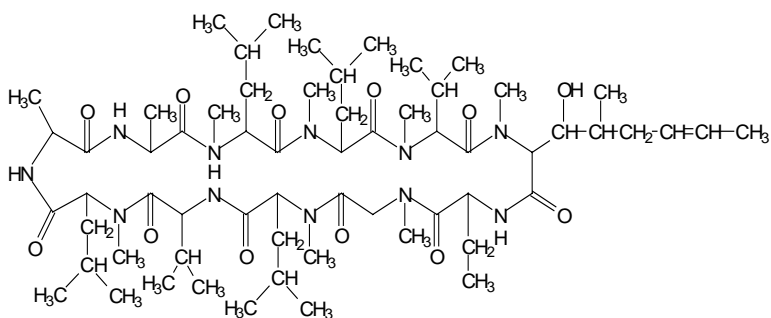


**CYCLOSPORIN A**  
Sigma Prod. No. C3662

**CAS NUMBER:** 59865-13-3  
**SYNONYMS:** cyclosporine;  
antibiotic S 7481F1; diclosporin;  
CsA

**PHYSICAL DESCRIPTION:**

Appearance: white powder,  
occasionally with faint yellow cast<sup>1</sup>  
Melting point: 148-151°C<sup>2</sup>  
Molecular formula: C<sub>62</sub>H<sub>111</sub>N<sub>11</sub>O<sub>12</sub>  
Molecular weight: 1202.6  
Optical rotation: -244° (c = 0.6 in  
chloroform)<sup>2</sup>

**STABILITY / STORAGE AS SUPPLIED:**

Cyclosporin A is expected to be stable at 2-8°C for at least two years if stored sealed in the dark. It should be re-evaluated for suitability in user application every two years.

**SOLUBILITY / SOLUTION STABILITY:**

Sigma assays involve only organic solvents: 10 mg/mL in methylene chloride, 6 mg/mL in chloroform, 10 mg/mL in ethanol, 50 mg/mL in DMSO. Solutions are clear, colorless to faint yellow.<sup>1</sup> Cyclosporin is reported to be "slightly soluble in water and saturated hydrocarbons."<sup>2</sup> Stock solutions in ethanol or DMSO should be stored at -20°C.

Since Cyclosporin A is not very soluble in water, but is often administered intravenously, extra care in mixing solutions must be taken to insure that it is properly dissolved. Solutions in IV fluids must be shaken vigorously to assure proper dispersion. Cyclosporin is a stable compound if solutions are protected from light, but its concentration may drop due to adsorption to container walls.

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**SOLUBILITY / SOLUTION STABILITY:** (continued)

[The concentration of] "Cyclosporin was stable over 72 hours following dilution in glucose 5% or glucose/amino-acid solutions and storage at room temperature in the dark; similar stability was seen following dilution in lipid emulsion, but dilutions in sodium chloride 0.9% were considered to be stable only for 8 hours." In this study, the solution was "stable if the initial cyclosporine concentration remained at 90% or above."<sup>3,4</sup>

**GENERAL USAGE:**

Cyclosporin A (CsA) is a non-polar cyclic oligopeptide metabolite from the fungus *Tolypocladium inflantum*.<sup>2</sup> It has too narrow a spectrum of antifungal activity to be very useful as an antibiotic, but it possesses potent immunosuppressive properties.<sup>5</sup> CsA forms a complex with its intracellular receptor cyclophilin, which can then bind to calcineurin, inhibiting its enzymatic activity.<sup>6,7,8</sup> In a study of its specific disruption of renal function (noting its hepatotoxicity) and of gene transcription, CsA was administered to rats intramuscularly at a dose of 7.5 mg/kg using a mixture of 100 mg CsA per mL in 90% olive oil, 10% ethanol.<sup>9</sup> In another study, CsA at concentrations of more than 10 nM protected isolated hepatocytes against the action of phalloidin.<sup>10</sup>

Measuring the concentration of CsA in solution by HPLC was shown to be significantly temperature-dependent, due to interconversion of CsA between two forms.<sup>11</sup>

An extensive list of references has been reported<sup>2</sup>, including a comprehensive review of analytical properties.<sup>12</sup>

Sigma also offers C1832, Cyclosporin A, which has received additional testing for molecular biology applications. In Jurkat cells (a leukemic T-cell line), the production of interleukin-2 was inhibited by 90% in the presence of 1 µg/mL C1832.<sup>1</sup>

**REFERENCES:**

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