

Product Data Sheet

Product Name: AG 555
Cat. No.: GC11744

Chemical Properties

Cas. No. 133550-34-2

Chemical Name (E)-2-cyano-3-(3,4-dihydroxyphenyl)-N-(3-phenylpropyl)acrylamide

SMILES O=C(/C(C#N)=C/C(C=C1O)=CC=C1O)NCCCC2=CC=CC=C2

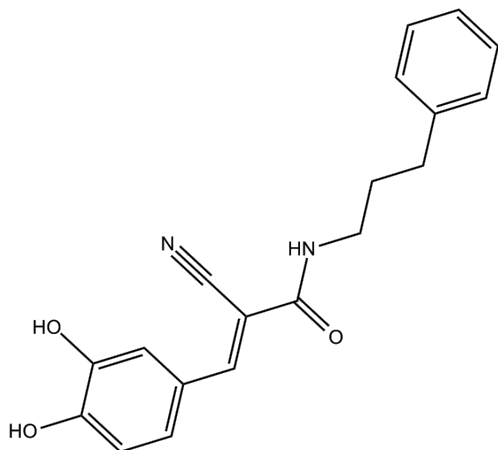
Formula $C_{19}H_{18}N_2O_3$ M.Wt 322.36

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml, Ethanol: 20 mg/ml
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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

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

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Cell experiment: ID13 mouse fibroblasts are maintained in Dulbecco's modified Eagle's medium supplemented with 5% (v/v) fetal calf serum, 100 units/mL Penicillin, and 100 µg/mL Streptomycin. Cells are seeded at 2.5×10^4 cells/cm² to ensure logarithmic growth. The final concentration of the Tyrphostin AG 555 is 30 µM in all experiments. Stock solutions of 10 mM in Me₂SO are stored at -80°C. To exclude potential Me₂SO effects, the same final concentrations (0.3%) of Me₂SO are added to nontreated controls. Actinomycin D is dissolved in water and added to cells in a final concentration of 5 µg/mL. SB 203580 is dissolved in Me₂SO (stock concentration: 50 mM) and used in a final concentration of 10 µM[2].

References:

- [1]. Michaelis M, et al. Cisplatin-resistant neuroblastoma cells express enhanced levels of epidermal growth factor receptor (EGFR) and are sensitive to treatment with EGFR-specific toxins. Clin Cancer Res. 2008 Oct 15;14(20):6531-7.
- [2]. Baars S, et al. Tyrphostin AG 555 inhibits bovine papillomavirus transcription by changing the ratio between E2 transactivator/repressor function. J Biol Chem. 2003 Sep 26;278(39):37306-13.
- [3]. Ben-Bassat H, et al. Tyrphostins that suppress the growth of human papilloma virus 16-immortalized human keratinocytes. J Pharmacol Exp Ther. 1999 Sep;290(3):1442-57.

Background

AG 555 is a potent and selective inhibitor of EGFR with IC₅₀ value of 0.7 µM.

The epidermal growth factor receptor (EGFR) is the cell-surface receptor for epidermal growth factor and plays an important role in tumor invasion and cancer cell proliferation.

AG 555 is a potent and selective EGFR inhibitor. In HPV16-immortalized human keratinocytes, AG 555 inhibited the activation of Cdk2 and induced growth arrest at the G1 phase accompanied by 15-20% cell apoptosis [1]. AG556 arrested cells at G1/S phase by 85% and inhibited the activation of Cdk2 by phosphorylating tyrosine 15 on Cdk2 [2]. In A-498, Caki-1 and Caki-2 renal carcinoma cell lines and RT4, J82 and T24 transitional carcinoma cell lines, AG555 inhibited cell proliferation with IC₅₀ values ranging from 3 to 16 µM in a dose-dependent way. AG555 (10-30 µM) completely

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inhibited cell growth [3]. In NIH/3T3 cells infected with Moloney murine leukemia virus (Mo-MuLV), AG-555 inhibited the viral DNA integrated into the host genome in acutely infected cells. While in chronically infected cells, AG-555 decreased the level of viral RNA and inhibited viral protein synthesis [4].

References:

- [1]. Ben-Bassat H, Rosenbaum-Mitrani S, Hartzstark Z, et al. Inhibitors of epidermal growth factor receptor kinase and of cyclin-dependent kinase 2 activation induce growth arrest, differentiation, and apoptosis of human papilloma virus 16-immortalized human keratinocytes. *Cancer Res*, 1997, 57(17): 3741-3750.
- [2]. Kleinberger-Doron N, Shelah N, Capone R, et al. Inhibition of Cdk2 activation by selected tyrphostins causes cell cycle arrest at late G1 and S phase. *Exp Cell Res*, 1998, 241(2): 340-351.
- [3]. Sion-Vardy N, Vardy D, Rodeck U, et al. Antiproliferative effects of tyrosine kinase inhibitors (tyrphostins) on human bladder and renal carcinoma cells. *J Surg Res*, 1995, 59(6): 675-680.
- [4]. Seri I, Aflalo E, Gazit A, et al. Tyrphostin AG-555 inhibits early and late stages of Moloney murine leukemia virus replication cycle. *Int J Oncol*, 1997, 10(6): 1185-1189.

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