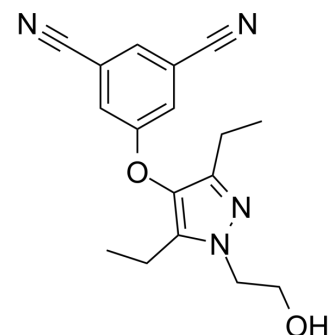


Lersivirine

Cat. No.:	HY-14267		
CAS No.:	473921-12-9		
Molecular Formula:	C ₁₇ H ₁₈ N ₄ O 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)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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] .
IC₅₀ & Target	IC ₅₀ : 119 nM (NNRTI) ^[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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Caution: Product has not been fully validated for medical applications. For research use only.

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