

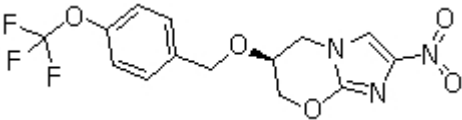


Product Introduction

PA-824

PA-824 is an anti-tuberculosis drug for **tuberculosis** with **MIC** less than 2.8 μM .

Technical Data:

Molecular Weight (MW):	359.26	
Formula:	$\text{C}_{14}\text{H}_{12}\text{F}_3\text{N}_3\text{O}_5$	
Solubility (25°C)	DMSO 72 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 16 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months-80°C in DMSO	
CAS No.:	187235-37-6	

Biological Activity

In vitro, PA-824 exhibits the high activity against multidrug-resistant clinical isolates from Asia (India and South Korea) and from throughout the United States (MIC < 1 $\mu\text{g/ml}$) and is equally active against the drug-sensitive and multidrug-resistant isolates of *M. tuberculosis* (MICs range, 0.039 to 0.531 $\mu\text{g/ml}$).^[1] A recent study shows that single-nucleotide polymorphisms of PA-824 resistance genes (*fgd1* [Rv0407] and *ddn* [Rv3547]) don't significantly affect the PA-824 MICs (≤ 0.25 $\mu\text{g/ml}$).^[2]

In the rapid tuberculosis mouse model, PA-824 shows significant anti-microbial activity in a dose-dependent manner: at 50 mg/kg, PA-824 in MC produces a more than 1-log reduction of the CFU in the lungs; at 100 mg/kg it produces about a 2-log reduction, and at 300 mg/kg it produces a 3-log reduction. Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

reduction. Furthermore, long-term treatment of PA-824 at 100 mg/kg in cyclodextrin/lecithin also leads to the reduction of the bacterial load below 500 CFU in the lungs and spleen. ^[1] PA-824 exhibits time-dependent anti-microbial activity in a murine model of tuberculosis with a maximal observed bactericidal effect of 0.1 log CFU/day over 24 days. ^[3]

An experimental anti-tuberculosis drug.

References

- [1] Lenaerts AJ, et al. *Antimicrob Agents Chemother.* 2005, 49(6), 2294-2301.
- [2] Feuerriegel S, et al. *Antimicrob Agents Chemother.* 2011, 55(12), 5718-5722.
- [3] Ahmad Z, et al. *Antimicrob Agents Chemother.* 2011, 55(1), 239-245.



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