

Dapivirine

Catalog No: tcsc2184



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

244767-67-7

Formula:

$C_{20}H_{19}N_5$

Pathway:

Anti-infection;Anti-infection

Target:

Reverse Transcriptase;HIV

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 47 mg/mL (142.68 mM)

Alternative Names:

TMC120;R147681

Observed Molecular Weight:

329.4

Product Description

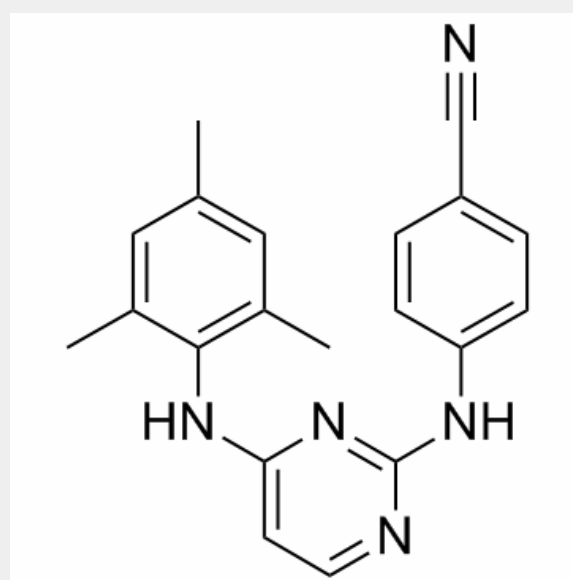
Dapivirine(TMC 120, TMC 120 R147681) is a NNRTI for HIV reverse transcriptase with IC₅₀ of 24 nM, inhibits a broad panel of HIV-1 isolates from different classes, including a wide range of NNRTI-resistant isolates.

IC₅₀ value: 24 nM [1]

Target: HIV reverse transcriptase; NNRTIs

in vitro: TMC120-R147681 is a diarylpyrimidine with high activity against wild-type and mutant HIV. A 24-h treatment with 1,000 nM UC-781 or 100 nM TMC120-R147681 prevented cell-free HIV infection, whereas 10-fold-higher concentrations blocked cell-associated HIV, TMC120-R147681 apparently blocked infection in the primary cultures at a 10 nM concentration, but secondary cultures revealed that a 100 nM concentration was needed to completely prevent proviral integration [1]. Dapivirine is well tolerated by epithelial cells, T cells, macrophages, and cervical tissue explants with CC₅₀ (50% cytotoxic concentration) of 10 μ M to 20 μ M. Dapivirine potently inhibits infection by both X4- and R5-utilizing HIV-1 strains with IC₅₀ of 1.46 nM in cell-based assays. Dapivirine potently inhibits HIV-1BaL infection of human ectocervical explant tissue in a dose-dependent manner, as evaluated by the reduction in both p24 release and provirus content in cultured explants. Dapivirine inhibits the transmission of virus to permissive T cells in a dose-dependent manner, with an IC₅₀ of 0.1 nM. Dapivirine results in significant inhibition of HIV infection when explants are challenged with virus immediately with IC₉₀ of 100 nM. Dapivirine is also able to inhibit viral dissemination by migratory cells [2].

in vivo: Dapivirine-containing gel at vaginal level inhibits cell-associated HIV infection in mice [3]. More placebo (7 of 12) than Dapivirine (3 of 24) gel users has positive vaginal swab results, with white blood cells being the most common finding. Dapivirine (0.05%) results in C_{max} of 715 pg/mL, AUC of 15 ng×h/mL and T_{1/2} of 89.87 hours in plasma after 14 days post-dose. Mean Dapivirine (0.05%) concentrations in vaginal fluids collected at the introitus, mid vagina, and cervix are in the range of 62-265 μ g/g on day 1 [4].



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