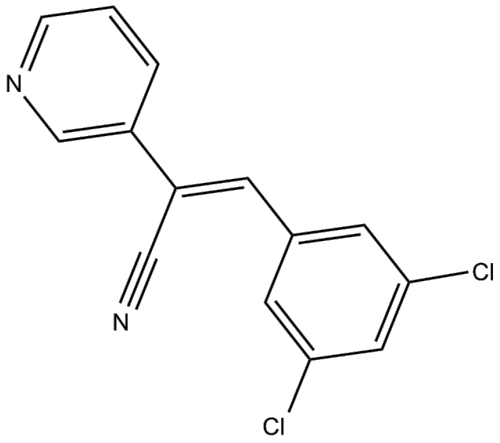
Product Data Sheet

Product Name: RG-14620
Cat. No.: GC10217

Chemical Properties

Cas. No.	136831-49-7		
Chemical Name	α-[(3,5-dichlorophenyl)methylene]-3-pyridineacetonitrile		
SMILES	ClC1=CC(/C=C(C#N)/C2=CN=CC=C2)=CC(Cl)=C1		
Formula	$C_{14}H_8Cl_2N_2$	M.Wt	275.1
Solubility	≤1mg/ml in ethanol;20mg/ml in DMSO;20mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

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Cell experiment: MH-85 cells and HER 14 cells are plated in complete medium, either αMEM or DMEM, respectively, supplemented with 10% FCS. After overnight culture, the culture medium is switched to αMEM supplemented with 0.2% PCS and 50 ng/mL EGF (MH-85) or DMEM supplemented with 0.5% PCS and 50 ng/mL EGF (HER14). The cells are cultured in this 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 in calcium-magnesium-free phosphate-buffered saline for 15 min at room temperature and stained with hematoxylin. Numbers of colonies including more than 20 cells in each well are counted under the microscope[2].

Animal experiment: Mice: RG14620 in 0.1 mL 100% DMSO is injected i.p. twice a day from 1day after MH-85 tumor inoculation. Control animals are given the same vehicle[1].

References:

[1]. Sagara Y, et al. Tyrphostins protect neuronal cells from oxidative stress. J Biol Chem. 2002 Sep 27;277(39):36204-15.
[2]. 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.

Background

RG-14620 is a tyrosine kinase and epidermal growth factor (EGF) receptor [1].

Protein tyrosine kinases (PTKs) have been involved in regulating cell proliferation, cell differentiation, and signaling processes in the immune system. Dysfunction of protein tyrosine kinases result in inflammatory responses and diseases including cancer, atherosclerosis, and psoriasis [2]. The epidermal growth factor receptor (EGFR) is a transmembrane glycoprotein. Activation of EGFR results in autophosphorylation of receptor tyrosine kinase and has been involved in regulating cellular proliferation, differentiation, and survival. Overexpression of EGFR has been identified in a variety of tumor cell lines and has been associated with poor prognosis and decreased survival [3].

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RG-14620 inhibited the activity of epidermal growth factor (EGF) receptor kinase with an IC50 value of 3 μM in HT-22 cells [1]. RG-14620 suppressed not only EGF-stimulated cancer cell proliferation in vitro but also tumor growth in nude mice [4]. Tyrphostin RG-14620 dose-dependently inhibited the EGFR-associated tyrosine kinase with half maximal inhibition at 7 μM [5].

References:

[1] Sagara, Y. , Ishige, K., Tsai, C., et al. Tyrphostins protect neuronal cells from oxidative stress. The Journal of Biological Chemisty, 277(39), 36204-36215(2002).
[2] Levitzki A, Gazit A. Tyrosine kinase inhibition: an approach to drug development[J]. Science, 1995, 267(5205): 1782.
[3] Herbst R S. Review of epidermal growth factor receptor biology[J]. International Journal of Radiation Oncology Biology Physics, 2004, 59(2): S21-S26.
[4] Yoneda T, Lyall R M, Alsina M M, et al. The antiproliferative effects of tyrosine kinase inhibitors tyrphostins on a human squamous cell carcinoma in vitro and in nude mice[J]. Cancer research, 1991, 51(16): 4430-4435.
[5] Piontek M, Hengels K J, Porschen R, et al. Antiproliferative effect of tyrosine kinase inhibitors in epidermal growth factor-stimulated growth of human gastric cancer cells[J]. Anticancer research, 1992, 13(6A): 2119-2123.

Caution: Product has not been fully validated for medical applications. For research use only.
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