Doravirine

Cat. No.: HY-16767

CAS No.: 1338225-97-0

Molecular Formula: $C_{17}H_{11}ClF_3N_5O_3$

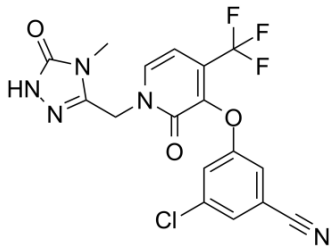
Molecular Weight: 425.75

Target: HIV; Reverse Transcriptase

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (70.46 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.3488 mL	11.7440 mL	23.4880 mL
5 mM	0.4698 mL	2.3488 mL	4.6976 mL
10 mM	0.2349 mL	1.1744 mL	2.3488 mL

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

BIOLOGICAL ACTIVITY

Description

Doravirine (MK-1439) is a highly specific HIV-1 nonnucleoside reverse transcriptase inhibitor with IC_{50}s of 4.5 nM, 5.5 nM and 6.1 nM against the wild type and K103N and Y181C reverse transcriptase mutants, respectively[1].

IC_{50} & Target

IC50: 4.5 nM (wild type reverse transcriptase); 5.5 nM (K103N reverse transcriptase); 6.1 nM (Y181C reverse transcriptase)[1]

In Vitro

Selectivity and cytotoxicity studies confirmed that Doravirine is a highly specific nonnucleoside reverse transcriptase inhibitors with minimum off-target activities. In the presence of 50% normal human serum (NHS), Doravirine shows excellent potency in suppressing the replication of WT virus, with a 95% effective concentration (EC_{95}) of 20 nM, as well as K103N, Y181C, and K103N/Y181C mutant viruses with EC_{95}95 of 43, 27, and 55 nM, respectively. Doravirine exhibits similar antiviral activities against 10 different HIV-1 subtype viruses (a total of 93 viruses)[1].

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

In Vivo

Administration of 50 mg Doravirine with a high-fat meal is associated with slight elevations in AUC time zero to infinity and C24 h with no change in C_{max}[2].

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

CUSTOMER VALIDATION

- J Antimicrob Chemother. 2020 Sep 24;dkaa401.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.

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REFERENCES

[1]. Lai MT et al. In vitro characterization of MK-1439, a novel HIV-1 nonnucleoside reverse transcriptase inhibitor. Antimicrob Agents Chemother. 2014;58(3):1652-63.

[2]. Anderson MS et al. Safety, tolerability and pharmacokinetics of doravirine, a novel HIV non-nucleoside reverse transcriptase inhibitor, after single and multiple doses in healthy subjects. Antivir Ther. 2015;20(4):397-405.

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