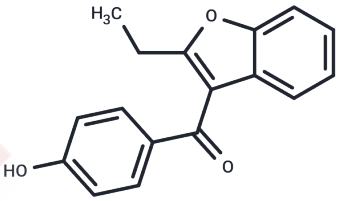
Data Sheet (Cat.No.T20545)

TargetMol

Benzarone

Chemical Properties



CAS No. : 1477-19-6

Formula: C17H14O3

Molecular Weight: 266.29

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Benzarone (Benzarona) is a potent inhibitor of human uric acid transporter 1 (URAT1, IC50 = 2.8 μM in oocyte). Benzarone lowers the level of uric acid serum.
Targets(IC50)	Apoptosis,OAT,OXPHOS
In vitro	Studies on the action of benzarone on the metabolism of cultured arterial smooth muscle cells and arterial tissue had the following results: 1. On incubation of calf arterial tissue in the presence of 0.03--0.1 mmol/l benzarone (8--26 micrograms/ml medium) the metabolic transformation of [14C]-glucose to [14C]-lactate and 14CO2 and the incorporation of 14C radioactivity into the total lipids is not significantly altered as compared with control values. In cultured human arterial smooth muscle cells 0.03 mmol/l benzarone stimulates the incorporation of [14C]-acetate and [3H]-palmitate into the cellular lipids while the receptor mediated uptake of homologous low-density lipoproteins (LDL) by the cells and their release are not influenced. 2. In concentrations greater than 0.2 mmol/l benzarone the glucose utilisation of arterial tissue is enhanced, while the labelling of lipids, in particular the labelling of the triglyceride fraction, is depressed. Under the same conditions the protein biosynthesis and the incorporation of [14C]-acetate and [3H]-palmitate into the total lipids of cultured arterial smooth muscle cells are decreased[2].
In vivo	Rats of BD X strain and SHR/NIH Montreal Ingelheim strain (genetic hypertension) received a diet containing 3.9% cholesterol or 3.7% cholesterol plus 0.6% benzarone, respectively, and libitum for 5 or 9 months. The following chemical and ultrastructural results were obtained. 1. The cholesterol-benzarone diet causes a body weight reduction of 10%, a relative increase of serum HDL and a corresponding decrease of serum LDL and VLDL, as compared with the effects of the cholesterol diet. No differences of total serum cholesterol and serum triglycerides between the two groups were observed. 2. The aorta of cholesterol fed animals shows a slight but statistically not significant increase of total cholesterol content. 3. No differences in the composition of connective tissue components (collagen, elastin, uronic acid content) between the cholesterol fed animals and a control group on normal diet could be detected. 4. Electron micrographs of several vessel wall areas from cholesterol fed hypertensive animals revealed fibrosis, necrosis of media muscle cells and an increase of matrix vesicles. Severe damages were found in coronary arteries and in the caudal arteries. 5. Cholesterol feeding of hypertensive rats increases the cholesterol content of liver 10fold and the triglycerides content 3fold as compared with liver lipids of control rats.

In vivo	Benzarone application to cholesterol fed rats effects a statistically significant decrease of liver cholesterol and triglycerides[3].

Solubility Information

Solubility	DMSO: 55 mg/mL (206.54 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.51 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.7553 mL	18.7765 mL	37.553 mL
5 mM	0.7511 mL	3.7553 mL	7.5106 mL
10 mM	0.3755 mL	1.8777 mL	3.7553 mL
50 mM	0.0751 mL	0.3755 mL	0.7511 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kaufmann P, Török M, Hänni A, Roberts P, Gasser R, Krähenbühl S. Mechanisms of benzarone and benzbromarone-induced hepatic toxicity. Hepatology. 2005 Apr;41(4):925-35. PubMed PMID: 15799034.
de Vries JX, Walter-Sack I, van de Loo A, Kocher J. Determination of benzarone in human plasma and urine by high-performance liquid chromatography and gas chromatography-mass spectrometry. Identification of the conjugates. J Chromatogr. 1986 Oct 31;382:167-74. PubMed PMID: 3782383.
Knehr HE, Betz E. [Effect of benzarone on the oxygen consumption and the mechanical activity of vascular smooth muscle]. Arzneimittelforschung. 1983;33(2):211-4. German. PubMed PMID: 6682659.
Wood SG, John BA, Chasseaud LF, Bonn R, Grote H, Sandrock K, Darragh A, Lambe RF. Metabolic fate of the thrombolytic agent benzarone in man: comparison with the rat and dog. Xenobiotica. 1987 Jul;17(7):881-96. PubMed PMID: 3660858.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481