

TECHNICAL INFORMATION

Catalog Number: 151174, 190669, 194803

Forskolin and Forskolin, 7-o-Hemisuccinyl-7-Deacetyl

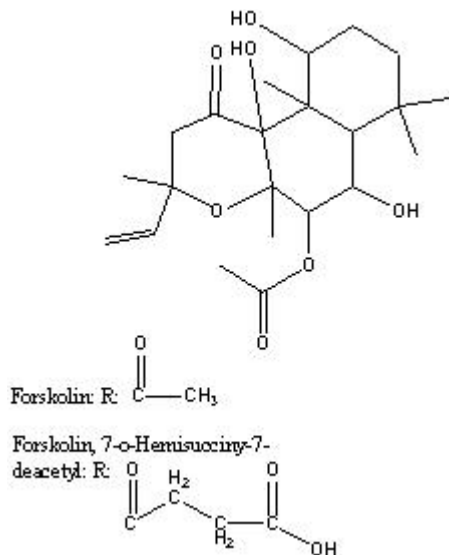
Forskolin is the major diterpene isolated from the Indian plant *Coleus forskohlii*. At low doses, forskolin is a positive inotropic agent in dogs, cats, spontaneously hypertensive and normal rats and in an isolated guinea pig heart (Langendorf) preparation. At higher doses, forskolin is a hypotensive and vasodilatory agent because of its function as a smooth muscle relaxant. No major side effects are observed at effective doses.

Forskolin's pharmacological activities are due to its activation of adenylate cyclase, resulting in increased intracellular cyclic AMP in most tissues and cells. The exact mechanism of forskolin's positive inotropic effect is unknown but may be related to a cyclic AMP-dependent increase in Na⁺ permeability that results in an indirect augmentation of calcium release.

Forskolin, 7-o-Hemisuccinyl-7-deacetyl is a biologically active and useful derivative of forskolin (personal communication, Dr. K.B. Seamon). It has been attached to Sepharose as an affinity support for the purification of adenylate cyclase.¹⁻³

Physical Properties

Structure:



	Empirical Formula	Molecular Weight	Appearance	Melting Point	Optical Rotation
Forskolin	C ₂₂ H ₃₄ O ₇	410.5	Colorless needles	229-232°C	c = 1.5, CHCl ₃ -20.13°
Forskolin, 7-o-Hemisuccinyl-7-deacetyl	C ₂₂ H ₃₆ O ₉	468.6	White powder	Not determined	Not determined

Solubility:

Forskolin: Soluble at 6 mg per ml in 95% ethanol and at 2.5 mg per 5 ul in anhydrous dimethylsulfoxide (DMSO). Various solvents, including ethanol, may inhibit the forskolin activation of adenylate cyclase. DMSO is the recommended solvent in preparing forskolin solutions because at concentrations of 5% or less of DMSO, there is little if any inhibition of forskolin activation.

Forskolin, 7-o-Hemisuccinyl-7-deacetyl: Use one of the following procedures to make solutions:

- Add anhydrous DMSO (10 ul) to 1 mg of the compound and vortex well. A clear homogeneous solution will be obtained. This will give a 10% (w/v), 213 mM solution in DMSO.
- Add DMSO (128 ul) to 1 mg (2.134 umol) of the compound and vortex well to dissolve it completely. Then add water (2.006 ml) to the DMSO solution and vortex well. This will give a 1 mM solution in 6% DMSO (aqueous).

Stock solutions can be kept aliquoted and stored at -20°C or below for approximately 6 months.

Important Notes:

- Always dissolve in DMSO first.
- Always add water to the solution in DMSO. The reverse sequence (i.e. adding DMSO solution to water) may result in precipitation.

References:

- deSouza, N.J., Dohadwalla, A.N., Reden, J. "Forskolin: A labdane-diterpenoid with antihypertensive, positive, inotropic, platelet aggregation inhibitory and adenylate cyclase activating properties." *Med. Res. Rev.*, **v. 3**, 201 (1983).
- Henquin, J.C., Schmeer, W., Meissner, H.P. "Forskolin, an activator of adenylate cyclase, increases Ca²⁺ - dependent electrical activity induced by glucose in mouse pancreatic B cells." *Endocrinology*, **v. 112**, 2218 (1983).
- Laurenza, A., McHugh Sutkowski, E., Seamon, K.B. "Forskolin: a specific simulator of adenylyl cyclase or a diterpene with multiple sites of action?", *TIPS*, **v. 10**, 442 (1989).