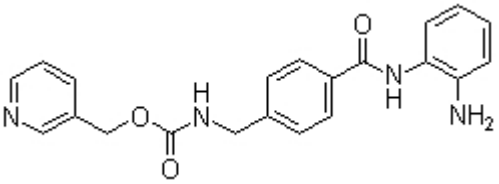
Product Introduction

Entinostat (MS-275)

Entinostat (MS-275) strongly inhibits **HDAC1** and **HDAC3** with **IC50** of 0.51 μM and 1.7 μM, compared with HDACs 4, 6, 8, and 10. Phase 1/2.

Technical Data:

Molecular Weight (MW):	376.41	
Formula:	C21H20N4O3	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 75 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	209783-80-2	

Biological Activity

MS-275 shows inhibitory to HDACs by 2'-amino group. MS-275 induces accumulation of p21WAF1/CIP1 and gelsolin in K562 cell. MS-275 could reduce S-phase cells and induce G1-phase cells in A2780 cell. MS-275 inhibits the proliferation of human tumor cell lines including A2780, Calu-3, HL-60, K562, St-4, HT-29, KB-3-1, Capan-1, 4-1St and HCT-15 with IC50 from 41.5 nM to 4.71 μM, which due to HAD-inhibition. [1] MS-275 is not sensitive to other HDACs (4, 6, 8 and 10) with IC50 about/above 100 μM. [2] MS-275 shows great inhibition to human leukemia and lymphoma cells, including U937, HL-60, K562,

and Jurkat. MS-275 also decreases expression of cyclin D1 and the antiapoptotic proteins Mcl-1 and XIAP. [3]

MS-275 exhibits great antitumor activity against human tumor xenografts except HCT-15 at 49 mg/kg. [1] MS-275 demonstrates promising therapeutic potential in both solid and hematologic malignancies, as well as regulation of physiologic and aberrant gene expression. [4] MS-275, combination with IL-2, has great antitumor activity to renal cell carcinoma xenograft model, which due to decreased T regulatory cells and increased splenocytes. [5]

References

[1] Saito A, et al. Proc Natl Acad Sci U S A, 1999, 96(8), 4592-4597.

[2] Sugawara T, et al. 95th AACR, Orlando, 2004, Abst#2451

[3] Rosato RR, et al. Cancer Res, 2003, 63(13), 3637-3645.

[4] Zhang ZY, et al. Neurosci, 2010, 169, 370-377.

[5] Kato Y, Clin Cancer Res, 2007, 13(15), 4538-4546.

[6] Wegener D, et al. Chem Biol, 2003, 10(1), 61-68.

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