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产品名称: 普马司他

产品别名: Prinomastat; AG3340; KB-R9896

生物活性:						
Description	Prinomastat (AG3340) is a broad spectrum, potent, orally active metalloproteinase (MMP) inhibitor with IC50s of 79, 6.3 and 5.0 nM for MMP-1, MMP-3 and MMP-9, respectively. Prinomastat inhibits MMP-2, MMP-3 and MMP-9 with Kis of 0.05 nM, 0.3 nM and 0.26 nM, respectively. Prinomastat crosses blood-brain barrier. Antitumor avtivity[1][2][3][4].					
	MMP-9	MMP-9	MMP-2	MMP-1	MMP-3	MMP-3
IC50 & Target	5 nM (IC50)	0.26 nM (Ki)	0.05 nM (Ki)	79 nM (IC50)	6..3 nM (IC50)	0.3 nM (Ki)
	Prinomastat (AG3340; 0.1-1 µg/mL; 4 days; C57MG/Wnt1 cells) inhibits Wnt1-induced MMP-3 production. Reversal of Wnt1-induced EMT and β-catenin transcriptional activity by Prinomastat[1]. Co-culture of L/Wnt3a cells and CT7 cells increases the Topflash activity in CT7 cells, and co-culturing both L/Wnt3a cells and MMP-3 overexpressing C57MG cells with CT7 cells increases the Topflash luciferase activity in CT7 cells beyond the level observed with L/Wnt3a cells, and these effects are all suppressed by Prinomastat (AG3340)[1]. Inhibition of entry of C57MG/Wnt1 cells into S phase by Prinomastat corresponds to a decrease in expression of cyclin D1 and Erk1/2 phosphorylation. The effect of Prinomastat on Wnt1-induced migration is then examined using an in vitro wound assay. As anticipated, the migration of C57MG/Wnt1 cells is increased by 1.8-fold when compared with C57MG cells.The effect of Wnt1 on the cellular distribution of vimentin is reversed by Prinomastat in C57MG/Wnt1 cells[1].					
In Vitro	Western Blot Analysis[1]					
	Cell Line:	C57MG/Wnt1 cells				
	Concentration:	0.1 µg/mL, 1 µg/mL				
	Incubation Time:	4 days				
	Result:	A significant decrease in MMP-3 promoter activity in C57MG/Wnt1 cells.				
	In a human fibrosarcoma mouse model (HT1080), the mice are treated therapeutically for 14-16 days with 50 mg/kg/day ip daily starting day 3 to 6 after tumour inoculation. Prinomastat is well tolerated by the animals, and there are no signs of weight loss or other adverse effects. Prinomastat has good tumour growth inhibition, with a short T1/2 of 1.6 hours[1].					
References	[1]. Sørensen MD, et al. Cyclic phosphinamides and phosphonamides, novel series of potent matrix metalloproteinase inhibitors with antitumour activity. Bioorg Med Chem. 2003 Dec 1;11(24):5461-84.					
	[2]. Blavier L, et al. Stromelysin-1 (MMP-3) is a target and a regulator of Wnt1-induced epithelial-mesenchymal transition (EMT). Cancer Biol Ther. 2010 Jul 15;10(2):198-208.					
	[3]. Shalinsky DR, et al. Broad antitumor and antiangiogenic activities of AG3340, a potent and selective MMP inhibitor undergoing advanced oncology clinical trials. Ann N Y Acad Sci. 1999 Jun 30;878:236-70.					
	[4]. Ozerdem U, et al. The effect of prinomastat (AG3340), a potent inhibitor of matrix metalloproteinases, on a subacute model of proliferative vitreoretinopathy. Curr Eye Res. 2000 Jun;20(6):447-53.					