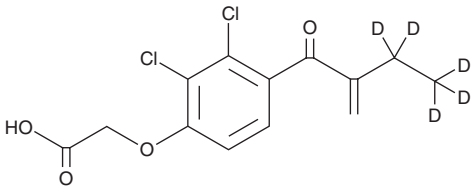
PRODUCT INFORMATION

Ethacrynic Acid-d_5

Item No. 28537

CAS Registry No.: 1330052-59-9
Formal Name: 2-(2,3-dichloro-4-(2-methylenebutanoyl-3,3,4,4,4-d_5)phenoxy)acetic acid
MF: $C_{13}H_7Cl_2D_5O_4$
FW: 308.2
Chemical Purity: ≥95% (Ethacrynic Acid)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as: A 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-d_5 is intended for use as an internal standard for the quantification of ethacrynic acid (Item No. 19536) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Ethacrynic acid-d_5 is supplied as a solid. A stock solution may be made by dissolving the ethacrynic acid-d_5 in the solvent of choice, which should be purged with an inert gas. Ethacrynic acid-d_5 is slightly soluble in methanol and DMSO.

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. *Am. J. Physiol.* **264(5 Pt 1)**, C1270-C1277 (1993).
2. Lu, D., Liu, J.X., Endo, T., *et al. PLoS One* **4(12)**, e8294 (2009).
3. Liu, B., Huang, X., Hu, Y., *et al. Oncotarget* **7(36)**, 58038-58050 (2016).
4. Kunugi, Y., Hiraoka, Y., Hashimoto, Y., *et al. Japan J.Pharmacol.* **57(2)**, 167-174 (1991).
5. Musdal, Y., Hegazy, U.M., Aksoy, Y., *et al. Chem. Biol. Interact.* **205(1)**, 53-62 (2013).

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