Product Information

(2-Hydroxypropyl)-β-Cyclodextrin Solution

H5784

Product Description

Molecular Formula: $(C_6H_9O_5)_7(C_3H_7O)_{4.5}$ (average)
Average degree of substitution: 0.67 hydroxypropyl groups per glucose unit.
Average Molecular Weight: 1,396 Da (anhydrous)

Synonym: HBC

This product is a 45% (w/v) solution of Hydroxypropyl β-cyclodextrin in water.

Cyclodextrins are cyclic oligosaccharides consisting of 6, 7, or 8 glucopyranose units with hydrophobic interiors, usually referred to as α-, β-, or λ-cyclodextrins, respectively. Lipophilic drugs of a size compatible with the hydrophobic core of a cyclodextrin can form complexes, resulting in increased aqueous solubility of the drugs.
The solubility increases achieved can be dramatic. *In vivo* efficacy is usually maintained when drugs are delivered as cyclodextrin complexes. In addition, cyclodextrins are non-toxic in many species (mice and rabbits), and do not denature proteins or interfere with enzymatic reactions.

The cavity diameter (I.D. 7.5 Å) of β-cyclodextrins or 7-glucopyranose unit compounds is well-suited for use with molecules the size of hormones, vitamins, and many compounds frequently used in tissue and cell culture applications. For this reason, β-cyclodextrin is most commonly used as a complexing agent.

The solubility of natural cyclodextrins is very poor. In the late 1960s, it was discovered that chemical substitutions at the 2, 3, and 6 hydroxyl sites would greatly increase solubility. The degree of chemical substitution, as well as the nature of the groups used for substitution, determines the final maximum concentration of cyclodextrin in an aqueous medium. Most chemically modified cyclodextrins are able to achieve a 50% (w/v) concentration in water.

The solubility of drugs increases linearly with the concentration of 2-hydroxypropyl-β-cyclodextrin in aqueous buffer.[1] The formation of drug/cyclodextrin complexes is a rapidly reversible reaction and complexes exist both in solution and crystalline states. Solutions of many such complexes may be lyophilized to produce freely soluble powders which may be compressed into tablets. Bio-effects are only slightly affected by cyclodextrin complexation. Cells in serum supplemented medium can be grown in concentrations up to 1-2% of 2-hydroxypropyl-β-cyclodextrin; in serum-free medium, concentrations of 0.5-1% are acceptable. 2-Hydroxypropyl-β-cyclodextrin has been found to be non-toxic in mice and rabbits.[2] The use of cyclodextrins in receptor binding assays is not recommended. Solubility enhancement of 2-hydroxypropyl-β-cyclodextrin on several compounds is listed in table form at the end of this document.

Precautions and Disclaimer

For R&D use only. Not for drug, household, or other uses. Please consult the Safety Data Sheet for information regarding hazards and safe handling practices.

Storage/Stability

Solutions may be stored for several weeks at room temperature. Hydrolysis of β-cyclodextrin with 7.7 M HCl at 30 °C results in degradative ring opening at the following rate: 15.7% within 30 minutes, 50.1% within 2 hours and 95.7% within 9 hours.[3] Information on secondary hydrolysis of opened rings has also been reported.

References

1. Pitha, J. et al., Hydroxypropyl-β-cyclodextrin: Preparation and characterization: effects on solubility of drugs. Int. J. Pharmaceutics, 29 73 (1986).
2. Pitha, J., Amorphous water soluble derivatives of cyclodextrins: Non-toxic dissolution enhancing excipients. J. Pharm. Sci., 74, 987 (1985).
3. Swanson, M. A., and Cori, C. F., Studies on the structure of polysaccharides I. Acid hydrolysis of starch-like polysaccharides. J. Biol. Chem., 172, 797-805 (1948).
4. Szejtli, J., Cyclodextrins in drug formulations: Part II. Pharm.Tech. Int., August, 24-38 (1991).
5. Brewster, M. E. et al., Application of 2- hydroxypropyl α-cyclodextrin to protein stabilization and solubilization, Minutes of the 5th Int. Symp. on Cyclodextrins, Duchene, D., ed., Editions de Sante (Paris, France: 1990) pp. 440-444.
6. Hora, M. S. et al., Cyclodextrin-Peptide Complexes. PCT Pat. Appl. WO 90/03784 (1990).
7. Stern, W. C., Cyclodextrin-based drug delivery. Drug News and Perspectives, 2(7), 410 (1989).
8. Cyclodextrin Technology, Szejtli, J., Kluwer Academic Publishers (Dordrecht-Boston-London: 1988) pp. 211-215.
9. Rekharsky, M. K., et al., Complexation thermodynamics of cyclodextrins. Chem. Rev., 98, 2045-2076 (1998).
10. Uekama, K., et al., Cyclodextrin drug carrier systems. Chem. Rev., 98, 2045 (1998).
11. Yaksh, T. L., et al., The utility of 2-hydroxypropyl-β-cyclodextrin as a vehicle for the intracerebral and intrathecal administration of drugs. Life Sci., 48, 623-633 (1991).

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