GW779439X

Cat. No.: HY-103645

CAS No.: 551919-98-3

Molecular Formula: $C_{22}H_{21}F_3N_8$

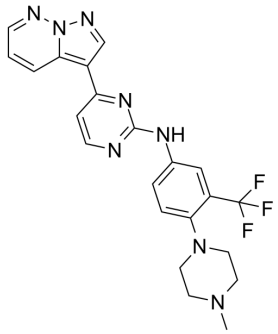
Molecular Weight: 454.45

Target: Bacterial; Aurora Kinase; Apoptosis

Pathway: Anti-infection; Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (68.76 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2005 mL	11.0023 mL	22.0046 mL
5 mM	0.4401 mL	2.2005 mL	4.4009 mL
10 mM	0.2200 mL	1.1002 mL	2.2005 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GW779439X is a pyrazolopyridazine identified in an inhibitor of the S. aureus PASTA kinase Stk1. GW779439X potentiates the activity of β-lactam antibiotics against various MRSA and MSSA isolates, some even crossing the breakpoint from resistant to sensitive. GW779439X is an AURKA inhibitor and induces apoptosis by the caspases 3/7 pathway[1][2]. MRSA:methicillin-resistant S. aureus; MSSA: methicillin-sensitive S. aureus

IC_{50} & Target			
	Aurora A	Stk1	apoptosis

In Vitro

GW779439X (2 μM) biochemically inhibits Stk1. GW779439X (5 μM) potentiates ceftaroline activity against a ceftaroline-resistant MRSA strain. GW779439X is able to potentiate the activity of oxacillin against various S. aureus isolates, including both MRSA and MSSA isolates, but the potentiation is clearly strongest in PBP2A-containing strains[1].
GW779439X has growth inhibition effects on the AGP-01 cell line (IC_{50}= 0.57 μM). GW779439X (1μM) significantly blockS the cell cycle at the G0/G1 phase and sub-G1 phase. GW779439X (1μM; 72 hours; AGP-01 cells) significantly decreases expression

levels of genes involved in proliferation progression (c-MYC, NRAS, and CDC25A) and increases expression levels of genes involved in cell cycle blocking (CDKN1A and TP53)[2].

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

REFERENCES

[1]. Mesquita FP, et al. Kinase inhibitor screening reveals aurora-a kinase is a potential therapeutic and prognostic biomarker of gastric cancer [published online ahead of print, 2021 Jun 23]. J Cell Biochem. 2021;10.1002/jcb.30015.

[2]. Schaenzer AJ, et al. GW779439X and Its Pyrazolopyridazine Derivatives Inhibit the Serine/Threonine Kinase Stk1 and Act As Antibiotic Adjuvants against β-Lactam-Resistant Staphylococcus aureus. ACS Infect Dis. 2018;4(10):1508-1518.

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