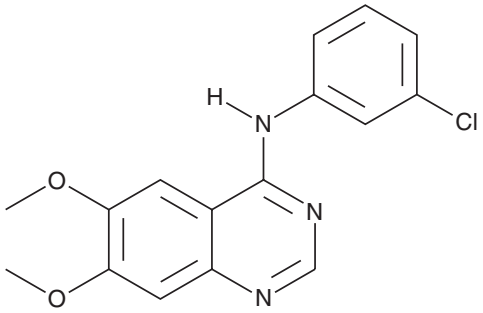
PRODUCT INFORMATION

AG-1478

Item No. 10010244

CAS Registry No.:	153436-53-4
Formal Name:	N-(3-chlorophenyl)-6,7-dimethoxy-4-quinazolinamine
Synonyms:	NSC 693255, Tyrphostin AG-1478
MF:	$C_{16}H_{14}ClN_3O_2$
FW:	315.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 222, 255, 346 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-1478 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-1478 in the solvent of choice, which should be purged with an inert gas. AG-1478 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AG-1478 in these solvents is approximately 0.5, 1.5, and 1 mg/ml, respectively.

AG-1478 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AG-1478 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. AG-1478 has a solubility of approximately 0.1 mg/ml in a 1:8 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 PTK. Tyrphostins are a class of antiproliferative compounds which act as PTK blockers. PTK inhibitors which preferentially inhibit the epidermal growth factor receptor (EGFR) kinase and block EGFR-dependent cell proliferation. AG-1478 is an inhibitor of EGFR kinase with an IC_{50} value of 3 nM.[1] Due to its potency and selectivity, AG-1478 has been used in a broad range of studies. It reversibly inhibits rat brain $K_v1.5$ potassium channels (IC_{50} = 9.8 µM) independent of PTK activity.[2] AG-1478 also inhibits the growth of leiomyoma and myometrium cell cultures with IC_{50} values of 5.6 and 5.7 µM, respectively.[3] This inhibitor suppresses MAP kinase activation and strongly inhibits induction of *fos* gene expression and DNA synthesis.[4]

References

1. Levitzki, A. and Gazit, A. Tyrosine kinase inhibition: An approach to drug development. *Science* **267(5205)**, 1782-1788 (1995).
2. Choi, B.H., Choi, J.-S., Rhie, D.-J., *et al.* Direct inhibition of the cloned Kv1.5 channel by AG-1478, a tyrosine kinase inhibitor. *Am. J. Physiol. Cell Physiol.* **282**, c1461-c1468 (2002).
3. Shushan, A., Rojansky, N., Laufer, N., *et al.* The AG1478 tyrosine kinase inhibitor is an effective suppressor of leiomyoma cell growth. *Hum. Reprod.* **19(9)**, 1957-1967 (2004).
4. Daub, H., Weiss, F.U., Wallasch, C., *et al.* Role of transactivation of the EGF receptor in signalling by G-protein-coupled receptors. *Nature* **379**, 557-560 (1996).

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