

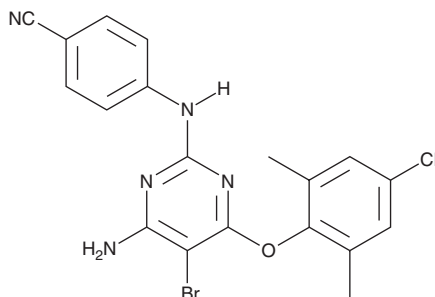
PRODUCT INFORMATION



Etravirine

Item No. 20946

CAS Registry No.: 269055-15-4
Formal Name: 4-[[6-amino-5-bromo-2-[(4-cyanophenyl)amino]-4-pyrimidinyl]oxy]-3,5-dimethyl-benzonitrile
Synonyms: R165335, TMC125
MF: C₂₀H₁₅BrN₆O
FW: 435.3
Purity: ≥95%
UV/Vis.: λ_{max}: 234, 310 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Etravirine is supplied as a crystalline solid. A stock solution may be made by dissolving the etravirine in the solvent of choice, which should be purged with an inert gas. Etravirine is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of etravirine in these solvents is approximately 20 and 30 mg/ml, respectively.

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

Etravirine is a non-nucleoside reverse transcriptase inhibitor (NNRTI).¹ It is active against the wild-type HIV-1 strains LAI, SF2, and Ba-L (EC₅₀s = 1.4-4.8 nM) but not the HIV-2 strain ROD (EC₅₀ = 3,479 nM). Etravirine is also active against 18 HIV-1 strains carrying NNRTI resistance-associated mutations (EC₅₀s = <5 nM). Etravirine (5 μM) increases intracellular processing of the viral polyproteins Gag and Gag-Pol and decreases viral particle production in HEK293T cells transfected with a plasmid encoding the NL4.3 infectious molecular clone of HIV-1.² Formulations containing etravirine have been used in the treatment of HIV-1 infection.

References

1. Andries, K., Azijn, H., Thielemans, T., *et al.* TMC125, a novel next-generation nonnucleoside reverse transcriptase inhibitor active against nonnucleoside reverse transcriptase inhibitor-resistant human immunodeficiency virus type 1. *Antimicrob. Agents Chemother.* **48**(12), 4680-4686 (2004).
2. Figueiredo, A., Moore, K.L., Mak, J., *et al.* Potent nonnucleoside reverse transcriptase inhibitors target HIV-1 Gag-Pol. *PLoS Pathog.* **2**(11), e119 (2006).

WARNING

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

SAFETY DATA

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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