



Formulating an Improved Insect Repellent with WACKER Cyclodextrins

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Formulating an Improved Insect Repellent with WACKER Cyclodextrins

Composition

- ▶ Active ingredients, used as **insect repellent**, generally are volatile substances:
 - ▶ **Plant extract oils:** Citronella, Camphor, Cinnamon, Clove, etc.
 - ▶ **Pure natural ingredients:** Geraniol, Limonene, p-Menthane-3,8-diol, etc.
 - ▶ **Synthetic ingredients:** Pyrethroids, N,N-diethyl-m-toluamide (DEET), etc.

Requirements

- ▶ Product shall have a **long protection time**
- ▶ Product shall contain **non-toxic active ingredients**

Innovation by WACKER

- ▶ **Significant extension** of protection time by addition of WACKER Cyclodextrins as **inert ingredient**
- ▶ WACKER Cyclodextrins enable **delayed release** of **volatile active ingredients**

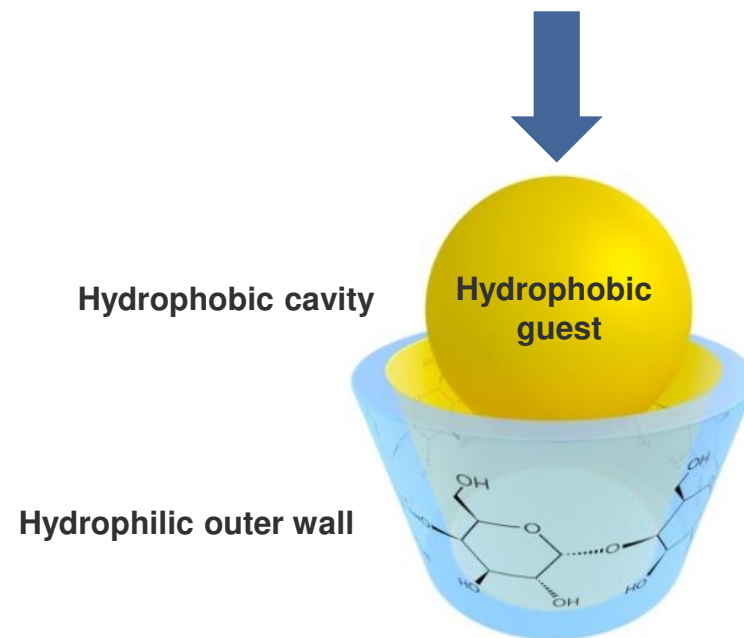
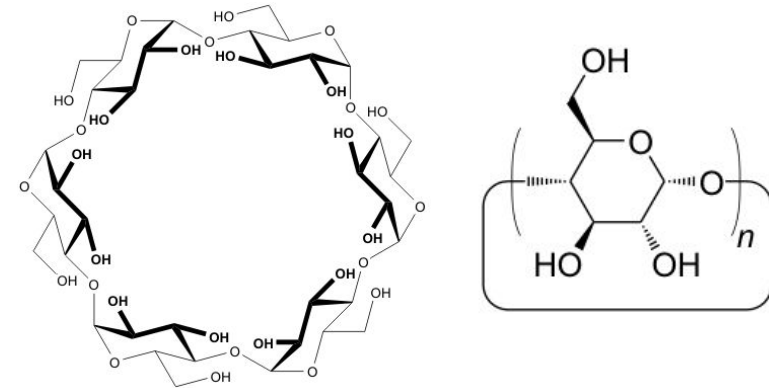
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Cyclodextrins:

- ▶ Are **ring-shaped sugar** molecules
- ▶ Consist of **linked glucose units**
- ▶ **Naturally** occur in **three sizes**, according to the number of glucose units: **alpha (6)**, **beta (7)** and **gamma (8)**
- ▶ Are made from **natural starch** via **enzymatic conversion**

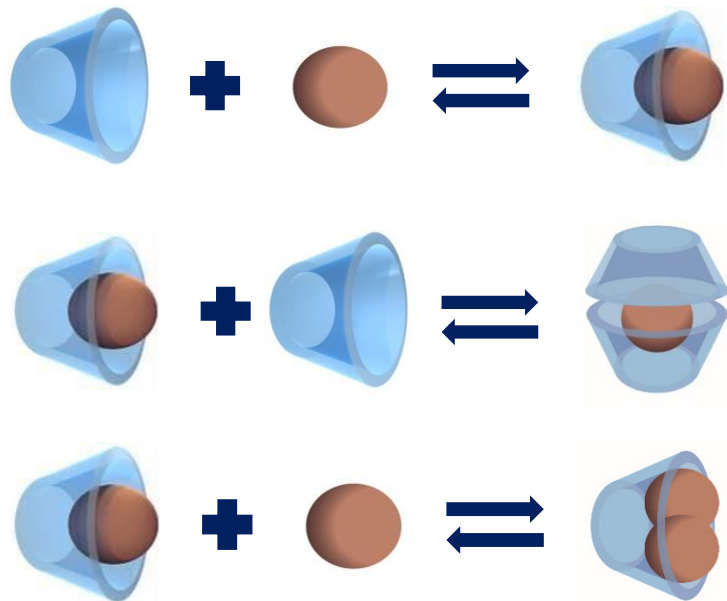
Cyclodextrin complexes:

- ▶ Cyclodextrins **form complexes** with **hydrophobic guest molecules**



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Cyclodextrins can form complexes with hydrophobic guest molecules



Driving force

- ▶ **Hydrophobic** and **van der Waals interactions** between the **inner surface of the CD ring** and the **hydrophobic sites on the guest**

Binding

- ▶ Is not permanent but an **equilibrium**

Requirement

- ▶ Guests must have **hydrophobic characteristics**
- ▶ Guests must at least **fit partially into cavity**

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Effects mediated by cyclodextrins:

- ▶ Increase of **water solubility**
- ▶ Increase of **biological efficacy**
- ▶ **Controlled** and **delayed release**
- ▶ **Protection** from **premature degradation**
- ▶ **Decrease of toxicity** (phyto and dermal)
- ▶ Transformation of **gases** and **liquids** into **solid products**

Household

- ▶ Odor masking
- ▶ *Febreze*



Cosmetics

- ▶ Delayed release
- ▶ *Hugo Boss XY*



Food

- ▶ Taste masking
- ▶ *ItoEn*



Agrochemical

- ▶ Delayed release
- ▶ *SmartFresh*



Supplement

- ▶ Fat binding fiber
- ▶ *Calorease*



Pharma

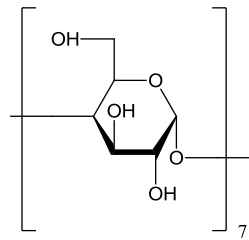
- ▶ Bioavailability
- ▶ *Itraconazol*



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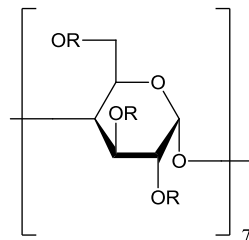
Cyclodextrin derivatives offer different water solubilities:

CAVAMAX[®] W7



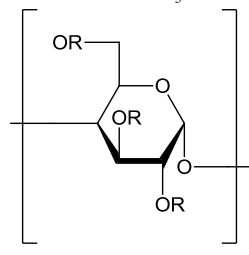
- ▶ Useful for **granules, wettable powders** and **suspension concentrates**

CAVASOL[®] W7M

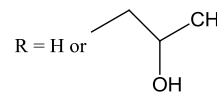


- ▶ Useful for **soluble concentrates** and **suspension concentrates**

CAVASOL[®] W7HP



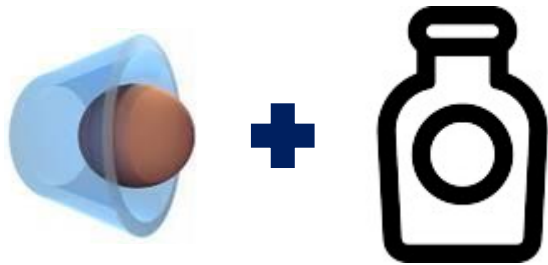
- ▶ Useful for **soluble concentrates** and **suspension concentrates**



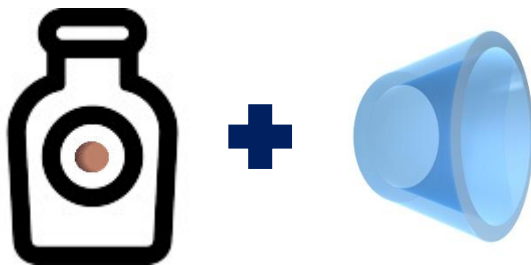
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Cyclodextrins can be used in two ways

- ▶ Cyclodextrin complex is formed, isolated and then incorporated into formulation



- ▶ Cyclodextrin is used as inert ingredient, which is called physical mixture



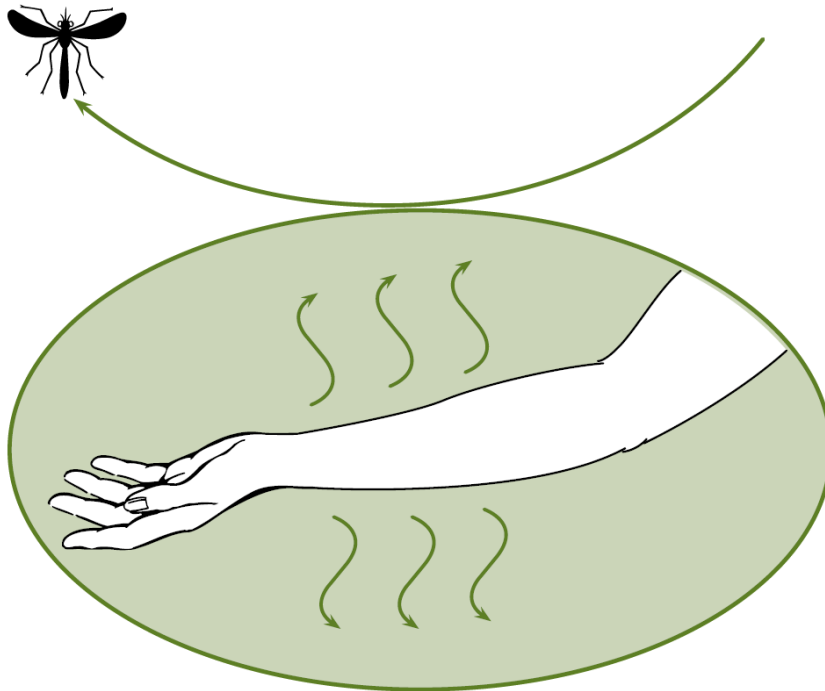
Application of cyclodextrins for use with insect repellents

- ▶ Ideally the **cyclodextrin is incorporated as physical mixture** into formulation
 - ▶ **easy to use**
 - ▶ **costly CD-complexation can be omitted**
- ▶ The **ideal use range** of cyclodextrin in regards to the insect repellent **has to be identified for each formulation individually**

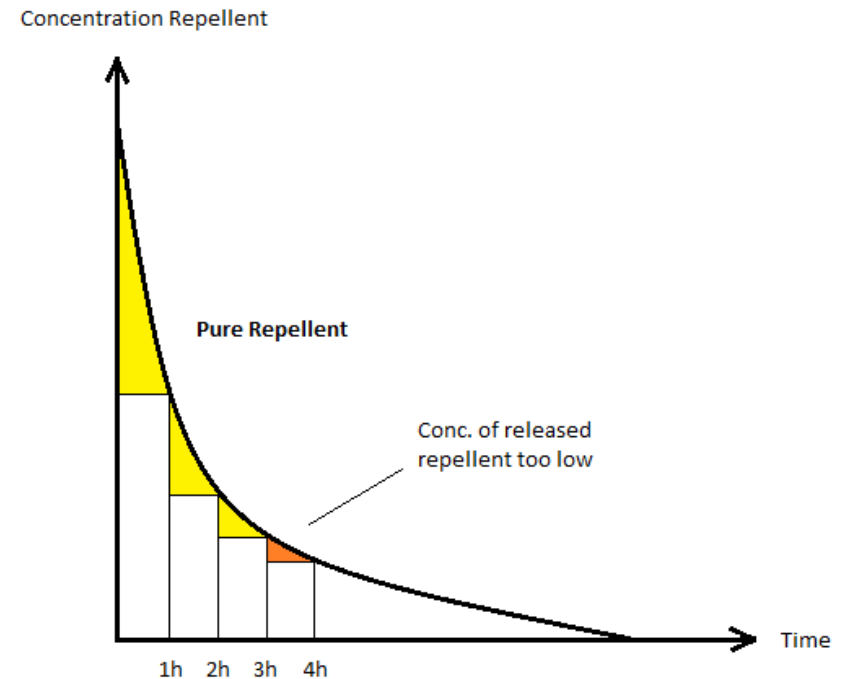
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Effect of insect repellents

- ▶ Insect repellents form a **protective shield** around treated areas for a **limited period of time**



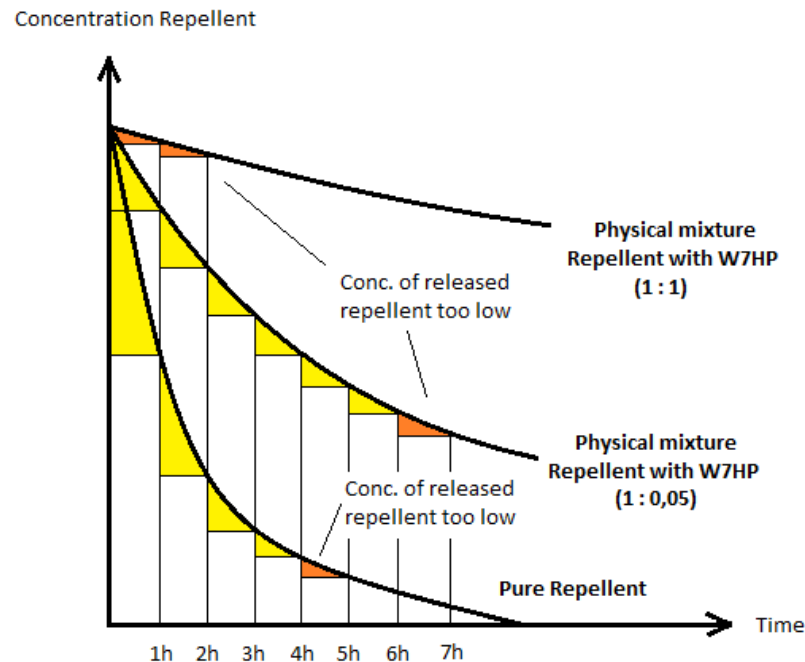
- ▶ As long as the **air around the skin is saturated with insect repellent**, all mosquitoes are **deterred**



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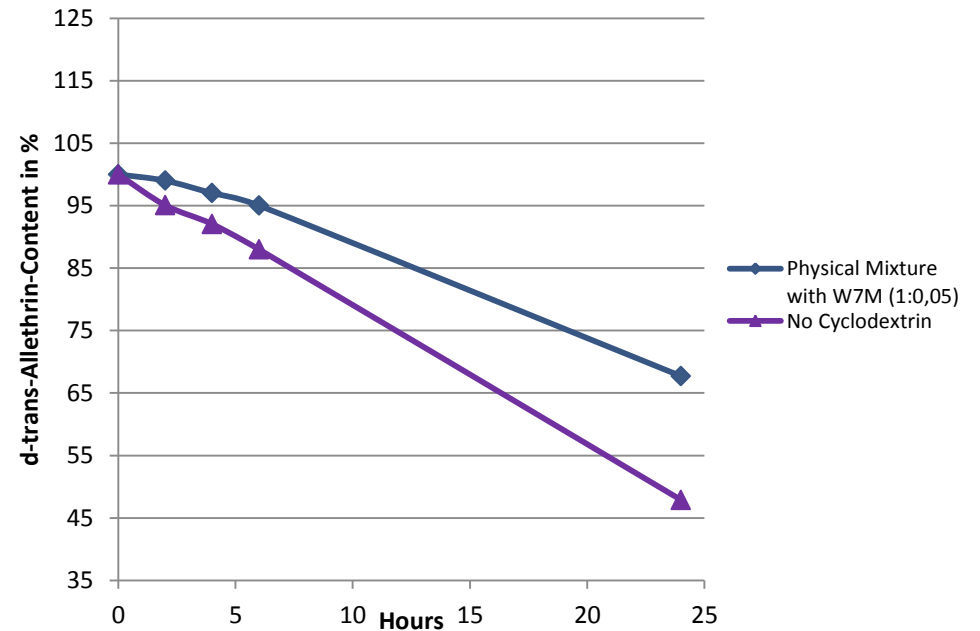
Effect of WACKER Cyclodextrins on insect repellents

- ▶ Small amounts of WACKER Cyclodextrin will **stretch the release time** – too much of it would prevent the release of sufficient insect repellent



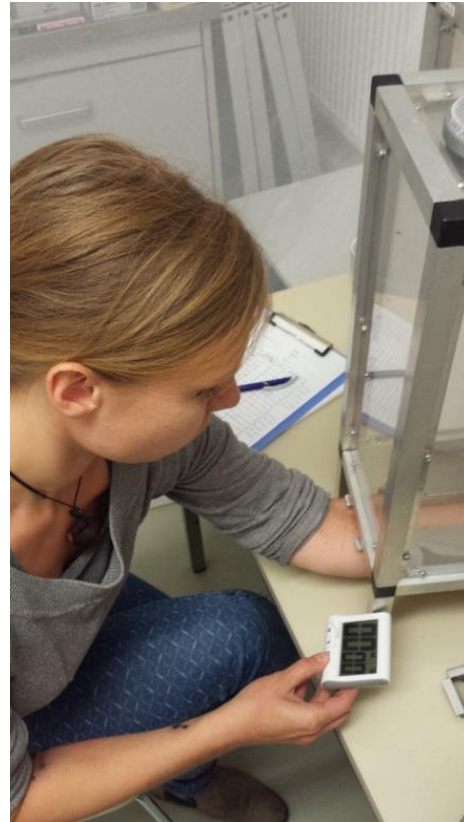
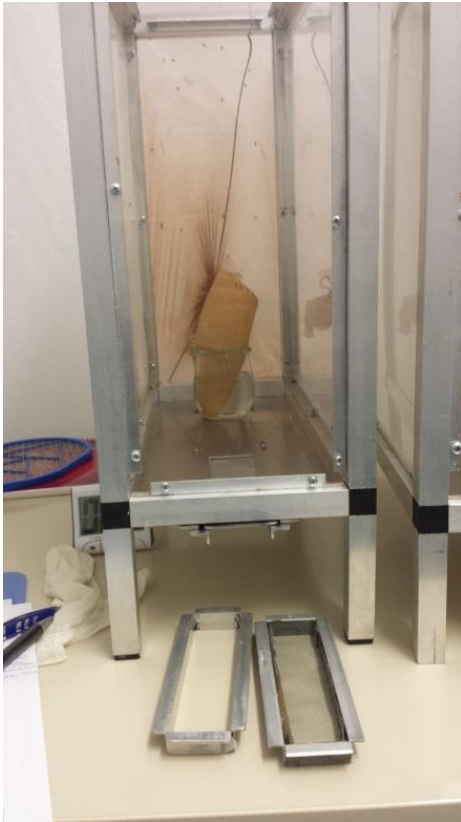
Tests with d-trans-Allethrin in climatic chamber at 40°C, 80%RH

- ▶ Laboratory tests demonstrate **delayed release of insect repellent** by addition of **low concentration of WACKER Cyclodextrin**



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Efficacy tests at mosquito laboratory



- ▶ 30-40 female **Aedes aegypti** mosquitoes per cage
- ▶ Test at **forearm**
- ▶ Applied volume: **200 μ L** onto **100 cm² of skin**
- ▶ **Time intervall** for data recording: each **30 min**
- ▶ **Time of exposition: 2 min**
- ▶ Data points: **number and length of landings**
- ▶ Test was **stopped after second sting**

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Efficacy tests at mosquito laboratory



Commercial comparison product:
OFF!® familycare

- ▶ Product is suitable for children and **contains only a very limited amount of DEET**
- ▶ According to SC Johnson, the product is **effective up to 2 hours**
- ▶ Main components:
 - ▶ 7,4 % DEET
 - ▶ 86,4 % Ethanol
 - ▶ 6,2 % water

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Product	Ratio Active:CD	Mean protection time [hours]	Improvement	Product	Ratio Active:CD	Mean protection time [hours]	Improvement
OFF!	-	3:00	-	Geraniol	-	1:23	-
DEET (similar composition)	-	2:30	-	Geraniol physical mixture with CAVASOL®W7M	1 : 0,1	1:45	27%
DEET physical mixture with CAVASOL®W7HP	1 : 0,05	4:30	80%	Nepetalacton	-	1:10	-
DEET physical mixture with CAVASOL®W7M	1 : 0,25	3:00	20%	Nepetalacton physical mixture with CAVASOL®W7M	1 : 0,1	1:40	43%
	1 : 0,1	3:00	20%		1 : 0,05	1:30	29%
	1 : 0,05	3:15	30%	Nepetalacton physical mixture with CAVAMAX®W7	1 : 0,05	1:45	50%
DEET physical mixture with CAVAMAX®W7	1 : 0,05	4:15	70%				

A physical mixture of WACKER Cyclodextrin with insect repellents at certain ratios can significantly extend the protection time

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Classification of insect repellent types

- ▶ There are **various forms of insect repellent applications**
- ▶ An extension of protection time can only be achieved at **spray-** and **aerosol** products and at **creams**



- ▶ For **electric insecticides** and **insecticide coils** there are is **no effect**, when cyclodextrins are used



Cost Considerations

- ▶ Typical insect spray (400 ml) contains 0,5 g of 1R-trans-Phenothrin and 0,4 g of Prallethrin
- ▶ WACKER Cyclodextrins may be added at rates of 1 : 0,05 (Repellent : Cyclodextrin) according to the individual molecular weight
- ▶ Amount of WACKER cyclodextrin per 400 ml unit is less than 200 mg
- ▶ Estimated cost of WACKER cyclodextrin per 400 ml unit is less than 0,05 €

Thank You for Your Attention.

