AX20017

Cat. No.: HY-14987

CAS No.: 329221-38-7

Molecular Formula: $C_{13}H_{16}N_2O_2S$

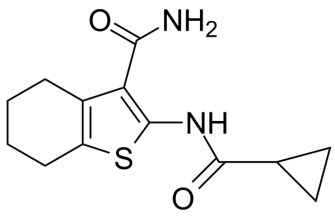
Molecular Weight: 264.34

Target: Bacterial

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 130 mg/mL (491.79 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7830 mL	18.9150 mL	37.8301 mL
	5 mM	0.7566 mL	3.7830 mL	7.5660 mL
	10 mM	0.3783 mL	1.8915 mL	3.7830 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (12.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AX20017 is a small-molecule protein kinase G (PknG) inhibitor with an IC_{50} of 0.39 μM.

IC_{50} & Target

IC50: 0.39 μM (PknG)[1]

In Vitro

The compound AX20017 inhibitor is bound deep within a narrow pocket formed by the inter lobe cleft of the PknG domain. The main chain Glu233:O and Val235:NH of PknG form hydrogen bonds with AX20017[2].AX20017 results in mycobacterial transfer to lysosomes and killing of the mycobacteria. AX20017 does not affect the human kinases, whereas the activity of PknG is effectively inhibited. AX20017 does not affect cellular morphology, membrane ruffling, or macropinocytosis[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [3]	In vitro phosphorylation by PknG (0.5 μg) is in 25 mM Tris (pH 7.5), 2 mM $MnCl_2$, and 0.5 μCi [γ-^{32}P]ATP in the absence or presence of the reagents. To monitor kinase activity of PknGΔN, the protein is combined with equal amounts of the kinase-dead mutant of full-length PknG, PknG-K181M. To analyze kinase activity of PknG-I87S/A92S and PknG-C/S, the PknG-N-terminal fragment of PknG (2 μg) is included. Phosphorylated proteins are separated on 12.5% SDS/PAGE and analyzed by autoradiography or quantitated by PhosphorImage analysis. IC_{50} values are determined by using a radiometric ATP consumptive assay. Twelve concentrations of AX20017 in the range from 5×10^{-5}M to 1.5×10^{-10} M are tested in each kinase assay[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [3]	Phagocytosis is analyzed after incubation of J774 cells for 30 min in the presence of the indicated concentration of AX20017 (0, 10, 20 μM), followed by incubating the cells for 2 h with latex beads at a ratio of 10:1 beads/cells in the continued presence of the inhibitor, followed by fixation in 3% paraformaldehyde as described. Cells are observed with a Axiophot using a ×63 objective. Proliferation of J774 cells is analyzed by incorporation of tritiated thymidine (0.1 μCi) for 12 h as described of cells that had been incubated for 48 h in the absence or presence of the AX20017(0, 10, 20 μM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Omega. 2022 May 31;7(23):20204-20218.

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REFERENCES

[1]. Walburger A, et al. Protein kinase G from pathogenic mycobacteria promotes survival within macrophages. Science. 2004 Jun 18;304(5678):1800-4.

[2]. Santhi N, et al. Insights from the molecular docking of withanolide derivatives to the target protein PknG from Mycobacterium tuberculosis. Bioinformation. 2011;7(1):1-4.

[3]. Scherr N, et al. Structural basis for the specific inhibition of protein kinase G, a virulence factor of Mycobacterium tuberculosis. Proc Natl Acad Sci U S A. 2007 Jul 17;104(29):12151-6.

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