

Product Data Sheet

Product Name: TC Mps1 12

Cat. No.: GC13727

Chemical Properties

Cas. No. 1206170-62-8

Chemical Name 4-((4-amino-6-(tert-butylamino)-5-cyanopyridin-2-yl)amino)benzamide

SMILES CC(C)(NC1=C(C(N)=CC(NC2=CC=C(C(N)=O)C=C2)=N1)C#N)C

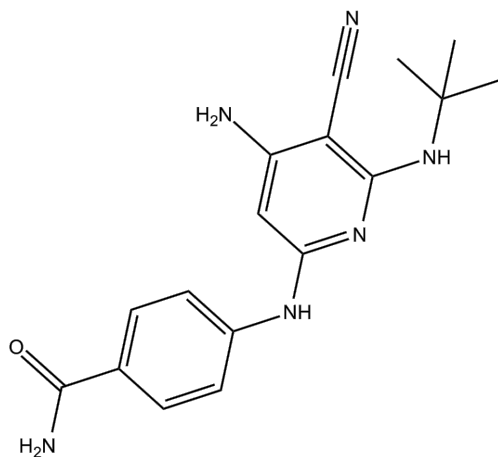
Formula $C_{17}H_{20}N_6O$ M.Wt 324.38

Solubility <32.44mg/ml in DMSO; <6.49mg/ml in ethanol 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

Target: Monopolar spindle 1 (Mps1)

IC50: 6.4 nM

TC Mps1 12 is a potent and selective monopolar spindle 1 (Mps1) inhibitor with IC50 value of 6.4 nM

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

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[1]. Monopolar spindle 1 (Mps1), also known as TTK, plays a critical role in the spindle assembly checkpoint, centrosome duplication, and the maintenance of chromosomal stability. Mps1 is highly expressed in cancer cells and activated during mitosis. Therefore, Mps1 is a promising anticancer drug target [1].

In vitro: TC Mps1 12 displayed an excellent selectivity profile in a panel of 95 kinases, except for the Flt3 and Flt3 mutants (D835Y) [1]. TC Mps1 12 showed cellular inhibition of Mps1 with an IC₅₀ value of 131 nM in cell line that stably expresses FLAG-tagged Mps1. In addition, TC Mps1 12 inhibited the growth of A549 lung carcinoma cell lines with IC₅₀ value of 0.84 μ M [1].

In vivo: TC Mps1 12 (25 mg/kg, oral administration) treatment showed good pharmacokinetic (PK) properties with a C_{max} of 3542 ng/mL and AUC of 6604 ng h/mL in mice [1]. Moreover, TC Mps1 12 (25 to 100 mg/kg, oral administration) dose-dependently inhibited the tumor growth of A549 cells without body weight loss in the mouse A549 xenograft model [1].

Reference:

1. Kusakabe K, Ide N, Daigo Y, Itoh T, Higashino K, Okano Y, et al. Diaminopyridine-based potent and selective mps1 kinase inhibitors binding to an unusual flipped-Peptide conformation. ACS Med Chem Lett. 2012;3(7):560-4.

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