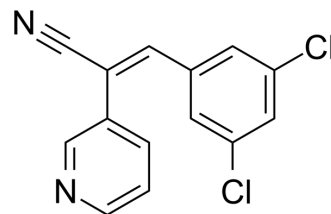


## RG14620

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



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 33.33 mg/mL (121.14 mM; Need ultrasonic)

Concentration	Solvent	Mass	1 mg			5 mg			10 mg		
			Concentration			Concentration			Concentration		
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 IC<sub>50</sub> of 3 μM.

#### IC<sub>50</sub> & Target

EGFR  
3 μM (IC<sub>50</sub>, Cell Assay)

#### In Vitro

RG14620 inhibits colony formation (IC<sub>50</sub>=3 μM) and DNA synthesis (IC<sub>50</sub>=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<sub>50</sub>=4 μM) and DNA synthesis (IC<sub>50</sub>

=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].

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

## PROTOCOL

#### Cell Assay [2]

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

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

#### 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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