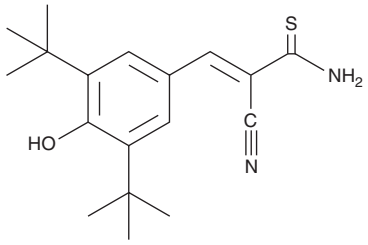
PRODUCT INFORMATION

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: $C_{18}H_{24}N_2OS$
FW: 316.5
Purity: ≥95%
UV/Vis.: λ_{max}: 203, 248, 355, 377, 498 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-879 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-879 in the solvent of choice, which should be purged with an inert gas. AG-879 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AG-879 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of AG-879 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of AG-879 in PBS (pH 7.2) is approximately 0.17 mg/ml. 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 (IC_{50} = ~40 µM), HER2-ErbB2 kinase in several breast and ovarian cancer cell lines (IC_{50} = ~0.5 µM), and the VEGF receptor FLK1 (IC_{50} = ~1 µM).[2] Additionally, AG-879 inhibits the activation of ETK with an IC_{50} 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 (IC_{50} = 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.

WARRANTY AND LIMITATION OF REMEDY
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