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Produktinformation

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- Diagnostik & molekulare Diagnostik
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Zuschläge

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- Trockeneiszuschlag
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- Expressversand

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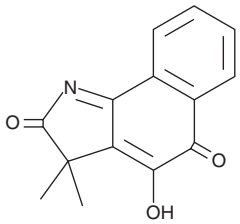
PRODUCT INFORMATION

BVT 948

Item No. 16615

CAS Registry No.: 39674-97-0
Formal Name: 4-hydroxy-3,3-dimethyl-2H-benz[g]indole-2,5(3H)-dione
MF: $C_{14}H_{11}NO_3$
FW: 241.2
Purity: ≥95%
UV/Vis.: λ_{max}: 225, 270, 460 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

BVT 948 is supplied as a crystalline solid. A stock solution may be made by dissolving the BVT 948 in the solvent of choice. BVT 948 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of BVT 948 in these solvents is approximately 0.2, 50, and 5 mg/ml, respectively.

BVT 948 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BVT 948 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BVT 948 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

BVT 948 is a noncompetitive, cell-permeable inhibitor of protein tyrosine phosphatases (PTP; IC_{50}s = 0.09-1.7 µM).[1] It facilitates the oxidation of the catalytic cysteine residue by hydrogen peroxide.[1] Similarly, it inhibits redox-sensitive cytochrome P450 (CYP) isoforms, including CYP2C19 and CYP2D6, with IC_{50} values less than 10 µM.[1] BVT 948 also inhibits the protein methyltransferases SETD8, SETD2, G9a, SMYD2, CARM1, and PRMT3 with IC_{50} values from 0.7 to 3.2 µm.[2] Presumably through its effects on PTPs, BVT 948 enhances insulin signaling in cells and insulin tolerance in *ob/ob* mice, suppresses the expression of matrix metalloproteinase-9 and invasion in breast cancer cells, and increases NMDA-induced substance P release by spinal cord slices.[1,3,4]

References

1. Liljebris, C., Baranczewski, P., Björkstrand, E., *et al.* Oxidation of protein tyrosine phosphatases as a pharmaceutical mechanism of action: A study using 4-hydroxy-3,3-dimethyl-2H-benzo[g]indole-2,5(3H)-dione. *J. Pharmacol. Exp. Ther.* **309(2)**, 711-719 (2004).
2. Blum, G., Ibáñez, G., Rao, X., *et al.* Small-molecule inhibitors of SETD8 with cellular activity. *ACS Chem. Biol.* 1-19 (2014).
3. Hwang, B.-M., Chae, H.S., Jeong, Y.-J., *et al.* Protein tyrosine phosphatase controls breast cancer invasion through the expression of matrix metalloproteinase-9. *BMB Rep.* **46(11)**, 533-538 (2013).
4. Chen, W., Zhang, G., and Marvizón, J.C.G. NMDA receptors in primary afferents require phosphorylation by Src family kinases to induce substance P release in the rat spinal cord. *Neuroscience* **166(3)**, 924-934 (2010).

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