

Product Data Sheet

Product Name: AG 99
Cat. No.: GC14494

Chemical Properties

Cas. No. 122520-85-8

Chemical Name (Z)-2-cyano-3-(3,4-dihydroxyphenyl)acrylamide

SMILES OC1=CC(/C=C(C#N)\C(N)=O)=CC=C1O

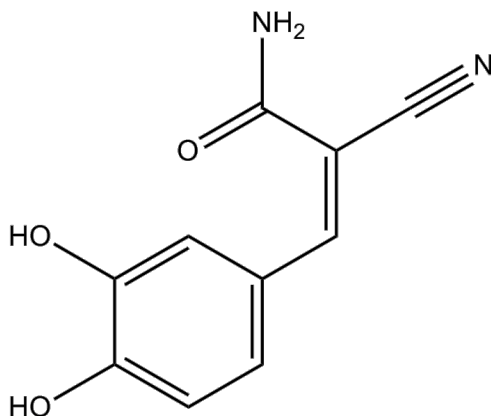
Formula $C_{10}H_8N_2O_3$ M.Wt 204.18

Solubility DMF: 20 mg/ml, DMSO: 20 mg/ml, DMSO:PBS (pH 7.2) (1:1): 0.5 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



Background

AG 99 is a potent inhibitor of EGF receptor tyrosine kinase with IC₅₀ value of 10 μM [1].

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.

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

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AG 99 is a potent and competitive EGFR tyrosine kinase inhibitor against both the substrate polyGAT and ATP [1]. In serum-starved human bladder carcinoma cell line 5637 cells, the 145-kDa protein, which was the β -subunit of c-Met/hepatocyte growth factor (HGF) receptor, was phosphorylated on 1003, 1234 and 1235 tyrosine residues. Also, tyrosine kinases EGFR and Src were activated. While, AG99 or inhibitors of Src (PP2, SU6656) significantly inhibited tyrosine phosphorylation of p145met and induced cell death through activation of caspase-like proteases. These results suggested that serum-independent growth of 5637 cells was involved by the tyrosine phosphorylation of p145met mediated by Src and EGFR [2].

References:

- [1]. Gazit A, Osherov N, Gilon C, et al. Tyrphostins. 6. Dimeric benzylidenemalononitrile tyrophostins: potent inhibitors of EGF receptor tyrosine kinase in vitro. J Med Chem, 1996, 39(25): 4905-4911.
- [2]. Yamamoto N, Mammadova G, Song RX, et al. Tyrosine phosphorylation of p145met mediated by EGFR and Src is required for serum-independent survival of human bladder carcinoma cells. J Cell Sci, 2006, 119(Pt 22): 4623-4633.

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