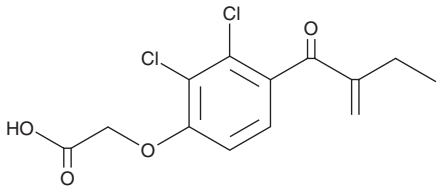
PRODUCT INFORMATION

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:	$C_{13}H_{12}Cl_2O_4$
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.[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.[1,4] Ethacrynic acid also inhibits glutathione S-transferase P1-1 (GSTP1-1) and GSTA3-3 (IC_{50}s = 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 (IC_{50} = 8.56 µM), as well as MCF-7, MDA-MB-231, and 4T1 cancer cells (IC_{50}s = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM