# PRODUCT INFORMATION

## DAPH

*Item No. 29196*

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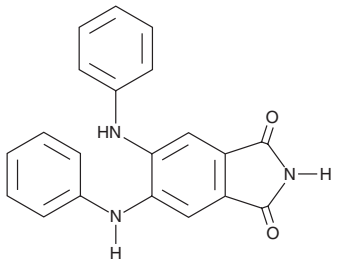
| **CAS Registry No.:** | 145915-58-8 |
| **Formal Name:** | 5,6-*bis*(phenylamino)-1H-isoindole-1,3(2H)-dione |
| **Synonyms:** | CGP 52411, 4,5-Dianilinophthalimide |
| **MF:** | $C_{20}H_{15}N_3O_2$ |
| **FW:** | 329.4 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 278, 314, 412 nm |
| **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

DAPH is supplied as a crystalline solid. A stock solution may be made by dissolving the DAPH in the solvent of choice, which should be purged with an inert gas. DAPH is soluble in organic solvents such as ethanol and DMSO. The solubility of DAPH in these solvents is approximately 25 and 100 mM, respectively.

### Description

DAPH is a phthalimide with diverse biological activities.[1-4] It is an EGFR inhibitor that selectively inhibits the EGFR intracellular kinase domain over v-Abl, c-Src, PKCα, PKCβ1, PKCβ2, and PKCγ ($IC_{50}$s = 0.3, >50, 16, 6, 30, 4.8, and 30 µM, respectively) and a panel of 11 other kinases.[1] It also inhibits EGFR autophosphorylation in A431 human epidermoid carcinoma cell membranes and intact cells ($IC_{50}$s = 1 and <10 µM, respectively). DAPH inhibits contractions induced by phenylephrine (Item No. 17205) in isolated rat endothelium-denuded aortic rings in a concentration-dependent manner.[2] It inhibits aggregation of amyloid-β (1-42) (Aβ42) peptide (Item No. 20574), disaggregates preformed Aβ42 fibrils, and inhibits calcium influx into mouse CATH.a neuronal cells when used at a concentration of 10 µM.[3] DAPH also inhibits aggregation of a Sup35 yeast prion protein fragment that contains its N-terminal and highly charged middle domains ($IC_{50}$ = 0.58 µM).[4]

*The information regarding the kinase $IC_{50}$ values and reduction in EGFR autophosphorylation was drawn from a paper that has been retracted; however, the information specified in the retraction statement has not been included.*[1]

### References


1. Buchdunger, E., Trinks, U., Mett, H., *et al.* 4,5-Dianilinophthalimide: A protein-tyrosine kinase inhibitor with selectivity for the epidermal growth factor receptor signal transduction pathway and potent *in vivo* antitumor activity. *Proc. Natl. Acad. Sci. USA* **91(6),** 2334-2338 (1994).
2. Ulu, N., Gurdal, H., Landheer, S.W., *et al.* α1-Adrenoceptor-mediated contraction of rat aorta is partly mediated via transactivation of the epidermal growth factor receptor. *Br. J. Pharmacol.* **161(6),** 1301-1310 (2010).
3. Blanchard, B.J., Chen, A., Rozeboom, L.M., *et al.* Efficient reversal of Alzheimer's disease fibril formation and elimination of neurotoxicity by a small molecule. *Proc. Natl. Acad. Sci. USA* **101(40),** 14326-14332 (2004).
4. Wang, H., Duennwald, M.L., Roberts, B.E., *et al.* Direct and selective elimination of specific prions and amyloids by 4,5-dianilinophthalimide and analogs. *Proc. Nat. Acad. Sci. USA* **105(20),** 7159-7164 (2008).





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