

Daclatasvir

Catalog No: tcsc0588



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg



Specifications

CAS No:

1009119-64-5

Formula:

$C_{40}H_{50}N_8O_6$

Pathway:

Metabolic Enzyme/Protease;Anti-infection

Target:

HCV Protease;HCV

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 40 mg/mL (54.14 mM)

Alternative Names:

BMS-790052;EBP 883

Observed Molecular Weight:

738.88

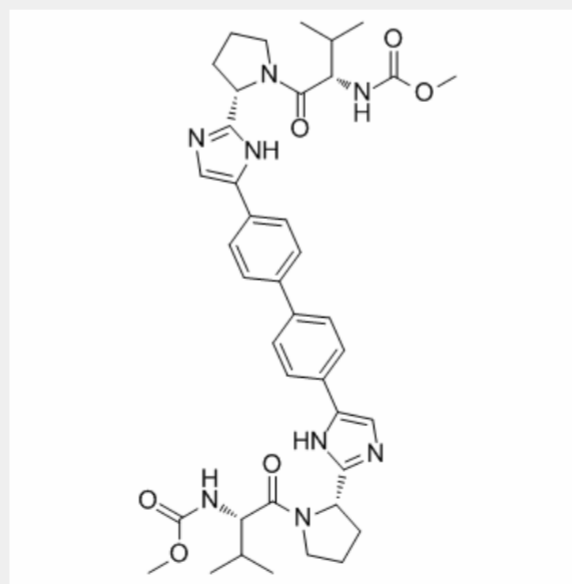
Product Description

Daclatasvir is a potent **HCV NS5A** protein inhibitor, with mean **EC₅₀** values of 50 and 9 pM against genotype 1a and 1b replicons, respectively.

IC50 & Target: EC50: 9±4 pM (HCV replicon genotype 1b, in Con1 cells), 50 ± 13 pM (HCV replicon genotype 1a, in H77 cells)^[1]

In Vitro: Daclatasvir (BMS-790052) is a small molecule inhibitor of the HCV NS5A protein that exhibits picomolar half-maximum effective concentrations (EC₅₀) towards replicons expressing a broad range of HCV genotypes and the JFH-1 genotype 2a infectious virus in cell culture. Daclatasvir is a potent inhibitor of the JFH-1 genotype 2a infectious virus that replicates in cell culture (EC₅₀=28 pM), an assay considered to be a more biologically relevant in vitro cell culture system. In addition, Daclatasvir displays similar potency in Huh-7, HeLa and HEK293T cells, demonstrating that the function(s) of NS5A inhibited by Daclatasvir is (are) highly conserved in different cellular environments^[1].

In Vivo: In a randomized, double-blind, placebo-controlled, single ascending-dose study, Daclatasvir (BMS-790052) is administered at six dose levels to healthy, non-HCV-infected subjects over a range of 1 to 200 mg as an oral solution. Daclatasvir is safe and well tolerated up to 200 mg with no clinically relevant adverse effects. After oral administration, Daclatasvir is readily absorbed, with dose-proportional exposures over the studied dose range, and all subjects have drug concentrations greater than the protein-binding-adjusted EC₉₀ for genotypes 1a and 1b, as measured in the replicon assay, at and beyond 24 h post-dose. (The protein binding-adjusted EC₉₀ figures are derived from an analysis of the effect of the addition of human serum on antiviral activity in replicons. In the presence of 40% human serum, the EC₉₀ for Daclatasvir is 383 pM (0.28 ng/mL) for the genotype 1a replicon and 49 pM (0.04 ng/mL) for the genotype 1b replicon)^[1]. Mice in each group that developed persistent HCV infection are divided into two treatment groups. One group receive 4 weeks of Asunaprevir/Daclatasvir treatment and the other group received 4 weeks of Ledipasvir/GS-558093 treatment. Asunaprevir/Daclatasvir therapy and Ledipasvir/GS-558093 therapy rapidly decrease serum HCV RNA levels to below the sensitivity, and they are not detected after completion of the therapy except for two mice in the Ledipasvir/GS-558093 group^[2].



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