Dapivirine

Cat. No.: HY-14266

CAS No.: 244767-67-7

Molecular Formula: $C_{20}H_{19}N_5$

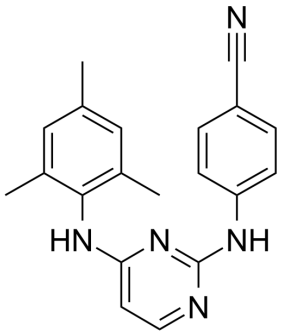
Molecular Weight: 329.4

Target: HIV; Reverse Transcriptase; Apoptosis; Autophagy

Pathway: Anti-infection; Apoptosis; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 47 mg/mL (142.68 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0358 mL	15.1791 mL	30.3582 mL
5 mM	0.6072 mL	3.0358 mL	6.0716 mL
10 mM	0.3036 mL	1.5179 mL	3.0358 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.08 mg/mL (6.31 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dapivirine (TMC120), the prototype of diarylpyrimidines (DAPY), is an orally active and nonnucleoside reverse transcriptase inhibitor (NRTI). Dapivirine (TMC120) binds directly to HIV-1 reverse transcriptase. Dapivirine (TMC120) regulates autophagy and induced Akt, Bad and SAPK/JNK activations[1][2].

In Vitro

Dapivirine (4-64 μM, 24, 48, 72, 96 and 120 hours) inhibits proliferation of glioma cells and induces apoptosis (16 μM, 12-48 h) [1].

Dapivirine (8 and 16 μM, 12 h) enhances invasion of glioma cells[1].

Dapivirine (16 μM, 12 h, 24 h and 48 h) promotes autophagy in U87 cells[1].

Dapivirine (TMC120-R147681) apparently blocks 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[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	U87 glioblastoma cells.
Concentration:	4, 8, 16 μM.
Incubation Time:	24, 48, 72, 96 and 120 hours.
Result:	Inhibited proliferation of glioma cells. IC_{50} was 10.73 μM.

Apoptosis Analysis[1]

Cell Line:	U87 glioblastoma cells.
Concentration:	16 μM.
Incubation Time:	12h, 24h and 48h.
Result:	Induced apoptosis. Decreased caspase-3.

In Vivo

Dapivirine (16 mg/kg, once every 3 days for 12 days) exhibits potent antitumor activity in human glioblastoma models in mice[1].

Dapivirine has been shown to have a half-life in the range of 65 to 90 h[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	U87 cells were subcutaneously injected into the nude mice[1].
Dosage:	16 mg/kg.
Administration:	Once every 3 days for 12 days.
Result:	Significantly decreased the tumor volumes. A significant decrease in Ki67 (a marker for proliferating cells that is overexpressed in many cancers) staining in sections of dapivirine-treated tumors compared to tumors from vehicle-treated mice.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Sci Rep. 2015 Oct 29;5:15806.

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REFERENCES

[1]. Weiwen Liu, et al. Antitumor Activity and Mechanism of a Reverse Transcriptase Inhibitor, Dapivirine, in Glioblastoma. J Cancer. 2018 Jan 1;9(1):117-128.

[2]. Bríd Devlin, et al. Development of dapivirine vaginal ring for HIV prevention. Antiviral Res. 2013 Dec;100 Suppl:S3-8.

[3]. Yven Van Herrewege, et al. In vitro evaluation of nonnucleoside reverse transcriptase inhibitors UC-781 and TMC120-R147681 as human immunodeficiency virus microbicides. Antimicrob Agents Chemother. 2004 Jan;48(1):337-9.

[4]. Michael E Halwes, et al. Pharmacokinetic modeling of a gel-delivered dapivirine microbicide in humans. Eur J Pharm Sci. 2016 Oct 10;93:410-8.

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