Product Data Sheet

Product Name: AG-82
Cat. No.: GC11845

Chemical Properties

Cas. No.	118409-58-8		
Chemical Name	2-[(3,4,5-trihydroxyphenyl)methylene]-propanedinitrile		
SMILES	OC1=CC(/C=C(C#N)/C#N)=CC(O)=C1O		
Formula	$C_{10}H_6N_2O_3$	M.Wt	202.2
Solubility	≤20mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	AG-82		

Background

AG-82 is a cell-permeable, reversible, and competitive inhibitor of tyrosine kinase and epidermal growth factor (EGF) receptor.

Protein tyrosine kinases (PTKs) have been involved in regulating cell proliferation, cell differentiation, and signaling processes in the immune system. Dysfunction of protein tyrosine kinases result in inflammatory responses and diseases including cancer, atherosclerosis, and psoriasis [2]. The epidermal growth factor receptor (EGFR) is a transmembrane glycoprotein. Activation of EGFR results in autophosphorylation of receptor tyrosine kinase and has been involved in regulating cellular proliferation, differentiation, and survival. Overexpression of EGFR has been identified in a variety of tumor cell lines and has been associated with poor prognosis and decreased survival [3].

In the human epidermoid carcinoma cell line A431, AG-82 inhibited the activity of epidermal growth factor receptor kinase with an IC50 value of 3 μM [1]. AG-82 inhibited

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

the GTPase activity of transducin with an IC50 of 7 μM. AG-82 inhibited neuromedin B-induced phosphorylation of p125FAK (focal adhesion kinase). AG-82 blocked the induction of inducible nitric oxide synthase in glial cells and Induced apoptosis in human leukemic cell lines.

References:
[1] Gazit A, Yaish P, Gilon C, et al. Tyrphostins I: synthesis and biological activity of protein tyrosine kinase inhibitors[J]. Journal of medicinal chemistry, 1989, 32(10): 2344-2352.
[2] Levitzki A, Gazit A. Tyrosine kinase inhibition: an approach to drug development[J]. Science, 1995, 267(5205): 1782.
[3] Herbst R S. Review of epidermal growth factor receptor biology[J]. International Journal of Radiation Oncology Biology Physics, 2004, 59(2): S21-S26.