

Product Specification Sheet

Product Name: TMC125 (Etravirine)

Catalog Number: C8125

Technical information:

Chemical Formula: C₂₀H₁₅BrN₆O

CAS #: 269055-15-4

Molecular Weight: 435.28

Purity: > 98%

Appearance: white solid

Solubility: Soluble in DMSO up to 50 mM

Chemical Name: 4-((6-amino-5-bromo-2-((4-cyanophenyl)amino)pyrimidin-4-yl)oxy)-3,5-dimethylbenzonitrile

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.23mL of DMSO for each mg of TMC125 (Etravirine).

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: TMC125 is a nonnucleoside reverse transcriptase inhibitor with an EC50 of 1.4 to 4.3 nM for wild-

type HIV-1. Additionally, TMC125 is highly potent against a wide range of single-mutant and double-mutant NNRTI-resistant HIV-1 strains, including L100I, K103N, Y181C, and L100I+K103N, at

TMC125

(Etravirine)

EC50s of 3, 1, 7, and 19 nM, respectively. (1)

TMC125 is believed to have a high barrier to development of resistance in vitro based on

 $\label{problem} \mbox{multiplicity of infection experiments which confirm a profile distinct from other reverse}$

transcriptase inhibitors. (2)

Reference: 1. Andries et al., TMC125, a Novel Next-Generation Nonnucleoside Reverse Transcriptase Inhibitor Active against Nonnucleoside Reverse Transcriptase Inhibitor-Resistant Human Immunodeficiency Virus Type 1.

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Antimicrob. Agents Chemother. 2004, 48(12), 4680-4686. Pubmed ID: 15561844

 Vingerhoets et al., TMC125 Displays a High Genetic Barrier to the Development of Resistance: Evidence from In Vitro Selection Experiments. J. Virol. 2005, 79(20), 12773-12782. Pubmed ID:

16188980

To reorder: http://www.cellagentech.com/TMC125-Etravirine/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.