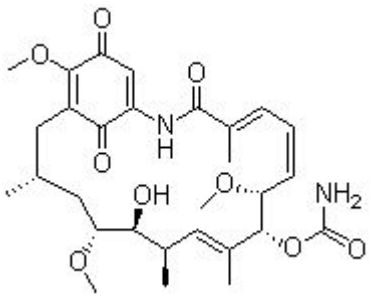
Product Introduction

Geldanamycin

Geldanamycin is a natural existing **HSP90** inhibitor with K_d of 1.2 μM, specifically disrupts glucocorticoid receptor (GR)/HSP association.

Technical Data:

Molecular Weight (MW):	560.64	
Formula:	$C_{29}H_{40}N_2O_9$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 112 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	30562-34-6	

Biological Activity

Geldanamycin binds in the ATP-binding site in the N-terminus domain of Hsp90s (residues 1-220). Geldanamycin inhibits the ATPase activity of Hsp90 in a dose-dependent manner. [1] Geldanamycin causes a dose-dependent G2 arrest and reversible inhibiton o f entry into the S phase in A2780 human ovarian cell line. This inhibition is accompanied by p53 increase and finally demonstrated to be p53 dependent. [2] Geldanamycin causes polyubiquitination and proteasomal degradation of the p185 receptor protein-tyrosin kinase and shows a IC50 with 70 nM. [3, 4] Geldanamycin is a typical anti-tumor reagent, shows a mean GI50 with 0.18 μM against the panel of 60 human tumor cell lines. [5]

Geldanamycin (50 mg//kg) shows 30% inhibition on pl85-associated phosphotyrosine levels in FRE/erbB-2 mice. [6]

References

[1] Roe SM, et al, J Med Chem, 1999, 42(2), 260-266.
[2] Mcllwrath AJ, et al, Cancer Chemother Pharmacol, 1996, 37(5), 423-428.
[3] Mimnaugh EG, et al, J Biol Chem 1996, 271(37), 22796-22801.
[4] Miller P, et al, Cancer Res, 1994, 54(10), 2724-2730.
[5] Supko JG, et al, Cancer Chemother Pharmacol, 1995, 36(4), 305-315.
[6] Schnur RC, et al. J Med Chem, 1995, 38(19), 3806-3812.

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