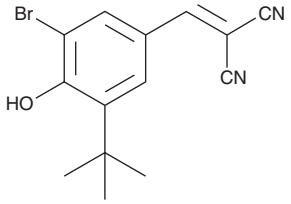
PRODUCT INFORMATION

AG-1024

Item No. 14833

CAS Registry No.: 65678-07-1
Formal Name: 2-[[3-bromo-5-(1,1-dimethylethyl)-4-hydroxyphenyl]methylene]-propanedinitrile
Synonyms: AGS 200, Tyrphostin AG-1024
MF: $C_{14}H_{13}BrN_2O$
FW: 305.2
Purity: ≥98%
UV/Vis.: λ_{max}: 255, 348, 359, 452 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-1024 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-1024 in the solvent of choice. AG-1024 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of AG-1024 in these solvents is approximately 1.6, 16, and 5 mg/ml, respectively.

AG-1024 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AG-1024 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AG-1024 has a solubility of approximately 0.5 mg/ml in a 1:1 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 binding of insulin-like growth factor 1 (IGF-1) to the IGF-1 receptor (IGF-1R) initiates a cascade of reactions that promote cell growth and transformation by activating the PI3K/Akt signaling pathway, inhibiting apoptotic pathways, and mediating mitogenic actions. Overexpression of IGF-1R confers tumorigenic potential to cells as well as protection from apoptosis. AG-1024 is a selective inhibitor of IGF-1R that inhibits insulin-stimulated cellular proliferation and IGF-1R autophosphorylation with IC_{50} values of 0.4 and 7 µM, respectively.[1] At 10 nM, AG-1024 can inhibit proliferation and induce apoptosis in human breast cancer MCF-7 cells, and it inhibits autocrine growth of human prostate cancer DU145 cells with an IC_{50} value of 2.5 µM.[2,3]

References

1. Pĭrrizas, M., Gazit, A., Levitzki, A., *et al*. Specific inhibition of insulin-like growth factor-1 and insulin receptor tyrosine kinase activity and biological function by tyrphostins. *Endocrinology* **138(4)**, 1427-1433 (1997).
2. Wen, B., Deutsch, E., Marangoni, E., *et al*. Tyrphostin AG 1024 modulates radiosensitivity in human breast cancer cells. *Br. J. Cancer* **85(12)**, 2017-2021 (2001).
3. Kisielewska, J., Ligeza, J., and Klein, A. The effect of tyrosine kinase inhibitors, tyrphostins: AG1024 and SU1498, on autocrine growth prostate cancer cells (DU145). *Folia Histochem. Cytobiol.* **46(2)**, 185-191 (2008).

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