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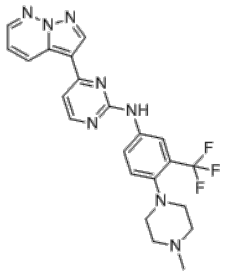
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GW779439X
Cat. No.	:	PC-38471
CAS No.	:	551919-98-3
Molecular Formula	:	$C_{22}H_{21}F_3N_8$
Molecular Weight	:	454.461
Target	:	Bacterial
Solubility	:	10 mM in DMSO



Biological Activity

GW779439X is a small-molecule kinase inhibitor that sensitizes methicillin-resistant Staphylococcus aureus (MRSA) to β-lactam antibiotics via inhibition of the PASTA kinase Stk1.
GW779439X potentiates β-lactam activity against multiple MRSA and MSSA isolates, including the sensitization of a ceftaroline-resistant isolate to ceftaroline.
GW779439X potentiates β-lactam activity via direct inhibition of Stk1.
GW779439X also interacts in the active site of the Aurora-a kinase (AURKA) enzyme.
GW779439X was originally designed for human CDK4 but failed to progress clinically because of high toxicity and low specificity.
The penicillin-binding-protein and serine/threonine kinase-associated (PASTA) kinases has attracted attention as targets for antibiotic adjuvants for β-lactams.

References

Schaenzer AJ, et al. ACS Infect Dis. 2018 Oct 12;4(10):1508-1518.

Mesquita FP, et al. J Cell Biochem. 2021 Oct;122(10):1376-1388.

Wlodarchak N, et al. ACS Med Chem Lett. 2021 Jan 13;12(2):228-235.

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