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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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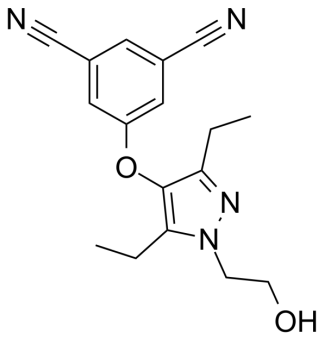
Lersivirine



Cat. No.:	HY-14267
CAS No.:	473921-12-9
Molecular Formula:	$C_{17}H_{18}N_4O_2$
Molecular Weight:	310.35
Target:	HIV; Reverse Transcriptase
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 (161.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2222 mL	16.1108 mL	32.2217 mL
	5 mM	0.6444 mL	3.2222 mL	6.4443 mL
	10 mM	0.3222 mL	1.6111 mL	3.2222 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: ≥ 3 mg/mL (9.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lersivirine (UK-453061) is potent and selective non-nucleoside reverse transcription inhibitor (NNRTI; IC_{50}=119 nM) with excellent efficacy against NNRTI-resistant viruses. Lersivirine exhibits potent antiretroviral activity against wild-type HIV virus and clinically relevant NNRTI-resistant strains[1].

IC_{50} & Target

IC50: 119 nM (NNRT)[1]

In Vitro

Lersivirine demonstrates excellent activity against large panels of wild type and drug-resistant HIV consistent with the encouraging profile demonstrated against the isolated RT enzymes[1].

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

In Vivo

Lersivirine (oral gavage; 0, 150, 350, and 500 mg/kg; once daily; gestation days 6 to 17, followed by cesarean section on gestation day 18.) allows induction of hepatic metabolizing enzymes at the first 2 days at 250 mg/kg, after which the dose is

	increased to 500 mg/kg/day in Mated Crl:CD1(ICR) mice. Lersivirine leads to skeletal variations which related to delayed development and decreased fetal ossifications[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mowbray CE, et al. Pyrazole NNRTIs 4: selection of UK-453,061 (lersivirine) as a development candidate. Bioorg Med Chem Lett. 2009 Oct 15;19(20):5857-60.

[2]. Gregg D Cappon, et al. Developmental toxicity study of lersivirine in mice. Birth Defects Res B Dev Reprod Toxicol. 2012 Jun;95(3):225-30.

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