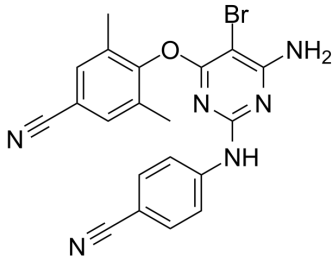
Etravirine

Cat. No.:	HY-90005
CAS No.:	269055-15-4
Molecular Formula:	$C_{20}H_{15}BrN_6O$
Molecular Weight:	435.28
Target:	Reverse Transcriptase; HIV
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (114.87 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Etravirine (R165335; TMC125) is a non-nucleoside reverse transcriptase inhibitor (NNRTI) used for the treatment of HIV.

IC_{50} & Target

HIV-1 HIV-2

In Vitro

TMC125 is highly active against wild-type HIV-1 (50% effective concentration [EC_{50}]=1.4 to 4.8 nM) and shows some activity against HIV-2 (EC_{50}=3.5 μM). TMC125 also inhibits a series of HIV-1 group M subtypes and circulating recombinant forms and a group O virus. TMC125 has activity for 19 viruses with EC_{50} of < 5 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Antimicrob Agents Chemother. 2015 Dec;59(12):7666-7670.
- Pharmaceuticals. 2022, 15(10), 1186.
- Sci Rep. 2015 Oct 29;5:15806.
- Biol Pharm Bull. 2016;39(3):450-4.

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REFERENCES

[1]. Andries, K., 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, 2004. 48(12): p. 468

[2]. Lazzarin, A., et al. Efficacy and safety of TMC125 (etravirine) in treatment-experienced HIV-1-infected patients in DUET-2: 24-week results from a randomised, double-blind, placebo-controlled trial. Lancet, 2007. 370(9581): p. 39-48.

Caution: Product has not been fully validated for medical applications. For research use only.

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