 nM; 72 h) inhibits cell growth in SF3B1-mutant cell line Panc05.04 cells[1].

H3B-8800 (0.1-10000 nM; 24 h) induces apoptosis in SF3B1-mutant cell line Panc05.04 cells in a dose-dependent manner[1].

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

Apoptosis Analysis[1]

Cell Line:	SF3B1-mutant cell line Panc05.04 cells
Concentration:	0.1,1, 10, 100, 1000, 10000 nM

Incubation Time:	24 h
Result:	Induced apoptosis by increased caspase-3/7 cleavage in a dose-dependent manner.

In Vivo

H3B-8800 (2, 4 mg/kg; p.o.; daily) shows anti tumor activity in AML derived xenografts (PDXs) with a mutation in SF3B1[1].

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

Animal Model:	6-8 weeks, female NSG or CB17-SCID mice (K562 cells with $SF3B1^{WT}$ or $SF3B1^{K700E}$)[1]
Dosage:	2, 4 mg/kg
Administration:	P.o.; daily
Result:	Resulted in antileukemic efficacy and splicing modulation in mice bearing AML patient-derived xenografts (PDXs) with a mutation in SF3B1 but had little effect in mice bearing SF3B1WT AML PDXs, significantly reduced leukemic burden relative in SF3B1K700E PDX.

REFERENCES

[1]. Seiler M, et al. H3B-8800, an orally available small-molecule splicing modulator, induces lethality in spliceosome-mutant cancers. Nat Med. 2018 May;24(4):497-504.

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