

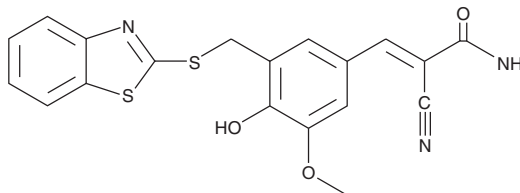
# PRODUCT INFORMATION



## AG-825

Item No. 10010243

**CAS Registry No.:** 149092-50-2  
**Formal Name:** 3-[3-[(2-benzothiazolylthio)methyl]-4-hydroxy-5-methoxyphenyl]-2-cyano-2-propenamamide  
**Synonym:** Tyrphostin AG-825  
**MF:** C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>O<sub>3</sub>S<sub>2</sub>  
**FW:** 397.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 225, 361 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

AG-825 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-825 in an organic solvent purged with an inert gas. AG-825 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AG-825 in ethanol is approximately 0.2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

AG-825 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AG-825 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AG-825 has a solubility of approximately 0.1 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

The HER2/Neu proto-oncogene is a member of the epidermal growth factor receptor (EGFR) family of receptor tyrosine kinases (RTK) which includes EGFR, HER2/Neu, HER-3 and HER-4.<sup>1</sup> Overexpression of HER2/Neu occurs in 25-30% of human breast and ovarian cancer. AG-825 is a selective ATP-competitive inhibitor of the tyrosine kinase activity of HER2/Neu. In cell-free assays, AG-825 inhibits HER2/Neu, EGFR, and PDGFR autophosphorylation with IC<sub>50</sub> values of 0.35, 19, and 40 μM, respectively.<sup>2</sup> However, in select whole cells, the high concentration of ATP prevents inhibition of kinase activity by AG-825.<sup>2</sup> Concentrations of 50 μM AG-825, however, consistently inhibit HER2/Neu signalling and promote killing of human LNCaP, C4, and C4-2 prostate cancer cells.<sup>3</sup>

### References

1. Reese, D.M. and Slamon, D.J. HER-2/neu signal transduction in human breast and ovarian cancer. *Stem Cells* **15**, 1-8 (1997).
2. Osherov, N., Gazit, A., Gilon, C., *et al.* Selective inhibition of the epidermal growth factor and HER2/Neu receptors by tyrphostins. *J. Biol. Chem.* **268(15)**, 11134-11142 (1993).
3. Murillo, H., Schmidt, L.J., and Tindall, D.J. Tyrphostin AG825 triggers p38 mitogen-activated protein kinase-dependent apoptosis in androgen-independent prostate cancer cells C4 and C4-2. *Cancer Res.* **61**, 7408-7412 (2001).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM