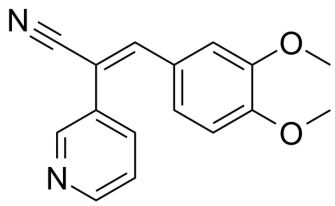
RG13022

Cat. No.:	HY-101429
CAS No.:	136831-48-6
Molecular Formula:	$C_{16}H_{14}N_2O_2$
Molecular Weight:	266.29
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 : 100 mg/mL (375.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7553 mL	18.7765 mL	37.5530 mL
	5 mM	0.7511 mL	3.7553 mL	7.5106 mL
	10 mM	0.3755 mL	1.8777 mL	3.7553 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 (9.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	RG13022 is a tyrosine kinase inhibitor; inhibits the autophosphorylation reaction of the EGF receptor with an IC_{50} of 4 μM.
IC_{50} & Target	IC50: 4 μM (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 μM. 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 μM 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RG13022 suppresses tumor growth in nude mice. RG13022 also increases the life span of these tumor-bearing nude mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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 1day 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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