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: C₁₈H₂₄N₂O₅S
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. 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). 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. AG-879 has also been shown to suppress IL-6-induced tyrosine phosphorylation of STAT3 (IC₅₀ = 15 μM) in schwannoma cells.

References