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: C_{13}H_{12}Cl_{2}O_{4}
FW: 303.1
Purity: ≥98%
UV/Vis.: λ_{max} = 270 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

Ethacrynic acid is supplied as a crystalline solid. A stock solution may be made by dissolving the ethacrynic acid in the solvent of choice, which should be purged with an inert gas. Ethacrynic acid is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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.\textsuperscript{1-3} It inhibits the Na-K-2Cl (NKCC) cotransporter in duck erythrocytes (IC_{50} = 0.18 mM) and ATP-dependent chloride uptake in rat renal plasma membrane vesicles when used at a concentration of 0.3 mM.\textsuperscript{1,4} Ethacrynic acid also inhibits glutathione S-transferase P1-1 (GSTP1-1) and GSTA3-3 (IC_{50} = 4.9 and ~0.4 μM, respectively), and inhibits Wnt/β-catenin signaling in a cell-based reporter assay.\textsuperscript{2,5} It is cytotoxic to primary chronic lymphocytic leukemia cells (IC_{50} = 8.56 μM), as well as MCF-7, MDA-MB-231, and 4T1 cancer cells (IC_{50} = 45.53, 39.64, and 25.23 μM, respectively).\textsuperscript{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.\textsuperscript{3}

Formulations containing ethacrynic acid have been used in the treatment of edema.

References