

PRODUCT INFORMATION



AG-879

Item No. 10793

CAS Registry No.: 148741-30-4

Formal Name: 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-cyano-2E-propenethioamide

Synonym: Tyrphostin AG-879

MF: C₁₈H₂₄N₂OS

FW: 316.5

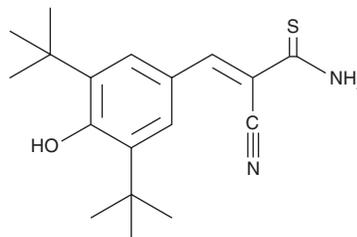
Purity: ≥95%

UV/Vis.: λ_{max}: 203, 248, 355, 377, 498 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

AG-879 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-879 in the solvent of choice. AG-879 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of AG-879 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

AG-879 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AG-879 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AG-879 has a solubility of approximately 0.17 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Protein tyrosine kinase (PTK) inhibitors are potential antiproliferative agents for diseases caused by the hyperactivity of PTKs. Tyrphostins are a class of antiproliferative compounds which selectively inhibit PTKs of key growth factors.¹ AG-879 is a tyrphostin compound that inhibits nerve growth factor (NGF)-dependent TrkA tyrosine phosphorylation in PC-12 cells (IC₅₀ = ~40 μM), HER2-ErbB2 kinase in several breast and ovarian cancer cell lines (IC₅₀ = ~0.5 μM), and the VEGF receptor FLK1 (IC₅₀ = ~1 μM).² Additionally, AG-879 inhibits the activation of ETK with an IC₅₀ value of ~5 nM, which blocks tyrosine phosphorylation of PAK1, suppressing Ras transformation of NIH 3T3 fibroblasts.³ AG-879 has also been shown to suppress IL-6-induced tyrosine phosphorylation of STAT3 (IC₅₀ = 15 μM) in schwannoma cells.⁴

References

1. Gazit, A., Yaish, P., Gilon, C., *et al.* Tyrphostins I: Synthesis and biological activity of protein tyrosine kinase inhibitors. *J. Med. Chem.* **32**(10), 2344-2352 (1989).
2. Levitzki, A. and Gazit, A. Tyrosine kinase inhibition: An approach to drug development. *Science* **267**(5205), 1782-1788 (1995).
3. He, H., Hiokawa, Y., Gazit, A., *et al.* The tyr-kinase inhibitor AG879, that blocks the ETK-PAK1 interaction, suppresses the RAS-induced PAK1 activation and malignant transformation. *Cancer Biol. Ther.* **3**(1), 96-101 (2004).
4. Lee, H.K., Seo, I.A., Lee, S.H., *et al.* Tyrphostin ErbB2 inhibitors AG825 and AG879 have non-specific suppressive effects on gp130/ STAT3 signaling. *Korean J. Physiol. Pharmacol.* **12**(5), 281-86 (2008).

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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