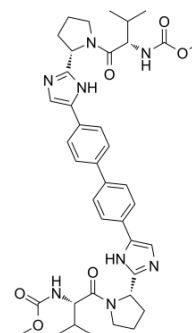


## Daclatasvir

<b>Cat. No.:</b>	HY-10466		
<b>CAS No.:</b>	1009119-64-5		
<b>Molecular Formula:</b>	C <sub>40</sub> H <sub>50</sub> N <sub>8</sub> O <sub>6</sub>		
<b>Molecular Weight:</b>	738.88		
<b>Target:</b>	HCV		
<b>Pathway:</b>	Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 40 mg/mL (54.14 mM)  
 \* "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.3534 mL	6.7670 mL	13.5340 mL
5 mM	0.2707 mL	1.3534 mL	2.7068 mL
10 mM	0.1353 mL	0.6767 mL	1.3534 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 (3.38 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (3.38 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (3.38 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Daclatasvir (BMS-790052) is a potent and orally active HCV NS5A protein inhibitor with EC<sub>50</sub>s range of 9-146 pM for multiple HCV replicon genotypes. Daclatasvir is also a organic anion transporting polypeptide 1B (OATP1B) and OATP1B3 inhibitor with IC<sub>50</sub>s of 1.5 μM and 3.27 μM, respectively<sup>[1][2][3]</sup>.

#### IC<sub>50</sub> & Target

EC50: 50 pM (HCV replicon genotype 1a), 9 pM (HCV replicon genotype 1b), 71 pM (HCV replicon genotype 2a), 146 pM (HCV replicon genotype 3a), 12 pM (HCV replicon genotype 4a) and 33 pM (HCV replicon genotype 5a)<sup>[1]</sup>

	Kd: 8 nM (NS5A33-202) and 210 nM (NS5A26-202) <sup>[2]</sup> IC50: 1.5 μM (OATP1B) and 3.27 μM (OATP1B3) <sup>[3]</sup>								
<b>In Vitro</b>	<p>Daclatasvir (BMS-790052) demonstrates potent inhibitory activity towards all genotypes tested, with EC<sub>50</sub> values ranging from 9 pM to 146 pM. Daclatasvir inhibits HCV replicon genotype 1a, 1b, 2a, 3a, 4a and 5a with EC<sub>50</sub> values of 50 pM, 9 pM, 71 pM, 146 pM, 12 pM and 33 pM, respectively. Daclatasvir is a potent inhibitor of the JFH-1 genotype 2a infectious virus that replicates in cell culture (EC<sub>50</sub>=28 pM)<sup>[1]</sup>. Daclatasvir (BMS-790052) binds tightly to NS5A33-202 and NS5A26-202 with K<sub>d</sub>s of 8 nM and 210 nM, respectively<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
<b>In Vivo</b>	<p>Daclatasvir (BMS-790052; 30 mg/kg; oral administration; daily; for 27 days) treatment reduces serum HCV RNA titers very rapidly by ~1.5 log<sub>10</sub> at day 3<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>NOD/SCID male mice (5 weeks of age, 18-20 g) bearing HCV RNA-transfected cells<sup>[4]</sup></td> </tr> <tr> <td>Dosage:</td> <td>30 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration; daily; for 27 days</td> </tr> <tr> <td>Result:</td> <td>Reduced serum HCV RNA titers very rapidly by ~1.5 log<sub>10</sub> at day 3.</td> </tr> </table>	Animal Model:	NOD/SCID male mice (5 weeks of age, 18-20 g) bearing HCV RNA-transfected cells <sup>[4]</sup>	Dosage:	30 mg/kg	Administration:	Oral administration; daily; for 27 days	Result:	Reduced serum HCV RNA titers very rapidly by ~1.5 log <sub>10</sub> at day 3.
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## CUSTOMER VALIDATION

- Hepatology. 2019 May;69(5):1861-1872.
- EMBO Rep. 2016 Jul;17(7):1013-28.
- PLoS Pathog. 2018 Sep 18;14(9):e1007284.
- PLoS Pathog. 2017 May 11;13(5):e1006374.
- J Med Chem. 2020 May 20.

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## REFERENCES

- [1]. Min Gao, et al. Chemical genetics strategy identifies an HCV NS5A inhibitor with a potent clinical effect. *Nature*. 2010 May 6;465(7294):96-100.
- [2]. David B Ascher, et al. Potent hepatitis C inhibitors bind directly to NS5A and reduce its affinity for RNA. *Sci Rep*. 2014 Apr 23;4:4765.
- [3]. Tomomi Furihata, et al. Different interaction profiles of direct-acting anti-hepatitis C virus agents with human organic anion transporting polypeptides. *Antimicrob Agents Chemother*. 2014 Aug;58(8):4555-64.
- [4]. Seung-Hoon Lee, et al. HA1077 displays synergistic activity with daclatasvir against hepatitis C virus and suppresses the emergence of NS5A resistance-associated substitutions in mice. *Sci Rep*. 2018 Aug 20;8(1):12469.

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

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