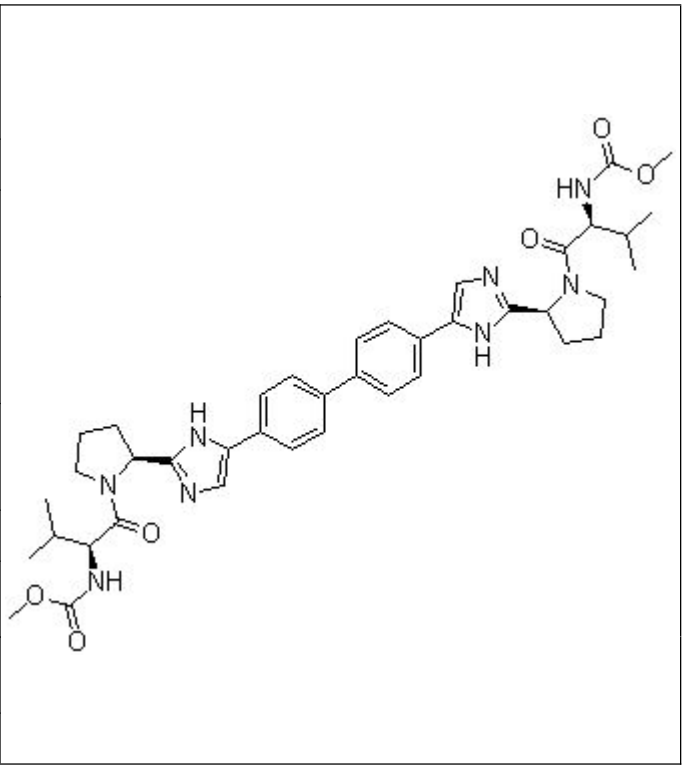
Product Introduction

Daclatasvir (BMS-790052)

BMS-790052 is a highly selective inhibitor of **HCV NS5A** with **EC50** of 9-50 pM, for a broad range of HCV replicon genotypes and the JFH-1 genotype 2a infectious virus in cell culture. Phase 3.

Technical Data:

Molecular Weight (MW):	738.88	
Formula:	$C_{40}H_{50}N_8O_6$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 148 mg/mL	
	Water <1 mg/mL	
	Ethanol 148 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1009119-64-5	

Biological Activity

BMS-790052 is one of the most potent inhibitors of HCV replication reported so far. The mean EC50 valuses of BMS-790052 are 50 and 9 pM for HCV genotype 1a and 1b replicons, respectively. BMS-790052 displays a therapeutic index (CC50/EC50) of at least 10^5 and is inactive towards a panel of 10 RNA and DNA viruses, with EC50 higher than 10 μM. This confirms BMS-790052's specificity for HCV. [1] In Huh7 cells harboring the HCV genotype 1b replicons, BMS-790052 blocks both transient and stable HCV genome replication, with EC50 values raging from 1-15 pM. BMS-790052 (100 pM or 1 nM) has been shown to alter

the subcellular localization and biochemical fractionation of NS5A. [2] BMS-790052 inhibits hybrid replicons containing HCV genotype-4 NS5A genes with EC50 of 7-13 pM. Residue 30 of NS5A is an important site for BMS-790052-mediated resistance in the hybrid replicons. [3]

First-in-class, highly selective inhibitor of hepatitis C virus (HCV) NS5A with picomolar EC50 values.

References

[1] Gao M, et al. Nature, 2010, 465(7294), 96-100.

[2] Lee C, et al. Virology, 2011, 414(1), 10-18.

[3] Wang C, et al. Antimicrob Agents Chemother, 2012, 56(3), 1588-1590.

[4] O'Boyle DR 2nd, et al. Antimicrob Agents Chemother, 2005, 49(4), 1346-1353.