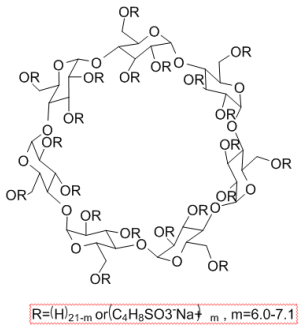
Data Sheet

Product Name:	SBE-β-CD
Cat. No.:	CS-0731
CAS No.:	182410-00-0
Molecular Formula:	N/A
Molecular Weight:	N/A
Target:	Others
Pathway:	Others
Solubility:	H_2O: ≥ 33 mg/mL; DMSO: 5.625 mg/mL



BIOLOGICAL ACTIVITY:

SBE-β-CD is a sulfobutylether **β-cyclodextrin** derivative, it is an excipient or a formulating agent used to increase the solubility of poorly soluble drugs.

In Vitro: SBE-β-CD is a chemically modified β-CD that is a cyclic hydrophilic oligosaccharide which is negatively charged in aqueous media. β-CD functioned is a solubilizer only at low concentrations, whereas SBE7-β-CD exhibits strong solubilizing effects over a wide concentration range[1].

In Vivo: SBE-β-CD is a derivatized form of β-cyclodextrin that has been developed as a safe and effective solubilizing agent for drugs being administered by parenteral and other routes (including oral). SBE-β-CD is a cyclic carbohydrate comprised of seven glucose molecules; the resulting truncated cone-like structure being further derivatized with an average of seven sulfobutyl ether groups[2]. Th e calorimetric data for the Compound 1/SBE-β-CD complex indicates an extremely strong interaction, with an association constant of $2.3\pm(0.2)\times10^6M^{-1}$ at 25°C and $1.6\pm(0.2)\times10^6M^{-1}$ at 37°C[3]. SBE-β-CD alone evokes a mild cardio-depressant effect independent of cocaine treatment (p=0.0001 compared to baseline) but attenuates further cocaine-induced decreases in RPP, dP/dtmax, and $dP/dtmax_{abs}$ at high cocaine concentrations. No significant effect is seen on line pressure SBE-β-CD alleviates the most pronounced cardiac depression for RPP, dP/dtmax, and $dP/dtmax_{abs}$. This differential effect of SBE-β-CD at low and high concentrations produces an interaction effect in the two-way ANOVA for RPP ($p<0.0001$), dP/dtmax (p=0.0001), and $dP/dtmax_{abs}$ (p=0.0015), and prevents any overall treatment effect. Infusing SBE-β-CD also attenuates the cardiac depression associated with cocaethylene toxicity for RPP and dP/dtmax. No differences are observed between ethanol-treated controls and cocaethylene plus SBE-β-CD groups[4].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: SBE-β-CD is prepared in saline[3].[3]Rats[3]

A 300 g rat is administered with 1 mL of a 0.1 M SBE-β-CD solution containing 5.64 mg of Compound 1, and assuming an extracellular volume of 90 mL, less than 0.1% of the complex would rapidly dissociate due to the initial effects of dilution. This calculation, combined with the changing blood to plasma ratio in the presence of SBE-β-CD, provides a reasonable explanation for the observed differences in the blood and plasma profiles of Compound 1 after intravenous administration in either the cyclodextrin or cyclodextrin-free formulations. After IV administration of the cyclodextrin formulation, Compound 1 would initially be prevented from distributing into erythrocytes thereby resulting in a whole blood to plasma ratio of less than one. Subsequently, clearance of SBE-β-CD from the circulation would lead to changes in the complexation equilibrium such that the unbound fraction of Compound 1 would increase, thereby reestablishing normal blood to plasma partitioning (i.e. in favour of whole blood) and clearance.

References:

[1]. Fukuda M, et al.Influence of sulfobutyl ether beta-cyclodextrin (Captisol) on the dissolution properties of a poorly soluble drug from extrudates prepared by hot-melt extrusion.Int J Pharm. 2008 Feb 28;350(1-2):188-196.

[2]. Lockwood SF, et al. Improved aqueous solubility of crystalline astaxanthin (3,3'-dihydroxy-beta, beta-carotene-4,4'-dione) by Captisol (sulfobutyl ether beta-cyclodextrin). J Pharm Sci. 2003 Apr;92(4):922-926.

[3]. Charman SA, et al. Alteration of the intravenous pharmacokinetics of a synthetic ozonide antimalarial in the presence of a modified cyclodextrin. J Pharm Sci. 2006 Feb;95(2):256-67.

[4]. Fettiplace MR, et al. Cardiac depression induced by cocaine or cocaethylene is alleviated by lipid emulsion more effectively than by sulfobutylether-β-cyclodextrin. Acad Emerg Med. 2015 May;22(5):508-17.

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