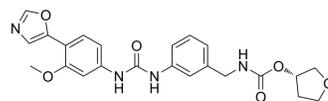


## Merimepodib

<b>Cat. No.:</b>	HY-13986		
<b>CAS No.:</b>	198821-22-6		
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>24</sub> N <sub>4</sub> O <sub>6</sub>		
<b>Molecular Weight:</b>	452.46		
<b>Target:</b>	HBV; HCV; Flavivirus; Dengue virus		
<b>Pathway:</b>	Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 31 mg/mL (68.51 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.2101 mL	11.0507 mL	22.1014 mL
	5 mM	0.4420 mL	2.2101 mL	4.4203 mL
	10 mM	0.2210 mL	1.1051 mL	2.2101 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Merimepodib (VX-497) is a noncompetitive and oral inhibitor of inosine monophosphate dehydrogenase (IMPDH) with broad spectrum antiviral activities.

#### In Vitro

VX-497 has antiproliferative effect on lymphoid and keratinocyte cells. The antiproliferative effect of VX-497 in cells is

reversed within 48 h of its removal<sup>[1]</sup>. VX-497 has intermediate antiviral activity against a second group of viruses, which includes HSV-1, parainfluenza-3 virus, BVDV, VEEV, and dengue virus, with IC<sub>50</sub>s ranging from 6 to 19 μM. VX-497 is 100-fold more potent, with an IC<sub>50</sub> of 380 nM and a corresponding CC<sub>50</sub> of 5.2 μM, for a therapeutic index of 14. The antiviral activity of VX-497 in HepG2.2.2.15 cells is reversed threefold by the addition of guanosine<sup>[2]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Oral administration of VX-497 inhibits the primary IgM antibody response in a dose-dependent manner, with an ED<sub>50</sub> value of approx 30-35 mg/kg in mice. Single daily dosing of VX-497 is observed to be as effective as twice-daily dosing in this model of immune activation<sup>[1]</sup>. GVHD developed in the vehicle-treated allografted F1 mice and treatment with VX-497 improved all manifestations of the disease significantly. The 2.9-fold increase in spleen weight in allografted animals is reduced to a 1.6-fold increase in the VX-497-treated mice. Serum IFN-gamma levels are increased 54-fold in the vehicle group while there is a 7.4-fold increase in VX-497-treated animals<sup>[3]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[2]</sup>

The murine fibroblast L929 cell line is cultured in Eagle minimal essential medium supplemented with 10% fetal bovine serum, nonessential amino acids, 50 U of penicillin per mL, 50 μg of streptomycin per mL, and 2 mM L-glutamine. EMCV is infected at 500 PFU/107 L929 cells. Cells are left untreated or are treated with different concentrations of murine IFN-α alone, VX-497 alone, or combinations thereof.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Mol Immunol. 2019 Oct;114:226-232.
- Antiviral Res. 2018 Jan;149:34-40.

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## REFERENCES

- [1]. Jain J, et al. VX-497: a novel, selective IMPDH inhibitor and immunosuppressive agent. J Pharm Sci. 2001 May;90(5):625-37.
- [2]. Markland W, et al. Broad-spectrum antiviral activity of the IMP dehydrogenase inhibitor VX-497: a comparison with ribavirin and demonstration of antiviral additivity with alpha interferon. Antimicrob Agents Chemother. 2000 Apr;44(4):859-66.
- [3]. Decker CJ, et al. The novel IMPDH inhibitor VX-497 prolongs skin graft survival and improves graft versus host disease in mice. Drugs Exp Clin Res. 2001;27(3):89-95.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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