Product Data Sheet

Product Name: AG 556
Cat. No.: GC12233

Chemical Properties

Cas. No.	133550-41-1		
Chemical Name	(E)-2-cyano-3-(3,4-dihydroxyphenyl)-N-(4-phenylbutyl)acrylamide		
SMILES	O=C(/C(C#N)=C/C(C=C1O)=CC=C1O)NCCCCC2=CC=CC=C2		
Formula	$C_{20}H_{20}N_2O_3$	M.Wt	336.39
Solubility	<10.09mg/ml in DMSO	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 556		

Background

AG 556 is a selective inhibitor of EGFR with IC50 value of 1.1 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 556 is a selective EGFR inhibitor. In HEK 293 cells expressing KIR2.3 or Kir2.1, AG556 (10 μM) reversibly reduced KIR2.3 or Kir2.1 currents. Also, AG556 inhibited tyrosine phosphorylation of KIR2.3 or Kir2.1 channels. EGF (100 ng/ml) increased KIR2.3 current, while this effect was inhibited by AG556 [1] [2]. AG556 arrested cells at G1/S phase by 85% and inhibited the activation of Cdk2 by phosphorylating tyrosine 15 on Cdk2 [3].

In a canine model infected with Escherichia coli 0111: B4, AG 556 increased survival times. AG 556 increased mean arterial pressure, oxygen delivery, cardiac output, alveolar-arterial oxygen gradient and left ventricular ejection fraction, which suggested that AG 556 increased survival. Also, AG 556 significantly reduced the levels of serum

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

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TNF, which suggested that AG 556 inhibited cell-signaling pathways and cytokine-induced multiorgan failure [4].

References:

[1]. Zhang DY, Zhang YH, Sun HY, et al. Epidermal growth factor receptor tyrosine kinase regulates the human inward rectifier potassium K(IR)2.3 channel, stably expressed in HEK 293 cells. Br J Pharmacol, 2011 Nov, 164(5): 1469-1478 [1].
[2]. Zhang DY, Wu W, Deng XL, et al. Genistein and tyrphostin AG556 inhibit inwardly-rectifying Kir2.1 channels expressed in HEK 293 cells via protein tyrosine kinase inhibition. Biochim Biophys Acta, 2011, 1808(8): 1993-1999.
[3]. 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.
[4]. Sevransky JE, Shaked G, Novogrodsky A, et al. Tyrphostin AG 556 improves survival and reduces multiorgan failure in canine Escherichia coli peritonitis. J Clin Invest, 1997, 99(8): 1966-1973.

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