PRODUCT INFORMATION



TH287

Item No. 18132

| CAS Registry No.: | 1609960-30-6 | |
|--|--|-----------------|
| Formal Name: | 6-(2,3-dichlorophenyl)-N ⁴ -methyl- | NH ₂ |
| | 2,4-pyrimidinediamine | \downarrow |
| MF: | $C_{11}H_{10}CI_2N_4$ | N CI |
| FW: | 269.1 | |
| Purity: | ≥98% | |
| UV/Vis.: | λ _{max} : 294 nm | |
| Supplied as: | A crystalline solid | Н |
| Storage: | -20°C | \sim |
| Stability: | ≥4 years | |
| Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis. | | |

Laboratory Procedures

TH287 is supplied as a crystalline solid. A stock solution may be made by dissolving the TH287 in the solvent of choice, which should be purged with an inert gas. TH287 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TH287 in these solvents is approximately 2, 20, and 25 mg/ml, respectively.

TH287 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TH287 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. TH287 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Human mutT homolog (MTH1) is a nucleotide pool sanitizing enzyme that cleaves oxidized nucleotides (dNTPs) to prevent incorporation of damaged bases during DNA replication. Cancer cells rely on MTH1 activity in order to avoid cell death. TH287 is an MTH1 inhibitor (IC₅₀ = 0.8 nM) that selectively kills cancer cell lines (IC50s = 0.8-3.06 µM) without significant cytotoxicity towards primary or immortalized cells $(IC_{50}s = \ge 20 \,\mu\text{M})$.¹ At up to 100 μ M it does not show significant effect towards the related nudix hydrolase protein family members MTH2, NUDT5, NUDT12, NUDT14, and NUDT16, as well as other proteins with known nucleoside triphosphate pyrophosphatase activity (dCTPase, dUTPase, and ITPA).¹

Reference

1. Gad, H., Koolmeister, T., Jemth, A.-S., et al. MTH1 inhibition eradicates cancer by preventing sanitation of the dNTP pool. Nature 508, 215-242 (2014).

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

SAFETY DATA

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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