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**Product Data Sheet**

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Product Name: RG 13022

Cat. No.: GC12038

**Chemical Properties**

Cas. No. 136831-48-6

Chemical Name (E)-3-(3,4-dimethoxyphenyl)-2-(pyridin-3-yl)acrylonitrile

SMILES N#C/C(C1=CC=CN=C1)=C/C2=CC=C(C(OC)=C2)OCFormula  $C_{16}H_{14}N_2O_2$  M.Wt 266.29Solubility  $\geq 26.6\text{mg/mL}$  in DMSO Storage Store at  $-20^\circ\text{C}$ General tips For obtaining a higher solubility, please warm the tube at  $37^\circ\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^\circ\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure **Protocol****Cell experiment:**

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].

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

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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### Animal experiment:

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].

### 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.

### Background

IC50: 5  $\mu$ M for EGFR

RG13022 is a EGFR tyrosine kinase inhibitor.

Increased expression of various growth factor receptors including EGFR has been

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observed in human tumours. One therapeutic strategy for overcoming EGF autocrine control of tumour growth is to inhibit EGFR protein tyrosine kinase.

In vitro: RG13022 had a dose-dependent, antiproliferative effect on gastric cell lines when grown either in serum-free conditions or in the presence of FCS. Western blotting showed that RG13022 treatment led to an inhibition of the EGFr phosphorylation in A431 cells and both EGFr and c-erbB-2 in MKN45 cells. Furthermore, investigation of intracellular signalling pathways suggested that alterations in intracellular signalling were responsible for the actions of RG 13022 in these cells [1].

In vivo: In rats, RG13022 showed rapid bi-exponential elimination from plasma with a terminal half-life of 50.4 min. RG13022 plasma concentrations were less than 1  $\mu$ M by 20 min after injection. In addition, RG13022 had no influence on the growth of HN5 tumours when administered chronically, starting either on the tumour inoculation day or after establishment of tumour xenografts. The rapid in-vivo elimination of RG13022 had potential significance to its development, as plasma concentrations fell below that required for in-vitro activity by 20 min after injection [2].

Clinical trial: Up to now, RG13022 is still in the preclinical development stage.

### References:

- [1] McLaughlin M, Brunton V, Morrison V, Rae A, Cooke T, Bartlett J. Growth inhibition of gastric cancer cell lines by the tyrphostin RG13022 and its effects on intracellular signalling. *Int J Oncol.* 1996 Mar; 8(3):589-96.
- [2] McLeod HL, Brunton VG, Eckardt N, Lear MJ, Robins DJ, Workman P, Graham MA. In vivo pharmacology and anti-tumour evaluation of the tyrphostin tyrosine kinase inhibitor RG13022. *Br J Cancer.* 1996 Dec; 74(11):1714-8.

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