
Product Data Sheet

Product Name: Phthalazinone pyrazole

Cat. No.: GC13668

Chemical Properties

Cas. No. 880487-62-7

Chemical Name 4-[(5-methyl-1H-pyrazol-3-yl)amino]-2H-phenyl-1-phthalazinone

SMILES O=C1C2=C(C=CC=C2)C(NC3=NNC(C)=C3)=NN1C4=CC=CC=C4Formula $C_{18}H_{15}N_5O$ M.Wt 317.4Solubility $\leq 0.15\text{mg/ml}$ in DMSO; 0.25mg/ml in dimethyl formamide 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**

Phthalazinone pyrazole is potent, selective, and orally bioavailable inhibitor of Aurora-A kinase [1]. The Aurora protein kinase family consists of Aurora-A, -B and -C. The Aurora kinases are important group of enzymes involved in controlling several aspects of cell division in mammalian cells. Dysfunction of these kinases has been associated with a failure to maintain a stable chromosome content, a state that can contribute to tumorigenesis. Aurora-A is overexpressed in a variety of tumor types and displays oncogenic activity [2].

In vitro: Phthalazinone pyrazole potently inhibited the activity of Aurora A kinase with an IC_{50} of 31 nM. It showed no inhibitory effect on Aurora B kinase at doses up to $100\ \mu\text{M}$. Phthalazinone pyrazole inhibited the proliferation of HCT116, Colo205, and MCF-7 cells with IC_{50} values of 7.8, 2.9, and $1.6\ \mu\text{M}$, respectively [1].

References:

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

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[1] Prime M E, Courtney S M, Brookfield F A, et al. Phthalazinone pyrazoles as potent, selective, and orally bioavailable inhibitors of Aurora-A kinase[J]. Journal of medicinal chemistry, 2010, 54(1): 312-319.

[2] Vader G, Lens S M A. The Aurora kinase family in cell division and cancer[J]. Biochimica et Biophysica Acta (BBA)-Reviews on Cancer, 2008, 1786(1): 60-72.

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