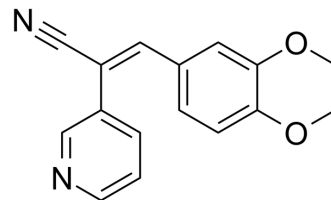


RG13022

Cat. No.:	HY-101429		
CAS No.:	136831-48-6		
Molecular Formula:	C ₁₆ H ₁₄ N ₂ O ₂		
Molecular Weight:	266.29		
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 Concentration	Mass	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	IC ₅₀ : 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 1 day 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.

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

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