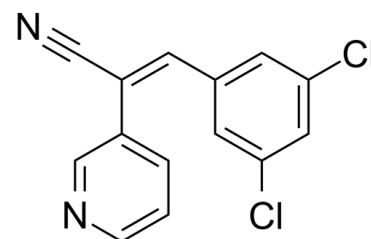


## Data Sheet

Product Name:	RG14620
Cat. No.:	CS-6334
CAS No.:	136831-49-7
Molecular Formula:	C <sub>14</sub> H <sub>8</sub> Cl <sub>2</sub> N <sub>2</sub>
Molecular Weight:	275.13
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 26 mg/mL (94.50 mM)



### BIOLOGICAL ACTIVITY:

RG14620 is an **EGFR** inhibitor with an **IC<sub>50</sub>** of 3  $\mu$ M. **IC<sub>50</sub> & Target:** IC<sub>50</sub>: 3  $\mu$ M (EGFR)<sup>[1]</sup> **In Vitro:** RG14620 inhibits colony formation (IC<sub>50</sub>=3  $\mu$ M) and DNA synthesis (IC<sub>50</sub>=1  $\mu$ M) 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  $\mu$ M) 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<sup>[2]</sup>. **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<sup>[2]</sup>.

### PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** RG14620 is made in 100% DMSO and diluted with the culture medium before addition to the cells<sup>[2]</sup>.<sup>[2]</sup> 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<sup>[2]</sup>. **Animal Administration:** <sup>[1]</sup>Mouse: 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<sup>[1]</sup>.

### References:

[1]. Sagara Y, et al. Tyrophostins 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 tyrophostins on a human squamous cell carcinoma in vitro and in nude mice. Cancer Res. 1991 Aug 15;51(16):4430-5.

### CAIndexNames:

3-Pyridineacetonitrile,  $\alpha$ -[(3,5-dichlorophenyl)methylene]-

### SMILES:

N#C/C(C1=CC=CN=C1)=C/C2=CC(Cl)=CC(Cl)=C2

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

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