RG14620

Cat. No.: HY-101426

CAS No.: 136831-49-7

Molecular Formula: $C_{14}H_8Cl_2N_2$

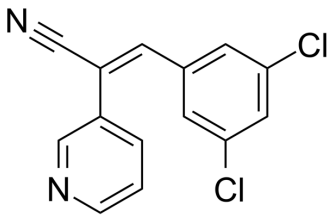
Molecular Weight: 275.13

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Mass / Solvent / Concentration	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

1. 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
2. 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
3. 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_{50} of 3 μM.

IC_{50} & Target

EGFR
3 μM (IC_{50}, Cell Assay)

In Vitro

RG14620 inhibits colony formation (IC_{50}=3 μM) and DNA synthesis (IC_{50}=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 μM) and DNA synthesis (IC_{50}

	=1.25 μM) 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 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]. 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 1day 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.

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