



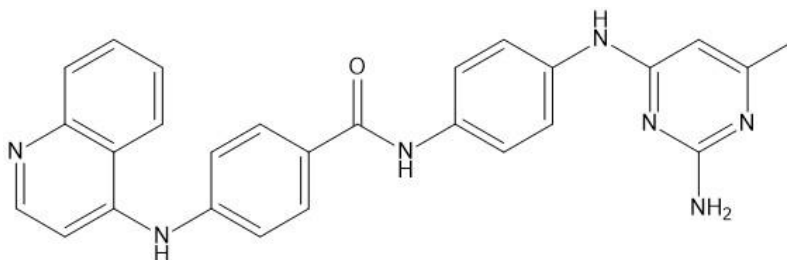
Catalog # 10-5488

SGI-1027

CAS# 1020149-73-8

N-[4-[(2-Amino-6-methyl-4-pyrimidinyl)amino]phenyl]-4-(4-quinolinylamino)benzamide

Lot # X109832



Potent and selective inhibitor of DNA methyl transferase inhibiting DNMT1, DNMT3A and DNMT3B with comparable potency (IC_{50} =12.5, 8.0 and 7.5 μ M respectively).¹ Treatment of various cancer cell lines with SGI-1027 results in selective degradation of DNMT1 (MG-132 sensitive) with minimal effect on DNMT3A and 3B at 2.5-5 μ M.¹ Prolonged treatment of RKO cells resulted in reexpression of silenced tumor suppressor genes.¹ Synergizes with doxorubicin at growth inhibition in neuroblastoma cell lines.² Disrupts the MKK3-MYC complex in cells and inhibits MYC transcriptional activity in colon and breast cancer cells.³

- 1) Datta *et al.* (2009), *A new class of quinoline-based DNA hypomethylating agents reactivates tumor suppressor genes by blocking DNA methyltransferase 1 activity and inducing its degradation*; Cancer Res., **69** 4277
- 2) Penter *et al.* (2015) *A rapid screening system evaluates novel inhibitors of DNA methylation and suggests F-box proteins as potential therapeutic targets for high-risk neuroblastoma*; Target Oncol., **10** 523
- 3) Yang *et al.* (2021), *Discovery of the first chemical tools to regulate MKK3-mediated MYC activation in cancer*; Bioorg. Med. Chem., **45** 116324

PHYSICAL DATA

Molecular Weight: 461.52
Molecular Formula: $C_{27}H_{23}N_7O$
Purity: 98% by TLC
NMR: (Conforms)
Solubility: DMSO (up to 35 mg/ml)
Physical Description: Off-white solid
Storage and Stability: Store as supplied desiccated at -20°C for up to 2 years from the date of purchase.
Solutions in DMSO may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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