Voclosporin

Cat. No.: HY-106638

CAS No.: 515814-01-4

Molecular Formula: $C_{63}H_{111}N_{11}O_{12}$

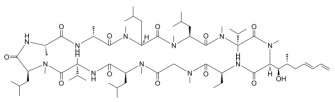
Molecular Weight: 1214.62

Target: Phosphatase; Molecular Glues

Pathway: Metabolic Enzyme/Protease; PROTAC

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 : ≥ 50 mg/mL (41.17 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.8233 mL	4.1165 mL	8.2330 mL
5 mM	0.1647 mL	0.8233 mL	1.6466 mL
10 mM	0.0823 mL	0.4117 mL	0.8233 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Voclosporin (ISAtx-247) is a calcineurin (PP2B) (CN) inhibitor[1].

IC_{50} & Target

Calcineurin[1]

In Vitro

This novel semi-synthetic calcineurin (CN) inhibitor is designated Voclosporin (ISATX247). The efficacy of Voclosporin as an immunosuppressive agent is examined using an in vitro calcineurin assay[1]. Voclosporin (ISATX247) is a calcineurin inhibitor that has shown more potency than Cyclosporine in vitro[2].

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

In Vivo

All animals tolerate Voclosporin (ISATX247) and Cyclosporine A (CsA) very well. There are no severe adverse effects associated with either drug. In the Voclosporin group, all animals except 1 have diarrhea of different durations during the

	study (mean 2.3 days, range 2 to 7 days). This differs from the CsA and the control groups, where no animals have diarrhea. Mean weight loss at the end of the study is slightly higher in the Voclosporin group than in the CsA and control groups (3.4% vs 2.0% and 1.0%, respectively)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Monkey[2] Wild-caught, male cynomolgus monkeys, weighing between 5 and 8 kg, are used. Four groups of animals are treated twice daily at 8:00 AM and 6:00 PM for 7 days with the following doses: Group I (n=6), Voclosporin 25 mg/kg; Group II (n=6), Voclosporin 50 mg/kg; Group III (n=5), CsA 25 mg/kg; and Group IV (n=4), vehicle (no drug) 1 mL/kg. Levels of Cyclosporine and Voclosporin in whole blood are measured by liquid chromatography/mass spectrometry[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Abel MD, et al. ISATX247: a novel calcineurin inhibitor. J Heart Lung Transplant. 2001 Feb;20(2):161.

[2]. Stalder M, et al. In vivo evaluation of the novel calcineurin inhibitor ISATX247 in non-human primates. J Heart Lung Transplant. 2003 Dec;22(12):1343-52.

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