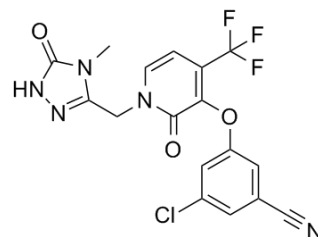


Data Sheet

Product Name:	Doravirine
Cat. No.:	HY-16767
CAS No.:	1338225-97-0
Molecular Formula:	C ₁₇ H ₁₁ ClF ₃ N ₅ O ₃
Molecular Weight:	425.75
Target:	HIV
Pathway:	Anti-infection
Solubility:	DMSO: ≥ 30 mg/mL



BIOLOGICAL ACTIVITY:

Doravirine is a novel non-nucleoside inhibitor of HIV-1 reverse transcriptase with potent activity against wild-type virus (95% inhibitory concentration 19 nM, 50% human serum).

target:HIV [1]

In vitro: Doravirine exhibits potent antiviral activity against wild-type virus and K103N, Y181C, and K103N/Y181C mutant viruses, with IC₅₀ value of 12, 21, 31, and 33 nM, respectively. [1] MK-1439 exhibited similar antiviral activities against 10 different HIV-1 subtype viruses (a total of 93 viruses).[2]

In vivo: Administration of 50 mg doravirine with a high-fat meal is associated with slight elevations in AUC time zero to infinity (AUC_{0-∞}) and C₂₄ h with no change in C_{max}. Midazolam AUC_{0-∞} is slightly reduced by coadministration of doravirine (geometric mean ratio 0.82, 90% CI 0.70, 0.97). [3]

PROTOCOL (Extracted from published papers and Only for reference)

cell assay [2] Doravirine is tested in HIV-1 multiple-cycle replication assays using genetically defined WT and laboratory mutant viruses (K103N, Y181C, and K103N/Y181C) as described previously. Antiviral activity assays are performed using variants of a laboratory HIV-1 isolate, R8, and MT-4 human T-lymphoid cells in cell culture medium supplemented with 10% or 50% normal human serum (NHS). EFV is used as a control compound in the assay, and all EC₅₀s are relative values as they are highly dependent on assay conditions.

References:

- [1]. Feng M et al. Doravirine Suppresses Common Nonnucleoside Reverse Transcriptase Inhibitor-Associated Mutants at Clinically Relevant Concentrations. *Antimicrob Agents Chemother.* 2016 Mar 25;60(4):2241-7.
- [2]. 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.
- [3]. 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.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA