


Product Introduction

Etravirine (TMC125)

Etravirine (TMC125) is a non-nucleoside reverse transcriptase inhibitor (**NNRTI**) used for the treatment of HIV.

Technical Data:

Molecular Weight (MW):	435.28	
Formula:	C ₂₀ H ₁₅ BrN ₆ O	
Solubility (25°C)	DMSO 42 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	269055-15-4	

Biological Activity

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 μM. TMC125 also inhibits a series of HIV-1 group M subtypes and circulating recombinant forms and a group O virus. ^{[1] [2]}

Etravirine has a high genetic barrier to the development of resistance. In phase IIb trials in treatment-experienced patients, including those infected with virus resistant to NNRTIs and protease inhibitors (PIs), TMC125 is active against HIV resistant to currently available NNRTIs, with a similar

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tolerability profile to that of the control group. [3]

References

- [1] Das K, et al. J Med Chem, 2004, 47(10), 2550-2560.
- [2] Andries K, et al. Antimicrob Agents Chemother, 2004, 48(12), 4680-4686.
- [3] Lazzarin A, et al. Lancet, 2007, 370(9581), 39-48.



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