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ProductInformation

(2-Hydroxypropyl)-β-cyclodextrin
Cell Culture Tested

Product Number **C 0926**
Store at Room Temperature

Product Description

Molecular Formula: $(C_6H_9O_5)_7(C_3H_7O)_{4.5}$
Average degree of substitution: 0.67 hydroxypropyl groups per glucose unit
Average Molecular Weight: 1,396 Da (anhydrous)
CAS Number: 128446-35-5
Melting Point: >200 °C (dec.)
Synonym: HBC

This product is cell culture tested (1 g/L) and is appropriate for use in cell culture applications.

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 Laboratory Use Only. Not for drug, household or other uses.

Preparation Instructions

This product is soluble in water (100 mg/ml), with heating, yielding a clear, colorless solution. Solutions may be obtained by stirring 30 minutes at room temperature. Alternatively, sonication with cooling may be employed.

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

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CYCLODEXTRIN SOLUBILITY TABLE

COMPOUND	Product Number	Solubility (water, mg/ml)	Solubility (45% w/v 2-Hydroxypropyl-β-cyclodextrin mg/ml)	Solubility enhancement
Acetazolamide	A 177 A 6011	0.70	17	24
6,7-ADTN HBr	D 002	0.56	5.6	10
R(–)-N-Allylnorapomorphine HBr	D 042	0.30	1.6	5.5
p-Aminoclonidine HCl	A 0799	0.43	1.4	3.3
(±)-*p*-Aminoglutethimide	A 122	0.16	1.2	7.5
R(+)-Atenolol	A 142	<0.01	6.0	>600
S(–)Atenolol	A 143	<0.01	6.0	>600
Butaclamol	D 033 D 034	0.25	5.4	21
Chloramphenicol	C 0378 C 1919	2.5	53	21
4'-Chlordiazepam	C 5174	<0.01	1.5	>150
Chlorthalidone	C 2775	0.12	8.0	67
Cholesterol	C 8667 C3045 C 8503	0.002	20	10,000
CNQX	C 127	<0.10	0.8	7.5
Codeine sulfate		3.3	4.3	1.3
CV-1808	P 101	<0.07	3.6	54
8-Cylclopentyl-1,3-p-sulfophenylxanthine	C 102	<0.20	0.8	8.4
Dexamethasone	D 1756 D 8893 D 4902	<0.01	24	3000
Diazepam	D 0899	0.05	5.0	100
Digoxin	D 6003	0.07	52	743
7,9-Dimethyluric acid		<0.01	0.4	36
7,9-Dimethylxanthine		0.75	1.4	1.9
3,5-Dinitrocatechol (D-131)		0.17	2.8	16.5
1,3-Dipropyl-8-*p*-sulfophenylxanthine	A 022	0.43	6.8	1.6
DNQX	D 0540	<0.10	1.9	7.6
(S)-ENBA	E 111	<0.09	2.8	30
Estradiol	E 8875 E 2758 E 2257	<0.01	21	5250
FG-7142	E 006	0.07	1.8	27
Furosemide	F 4381	0.07	1.0	14
L-Glutamic acid HCl	G 2128	3.30	8.7	2.6
L-Glutamic acid diethyl ester HCl	G 9378	3.30	8.7	2.6
Glutethimide	G 102	0.26	6.0	23
Haloperidol	H 1512	<0.20	0.4	2.3
Hexahydro-sila-difenidol HCl		<0.01	5.7	>570
Hexahydro-sila-difenidol HCl, *p*-fluoro analog	H 127	<1.50	>14	>9.3

COMPOUND	Product Number	Solubility (water, mg/ml)	Solubility (45% w/v 2-Hydroxypropyl-β-cyclodextrin mg/ml)	Solubility enhancement
Hydrocortisone	H 4001 H 0135 H 0888	0.28	24	86
6-Hydroxydopamine HBr	H 8523	3.30	5.3	1.6
3-Hydroxymethyl-b-carboline	E 004	<0.20	0.4	2.5
Indomethacin	I 7378	0.02	3.0	150
Iodotubercidin	I 100	<0.16	0.9	>5.8
Isobutylmethylxanthine	I 5879	0.30	3.2	10
(–)-MDO-NPA HCl (M-121) *Solubility in 2-hydroxypropyl-γ-cyclodextrin	H 125	1.50	4.1*	2.7
Methotrexate	M 8407 A 6770	0.04	8.0	178
2-Methylthio ATP	A 023	1.50	3.3	2.2
Naltrindole HCl	N 115	0.10	2.2	22
Quabain	O 3125	12.20	61	5
Papaverine HCl	P 3510	<0.25	4.0	>16
2-Phenylaminoadenosine	P 101	<0.01	3.6	>360
Phenytoin	D 4505	0.02	7.0	350
R(–)-PIA	A 009	0.30	1.6	5.3
S(+)-PIA	A 011 P 7665	1.20	5.0	4.2
Pirenperone	P 126	<0.19	0.8	>4.1
Prochlorperazine	P 9178	0.25	2.3	9.2
Progesterone	P 0130 P 6149 P 8783	0.02	39	2600
DL(±)-Propranolol HCl	P 0884	3.30	8.0	2.4
(–)-Quisqualic acid	Q 2128	0.52	1.3	2.5
Ranitidine HCl	R 101	1.80	7.0	3.9
Ro 15-4513	R 109	<0.01	0.8	>80
Ro 20-1724, PDE inhibitor	B 8279	<0.01	5.0	>500
Ro 41-0960, COMT inhibitor	R 108	<0.70	1.0	>1.4
Ryanodine	R 100	<0.14	1.3	>9.3
SKF-83566 HCl	S 110	<0.01	>14	>1400
Spiperone HCl	S 7395	0.20	2.0	10
Sulpride	S 116	<0.21	8.0	>38
Testosterone	T 1500	0.03	30	1154
Tetrahydrocannabinol	T 119 T 120	<0.01	2.0	455
Veratridine	V 5754	<1.00	>2.0	>2
Vitamin A	R 7632	0.01	5.0	500
Vitamin D	E 5750 E 9007	<0.23	8.0	>35