

## CYTOCHALASIN

Product Number **C6637, C6762, 30382, C8273, C2149, C0889, D1641**

Storage Temperature -20°C

CAS RN: See Table 1.

Molecular Formula and Weight – See Table 1.

### Product Description

The Cytochalasins (Greek *cytos*, cell; *chhalasis*, relaxation) are a group of related fungal metabolites. They were discovered in 1964 during the screening of mold filtrates for possible biological activity on cells.<sup>1</sup> These fungal toxins are related by chemical structure. All are characterized by a highly substituted hydrogenated isoindole ring to which is fused a macrocyclic ring. The macrocyclic ring may vary from 11 to 14 atoms and may be either a carbocycle or lactone. These fungal toxins also share a number of unusual, interesting, and characteristic effects on the animal cell.

Cytochalasin B is a metabolite of the fungus *Drechslera* (previously *Heiminthosporium*) *dematioideum*. It was originally isolated from cultures of a *Phoma* species and, therefore, was sometimes referred to as phomin. Cytochalasin B is cell membrane permeable. It inhibits cell division by blocking formation of contractile microfilaments.<sup>1,2</sup> It inhibits cell movement<sup>1,2</sup> and induces nuclear extrusion.<sup>1,2,3,4</sup> It shortens actin filaments by blocking monomer addition at the fast growing end of the polymer. It impairs maintenance of long term potentiation (LTP) of action filaments.<sup>5,31</sup> It inhibits glucose transport<sup>6,7,8,32</sup> and platelet aggregation.<sup>9,10,11,12</sup> It blocks adenosine-induced apoptotic body formation without affecting activation of endogenous ADP-ribosylation in leukemia LH-60 cells.<sup>13</sup>

Dihydrocytochalasin B (dihydro-CB), the saturated derivative of Cytochalasin B, induces changes in morphology and motility, but has little effect on sugar transport.<sup>14,15,16</sup> Dihydrocytochalasin B and its  $\gamma$ -lactone are useful probes for studying cytochalasin binding sites.<sup>17,18</sup>

Dihydrocytochalasin B  $\gamma$ -lactone does not appear to have the same effects on cell motility and morphology as Cytochalasin B or Dihydrochhalasin B. Like Dihydrochhalasin B, the gamma-lactone does not appear to inhibit glucose transport.

Cytochalasin A is a metabolite of the fungus *Drechslera* (previously *Heiminthosporium*) *dematioideum*.<sup>19</sup> Cytochalasin A is sulfhydryl-reactive, and was shown to inhibit growth and sugar uptake in a *Saccharomyces* strain.<sup>20</sup>

Unlike Cytochalasin B, Cytochalasin C and Cytochalasin D are isomeric metabolites of *Metarrhizium anisopliae*.<sup>21</sup> The cytochalasin D possesses antibiotic<sup>22</sup> and antitumor<sup>23</sup> activity. It also impairs maintenance of long term potentiation (LTP) of actin filaments.<sup>31</sup> It is implicated in promoting conditions favorable for depolymerizing actin.<sup>33</sup>

Cytochalasin E is a metabolite of *Rosellinia necatrix*.<sup>24,25</sup> It is unique in producing a "halo" around the nucleus more often than nuclear extrusion,<sup>4</sup> and is an inhibitor of angiogenesis and tumor growth.<sup>29</sup>

Cytochalasin H is a metabolite of *Phomopsis paspali* found on *Paspalum scrobiculatum* Linn. (a millet consumed in India).<sup>26,27,28</sup> Cytochalasins H has shown Central Nervous System activity.<sup>26,27,28</sup>

### Disclaimer/Precautions

Cytochalasins are regarded as highly toxic and possible teratogens. Handle in a manner to avoid/minimize direct body contact and inhalation.

These products are for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

### Preparation Instructions

Solubility: Cytochalasin B

492 mg/ml in dimethylformamide at room temperature  
371 mg/ml in dimethyl sulfoxide (DMSO) at room temperature

35 mg/ml in ethanol at room temperature

10 mg/ml in acetone at room temperature

Cytochalasins A and E are expected to be at least as soluble as Cytochalasin B in the solvents mentioned.

**Essentially insoluble in water**

For cytochalasins soluble in DMSO, it is advised to make a 1000X stock solution in DMSO (the final concentration of DMSO in the aqueous medium should not exceed 0.1% because greater DMSO concentrations can adversely affect many cultured cells). Dilute the stock in the appropriate aqueous medium to provide a physiologically acceptable final concentration (must be within the low solubility limit of cytochalasins in the chosen aqueous medium). The physiologically desired working concentrations vary for different applications. Examples: 10  $\mu$ M Cytochalasin B can completely block adenosine-induced apoptotic body formation in cultured HL-60 cells.<sup>13</sup> According to Theodoropoulos<sup>5</sup>, 30  $\mu$ M Cytochalasin B can shorten actin filaments by blocking monomer addition at the fast growing end of the polymer.<sup>5</sup>

### Storage/Stability

Cytochalasin B is a solid believed to be photostable in the solid form and reasonably stable in solution.

Cytochalasin A, C, D and E should be stored in the dark since the conjugated double bond undergoes slow isomerization from *trans* to *cis* in the presence of light. Cytochalasins A, B, D, E and Dihydrocytochalasin B are stored at  $-20^{\circ}\text{C}$ .

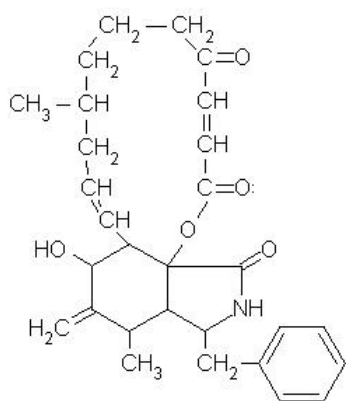
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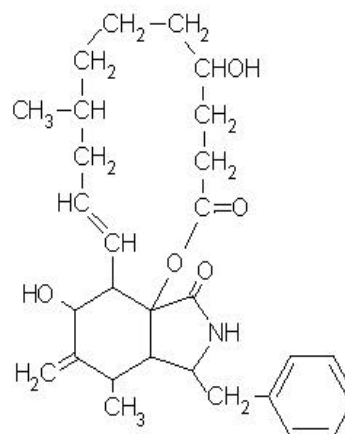
CMK 03/31/99

Table 1

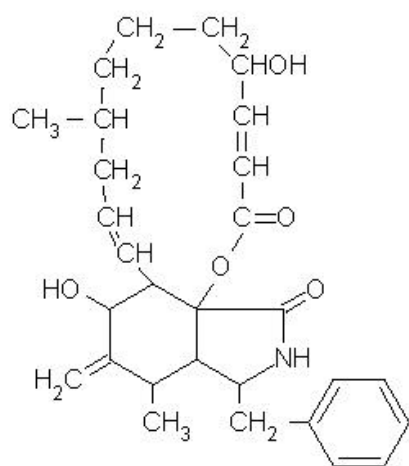
Name	Product Number	CAS RN	Powder Color	Molecular Formula	Molecular Weight in Daltons	Melting Point	Specific Rotation	Solubility
A	C6637	14110-64-6	White	C <sub>29</sub> H <sub>35</sub> NO <sub>5</sub>	477.59	193-195		Acetone; DMSO; Ethanol
B	C6762	14930-96-2	White	C <sub>29</sub> H <sub>37</sub> NO <sub>5</sub>	479.61	218-221	+86.7° (039% w/v in MeOH 21°C)	Ethanol; DMSO
C	30382	22144-76-9	White	C <sub>29</sub> H <sub>37</sub> NO <sub>6</sub>	507.62	260		Dichloro- methane
D	C8273	22144-77-0	White or white with yellow cast	C <sub>30</sub> H <sub>37</sub> NO <sub>6</sub>	507.62	268-271	-7.5 (55% w/v in dioxane, 25 °C)	Chloroform; DMSO
E	C2149	36011-19-5	White	C <sub>28</sub> H <sub>33</sub> NO <sub>7</sub>	495.56	206	-25.6° (1g/100ml MeOH, 25 °C	Chloroform; Acetonitrile; DMSO; Ethyl acetate
H	C0889	53760-19-3	White	C <sub>30</sub> H <sub>39</sub> NO <sub>5</sub>	493.63	268-271		
Dihydro- CB	D1641	39156-67-7	White	C <sub>29</sub> H <sub>39</sub> NO <sub>5</sub>	481.62	198-203		Methanol



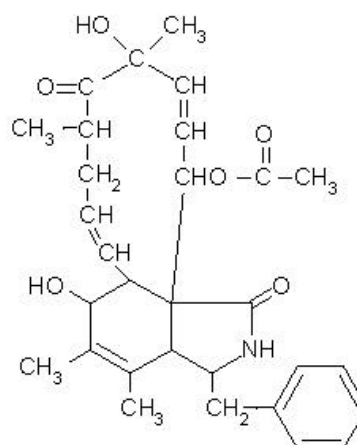
Cytochalsin A



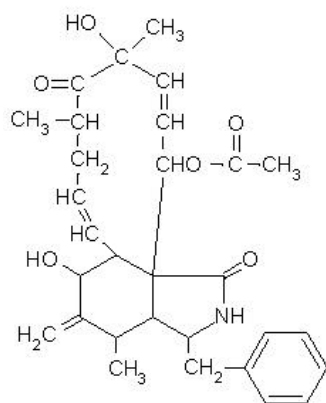
Dihydrocytochalsin B



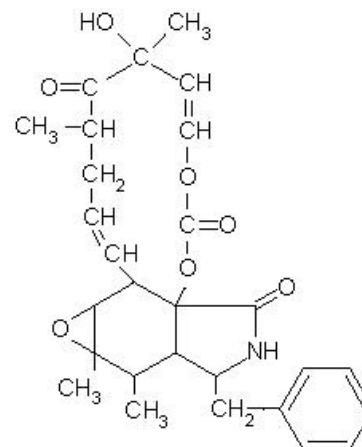
Cytoshalasin B



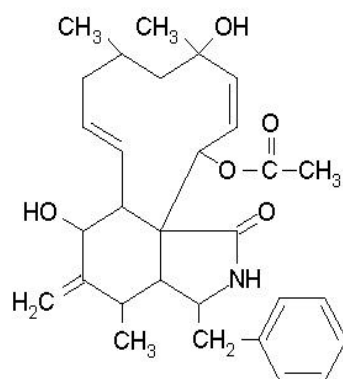
Cytochalasin C



Cytochalasin D



Cytochalasin E



Cytochalasin H

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