Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Lersivirine

Catalog No: tcsc1191

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
473921-12-9

Formula:
$C_{17}H_{18}N_4O_2$

Pathway:
Anti-infection;Anti-infection

Target:
Reverse Transcriptase;HIV

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
UK-453061

Observed Molecular Weight:
310.35

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Product Description

Lersivirine(UK-453061) is a next-generation non-nucleoside reverse transcriptase inhibitor (NNRTI, IC50=119 nM) with a unique resistance profile that exhibits potent antiretroviral activity against wild-type human immunodeficiency virus and clinically relevant NNRTI-resistant strains.

IC50 value: 0.119 uM [1]

Target: NNRTI

UK-453061(Compound 5) demonstrated excellent activity against large panels of wild type and drug-resistant HIV consistent with the encouraging profile demonstrated against the isolated RT enzymes. Compound 5 can be readily prepared in multi-gram quantities by virtue of the efficient and concise synthetic route. The compound also has good aqueous solubility and formulation characteristics which enable further in vivo evaluation. Clinical trials evaluating the potential of 5 (UK-453,061, lersivirine) to treat HIV infection are proceeding and further progress will be reported in due course [1].

At clinically relevant lersivirine doses (500-1,000 mg total daily dose), the mean plasma exposure of midazolam was reduced in a dose-dependent manner by 20-36 %. Co-administration of lersivirine 1,000 mg QD with OCs had minor PK effects, increasing ethinylestradiol exposure by 10 % and reducing levonorgestrel exposure by 13 % [2]. Mated Crl:CD1(ICR) mice were administered 0, 150, 350, and 500 mg/kg lersivirine once daily by oral gavage on gestation days 6 to 17, followed by cesarean section on gestation day 18. The first 2 days of dosing for the high-dose group were done at 250 mg/kg to allow induction of hepatic metabolizing enzymes, after which the dose was increased to 500 mg/kg/day [3].