Safimaltib

Cat. No.: HY-139399

CAS No.: 2230273-76-2

Molecular Formula: $C_{20}H_{11}F_6N_5O_2$

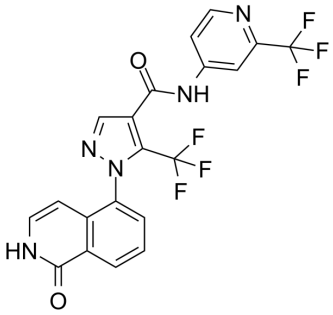
Molecular Weight: 467.32

Target: MALT1

Pathway: Metabolic Enzyme/Protease; NF-κB

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 : 125 mg/mL (267.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1399 mL	10.6993 mL	21.3986 mL
	5 mM	0.4280 mL	2.1399 mL	4.2797 mL
	10 mM	0.2140 mL	1.0699 mL	2.1399 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: ≥ 2.08 mg/mL (4.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Safimaltib (JNJ-67856633) is an orally active, first-in-class, potent, selective and allosteric MALT1 protease inhibitor. Safimaltib in some cases lead to tumor stasis[1][2][3].

IC_{50} & Target

MALT1 protease[1][2][3]

In Vitro

Safimaltib (JNJ-67856633) is effective and highly bioavailable in both mouse and rat tumors, and in some cases led to tumor stasis.

	Safimaltib leads to potent in vivo pharmacodynamic shutdown in CD79b- as well as CARD11-mutant ABC-DLBCL models as measured by serum IL10 or uncleaved BCL10 levels in tumors[1][3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dose dependent inhibition of the generation of Tregs ($CD4^+CD25^+FoxP3^+$) following CD3/28 stimulation was observed upon treatment with JNJ-67856633 suggesting a potential immune modulatory role of MALT1 inhibition[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Virtual meeting delivers first time drug structures

[2]. A Phase 1, First-in-Human, Open-Label Study of the Safety, Pharmacokinetics, and Pharmacodynamics of JNJ-67856633, an Inhibitor of MALT1, in Participants with NHL and CLL

[3]. Abstract 5690: Discovery of JNJ-67856633: A novel, first-in-class MALT1 protease inhibitor for the treatment of B cell lymphomas

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