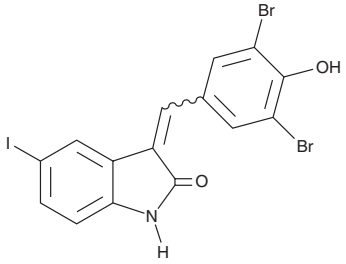
PRODUCT INFORMATION

GW 5074

Item No. 10010368

CAS Registry No.: 220904-83-6
Formal Name: 3-[(3,5-dibromo-4-hydroxyphenyl)methylene]-1,3-dihydro-5-iodo-2H-indol-2-one
MF: $C_{15}H_8Br_2INO_2$
FW: 520.9
Purity: ≥98% (mixture of *cis* and *trans*)
UV/Vis.: λ_{max}: 260, 348 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW 5074 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 5074 in the solvent of choice. GW 5074 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GW 5074 in these solvents is approximately 15 mg/ml.

GW 5074 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 5074 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GW 5074 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

Raf-1 is a proto-oncogene serine/threonine protein kinase that signals from Ras to the MAPK/ERK signaling pathway.[1-2] This pathway mediates basic cellular functions, including proliferation, differentiation, and survival.[1] GW 5074 is a potent, selective, and cell-permeable inhibitor of Raf-1 (IC_{50} = 9 nM).[3] It blocks phosphorylation of ERK1/2 by 90% in cells stimulated with epidermal growth factor when given at 5 µM.[3] GW 5074 shows more than 100-fold selectivity for Raf-1 *versus* several related kinases.[3]

References

1. Dhillon, A.S. and Kolch, W. Untying the regulation of the Raf-1 kinase. *Arch. Biochem. Biophys.* **404**, 3-9 (2002).
2. Kunnimalaiyaan, M. and Chen, H. The Raf-1 pathway: a molecular target for treatment of select neuroendocrine tumors? *Anticancer Drugs* **17**, 139-142 (2006).
3. Lackey, K., Cory, M., David, R., *et al*. The discovery of potent cRaf1 kinase inhibitors. *Bioorg. Med. Chem. Lett.* **10(3)**, 223-226 (2000).

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