**BIOLOGICAL ACTIVITY**

**Description**
SBE-β-CD is a sulfobutylether β-cyclodextrin derivative used as an excipient or a formulating agent to increase the solubility of poorly soluble agents.[3]

**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]. The calorimetric data for the Compound 1/SBE-β-CD complex indicates an extremely strong interaction, with an association constant of $2.3 \pm 0.2 \times 10^6 \text{M}^{-1}$ at $25°C$ and $1.6 \pm 0.2 \times 10^5 \text{M}^{-1}$ 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, $dP/dt_{max}$, and $dP/dt_{max abs}$ at high cocaine concentrations. No significant effect is seen on line pressure SBE-β-CD alleviates the most pronounced cardiac depression for RPP, $dP/dt_{max}$ and $dP/dt_{max abs}$. This differential effect of SBE-β-CD at low and high concentrations produces an interaction effect in the two-way ANOVA for RPP ($p<0.0001$), $dP/dt_{max}$ ($p=0.0001$), and $dP/dt_{max abs}$ ($p=0.0015$), and prevents any overall treatment effect. Infusing SBE-β-CD also attenuates the cardiac depression associated with cocaethylene toxicity for RPP and $dP/dt_{max}$. No differences are observed between ethanol-treated controls and cocaethylene plus SBE-β-CD groups.[4].

**PROTOCOL**

**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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**


[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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**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898       Fax: 609-228-5909       E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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