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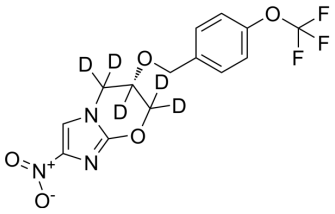
Pretomanid-d_5

Cat. No.:	HY-10844S1
Molecular Formula:	$C_{14}H_7D_5F_3N_3O_5$
Molecular Weight:	364.29
Target:	Antibiotic; Bacterial; Isotope-Labeled Compounds
Pathway:	Anti-infection; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Pretomanid-d_5 is deuterated labeled Pretomanid (HY-10844). Pretomanid (PA-824) is an antibiotic used for the research of multi-drug-resistant tuberculosis affecting the lungs. Pretomanid exhibits a sub-micromolar MIC against M. tuberculosis (MTB). The MIC values of PA-824 against a panel of MTB pan-sensitive and Rifampin mono-resistant clinical isolates range from 0.015 to 0.25 μg/mL.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Pretomanid (PA-824) exhibited a sub-micromolar minimal inhibitory concentration (MIC) against MTB, Although Pretomanid (PA-824) was not the most potent NAP against cultured MTB clinical isolates, it was the most active in infected mice when orally administered at 25 mg/kg. This indicated that Pretomanid (PA-824) might possess more desirable pharmacokinetic properties than the other more potent NAP compounds that we tested. Further studies in mice at 25, 50 and 100 mg/kg Pretomanid (PA-824) daily for 10 days resulted in reductions of mycobacterial burden in both spleen and lung tissues that were comparable to that of INH at 25 mg/kg[2]. Pretomanid (PA-824) showed significant activity at 2, 10, and 50 microg/ml, similar to that of metronidazole, in a dose-dependent manner. Pretomanid (PA-824) at 100 mg/kg in cyclodextrin/lecithin was as active as moxifloxacin at 100 mg/kg and isoniazid at 25 mg/kg and was slightly more active than rifampin at 20 mg/kg. Long-term treatment with Pretomanid (PA-824) at 100 mg/kg in cyclodextrin/lecithin reduced the bacterial load below 500 CFU in the lungs and spleen[3]. Pretomanid (PA-824) has no effect on the viability of M. leprae in all three models, consistent with the lack of the nitroimidazo-oxazine-specific nitroreductase, encoded by Rv3547 in the M. leprae genome, which is essential for activation of this molecule[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stover CK, et al. A small-molecule nitroimidazopyran drug candidate for the treatment of tuberculosis. Nature. 2000 Jun 22;405(6789):962-6.

[2]. Lenaerts AJ, et al. Preclinical testing of the nitroimidazopyran PA-824 for activity against Mycobacterium tuberculosis in a series of in vitro and in vivo models. Antimicrob Agents Chemother. 2005 Jun;49(6):2294-301.

[3]. Manjunatha UH, et al. Mycobacterium leprae is naturally resistant to PA-824. Antimicrob Agents Chemother. 2006 Oct;50(10):3350-4.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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

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