# **PRODUCT INFORMATION**



## **Dapivirine**

Item No. 16247

CAS Registry No.: 244767-67-7

Formal Name: 4-[[4-[(2,4,6-trimethylphenyl)

amino]-2-pyrimidinyl]amino]-

benzonitrile

Synonyms: R147681, TMC120

MF:  $C_{20}H_{19}N_5$ FW: 329.4 **Purity:** ≥98%

UV/Vis.:  $\lambda_{max}$ : 253, 283, 311 nm

Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## **Laboratory Procedures**

Dapivirine is supplied as a crystalline solid. A stock solution may be made by dissolving the dapivirine in the solvent of choice. Dapivirine is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of dapivirine in these solvents is approximately 0.2 and 0.3 mg/ml, respectively.

Dapivirine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dapivirine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Dapivirine has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Non-nucleoside reverse transcriptase inhibitors (NNRTIs) are highly specific and potent allosteric inhibitors of HIV-1 reverse transcriptase. Dapivirine, a diarylpyrimidine derivative of the potent NNRTI efavirenz (Item No. 14412), inhibits HIV-1 reverse transcriptase with an IC<sub>50</sub> value of 24 nM in vitro. 1 It impedes the late stages of HIV-1 infection by interfering with HIV-1 Gag-Pol polyprotein processing.<sup>2</sup>

#### References

- 1. Herrewege, Y.V., Michiels, J., Roey, J.V., et al. In vitro evaluation of nonnucleoside reverse transcriptase inhibitors UC-781 and TMC120-R147681 as human immunodeficiency virus microbicides. Antimicrob. Agents Chemother. 48(1), 337-339 (2004).
- 2. Sluis-Cremer, N. and Tachedjian, G. Mechanisms of inhibition of HIV replication by nonnucleoside reverse transcriptase inhibitors. Virus Res. 134(1-2), 147-156 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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