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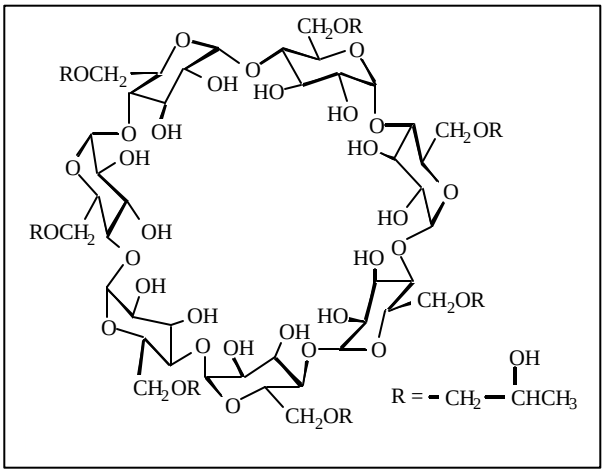
ProductInformation

Cat. No. H-107
2-HYDROXYPROPYL-*b*-CYCLODEXTRIN
HBC

Non-toxic solubilizer. The solubility of drugs increases linearly with the concentration of 2-hydroxypropyl-*b*-cyclodextrin (H-107) in aqueous buffer.[3] 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 up to 1-2% of H-107; in serum-free medium, concentrations of 0.5-1% are acceptable. Hydroxypropyl-*b*-cyclodextrin has been found to be non-toxic in mice and rabbits.[4] Concentrations of H-107 of up to 37% were found to have no effect on tests utilizing isolated trachea and spontaneously beating atrium.[5] The use of cyclodextrins in receptor binding assays is not recommended.



Mol. Formula: $(C_6H_9O_5)_7(C_3H_7O)_{4.5}$

Avg. Mol. Wt.: 1396 (anhyd.)

m.p.: >200°C (dec.)

CAS Registry No.: 128446-35-5

Chemical Name: *b*-Cyclodextrin, 2-hydroxypropylether

Physical Properties: White solid. Degree of substitution: 0.67 hydroxypropyl groups per glucose unit for Lot No. BJO-998A.

Caution: While no human toxicity data is available for this substance, it should be handled with care. Precautions should be taken to avoid contact by all routes of exposure.

Storage: Store tightly sealed at room temperature.

Solubility: Soluble in water (45 g/100 ml). Solutions may be obtained by stirring 30 minutes at room temperature. Alternatively, sonication with colling may be employed. Solutions may be stored for several weeks at room temperature.

Disposal: Dissolve or mix the compound with a combustible solvent and burn in a chemical incinerator equipped with an afterburner and scrubber. OBSERVE ALL LOCAL, STATE AND FEDERAL LAWS.

References:

1. Rekharsky, M.K. et al. "Complexation thermodynamics of cyclodextrins." *Chem. Rev*. **98**, 1875-1917 (1998).
2. Uekama, K. et al. "Cyclodextrin drug carries systems." *Chem Rev*. **98**, 2045-2076 (1998).
3. Pitha, J. et al. "Hydroxypropyl-*b*-cyclodextrin: Preparation and characterization: effects on solubility of drugs." *Int. J. Pharmaceutics* **29**, 73 (1986).
4. Pitha, J. "Amorphous water soluble derivatives of cyclodextrins: Non-toxic dissolution enhancing excipients." *J. Pharm. Sci*. **74**, 987 (1985).
5. J. Satayavidad, Mahidol University, Bangkok - personal communication.

6. Waszczak, B. et al. “Striationigral lesions and intranigral injection of receptor inactivator EEDQ prevent D-1 agonist effects on substantia nigra parts reticulata (SNpr) neurons.” *Society for Neuroscience Abstracts, Pharmacol. Sect.* **Vol. 15,** Abstr. No. 171.5 (1989).

CYCLODEXTRIN SOLUBILITY TABLE

COMPOUND (RBI Catalog Nos. in Parenthesis)	Solubility in Water (mg/ml)	Solubility in 45% w/v H-107 (mg/ml)	Solubility Enhancement
Acetazolamide	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 (B-002)	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	2.50	53	21
4'-Chlordiazepam (C-140)	<0.01	1.5	>150
Chlorthalidone	0.12	8.0	67
CNQX (C-127)	<0.10	0.8	7.5
Codeine sulfate (C-122)	3.30	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	4
Dexamethasone	<0.01	24	3000
Diazepam (D-120)	0.05	5.0	100
Digoxin	0.07	52	743
7,9-Dimethyluric acid (P-007)	<0.01	0.4	>36
7,9-Dimethylxanthine (P-013)	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	16
DNQX (D-123)	<0.10	1.9	7.6
(S)-ENBA (E-111)	<0.09	2.8	>30
Estradiol	<0.01	21	5250
FG-7142 (E-006)	0.07	1.8	27
Furosemide	0.07	1.0	14
L-Glutamic acid HCl (G-100)	3.30	8.7	2.6
L-Glutamic acid diethyl ester HCl (G-101)	3.30	8.7	2.6
Glutethimide (G-102)	0.26	6.0	23
Haloperidol (H-100)	<0.20	0.4	2.3
Hexahydro-sila-difenidol HCl (H-126)	<0.01	5.7	>570
Hexahydro-sila-difenidol HCl, *p*-fluoro analog (H-127)	<1.50	>14	>9.3
Hydrocortisone	0.28	24	86
6-Hydroxydopamine HBr (D-014)	3.30	5.3	1.6
3-Hydroxymethyl-b-carboline (E-004)	<0.20	0.4	2.5
Indomethacin (I-109)	0.02	3.0	150
Iodotubercidin (I-100)	<0.16	0.9	>5.8
Isobutylmethylxanthine (A-007)	0.30	3.2	10
(–)-MDO-NPA HCl (M-121)	1.50	4.1*	2.7
Methotrexate	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	12.20	61	5
Papaverine HCl (D-025)	<0.25	4.0	>16
2-Phenylaminoadenosine (P-101)	< 0.01	3.6	>360
Phenytoin (D-118)	0.02	7.0	350
R(–)-PIA (A-009)	0.30	1.6	5.3
S(+)-PIA (A-011)	1.20	5.0	4.2
Pirenperone (P-126)	<0.19	0.8	>4.1
Prochlorperazine (P-122)	0.25	2.3	9.2
Progesterone	0.02	39	2600

DL(±)-Propranolol (P-128)	3.30	8.0	2.4
(–)-Quisqualic acid (Q-103)	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 (R-111)	<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 (D-050)	0.20	2.0	10
Sulpride (S-116)	<0.21	8.0	>38
Testosterone	0.03	30	1154
Tetrahydrocannabinol (T-119,120)	<0.01	2.0	455
Veratridine (V-109)	<1.00	>2.0	>2
Vitamin A	0.01	5.0	500
Vitamin D	<0.23	8.0	>35

*Solubility in 2-hydroxypropyl-γ-cyclodextrin (H-125)

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