PRODUCT INFORMATION



Etravirine-d₆ Item No. 28902

CAS Registry No.: 1142096-06-7

Formal Name: 4-[[6-amino-5-bromo-2-[(4-cyanophenyl)

amino]-4-pyrimidinyl]oxy]-3,5-di(methyl-

d₂)-benzonitrile

Synonyms: R165335-d₆, TMC125-d₆

MF: C₂₀H₉BrD₆N₆O

FW: 441.3

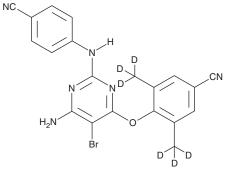
Chemical Purity: ≥95% (Etravirine)

Deuterium

Incorporation: \geq 99% deuterated forms (d₁-d₆); \leq 1% d₀

Supplied as: A 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

Etravirine-d₆ is intended for use as an internal standard for the quantification of etravirine (Item No. 20946) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Etravirine-d_{ζ} is supplied as a solid. A stock solution may be made by dissolving the etravirine-d_{ζ} in the solvent of choice, which should be purged with an inert gas. Etravirine-d, is soluble in the organic solvent DMSO.

Description

Etravirine- d_{λ} is intended for use as an internal standard for the quantification of etravirine (Item No. 20946) by GC- or LC-MS. 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 carrrying NNRTI resistanceassociated mutations (EC $_{50}$ s = <5 nM). Etravirine (5 μ M) 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.

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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