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## Product Data Sheet

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Product Name: GW779439X

Cat. No.: GC62999

### Chemical Properties

Cas. No. 551919-98-3

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

M.Wt 454.45

Solubility DMSO : 31.25 mg/mL (68.76 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

### Background

GW779439X is a pyrazolopyridazine identified in an inhibitor of the S. aureus PASTA kinase Stk1. GW779439X potentiates the activity of  $\beta$ -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

GW779439X (2  $\mu$ M) biochemically inhibits Stk1. GW779439X (5  $\mu$ M) 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<sub>50</sub>= 0.57  $\mu$ M). GW779439X (1  $\mu$ M) significantly blocks the cell cycle at the G<sub>0</sub>/G<sub>1</sub> phase and sub-G<sub>1</sub> phase. GW779439X (1  $\mu$ M; 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].

**Caution: Product has not been fully validated for medical applications. For research use only.**

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

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