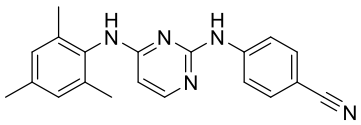
Product data sheet

MedKoo Cat#: 317132 Name: Dapivirine CAS#: 244767-67-7 Chemical Formula: $C_{20}H_{19}N_5$ Exact Mass: 329.16405 Molecular Weight: 329.41	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Dapivirine, also known as TMC120, is a non-nucleoside inhibitor for HIV reverse transcriptase with IC50 of 24 nM. The HIV-1 replication inhibitor dapivirine (DPV) is one of the most promising drug candidates being used in topical microbicide products for prevention of HIV-1 sexual transmission.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	27.07	82.18
DMF	0.3	0.91
DMF:PBS (pH 7.2) (1:3)	0.25	0.76

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.04 mL	15.18 mL	30.36 mL
5 mM	0.61 mL	3.04 mL	6.07 mL
10 mM	0.30 mL	1.52 mL	3.04 mL
50 mM	0.06 mL	0.30 mL	0.61 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Hu Y, Zhang J, Musharrafieh RG, Ma C, Hau R, Wang J. Discovery of dapivirine, a nonnucleoside HIV-1 reverse transcriptase inhibitor, as a broad-spectrum antiviral against both influenza A and B viruses. Antiviral Res. 2017 Sep;145:103-113. doi: 10.1016/j.antiviral.2017.07.016. Epub 2017 Aug 2. PMID: 28778830; PMCID: PMC5599177.
2. Fletcher P, Harman S, Azijn H, Armanasco N, Manlow P, Perumal D, de Bethune MP, Nuttall J, Romano J, Shattock R. Inhibition of human immunodeficiency virus type 1 infection by the candidate microbicide dapivirine, a nonnucleoside reverse transcriptase inhibitor. Antimicrob Agents Chemother. 2009 Feb;53(2):487-95. doi: 10.1128/AAC.01156-08. Epub 2008 Nov 24. PMID: 19029331; PMCID: PMC2630639.

In vivo study

1. Shi L, Yu L, Zhong D, Gu C, Lv L, Zeng X, Yao X, Li L, Liu S. TMC120 displayed potent cytotoxic effect on human cervical carcinoma through enhancing the polymerization of microtubules. AIDS. 2018 Jun 1;32(9):1107-1114. doi: 10.1097/QAD.0000000000001808. PMID: 29596107.

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2. Liu W, Song XL, Zhao SC, He M, Wang H, Chen Z, Xiang W, Yi G, Qi S, Liu Y. Antitumor Activity and Mechanism of a Reverse Transcriptase Inhibitor, Dapivirine, in Glioblastoma. J Cancer. 2018 Jan 1;9(1):117-128. doi: 10.7150/jca.21965. PMID: 29290776; PMCID: PMC5743718.

7. Bioactivity

Biological target:

Dapivirine (TMC120) is a nonnucleoside reverse transcriptase inhibitor (NRTI).

In vitro activity

It was found that dapivirine inhibited A/California/07/2009 (H1N1) replication in a dose-dependent manner with an EC50 of 1.2 ± 0.1 μM (Fig. 1D). The cytotoxicity of dapivirine in MDCK cells was 14.3 ± 0.3 μM with 48 h incubation time (same as plaque assay); therefore, the observed antiviral effect was not due to the cellular cytotoxicity of dapivirine. Dapivirine was also found to inhibit the B/Brisbane/60/2008 virus with EC50 value of 1.1 ± 0.1 μM in plaque assay (Fig. 1D).

Reference: Antiviral Res. 2017 Sep; 145: 103–113. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5599177/

In vivo activity

The tumor volumes of dapivirine-treated cells were significantly decreased in mice than controls (Fig. 4D and 4E). These results indicate that cancer proliferation is inhibited by dapivirine in vivo. In addition, proliferation status of tumor tissues was evaluated by Ki67, a marker for proliferating cells that is over-expressed in many cancers. Immunohistochemistry experiments performed on the sections of U87 tumor tissues showed a significant decrease in Ki67 staining in sections of dapivirine-treated tumors compared to tumors from vehicle-treated mice (Fig. 5E-F). Specifically, quantification of Ki67 positive cells revealed that dapivirine treatment reduced tumor growth by 2.8-fold and reduced cell proliferation (Ki67) by 2.6-fold with in U87.

Reference: J Cancer. 2018; 9(1): 117–128. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5743718/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.