SGI-1027



Catalog No: 14150, 14151 Format: 25 mg, 5 mg

Chemical Properties:

$$\begin{split} \mathsf{MW} &= 464.5\\ \mathsf{C}_{27}\mathsf{H}_{23}\mathsf{N}_7\mathsf{O}\\ \mathsf{CAS} \ 1020149\text{-}73\text{-}8\\ \mathsf{Physical Properties:} \ \mathsf{Off}\text{-}\mathsf{White powder}\\ \mathbf{Names:} \ \mathit{N}\text{-}[4\text{-}[(2\text{-}\mathsf{Amino}\text{-}6\text{-}\mathsf{methyl}\text{-}4\text{-}\mathsf{pyrimidinyl})\mathsf{amino}]\mathsf{phenyl}]\text{-}4\text{-}(4\text{-}\mathsf{quinolinylamino})\mathsf{benzamide} \end{split}$$

Pharmacology: Potent and selective inhibitor of DNA methyl transferase inhibiting DNMT1, DNMT3A and DNMT3B with comparable potency (IC₅₀=12.5, 8.0 and 7.5 M respectively) (ref 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 M (ref 1). Prolonged treatment of RKO cells resulted in reexpression of silenced tumor suppressor genes (ref 1). Synergizes with doxorubicin at growth inhibition in neuroblastoma cell lines (ref 2). Disrupts the MKK3-MYC complex in cells and inhibits MYC transcriptional activity in colon and breast cancer cells (ref 3).

Solubilization: May be dissolved in DMSO (35 mg/ml)

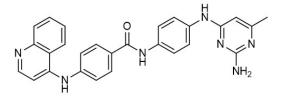
Fluorescent Properties: N/A

Quality Control: >98% (HPLC); NMR (Conforms)

References: 1. J Datta *et al. Cancer Res.* 2009 69:4277 2. L Penter *et al. Target Oncol.* 2015 10:523 3. X Yang *et al. Bioorg. Med. Chem.* 2021 45:116324

Storage and Guarantee: Store desiccated as supplied at room temperature for up to 1 year. Store solutions at -20°C for up to 2 months. This product is guaranteed for 6 months from date of arrival.

Not for human therapeutic use or for medical purposes. For research purposes only.



Chemical Structure of SGI-1027