PRODUCT INFORMATION



Rilpivirine

Item No. 21559

CAS Registry No.: 500287-72-9

Formal Name: 4-[[4-[(1E)-2-cyanoethenyl]-

2,6-dimethylphenyl]amino]-2-

pyrimidinyl]amino]-benzonitrile

Synonyms: R278474, TMC278

MF: $C_{22}H_{18}N_6$ FW: 366.4 **Purity:** ≥98%

UV/Vis.: λ_{max} : 306 nm Supplied as: A crystalline solid

-20°C Storage:

Laboratory Procedures

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

Stability: ≥2 years

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

Rilpivirine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rilpivirine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Rilpivirine 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

Rilpivirine is a non-nucleoside reverse transcriptase inhibitor (NNRTI) that inhibits growth of wild-type HIV with an EC₅₀ value of 0.51 nM.¹ It is active against NNRTI-resistant HIV strains with EC₅₀ values less than 1 nM for L100I, K103N, V106A, G190A, and G190S mutants in vitro. Rilpivirine also reduces growth of greater than 80% of 1,500 NNRTI-resistant clinical isolates (EC_{50} S = <10 nM), including strains containing up to eight resistance mutations. In vivo, rilpivirine, when used in combination with cabotegravir, lamivudine (Item No. 18514), and abcavir, reduces the plasma viral titer in HIV-1 infected humanized mice.²

References

- 1. Garvey, L. and Winston, A. Rilpivirine: A novel non-nucleoside reverse transcriptase inhibitor. Expert Opin. Drug Discov. 18(7), 1035-1041 (2009).
- 2. Arainga, M., Edagwa, B., Mosley, R.L., et al. A mature macrophage is a principal HIV-1 cellular reservoir in humanized mice after treatment with long acting antiretroviral therapy. Retrovirology 14:1, (2017).

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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