

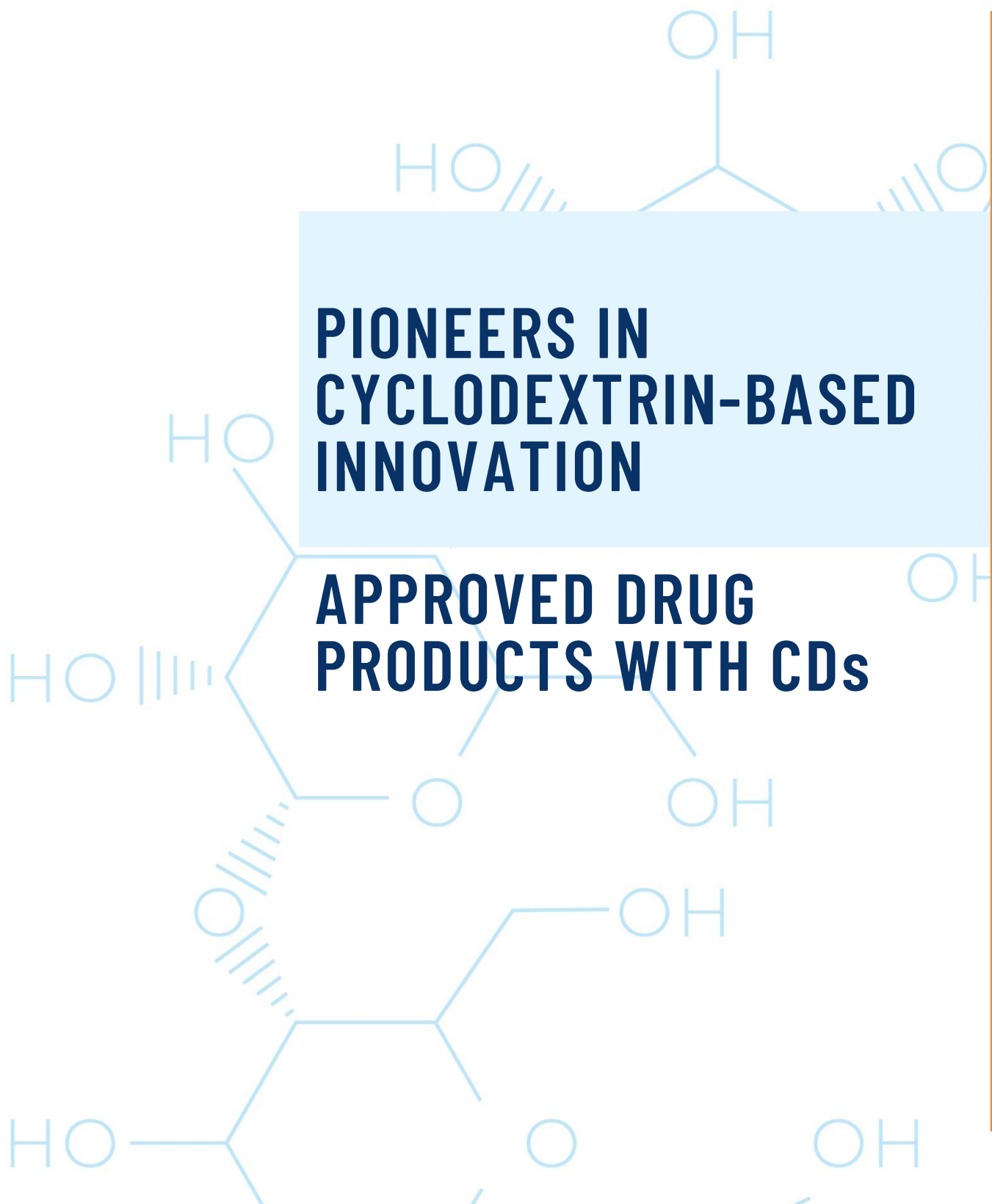


PIONEERS IN CYCLODEXTRIN-BASED INNOVATION



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APPROVED DRUG PRODUCTS WITH CDs



The list of the cyclodextrins-containing pharmaceutical products approved and marketed has been increasing (Table 2 and 3) i,ii,iii.

Table 1 gives a summary of the number of active ingredients formulated with various CDs showing that most of them contain BCD or its derivative. Among the derivatives hydroxypropyl (HP), sulfobutyl ether (SBE) and random methyl (RM) cyclodextrins can be found in formulations.

These tables do not show the two drugs where the active ingredient is a CD: Bridion (Sugammadex) and HPBCD, which are used for reversal of neuromuscular block in anesthesia and for the treatment of fatal genetic Niemann Pick Type C disease, a cholesterol metabolism disorder, respectively. The latter one has recently received an orphan drug designation.

Vitamins, various herbal compositions, phytosterols, carotenoids, unsaturated fatty acids, fish oil, etc. usually marketed as nutraceuticals have not been taken into account although they are on the borderline between drugs and healthy foods. The nutrition supplements with steroids for body builders have not been included either.

Table 1 Number of active pharmaceutical ingredients formulated with various cyclodextrins

	ACD	BCD	GCD	not specified
parent	5	43	2	4
HP		24	3	2
SBE		13		
RM		3		
SL (sulfolipo)		1		
Total	5	84	5	6

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Table 2 Approved pharmaceutical products with parent cyclodextrins (partial list)

Drug	CD	Trade Name	Dosage Form	Company
Aceclofenac	BCD	Aceclofenac-B-Cyclodextrin	Tablet	Taj Pharm.
Aluminum Zirconium Trichlorohydrate Gly	BCD	Secret, Outlast, Olay/Old Spice/Gillette	deodorant stick	Procter & Gamble/Navajo
Amlodipine maleate	BCD	Conjupri	Tablet	CSPC Ouyi Pharm/Shijiazhuang
Benexate	BCD	Ulgut/Lonmiel	Capsule	Teikoku/Shionogi
Benzoyl peroxide	GCD	Nujevi Acne	cream	Nujevi
Betahistine	BCD	Betahist	Tablet	Geno Pharm.
Bilastin	BCD	Ilaxten	Oral solution (pediatric)	Menarini Farm. Int.
Brivaracetam	BCD	Briviact	Tablet	UCB Pharma
Cefotiam	ACD	Pansporin-T	Tablet	Takeda
Cefditoren pivoxil	BCD	Meiact	Tablet	Meiji
Cetirizine	BCD	Zyrtec	Chewing tablet	Losan Pharma/UCB Pharma, Sandoz
Cisapride	BCD	Propulsid	Suppository	Janssen
Curcumin extract	GCD	Curcumin Extract 45	Capsules	Dr. Wolz Zell GmbH, Germany
	CD	Curuline	powder (veterinary)	KB'S Solution
Chlordiazepoxide	BCD	Transillum	Tablet	Gador
Chlorpheniramine maleate + acetaminophen	BCD	Cold Remedy Soothing	Tablet	Foshang Dezhong Pharm, China

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Cholecalciferol	BCD	Vitamin D3	Tablet	Natures Aid, U.K.
Dexamethasone	BCD	Glymesason	Ointment	Fujinaga
Dextromethorphan	BCD	Rynathiol	Tablet	Synthelabo
Diphenhydramine	BCD	Stada-Travel	Chewing tablet	Stada
Ethinyl estradiol	BCD	Safyral/Beyaz/Yaz	drospirenone; ethinyl estradiol; levomefolate calcium tablet	Bayer Healthcare/
Ethinyl estradiol + drospirenone	BCD	Yaz/Yasminiq/Gianvi/Rajani/Loryna	Tablet	Bayer
Fenofibrate	BCD	fenofibrate	Tablet	Karalex Pharma
Flunarizine	BCD	Fluner	Tablet	Geno Pharm.
Garlic Extract	BCD		Various dragees	Various
Glucagon	BCD	Baqsimi	tablet	Eli Lilly
Histamine dihydrochloride	CD	Australian dream	Pain relieving antiarthritis cream	Nature's Health Connection
Iodine	BCD	Mena-Gargle	solution	Kyushin
Meloxicam	BCD	Mobitil	Tablet, suppository	Med. Union Pharm.
Menthol/camphor	BCD	Pain relief gel	ointment	MMA Elite/ Doctor Hoy's
Metronidazole	BCD	Metrogel/Flagyl/Vandazol/Nidagel	Vaginal gel	Curatek/Fougera/Tolmar/Malderma Labs
Methyl salicylate	BCD	Xceptor Pain	cream	Xceptor
Miconazole	BCD	MiconHex-Triz	wipes (veterinary)	Dechra Veterinary
Minoxidil	GCD	Alopexy	Solution	Pierre Fabre

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Naphasoline hydrochloride	CD	Clear eyes	Eye drop	Medtech
Nicotine	BCD	Nicorex/ Nicorette	Tablet	Pierre Fabre
Nicotine	BCD	Nicogum	Chewing gum	Pfizer
Nimesulide	BCD	Nimedex	Tablet	Novartis, others
Nitroglycerin	BCD	Nitropen	Sublingual tablet	Nippon Kayaku
Norfloxacin and Tinidazole	BCD	Entronor -TZ/ Noroxin	Tablet	India
Omeprazol	BCD	Omebeta/Losamel	Enteric caps.	Betafarm/Stada
PGE1	ACD	Prostavasin/	Intra-arterial inf.	Ono/Schwarz
PGE1	ACD	Viridal/ Alprostadil/ Edex/ Caverject	Intra-cavernous inj.	Schwarz
PGE1	ACD	Prostandin 500	Infusion	Ono
PGE1	GCD	Opalmon	Tablet	Ono
PGE1	ACD+ BCD	Limaprost	Tablet	
PGE2	BCD	Prostarmon E	Subl. tablets	Ono
Piroxicam	BCD	Cycladol/ Brexin/ Flamexin	Tablet	Chiesi
Piroxicam	BCD	Cycladol/ Pyrodex/ Medicam	Tablet	Ranbaxy/ Sun/ MMC
Piroxicam	BCD	Brexin	Suppositories	Chiesi
Piroxicam	BCD	Flogene	Pediatric liquid	Ache

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Pramipexole Dihydrochloride	BCD	Pramipexole Dihydrochloride	Tablet	Endo Pharmaceuticals
Rofecoxib	BCD	Rofizgel	Tablet	Wockhardt
Salicylic acid	CD	Prosoria	psoriasis treatment gel	Nuvothera
Thiomersal	BCD	Vitaseptol	Eye drop	Novartis, Europhtha
Tiaprofenic acid	BCD	Surgamyl	Tablet	Roussel-Maestrelli

Table 3 Approved pharmaceutical formulations with cyclodextrin derivatives (partial list)

Drug	CD	Trade Name	Dosage form	Company	Country
Acetaminophen	HPBCD	Paracetamol	infusion/ chewable tablet	Uni-Pharma/ 7T Pharma	
Ad26.COVS.2.S	HPBCD	Janssen COVID-19 Vaccine	vaccine	J&J	USA/Europe
Alfaxalone	HPBCD	Alfaxan	i.v. (veterinary)	Jurox, CTD	Australia, USA
Cisapride	HPBCD	Prepulsid	Suppository	Janssen	Europe
Cladribine	HPBCD	Mavenclad	Tablet (veterinary)	Merck	Europe
Diclofenac	HPBCD	Dyjolect	i.v. and i.m. Solution	Javelin Pharm.	Europe
Docetaxel	HPBCD		Infusion	Teva	

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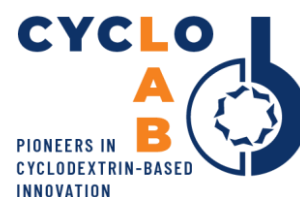
Ethylhexyl methoxycinnamate	HPBCD		Cream	Procter & Gamble	A
Florbetaben	HPBCD	Neuraceq	Solution of contrastin g agent	Piramal Imaging SA	B
Flurbiprofen	HPBCD	Streptfen	Oromucos al spray	Reckitt (U.K.)	
Hydrocortisone	HPBCD	Dexacort	Mouth wash	Actavis	Europe
Indomethacin	HPBCD	Indocid/ Indocyllir	Eye drop	Chauvin/ Baush & Lomb	Europe
Itraconazole	HPBCD	Sporanox/I trafungol (vet)	Oral solution i.v. solution	Janssen/Eli Lilly/Elanco	Europe/USA
Larotrectinib	HPBCD	Vitrakvi	capsules, oral solutions	Bayer	
Noxamovir	HPBCD	Prevymis	iv injection	Merck	USA
L-thyroxine sodium	HPBCD	Leventa	Oral solution (veterinary)	Intervet/Merc k/Shering Plough	
MitomycinC	HPBCD	MitoExtra/ Mitozytrex	i.v. infusion	Novartis	Europe
Perindopril tert.butylamine	HPBCD	Perindopril Erbumine	Tablets	Sandoz	Europe
Progesterone	HPBCD	Lubion	Injection	Hikma (Portugal)	
Televancin	HPBCD	Vibativ	i.v. solution	Astellas Pharma/ Therevance	Europe

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Voriconazole	HPBCD	Vorzu/ Voriconazole Synthon	Tablet/pow der for infusion	Rhambaxy/Sy nthon	India/Europ e
Hitchner B1 strain	HPBCD	Cevac	vaccine	Ceva-Phylaxia	
Umbralisib tosylate	HPBCD	UKONIQ,	Tablet	TG Therapeutics, Inc.	
Ianosterol (0.25%) and n- acetylcarnosine (1%)	HPBCD, RAMEB	LumenPro	Pet Cataracts Eye Drops	Heliostatics	
Diclofenac	HPGCD	Voltaren/ Voltarol	Eye drop	Novartis	Europe
Olopatadine	HPGCD	PrPazeo	Eye drop	Novartis	Europe
Tc-99 Teboroxime	HPGCD	CardioTec	I.v. solution	Bracco	USA
Panthenol/Allant oin	HPCD	bdrRe-lax	elixir	Hanaim Intern.	
Salicylic acid	HPCD	Age Defying Blemish Treatment/ Lipo™ CD- SA	Cream	Wasatch /Vantage	
Alfaxalone	SBECD	Phaxan	i.v.	Drawbridge Pharm., Chemic Labs,	UK, USA
Amiodarone	SBECD	Nexteron	I.v. solution	Baxter	
Aripiprazole	SBECD	Abilify	I.m. solution	BMS/Otuska	USA/Europe
Brexanolone	SBECD	Zulresso	injection solution	SAGE Therap	
Carbamazepine	SBECD	Carnexiv	I.v.	Lundbeck	

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Carfilzomib	SBECD	Kyprolys	i.v.	Amgen (Onyx)	A
Delafloxacin	SBECD	Baxdela	i.v.	Melinta	
Fosphenytoin	SBECD	Sesqient	i.v. and i.m.	Sedor Pharmaceuticals	B
Maropitant	SBECD	Cerenia	Parenteral solution	Pfizer Animal Health	USA
Melphalan	SBECD	Evomela	i.v.	Spectrum	
Posaconazole	SBECD	Noxafil	i.v. solution	Merck	USA
Voriconazole	SBECD	Vfend/Voriconazole (vet)	i.v. solution	Pfizer/Sandoz	USA/Europe
Ziprasidone	SBECD	Geodon, Zeldox	i.m. solution	Pfizer	USA/Europe
Chloramphenicol	RAMEB	Clorocil	Eye drop	Oftalder	Portugal
Estradiol	RAMEB	Aerodiol	Nasal spray	Servier	Europe, withdrawn
Inactivated porcine circovirus	Sulfolipo-CD	Suvaxin-PCV	vaccine	Pfizer	

ⁱ www.cyclolab.hu

ⁱⁱ Brewster, M.: (2012) Registration Experiences with Hydroxypropyl-β-cyclodextrin. Pre-conference Workshop. Cyclodextrins and Cyclodextrin Derivatives as Pharmaceutical Excipients: Toxicological and Regulatory Issues. June 2nd 2012, Reykjavik, Iceland

ⁱⁱⁱ drugs.com

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