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产品名称:

(Z)-N-phenyl-4-(piperidin-1-yl)-6-(2-(quinuclidin-3-ylidene)hydrazinyl)-1,3,5-triazin-2-amine

产品别名: **ATB107**

生物活性:

Description	ATB107 is a novel and potent inhibitor of indole-3-glycerol phosphate synthase (IGPS) with a K_D of 3 μ M.
IC₅₀ & Target	KD: 3 μ M (IGPS)[1]
In Vitro	The minimum inhibitory concentration (MIC) of ATB107 is 0.1 μ g/mL for M. tuberculosis H37Ra. ATB107 also has high activity against M. tuberculosis H37Rv, with an MIC of 0.1 μ g/mL. All 50 fully susceptible clinical isolates tested are susceptible to ATB107 at 1 μ g/mL; of these, 41 (82%) are susceptible to ATB107 at 0.1 μ g/mL. The results also show that 67 (83.8%) multidrug-resistant TB (MDR-TB) isolates are susceptible to ATB107 at 1 μ g/mL, and 25 (31.3%) isolates are susceptible to ATB107 at 0.1 μ g/mL. Results show that the binding ability of ATB107 is well correlated with its concentrations. At the highest concentration of 200 μ g/mL, ATB107 can inhibit cell proliferation, with cell survival of about 60%. With the lower concentration of 50 μ g/mL, cell survival is more than 80% for ATB107[1].
Solvent&Solubility	In Vitro: H ₂ O : < 0.1 mg/mL (insoluble)
References	[1]. Shen H, et al. A novel inhibitor of indole-3-glycerol phosphate synthase with activity against multidrug-resistant Mycobacterium tuberculosis. FEBS J. 2009 Jan;276(1):144-54.
实验参考:	
Cell Assay	The tetrazolium dye reduction assay [3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyl-tetrazolium bromide (MTT)] is used to determine the effect of ATB107 on cell survival and growth. At first, the THP-1 macrophage cells are inoculated at 8×10^4 cells/mL into 96-well plates and incubated at 37°C in a 5% CO ₂ /95% air atmosphere for 24 h. ATB107 is added to give concentrations of 50, 100, 150 and 200 μ g/mL. After incubation of cells treated with ATB107 for 12 h, 20 μ L (5 g/L) of MTT solution is added to each well; this is followed by incubation for another 4 h to allow the formation of formazan crystals. Finally, 10% SDS is added to dissolve the formazan crystals, and the plates are read on a microplate reader at 570 nm. Controls are included in which only culture media are added to wells containing cells[1].
Kinase Assay	The concentration of mIGPS is determined. The substrate CdRP is chemically synthesized, with a yield of 30 mM. Ten microliters of 30 mM CdRP and 10 μ L of 1.24 μ M IGPS are added to 480 μ L of 5 mM Tris/HCl (pH 7.0), and incubated at 37°C for 20 min. The enzyme activity is measured with a spectrophotometer by following the increase in absorbance of the solution at 280 nm. ATB107 is added to the assay mixture to obtain concentrations of 10^{-4} M, 7.5×10^{-5} M, 5×10^{-5} M, 2.5×10^{-5} M, and 10^{-5} M, respectively[1].
References	[1]. Shen H, et al. A novel inhibitor of indole-3-glycerol phosphate synthase with activity against multidrug-resistant Mycobacterium tuberculosis. FEBS J. 2009 Jan;276(1):144-54.