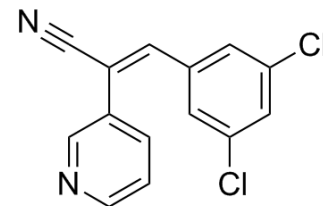


RG14620

Cat. No.:	HY-101426		
CAS No.:	136831-49-7		
Molecular Formula:	C ₁₄ H ₈ Cl ₂ N ₂		
Molecular Weight:	275.13		
Target:	EGFR		
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (121.14 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.6346 mL	18.1732 mL	36.3465 mL
	5 mM	0.7269 mL	3.6346 mL	7.2693 mL
	10 mM	0.3635 mL	1.8173 mL	3.6346 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: 2.5 mg/mL (9.09 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (9.09 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (9.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RG14620 is an EGFR inhibitor with an IC₅₀ of 3 μM.

IC₅₀ & Target

EGFR
 3 μM (IC₅₀, Cell Assay)

In Vitro

RG14620 inhibits colony formation (IC₅₀=3 μM) and DNA synthesis (IC₅₀=1 μM) by HER 14 cells, which are stimulated by 50

ng/mL EGF, in a dose-dependent manner. RG14620 also suppresses colony formation ($IC_{50}=4 \mu M$) and DNA synthesis ($IC_{50}=1.25 \mu M$) by EGF-stimulated MH-85 cells in a dose-dependent manner. The growth-inhibitory effect of RG14620 irreversible [2].

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

In Vivo

RG14620, at a dose of 200 g/mouse/day inhibits H-85 tumor growth in nude mice. Mice show less cachexia and hypercalcemia, eat more food, and are more active than untreated MH-85 tumor-bearing animals [2].

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PROTOCOL

Cell Assay [2]

MH-85 cells and HER 14 cells are plated in complete medium, either α MEM or DMEM, respectively, supplemented with 10% FCS. After overnight culture, the culture medium is switched to α MEM 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].

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Animal Administration [1]

Mice: RG14620 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 [1].

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

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.

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

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