

PRODUCT INFORMATION



PA-824

Item No. 13142

CAS Registry No.: 187235-37-6

Formal Name: (6S)-6,7-dihydro-2-nitro-6-[[4-(trifluoromethoxy)phenyl]methoxy]-5H-imidazo[2,1-b][1,3]oxazine

Synonym: (S)-PA-824

MF: C₁₄H₁₂F₃N₃O₅

FW: 359.3

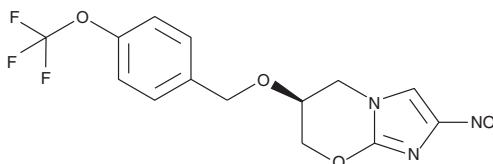
Purity: ≥98%

UV/Vis.: λ_{max}: 324 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PA-824 is supplied as a crystalline solid. A stock solution may be made by dissolving the PA-824 in the solvent of choice. PA-824 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PA-824 in ethanol is approximately 5 mg/ml and approximately 33 mg/ml in DMSO and DMF.

PA-824 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PA-824 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PA-824 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PA-824 is an anti-tuberculosis (anti-TB) agent that is activated intracellularly *via* deazaflavin-dependent nitroreductase (Ddn) metabolism into a desnitro metabolite that kills *M. tuberculosis* by releasing reactive nitrogen species (RNS), including nitric oxide.¹ It is active against replicating and non-replicating *M. tuberculosis* but exhibits little or no activity against *M. avium*, *M. smegmatis*, *M. chelonae*, and *M. fortuitum*.² *In vitro*, it inhibits growth of susceptible and mono- and multi-drug resistant *M. tuberculosis* clinical isolates (MICs = 0.015-5 µg/ml).^{2,3} *In vivo*, PA-824 (100 mg/kg per day) decreases luminescence in the lung to background levels after 60 days of treatment in a mouse model of systemic infection with a reporter strain of *M. tuberculosis*.² It also decreases *M. tuberculosis* burden in the lung and spleen in an aerosol challenged guinea pig model of TB when administered at a dose of 40 mg/kg per day for 30 days.

References

1. Singh, R., Manjunatha, U., Boshoff, H.I.M., *et al.* PA-824 kills nonreplicating *Mycobacterium tuberculosis* by intracellular NO release. *Science* **322**(5906), 1392-1395 (2008).
2. Stover, C.K., Warren, P., VanDevanter, D.R., *et al.* A small-molecule nitroimidazopyran drug candidate for the treatment of tuberculosis. *Nature* **405**(6789), 962-966 (2000).
3. Lenaerts, A.J., Gruppo, V., Marietta, K.S., *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.* **49**(6), 2294-2301 (2005).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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