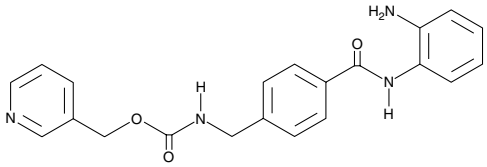
Product Information

MS-275

Item No. 13284

CAS Registry No.: 209783-80-2
Formal Name: N-[[4-[[(2-aminophenyl)amino]carbonyl] phenyl]methyl]-3-pyridinylmethyl ester, carbamic acid
Synonyms: Entinostat, SNDX 275
MF: $C_{21}H_{20}N_4O_3$
FW: 376.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 204, 233, 299 nm



Laboratory Procedures

For long term storage, we suggest that MS-275 be stored as supplied at -20°C. It should be stable for at least two years.

MS-275 is supplied as a crystalline solid. A stock solution may be made by dissolving the MS-275 in an organic solvent purged with an inert gas. MS-275 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MS-275 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

MS-275 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MS-275 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MS-275 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

MS-275 is an inhibitor of histone deacetylases (HDACs) that preferentially inhibits HDAC1 (IC_{50} = 300 nM) over HDAC3 (IC_{50} = 8 µM).[1] However, it does not inhibit HDAC8 (IC_{50} > 100 µM).[1] MS-275 induces cyclin-dependent kinase inhibitor 1A (p21/CIP1/WAF1), slowing cell growth, differentiation, and tumor development *in vivo*.[2,3] Recent studies suggest that MS-275 may be particularly useful as an antineoplastic agent when combined with other drugs, like adriamycin, inhibitors of poly (ADP-ribose) polymerase (PARP), or inhibitors of heat shock protein 90 (Hsp90).[4-6]

References

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2. Saito, A., Yamashita, T., Mariko, Y., *et al.* A synthetic inhibitor of histone deacetylase, MS-27-275, with marked *in vivo* antitumor activity against human tumors. *Proc. Natl. Acad. Sci. USA* **96**, 4592-4597 (1999).
3. Jaboin, J., Wild, J., Hamidi, H., *et al.* MS-27-275, an inhibitor of histone deacetylase, has marked *in vitro* and *in vivo* antitumor activity against pediatric solid tumors. *Cancer Res.* **62**, 6108-6115 (2002).
4. Xu, J., Zhou, J.-Y., Wei, W.-Z., *et al.* Sp1-mediated TRAIL induction in chemosensitization. *Cancer Res* **68(16)**, 6718-6726 (2008).
5. Gaymes, T.J., Shall, S., Macpherson, L.J., *et al.* Inhibitors of poly ADP-ribose polymerase (PARP) induce apoptosis of myeloid leukemic cells: potential for therapy of myeloid leukemia and myelodysplastic syndromes. *Haematologica* **94**, 638-646 (2009).
6. Nguyen, A., Su, L., Campbell, B., *et al.* Synergism of heat shock protein 90 and histone deacetylase inhibitors in synovial sarcoma. *Sarcoma*, (2009).

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