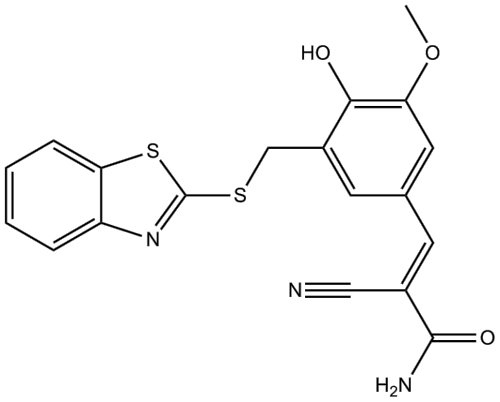
Product Data Sheet

Product Name: AG 825
Cat. No.: GC13168

Chemical Properties

Cas. No.	149092-50-2		
Chemical Name	(E)-3-(3-((benzo[d]thiazol-2-ylthio)methyl)-4-hydroxy-5-methoxyphenyl)-2-cyanoacrylamide		
SMILES	OC(C(CSC1=NC2=CC=CC=C2S1)=CC(/C=C(C#N)/C(N)=O)=C3)=C3OC		
Formula	$C_{19}H_{15}N_3O_3S_2$	M.Wt	397.47
Solubility	DMF: 30 mg/ml,DMSO: 30 mg/ml,DMSO: PBS (pH 7.2)(1:3): 0.1 mg/ml,Ethanol: 0.2 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 825 is a selective inhibitor of ErbB2 with IC50 values of 0.15 and 19 μM for ErbB2 and ErbB1 respectively [1].

Receptor tyrosine-protein kinase erbB-2 is a member of the human epidermal growth factor receptor family and promotes cell proliferation.

Caution: Product has not been fully validated for medical applications. For research use only.
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AG 825 is a selective ErbB2 inhibitor. AG825 inhibited autophosphorylation of HER2, HER1-2 and HER1 with IC50 values of 0.15, 0.35 and 19 μM, respectively [1]. In non-small cell lung cancer (NSCLC) cell lines expressing high-p185neu, the HER-2/neu gene product, AG825 significantly increased the chemosensitivities. However, AG825 with high concentrations inhibited the drug-induced G2 arrest and the activation of phosphorylated p34cdc2 [2]. In androgen-independent prostate cancer (PCa) cell lines C4 and C4-2, AG825 was toxic in a dose- and time-dependent way and inhibited phosphoactivation of HER-2/neu and its down-regulation. Also, AG825 induced an imbalance between p38 mitogen-activated protein kinase activation and extracellular signal-regulated kinase 1/2, which then led to p38-dependent apoptosis [3].

References:
[1]. Osherov N, Gazit A, Gilon C, et al. Selective inhibition of the epidermal growth factor and HER2/neu receptors by tyrphostins. J Biol Chem, 1993, 268(15): 11134-11142.
[2]. Tsai CM, Levitzki A, Wu LH, et al. Enhancement of chemosensitivity by tyrphostin AG825 in high-p185(neu) expressing non-small cell lung cancer cells. Cancer Res, 1996, 56(5): 1068-1074.
[3]. Murillo H, Schmidt LJ, Tindall DJ. Tyrphostin AG825 triggers p38 mitogen-activated protein kinase-dependent apoptosis in androgen-independent prostate cancer cells C4 and C4-2. Cancer Res, 2001, 61(20): 7408-7412.

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