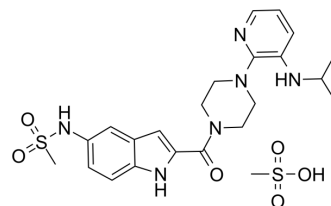


## Delavirdine mesylate

<b>Cat. No.:</b>	HY-10571A
<b>CAS No.:</b>	147221-93-0
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>32</sub> N <sub>6</sub> O <sub>6</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	552.67
<b>Target:</b>	HIV; Reverse Transcriptase
<b>Pathway:</b>	Anti-infection
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : ≥ 40.3 mg/mL (72.92 mM)					
	* "≥" means soluble, but saturation unknown.					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.8094 mL	9.0470 mL	18.0940 mL
<b>5 mM</b>			0.3619 mL	1.8094 mL	3.6188 mL	
	<b>10 mM</b>		0.1809 mL	0.9047 mL	1.8094 mL	
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Delavirdine (U 90152) mesylate is a potent, highly specific and orally active non-nucleoside reverse transcriptase inhibitor (NNRTI). Delavirdine mesylate selectively inhibits HIV-1 reverse transcriptase (RT) (IC <sub>50</sub> =0.26 μM) over DNA polymerase α (IC <sub>50</sub> =440 μM) and polymerase δ (IC <sub>50</sub> >550 μM). Delavirdine mesylate is an inhibitor of HIV-1 replication and can be used for the study of AIDs <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.26 μM (HIV-1 RT); 440 μM (DNA polymerase α); >550 μM (DNA polymerase δ) <sup>[1]</sup>
<b>In Vitro</b>	Delavirdine has an 50% cytotoxicity at concentrations >100 μM in H9 and PBMC cultures. Delavirdine has low cellular

cytotoxicity, causing less than 8% reduction in peripheral blood lymphocyte viability at 100  $\mu\text{M}$ <sup>[1]</sup>.  
Delavirdine inhibits HIV-1 reverse transcriptase (RT) wild type with an  $\text{IC}_{50}$  value of 0.26  $\mu\text{M}$ , and it inhibits Y181C-substituted RT and K103N-substituted RT with  $\text{IC}_{50}$  values of 8.32  $\mu\text{M}$  and 7.7  $\mu\text{M}$ , respectively<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Delavirdine (U 90152) mesylate (oral gavage; 10 mg/kg, 200 mg/kg, 250 mg/kg; single dose) is absorbed and metabolized rapidly, that it constitutes a minor component in circulation, that its pharmacokinetics are nonlinear, and that its metabolism to desalkyl delavirdine is capacity limited or inhibitable in CD-1 mice (PK study)<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Sci Rep. 2015 Oct 29;5:15806.
- Future Med Chem. 2018 Dec 17.

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## REFERENCES

- [1]. Dueweke TJ, et al. U-90152, a potent inhibitor of human immunodeficiency virus type 1 replication. Antimicrob Agents Chemother. 1993 May;37(5):1127-31.
- [2]. Mayland Chang, et al. Metabolism of the HIV-1 Reverse Transcriptase Inhibitor Delavirdine In Mice. Research Article

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

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