



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **RG13022**

产品别名: **Tyrphostin RG13022**

生物活性:	
Description	RG13022 is a tyrosine kinase inhibitor; inhibits the autophosphorylation reaction of the EGF receptor with an IC ₅₀ of 4 μ M.
IC₅₀ & Target	IC ₅₀ : 4 μ M (EGF receptor autophosphorylation) ^[1]
In Vitro	RG13022 suppresses EGF-stimulated cancer cell proliferation. In a cell-free reaction RG13022 inhibits the autophosphorylation reaction of the EGF receptor in immunoprecipitates with an IC ₅₀ of 4 μ M. RG13022 inhibits colony formation and DNA synthesis by HER 14 cells, which were stimulated by 50 ng/mL EGF, in a dose-dependent manner. The IC ₅₀ s are 1 and 3 μ M for HER 14 colony formation and DNA synthesis, respectively ^[1] . RG-13022 inhibits not only EGF-induced growth but also growth stimulated by insulin, insulin-like growth factor I, insulin-like growth factor II, or transforming growth factor alpha. RG-13022 also totally blocks estrogen-stimulated phosphorylation of the EGF receptor, as well as estrogen-induced cell proliferation, suggesting that functioning TK pathways are required for estrogen action ^[2] .
In Vivo	RG13022 suppresses tumor growth in nude mice. RG13022 also increases the life span of these tumor-bearing nude mice ^[1] .
References	[1]. Yoneda T, et al. The antiproliferative effects of tyrosine kinase inhibitors tyrphostins on a human squamous cell carcinoma in vitro and in nude mice. Cancer Res. 1991 Aug 15;51(16):4430-5. [2]. Reddy KB, et al. Inhibition of breast cancer cell growth in vitro by a tyrosine kinase inhibitor. Cancer Res. 1992 Jul 1;52(13):3636-41.
实验参考:	
Cell Assay	40 mM stock solutions of RG13022 is made in 100% DMSO and diluted with the culture medium before addition to the cells. MH-85 cells and HER 14 cells are plated in culture medium in the presence or absence of increasing concentrations of RG-13022 or RG-14620 for 10 days. At the end of culture, the cells are fixed with 4% (v/v) formaldehyde and stained with hematoxylin. Numbers of colonies including more than 20 cells in each well are counted under the microscope ^[1] .
Animal Administration	Mice: MH-85 tumors 5 mm in diameter are inoculated s.c. into the right dorsal portion of 4- to 6-week-old male BALB/c nu/nu mice. RG-13022 or RG-14620 in 0.1 ml 100% DMSO is injected i.p. twice a day from 1 day after MH-85 tumor inoculation. Control animals are given the same vehicle. Tumor sizes are measured once a week under anesthesia with nembutal (0.05 mg/g body weight, i.p.) and calculated ^[1] .
References	[1]. Yoneda T, et al. The antiproliferative effects of tyrosine kinase inhibitors tyrphostins on a human squamous cell carcinoma in vitro and in nude mice. Cancer Res. 1991 Aug 15;51(16):4430-5. [2]. Reddy KB, et al. Inhibition of breast cancer cell growth in vitro by a tyrosine kinase inhibitor. Cancer Res. 1992 Jul 1;52(13):3636-41.