PRODUCT INFORMATION



Phthalazinone pyrazole

Item No. 10735

CAS Registry No.: 880487-62-7

Formal Name: 4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-

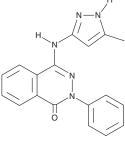
phenyl-1(2H)-phthalazinone

MF: $C_{18}H_{15}N_5O$ 317.4 FW: ≥98% **Purity:**

 λ_{max} : 331 nm A crystalline solid UV/Vis.: Supplied as:

Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

Phthalazinone pyrazole is supplied as a crystalline solid. A stock solution may be made by dissolving the phthalazinone pyrazole in the solvent of choice, which should be purged with an inert gas. Phthalazinone pyrazole is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of phthalazinone pyrazole in these solvents is approximately 0.15 and 0.25 mg/ml, respectively.

Description

Three Aurora kinases, A-C, are involved in phosphorylation events that are critical for the completion of mitosis. Aurora A, involved in centrosomal assembly and acentrosomal spindle assembly, is overexpressed in many tumors. Phthalazinone pyrazole is a potent inhibitor of Aurora A kinase (IC_{50} = 31 nM). It does not inhibit Aurora B kinase at doses up to 100 μM.³ Phthalazinone pyrazole inhibits the proliferation of HCT116, COLO 205, and MCF-7 cells (IC₅₀ = 7.8, 2.9, and 1.6 μ M, respectively).³

References

- 1. Moore, A.S., Blagg, J., Linardopoulos, S., et al. Aurora kinase inhibitors: Novel small molecules with promising activity in acute myeloid and Philadelphia-positive leukemias. Leukemia 24(4), 671-678 (2010).
- Yan, A., Wang, L., Xu, S., et al. Aurora-A kinase inhibitor scaffolds and binding molecules. Drug Discov. Today 16(5-6), 260-269 (2011).
- Prime, M., Courtney, S.M., Frederick, A.B., et al. Phthalazinone pyrazoles as potent, selective and orally bioavailable inhibitor of Aurora-A kinase. J. Med. Chem. 54(1), 312-3191 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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