Rilpivirine
Item No. 21559

CAS Registry No.: 500287-72-9
Formal Name: 4-[(4-[[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]-benzonitrile
Synonyms: R278474, TMC278
MF: C22H18N6
FW: 366.4
Purity: ≥98%
UV/Vis.: λmax: 306 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

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

Laboratory Procedures

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. 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 (EC50s = <10 nM), including strains containing up to eight resistance mutations. In vivo, rilpivirine, when used in combination with cabotegravir, lamivudine (Item No. 18514), and abacavir, reduces the plasma viral titer in HIV-1 infected humanized mice.

References