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20 General References

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22 Date of Revision

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Betadex Sulfobutyl Ether Sodium

1 Nonproprietary Names

USP–NF: Betadex Sulfobutyl Ether Sodium

2 Synonyms

ADVASEP-7; beta-cyclodextrin sulfobutylethers, sodium salts; *Captisol*; β -cyclodextrin sulfobutylethers, sodium salts; (SBE)_{7m}-beta-CD; SBE7- β -CD; SBE- β -CD; SBECD; sodium sulfobutylether β -cyclodextrin; sodium sulfobutylether-beta-cyclodextrin; sulfobutylether β -cyclodextrin; sulfobutylether- β -cyclodextrin, sodium salt; sulfobutylether cycloheptaamylose heptasodium salt.

3 Chemical Name and CAS Registry Number

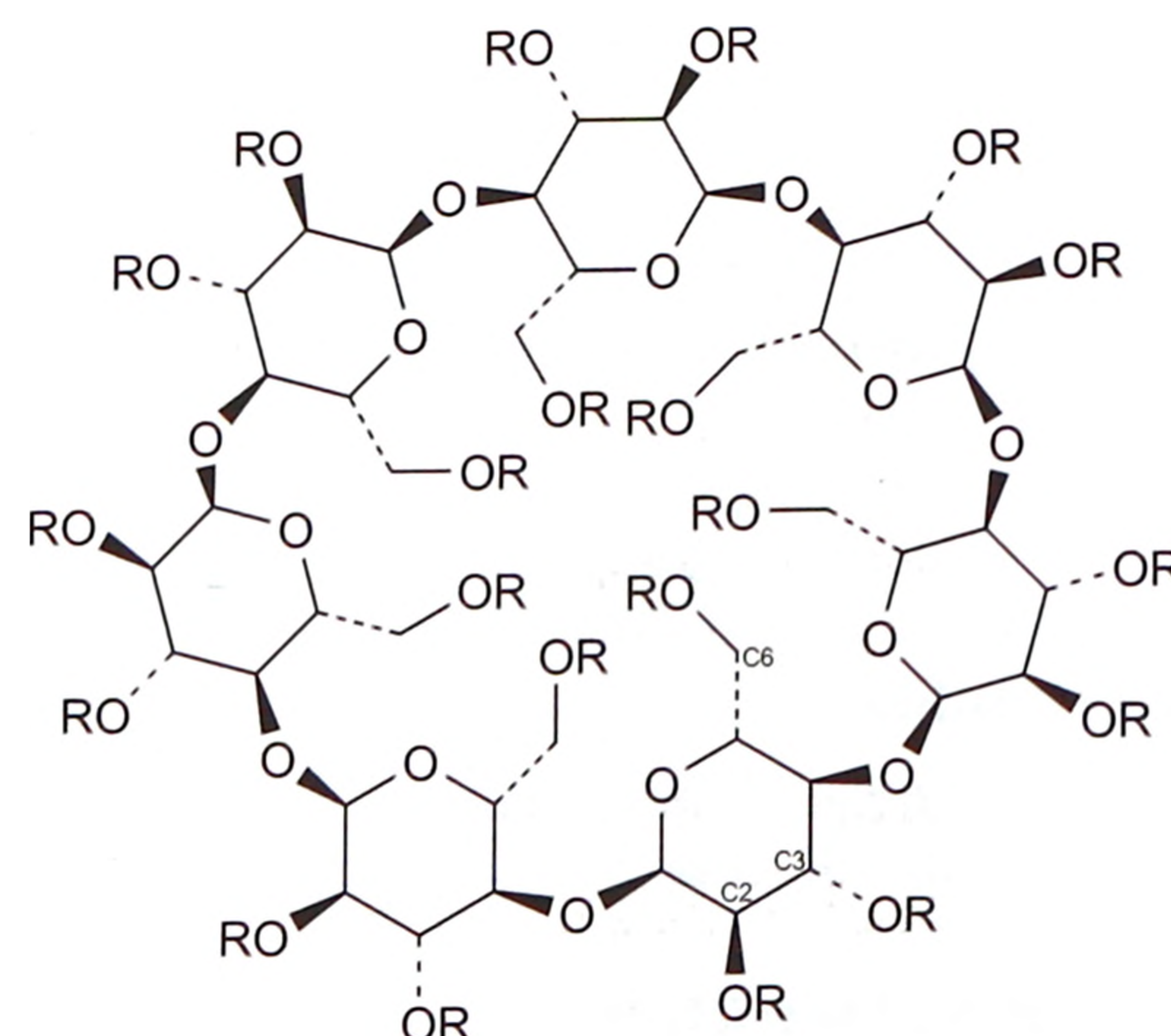
β -Cyclodextrin sulfobutylether, sodium salt [182410-00-0]

4 Empirical Formula and Molecular Weight

$C_{42}H_{70-n}O_{35} \cdot (C_4H_8SO_3Na)_n$ 2163 (where n = approximately 6.5)

β -Cyclodextrin is a cyclic oligosaccharide containing seven D-(+)-glucopyranose units attached by $\alpha(1 \rightarrow 4)$ glucoside bonds (*see* Cyclodextrins). Betadex sulfobutyl ether sodium is an anionic β -cyclodextrin derivative with a sodium sulfonate salt separated from the hydrophobic cavity by a butyl spacer group. Through a solvent-free, all aqueous process, the substituent is reproducibly introduced at positions 2, 3, and 6 in at least one of the glucopyranose units in the cyclodextrin structure. The process by which the sulfobutylether is introduced into β -cyclodextrin produces materials with different degrees of substitution, theoretically from 1 to 21. Betadex sulfobutyl ether sodium can be isolated with a range in degree of substitution between 6 and 7 and averages 6.5, which provides for the lowest levels for residual β -cyclodextrin and other process impurities while providing for improved complexation and beneficial drug carrier properties.

5 Structural Formula



R = H_{21-n} or (CH₂CH₂CH₂CH₂SO₂ONa)_n where $n = 6.2-6.9$

Note: the substitution pattern is more or less random, and reproducible by the specific process, yielding a heterogeneous mixture both in terms of the site of substitution as well as degree of substitution. The n value is derived from the average degree of substitution.

6 Functional Category

Antimicrobial preservative; complexing agent; direct compression excipient; modified-release agent; solubilizing agent; tablet and capsule diluent; taste-masking agent; tonicity agent; transdermal delivery component; viscosity-increasing agent.

7 Applications in Pharmaceutical Formulation or Technology

Betadex sulfobutyl ether sodium has primarily been used in parenteral drug products as it is one of only a few of the cyclodextrins that are sufficiently safe to be used parenterally, and is therefore used in more parenteral products than any other cyclodextrin.⁽¹⁾ It can form noncovalent host-guest complexes with many types of compounds including small organic molecules,⁽²⁾ peptides,⁽³⁾ and proteins.⁽⁴⁾ It can also enhance their solubility^(5,6) and stability⁽⁶⁻⁸⁾ in water.

Betadex sulfobutyl ether sodium is also used in a number of other formulations for improved bioavailability and efficient drug