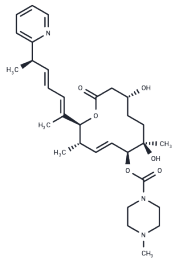
Data Sheet (Cat.No.T77595)

TargetMol

H3B-8800

Chemical Properties



CAS No. : 1825302-42-8

Formula: C31H45N3O6

Molecular Weight: 555.71

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	H3B-8800 is an SF3B1 modulator that can be used to study transfusion-dependent anemia.
Targets(IC50)	Apoptosis,Others
In vivo	We conducted a Phase I clinical trial of H3B-8800, an oral small molecule compound that binds splicing factor 3B1 (SF3B1), in patients with MDS, CMML or AML. Of the 84 patients enrolled in the trial (42 MDS, 4 CMML and 38 AML), 62 were dependent on red blood cell (RBC) transfusions at enrollment. The dose-escalation cohort examined two once-daily dosing regimens: regimen I (5 days on/9 days off, study dose range 1-40 mg, n=65) and regimen II (21 days on/7 days off, 7-20 mg, n=19); 27 patients were treated for ≥180 days. The most common treatment-related emergent adverse events included diarrhea, nausea, fatigue, and vomiting. No complete or partial responses meeting IWG criteria were observed; however, RBC transfusion free intervals of more than 56 days were observed in nine patients who were transfusion dependent at enrollment (15%). Five of 15 MDS patients with missense SF3B1 mutations experienced RBC transfusion independence (TI). Increased expression of the pre-treatment target of splicing transmembrane protein 14C (TMEM14C) was observed in MDS patients experiencing RBC TI.

Solubility Information

Solubility	DMSO: 122.5 mg/mL (220.44 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7995 mL	8.9975 mL	17.995 mL
5 mM	0.3599 mL	1.7995 mL	3.599 mL
10 mM	0.1799 mL	0.8997 mL	1.7995 mL
50 mM	0.036 mL	0.1799 mL	0.3599 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rioux N, et al. Metabolic disposition of H3B-8800, an orally available small-molecule splicing modulator, in rats, monkeys, and humans. Xenobiotica. 2020;50(9):1101-1114.
Spinello A, et al. Investigating the Molecular Mechanism of H3B-8800: A Splicing Modulator Inducing Preferential Lethality in Spliceosome-Mutant Cancers. Int J Mol Sci. 2021;22(20):11222.
Seiler M, et al. H3B-8800, an orally available small-molecule splicing modulator, induces lethality in spliceosome-mutant cancers. Nat Med. 2018;24(4):497-504.
Steensma DP, et al. Phase I First-in-Human Dose Escalation Study of the oral SF3B1 modulator H3B-8800 in myeloid neoplasms. Leukemia. 2021;35(12):3542-3550.