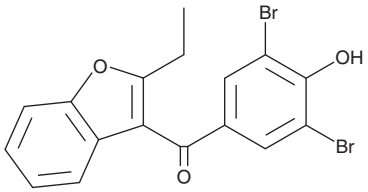
PRODUCT INFORMATION

Benzbromarone

Item No. 19768

CAS Registry No.:	3562-84-3
Formal Name:	(3,5-dibromo-4-hydroxyphenyl) (2-ethyl-3-benzofuranyl)-methanone
Synonyms:	MJ10061, NSC 85433
MF:	$C_{17}H_{12}Br_2O_3$
FW:	424.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 238, 277, 282 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

Benzbromarone is supplied as a crystalline solid. A stock solution may be made by dissolving the benzbromarone in the solvent of choice. Benzbromarone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of benzbromarone in these solvents is approximately 3, 20, and 25 mg/ml, respectively.

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

Description

Benzbromarone is an inhibitor of the urate anion transporter (IC_{50} = 0.3 µM for hURAT1) that prevents renal urate resorption.[1] It also potently inhibits CYP2C9 (K_i = 20 nM), a major cytochrome P450 enzyme involved in the metabolic clearance of a wide range of therapeutic agents.[2] Several analogs of benzabromarone have been developed with varying binding affinities to CYP2C9 in order to study adverse drug-drug interactions.[3]

References

1. Yu, Z., Fong, W. P., and Cheng, C. H. K. Morin (3,5,7,2',4'-pentahydroxyflavone) exhibits potent inhibitory actions on urate transport by the human urate anion transporter (hURAT1) expressed in human embryonic kidney cells. *Drug Metab. Dispos.* **35(6)**, 981-986 (2007)
2. Gritsch, P.J., Stempel, E., and Gaich, T. Enantioselective synthesis of cyclohepta[b]indoles: Gram-scale synthesis of (S)-SIRT1-inhibitor IV. *Org. Lett.* (2013).
3. Rettie, A.E. and Jones, J.P. Clinical and toxicological relevance of CYP2C9: Drug-drug interactions and pharmacogenetics. *Annu. Rev. Pharmacol. Toxicol.* **45**, 477-494 (2005).

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