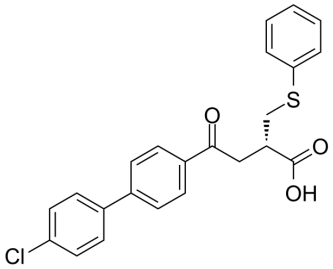
Tanomastat

Cat. No.:	HY-12168
CAS No.:	179545-77-8
Molecular Formula:	$C_{23}H_{19}ClO_3S$
Molecular Weight:	410.91
Target:	MMP
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	Tanomastat (BAY 12-9566) is an orally bioavailable, non-peptidic biphenyl matrix metalloproteinases (MMPs) inhibitor with a Zn-binding carboxyl group. The K_i values are 11, 143, 301, and 1470 nM for MMP-2, MMP-3, MMP-9, MMP-13 respectively. Tanomastat shows anti-invasive and antimetastatic activity in several experimental tumor models[1][2][3].

IC_{50} & Target	MMP-2	MMP-3	MMP-9	MMP-13
	11 nM (Ki)	143 nM (Ki)	301 nM (Ki)	1470 nM (Ki)

In Vitro

Tanomastat (BAY 12-9566) (1-10000 nM; 6 hours) prevents matrix invasion by endothelial cells in a concentration-dependent manner (IC_{50}=840 nM), without affecting cell proliferation[2].

Tanomastat (BAY 12-9566) (1-00 μM; 5 days) inhibits tubule formation completely at 15-100 μM[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Tanomastat (BAY 12-9566) (100 mg/kg; p.o.; daily for a 7-week period) inhibits local tumor regrowth without causing any toxic effect, and inhibits the number and volume of lung metastases[3].

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Animal Model:	Six- to eight-week-old female BALB/c nude mice (bearing MDA-MB-435 cells)[3]
Dosage:	100 mg/kg
Administration:	p.o.; daily for a 7-week period
Result:	Inhibited local tumor regrowth by 58% without causing any toxic effect, and inhibited the number and volume of lung metastases by 57 and 88%, respectively.

REFERENCES

[1]. Leung D, et al. Protease inhibitors: current status and future prospects. J Med Chem. 2000 Feb 10;43(3):305-41.

[2]. Gatto C, et al. BAY 12-9566, a novel inhibitor of matrix metalloproteinases with antiangiogenic activity. Clin Cancer Res. 1999 Nov;5(11):3603-7.

[3]. Nozaki S, et al. Activity of biphenyl matrix metalloproteinase inhibitor BAY 12-9566 in a human breast cancerorthotopic model. Clin Exp Metastasis. 2003;20(5):407-12.

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