

TMC353121

Catalog No: tcsc0682



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

857066-90-1

Formula:

$C_{32}H_{42}N_6O_3$

Pathway:

Anti-infection

Target:

RSV

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

558.71

Product Description

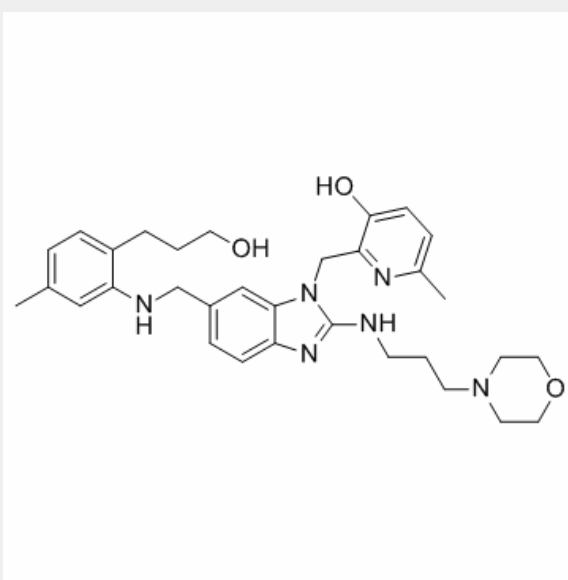
TMC353121 is a potent respiratory syncytial virus (**RSV**) fusion inhibitor with **pEC₅₀**

of 9.9.

IC50 & Target: pEC50: 9.9 (RSV)^[1]

In Vitro: TMC353121 shows activity against groups A and B RSV and against a panel of clinical isolates with equal potency^[1]. TMC353121 is a potent RSV fusion inhibitor in vitro. TMC353121 is active against wild-type RSV (strain LO), with a 50% effective concentration (EC₅₀) of 0.07 ng/mL in HeLaM cells^[2].

In Vivo: After i.v. bolus administration of a single dose of 10 mg/kg to Sprague-Dawley rats, the plasma drug concentration-time profile of TMC353121 exhibits multicompartmental pharmacokinetics. Mean plasma drug concentrations decrease rapidly during the first hours after dosing and then more slowly, with a half-life of about 12 h, as determined for the last part of the curve between 8 and 24 h postdose. TMC353121 is rapidly eliminated from plasma (CL=8.6 liters/h/kg) and extensively distributed (V_{ss}=55 liters/kg)^[2]. TMC353121 is administered once, i.v. at 2.5 mg/kg or at 0.25 mg/kg. Drug levels are determined in lung tissue, serum, and BAL fluid at different time points. TMC353121 followed multicompartment pharmacokinetics, with a fast decay in serum within the first hour after i.v. injection, followed by a slower decay. The drug is eliminated quickly from the blood resulting in very low blood levels after 24 h. Lung concentrations are much higher than serum concentrations and in BAL fluid the drug is just above the limit of detection at 8 h after injection. Very low drug levels can still be detected in the lung 5 days after treatment^[3].



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