

## Product Information

### Cytochalasins

Catalog Numbers **C6637, C6762, 30382, C8273, C2149, C0889, and D1641**

Storage Temperature  $-20\text{ }^{\circ}\text{C}$

CAS RN, Molecular Formula, and Weight – See Table 1.

#### Product Description

The Cytochalasins (Greek *cytos*, cell; *chalis*, 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 11–14 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>1-4</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>6-8,32</sup> and platelet aggregation.<sup>9-12</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>14-16</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 Dihydrochalcasin B. Like Dihydrochalcasin 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> 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>26-28</sup> Cytochalasins H has shown central nervous system activity.<sup>26-28</sup>

#### Precautions and Disclaimer

This product is 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.

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

### Preparation Instructions

#### Cytochalasin B – Solubility:

- 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. Cytochalasin E is tested for solubility in chloroform (10 mg/ml). Cytochalasins are **essentially insoluble in water**.

For cytochalasins soluble in DMSO, it is advised to make a 1,000× stock solution in DMSO (the final concentration of DMSO in the aqueous medium should not exceed 0.1%, as 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. For example, 10 μM Cytochalasin B can completely block adenosine-induced apoptotic body formation in cultured HL-60 cells<sup>13</sup> and 30 μM Cytochalasin B can shorten actin filaments by blocking monomer addition at the fast growing end of the polymer.<sup>5</sup>

### Storage/Stability

Cytochalasins A, B, D, and E, and Dihydrocytochalasin B are stored at –20 °C.

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.

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

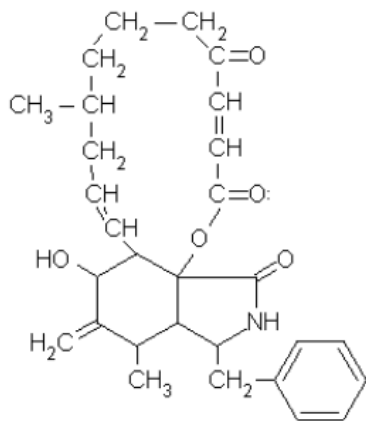
### References

1. Carter, S.B., *Nature*, **213**, 261 (1967).
2. Krishan, A. J., *Cell Biol.*, **54**, 657 (1972).
3. Prescott, D.M. *et al.*, *J. Exp. Cell Res.*, **71**, 480 (1972).
4. Carter, S.B., *Endeavor*, **31**, 77 (1972).
5. Theodoropoulos, P.A. *et al.*, *Biochem. Pharmacol.*, **47**(10), 1875 (1994).
6. Kletzien, R.F. *et al.*, *J. Biol. Chem.*, **247**, 2964 (1972).
7. Mizel, S.B., and Wilson, L., *J. Biol. Chem.*, **247**, 4102 (1972).
8. Estensen, R.D., and Plagemann, P.G., *Proc. Nat. Acad. Sci. U.S.A.*, **69**, 1430 (1972).
9. Shepro, D. *et al.*, *J. Cell Biol.*, **47**, 544 (1970).
10. White, J.G., *Roussel Conference on Platelet Aggregation*, Masson, Paris, 4<sup>th</sup> March, 1971.
11. Haslam, R.J., *Biochem. J.*, **127**, 34P, (1972).
12. Majno, G. *et al.*, *Thromb. Diath. Haemorrh.* **28**, 49 (1972).
13. Tanaka, Y. *et al.*, *Exp. Cell Res.*, **213**, 242 (1994).
14. Atlas, S.J., and Lin, S., *J. Cell Biol.*, **76**, 360 (1978).
15. Lin, S. *et al.*, *Proc. Nat. Acad. Sci. U.S.A.*, **75**, 329 (1978).
16. Lin, S., and Spudich, J.A., *J. Biol. Chem.*, **249**, 5778 (1974).
17. Lin, D.C., and Lin, S., *J. Biol. Chem.*, **253**, 1415, (1978).
18. Rampal, A.L. *et al.*, *Biochemistry*, **19**, 679, (1980).
19. Aldridge, D.C. *et al.*, *J. Chem. Soc. (C)*, 1667, (1967).
20. Kuo, S–C., and Lampen, J.O., *Ann. N.Y. Acad. Sci.*, **235**, 137, (1974).
21. Aldridge, D.C., and Turner, W.B., *J. Chem. Soc. (C)*, 923, (1969).
22. Betina, V., and Micekova, D.Z., *Allg. Mikrobiol.*, **12**, 355, (1972) and *Chem. Abstr.* **77**, 160508q, (1972).
23. Katagiri, K., and Matsuura, S., *J. Antibiot.*, **24**, 722, (1971).
24. Aldridge, D.C. *et al.*, *Chem. Commun.* p. 148, (1972).
25. Aldridge, D.C. *et al.*, *Chem. Commun.* p. 551, (1973).
26. Pendse, G.S., *Experientia*, **30**, 107, (1974).
27. Padwardhan, S.A. *et al.*, *Phytochemistry*, **13**, 1985 (1974).
28. Deshmukh, P.G. *et al.*, *Acta. Microbiol. Acad. Sci. Hung.*, **22**, 253 (1975).
29. Udagaura, T. *et al.*, *J. Pharmacol. Exp. Ther.*, **294**, 421-427 (2000).
30. Snyder, J.A., and Cohen, L., *Cell Motil. Cytoskeleton*, **32**, 245-257 (1995).
31. Krucker, T. *et al.*, *Proc. Natl. Acad. Sci. USA*, **97**, 6856 (2000).
32. Lachael, M. *et al.*, *J. Biol. Chem.*, **271**, 5225 (1996).
33. Dubinsky, W.P. *et al.*, *Proc. Natl. Acad. Sci. USA*, **96**, 9421, (1999).

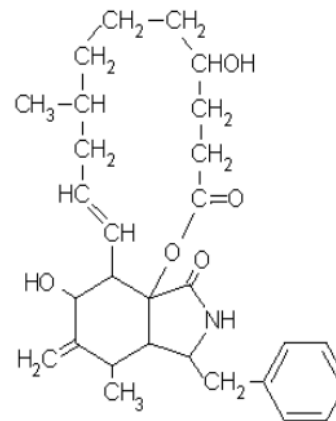
**Table 1**  
Properties of Cytochalasins

| Name                          | Catalog Number | CAS RN     | Molecular Formula                               | Molecular Weight (Daltons) | Melting Point (°C) | Specific Rotation [α]                  | Solubility   |
|-------------------------------|----------------|------------|---|----------------------------|--------------------|--|--|
| A                             | C6637          | 14110-64-6 | C <sub>29</sub> H <sub>35</sub> NO <sub>5</sub> | 477.59                     | 193-195            | +83.7°<br>(c = 1, MeOH,<br>21 °C)      | Acetone,<br>DMSO,<br>Ethanol                           |
| B                             | C6762          | 14930-96-2 | C <sub>29</sub> H <sub>37</sub> NO <sub>5</sub> | 479.61                     | 218-221            | +86.7°<br>(c = 0.9, MeOH,<br>21 °C)    | Ethanol,<br>DMSO                                       |
| C                             | 30382          | 22144-76-9 | C <sub>29</sub> H <sub>37</sub> NO <sub>6</sub> | 507.62                     | 260                | +14.7°<br>(c = 0.8, dioxane,<br>28 °C) | Dichloro-<br>Methane                                   |
| D                             | C8273          | 22144-77-0 | C <sub>30</sub> H <sub>37</sub> NO <sub>6</sub> | 507.62                     | 268-271            | +7.5°<br>(c = 0.55, dioxane,<br>25 °C) | Chloroform,<br>DMSO                                    |
| E                             | C2149          | 36011-19-5 | C <sub>28</sub> H <sub>33</sub> NO <sub>7</sub> | 495.56                     | 206                | +22.7°<br>(c = 0.85, MeOH,<br>21 °C)   | Chloroform,<br>Acetonitrile,<br>DMSO, Ethyl<br>acetate |
| H                             | C0889          | 53760-19-3 | C <sub>30</sub> H <sub>39</sub> NO <sub>5</sub> | 493.63                     | 268-271            | –                                      | –  |
| Dihydro-<br>Cytochalasin<br>B | D1641          | 39156-67-7 | C <sub>29</sub> H <sub>39</sub> NO <sub>5</sub> | 481.62                     | 198-203            | –                                      | Methanol   |

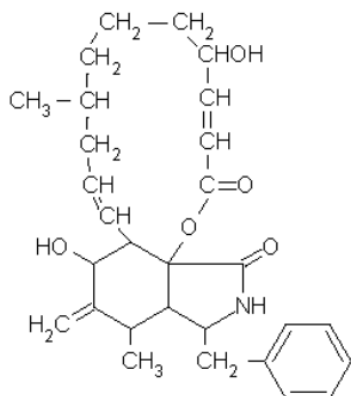
Cytochalsin A



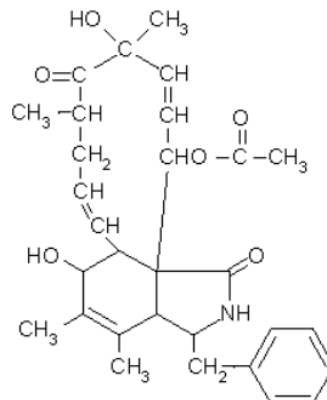
Dihydrocytochalasin B



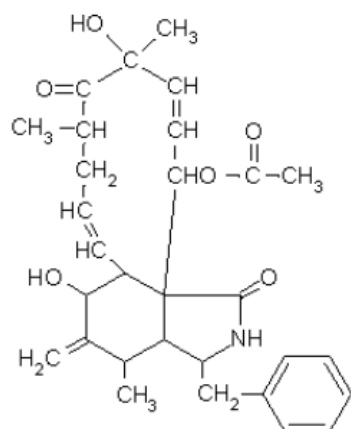
Cytoshalasin B



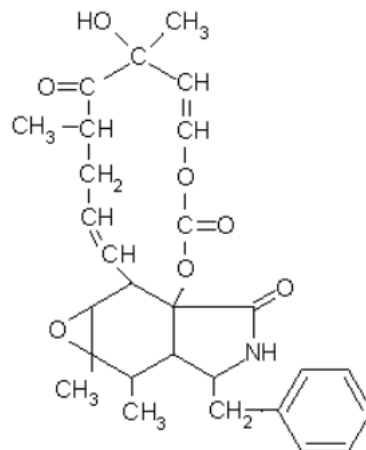
Cytochalasin C



Cytochalasin D



Cytochalasin E



Cytochalasin H

