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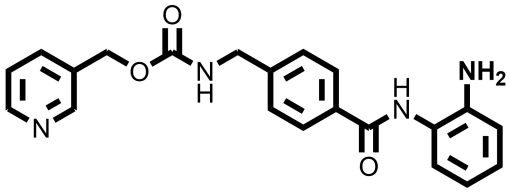
Entinostat (MS-275) -- HDAC Inhibitor

Chemical Name: pyridin-3-ylmethyl 4-((2-aminophenyl)carbamoyl)benzylcarbamate



Molecular Weight:	376.41
Formula:	$C_{21}H_{20}N_4O_3$
Purity:	≥98%
CAS#:	209783-80-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 month -20 °C 1 year

Biological Activity:

Entinostat (MS-275) is a potent and selective HDAC inhibitor. It inhibits HDAC1 and HDAC3 with IC_{50} of 0.51 μM and 1.7 μM, but not the other HDACs 4, 6, 8, and 10 (IC_{50} > 100 μM). MS-275 induces accumulation of $p21^{WAF1/CIP1}$ and gelsolin in K562 cell. It was shown to reduce S-phase cells and induce G1-phase cells in A2780 cells. MS-275 shows great inhibition to human leukemia and lymphoma cells, decreases expression of cyclin D1 and the anti-apoptotic proteins Mcl-1 and XIAP. In vivo MS-275 exhibits great antitumor activity against human tumor xenografts. Currently it is in Phase II/III clinical trials for Hodgkin's lymphoma and advanced breast cancer.

How to Use:

In vitro: Entinostat (MS-275) was used at 1 μM final concentration in vitro and in cellular assays.

In vivo: Entinostat (MS-275) was orally dosed to mice at 49 mg/kg once daily 5 days per week for 4 weeks in the xenograft tumor model.

Reference:

1. Saito A, et al. A synthetic inhibitor of histone deacetylase, MS-27-275, with marked in vivo antitumor activity against human tumors. (1999) Proc Natl Acad Sci USA. 96(8):4592-7.
2. Rosato RR, et al.The histone deacetylase inhibitor MS-275 promotes differentiation or apoptosis in human leukemia cells through a process regulated by generation of reactive oxygen species and induction of p21CIP1/WAF1 1. (2003) Cancer Res. 63(13):3637-45.
3. Kato Y, et al. Synergistic in vivo antitumor effect of the histone deacetylase inhibitor MS-275 in combination with interleukin 2 in a murine model of renal cell carcinoma. (2007) Clin Cancer Res. 13(15 Pt 1):4538-46.