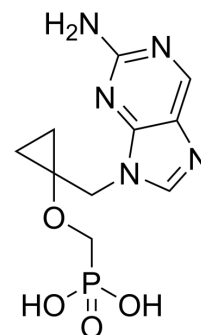


## Besifovir

Cat. No.:	HY-19447		
CAS No.:	441785-25-7		
Molecular Formula:	C <sub>10</sub> H <sub>14</sub> N <sub>5</sub> O <sub>4</sub> P		
Molecular Weight:	299.22		
Target:	HBV		
Pathway:	Anti-infection		
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 : 250 mg/mL (835.51 mM; Need ultrasonic)  
 H<sub>2</sub>O : ≥ 100 mg/mL (334.20 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.3420 mL	16.7101 mL	33.4202 mL
	5 mM		0.6684 mL	3.3420 mL	6.6840 mL
	10 mM		0.3342 mL	1.6710 mL	3.3420 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: ≥ 2.08 mg/mL (6.95 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (6.95 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.08 mg/mL (6.95 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Besifovir (LB80331), a parent agent converted by [LB80380](#) (HY-19447A), further metabolizes to its active form, [LB80317](#) (HY-106235). Besifovir is an orally active, novel antiviral agent against hepatitis B virus (HBV)<sup>[1][2]</sup>.

#### In Vivo

The prodrug, LB80380, is rapidly absorbed after oral administration (30, 60, 120, 240 mg) and is converted to its parent drug, Besifovir (LB80331) (LB80380 was not detected in plasma). The median T<sub>max</sub>s of LB80331 ranged from 1.0 to 2.0 h

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postdosing. Thereafter, the plasma LB80331 concentration declined in a monoexponential manner, and the mean values of  $t_{1/2}$  ranged from 2.5 to 3.3 h<sup>[2]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

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- [1]. Lung-Yi Mak, et al. Pharmacokinetic evaluation of besifovir for the treatment of HBV infection. *Expert Opin Drug Metab Toxicol*. 2018 Jan;14(1):101-106.
- [2]. Jung JA, et al. Pharmacokinetic comparison of the maleate and free base formulations of LB80380, a novel nucleotide analog, in healthy male volunteers. *Int J Clin Pharmacol Ther*. 2012 Sep;50(9):657-64.
- [3]. Yuen MF, et al. A randomized placebo-controlled, dose-finding study of oral LB80380 in HBeAg-positive patients with chronic hepatitis B. *Antivir Ther*. 2006;11(8):977-83.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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