

PRODUCT INFORMATION



Ethacrynic Acid

Item No. 19536

CAS Registry No.: 58-54-8

Formal Name: 2-[2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy]-acetic acid

Synonyms: MK-595, NSC 85791, NSC 624008

MF: C₁₃H₁₂Cl₂O₄

FW: 303.1

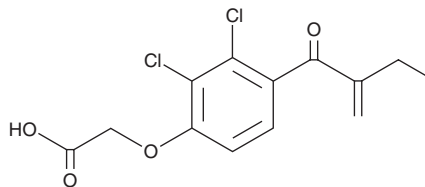
Purity: ≥98%

UV/Vis.: λ_{max}: 270 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

Ethacrynic acid is supplied as a solid. A stock solution may be made by dissolving the ethacrynic acid in the solvent of choice. Ethacrynic acid is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of ethacrynic acid in these solvents is approximately 30 mg/ml.

Ethacrynic acid is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ethacrynic acid should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ethacrynic acid has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ethacrynic acid is a loop diuretic with anticancer activity.¹⁻³ It inhibits the Na-K-2Cl (NKCC) cotransporter in duck erythrocytes (IC₅₀ = 0.18 mM) and ATP-dependent chloride uptake in rat renal plasma membrane vesicles when used at a concentration of 0.3 mM.^{1,4} Ethacrynic acid also inhibits glutathione S-transferase P1-1 (GSTP1-1) and GSTA3-3 (IC₅₀s = 4.9 and ~0.4 μM, respectively), and inhibits Wnt/β-catenin signaling in a cell-based reporter assay.^{2,5} It is cytotoxic to primary chronic lymphocytic leukemia cells (IC₅₀ = 8.56 μM), as well as MCF-7, MDA-MB-231, and 4T1 cancer cells (IC₅₀s = 45.53, 39.64, and 25.23 μM, respectively).^{2,3} Ethacrynic acid (250 μg per day) increases tumor growth reduction induced by the EGFR family inhibitors afatinib (Item Nos. 11492 | 21567) or neratinib (Item No. 18404) in a 4T1 murine breast cancer model.³ Formulations containing ethacrynic acid have been used in the treatment of edema.

References

1. Palfrey, H.C. and Leung, S. Inhibition of Na-K-2Cl cotransport and bumetanide binding by ethacrynic acid, its analogues, and adducts. *Am. J. Physiol.* **264**(5 Pt 1), C1270-C1277 (1993).
2. Lu, D., Liu, J.X., Endo, T., *et al.* Ethacrynic acid exhibits selective toxicity to chronic lymphocytic leukemia cells by inhibition of the Wnt/beta-catenin pathway. *PLoS One* **4**(12), e8294 (2009).
3. Liu, B., Huang, X., Hu, Y., *et al.* Ethacrynic acid improves the antitumor effects of irreversible epidermal growth factor receptor tyrosine kinase inhibitors in breast cancer. *Oncotarget* **7**(36), 58038-58050 (2016).
4. Kunugi, Y., Hiraoka, Y., Hashimoto, Y., *et al.* Ethacrynic acid-sensitive and ATP-dependent Cl⁻ transport in the rat kidney. *Japan J.Pharmacol.* **57**(2), 167-174 (1991).
5. Musdal, Y., Hegazy, U.M., Aksoy, Y., *et al.* FDA-approved drugs and other compounds tested as inhibitors of human glutathione transferase P1-1. *Chem. Biol. Interact.* **205**(1), 53-62 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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