Data Sheet (Cat.No.T2551)



Etravirine

Chemical Properties

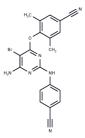
CAS No.: 269055-15-4

Formula: C20H15BrN6O

Molecular Weight: 435.28

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Etravirine (R165335) is a diarylpyrimidine non-nucleoside reverse transcriptase inhibitor. Etravirine is designed to be active against HIV isolates with mutations that confer resistance to the two most commonly prescribed first-generation NNRTIs. It can bind the enzyme reverse transcriptase (RT) in multiple conformations, both for native and mutant RT, thereby blocking the enzymatic activity of RT.
Targets(IC50)	HIV Protease,Reverse Transcriptase
In vitro	Etravirine (TMC125), is highly active against wild-type HIV-1 with EC50 of 1.4 nM to 4.8 nM and shows some activity against HIV-2 with EC50 of 3.5 μ M. TMC125 also inhibits a series of HIV-1 group M subtypes and circulating recombinant forms and a group O virus. [1] [2]
In vivo	Etravirine (TMC125) demonstrates a high genetic barrier against resistance development and remains effective against HIV strains resistant to existing non-nucleoside reverse transcriptase inhibitors (NNRTIs), including those also resistant to protease inhibitors (PIs). Its tolerability profile, assessed in phase IIb trials with treatment-experienced patients, is comparable to the control group[3].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble),		
	DMSO: 50 mg/mL (114.87 mM), Sonication is recommended.		
	(< 1 mg/ml refers to the product slightly soluble or insoluble)		

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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2974 mL	11.4869 mL	22.9737 mL
5 mM	0.4595 mL	2.2974 mL	4.5947 mL
10 mM	0.2297 mL	1.1487 mL	2.2974 mL
50 mM	0.0459 mL	0.2297 mL	0.4595 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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Andries K, et al. Antimicrob Agents Chemother, 2004, 48(12), 4680-4686.

Lazzarin A, et al. Lancet, 2007, 370(9581), 39-48.

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Fical L. Vývoj UHPLC-MS/MS metody pro analýzu vybraných antivirotik v HILIC a RP módu[J]. 2020

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