

Product Data Sheet

Product Name: ZCL278
Cat. No.: GC12470

Chemical Properties

Cas. No. 587841-73-4

Chemical Name 2-(4-bromo-2-chlorophenoxy)-N-[[4-[(4,6-dimethylpyrimidin-2-yl)sulfamoyl]phenyl]carbamothioyl]acetamide

SMILES CC1=CC(=NC(=N1)NS(=O)(=O)C2=CC=C(C=C2)NC(=S)NC(=O)COC3=C(C=C(C=C3)Br)Cl)C

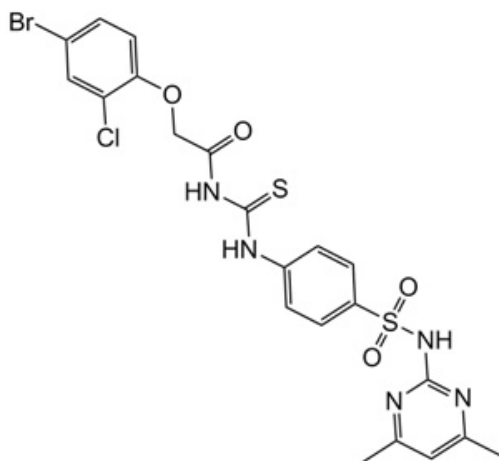
Formula $C_{21}H_{19}BrClN_5O_4S_2$ M.Wt 584.89

Solubility $\geq 29.25\text{mg/mL}$ in DMSO 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



Protocol

Kinase experiment [1]:

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

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p50RhoGAP or Cdc42GAP Assay Inorganic phosphate produced as a result of GTPase activity was measured by using a p50RhoGAP or Cdc42GAP assay and absorbance-based detection method. Briefly, Cdc42 was preloaded with GTP or ZCL278 and incubated in the reaction buffer for 20 mins at 37 °C. GAP was then added for an additional 20 mins at 37 °C. Following a 10-min incubation in CytoPhos reagent, inorganic phosphate was detected at 650 nm.

Cell experiment [1]:

Cell lines Cortical neurons

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

Reaction Conditions 50 µM; 5 and 10 mins or 2, 4, 6, 8 and 10 mins

Applications In ZCL278-treated neurons, neuronal branching was significantly suppressed over the time course. In addition, ZCL278 markedly inhibited Cdc42-mediated growth cone motility.

References:

[1]. Friesland, A., et al., Small molecule targeting Cdc42-intersectin interaction disrupts Golgi organization and suppresses cell motility. Proc Natl Acad Sci U S A, 2013. 110(4): p. 1261-6.

Background

ZCL278 is a selective inhibitor of Cdc42 with K_d value of 11.4 µM [1].

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Cell division control protein 42 homolog (Cdc42) is a small GTPase that belongs to the Rho family and plays an important role in regulating diverse cellular functions including cell morphology, endocytosis, migration, and cell cycle progression [2].

ZCL278 is a potent Cdc42 inhibitor and has a different selectivity with the reported Cdc42 inhibitor ML141. When tested with human metastatic prostate cancer PC-3 cells, ZCL278 showed inhibitory function on Rac/Cdc42 phosphorylation and the function increasing as the more-treated time. In cortical neurons, ZCL278 treatment suppressed neuronal branch number and inhibited growth cone motility at the dose of 50 μ M for 5 or 10 min. Treated serum-starved Swiss 3T3 fibroblasts Cdc42 activator following administration of ZCL278 at the dose of 50 μ M for 1 h exhibited a significant decrease (nearly 80%) in GTP-Cdc42 and disrupted perinuclear distribution of active Cdc42. [1]. When tested with rat cerebellar granule neurons (CGNs), pre-treated with ZCL278 before exposed to NaAsO₂ increased cell viability in a dose-dependent manner (20, 50 and 100 μ M) [3].

References:

- [1]. Friesland, A., et al., Small molecule targeting Cdc42-intersectin interaction disrupts Golgi organization and suppresses cell motility. *Proc Natl Acad Sci U S A*, 2013. 110(4): p. 1261-6.
- [2]. Selamat, W., et al., The Cdc42 Effector Kinase PAK4 Localizes to Cell-Cell Junctions and Contributes to Establishing Cell Polarity. *PLoS One*, 2015. 10(6): p. e0129634.
- [3]. Liu, X., et al., Neuroglobin Plays a Protective Role in Arsenite-Induced Cytotoxicity by Inhibition of Cdc42 and Rac1GTPases in Rat Cerebellar Granule Neurons. *Cell Physiol Biochem*, 2015. 36(4): p. 1613-1627.

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