Forskolin

**Cat. No.:** HY-15371  
**CAS No.:** 66575-29-9  
**Molecular Formula:** C\_22\_H\_34\_O\_7  
**Molecular Weight:** 410.5  
**Target:** Adenylate Cyclase; Autophagy; FXR; PKC; Organoid  
**Pathway:** GPCR/G Protein; Autophagy; Metabolic Enzyme/Protease; Epigenetics; TGF-beta/Smad; Stem Cell/Wnt  
**Storage:** 4°C, protect from light  
* In solvent: -80°C, 6 months; -20°C, 1 month (protect from light)

### SOLVENT & SOLUBILITY

**In Vitro**

DMSO: 100 mg/mL (243.61 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.4361 mL</td>
<td>12.1803 mL</td>
<td>24.3605 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4872 mL</td>
<td>2.4361 mL</td>
<td>4.8721 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2436 mL</td>
<td>1.2180 mL</td>
<td>2.4361 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description** Forskolin (Coleonol) is a potent adenylate cyclase activator with an IC\textsubscript{50} of 41 nM and an EC\textsubscript{50} of 0.5 μM for type I adenylyl cyclase\textsuperscript{[1]}. Forskolin is also an inducer of intracellular cAMP formation\textsuperscript{[2]}. Forskolin induces differentiation of various cell types and activates pregnane X receptor (PXR) and FXR\textsuperscript{[3]}. Forskolin exerts a inotropic effect on the heart, and has platelet antiaggregatory and antihypertensive actions. Forskolin also induces autophagy\textsuperscript{[4]}\textsuperscript{[5]}.

**IC\textsubscript{50} & Target**  
IC\textsubscript{50}: 41 nM (Adenylyl cyclase)\textsuperscript{[1]}  
EC\textsubscript{50}: 0.5 μM (Adenylyl cyclase)\textsuperscript{[1]}  

**In Vitro** Forskolin (Coleonol) is also a potent exosome biogenesis and/or secretion activator in prostate cancer (PC) cells\textsuperscript{[8]}. Forskolin (Fsk) is a naturally occurring diterpene isolated from Coleus forskohlii, directly activates adenylyl cyclase (AC) through its catalytic subunit to increase intracellular levels of cyclic adenosine monophosphate (cAMP)\textsuperscript{[1]}.  

Forskolin (Fsk) affects the proliferation of the human T-cell lines such as Kit 225 and MT-2. Forskolin treatment inhibits the proliferation of both Kit 225 and MT-2 cells in a dose-dependent manner with an IC_{50} equal to ~5 μM Fsk. Forskolin treatment (10-100 μM) increases cAMPi levels ~5- to 20-fold above basal levels, which reach maximum levels between 50-100 μM Forskolin[6].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

The Forskolin (Coleonol)-treated Mrp4^{-/-} mice shows an increased number of Ki67-positive and cleaved caspase 3-positive ECs, a significant decrease in the amount of pericyte coverage, and a reduced number of empty sleeves. In pups exposed to hyperoxia (75% oxygen) from P7 to P12, the Mrp4^{-/-} mice shows a significant increase in the unvascularized retinal area[2]. The average blood glucose in the healthy rat group is 102.12±1.94 mg/dL, 101.25±3.56 for control group and 103±2.08 in forskolin group. The data shows that glucose levels at the end of the study are lower in forskolin group, with a significant difference according to the statistical tests applied (p=0.03)[7].

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PROTOCOL

Cell Assay[2]

Quiescent Kit 225 or MT-2 cells are seeded into 96-well plates at 5×10^4 cells per well. Cells are then pretreated for 1 h with 1% DMSO (vehicle) or Forskolin at 1, 5, 10, 25, 50, and 100 μM concentrations. The cells are stimulated with IL-2 and cultured for an additional 20 h at 37°C. Control cells are treated with 1% DMSO for 20 h. During the final 4 h of incubation, the cells are pulsed with [3H]thymidine at a concentration of 0.5 μCi/200 μL. Cells are harvested onto fiberglass filters and analyzed using liquid scintillation counting[2].

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Animal Administration [3][4]

Mice[3]

C57BL/6J mice are used. Mrp4-knockout mice, which are established and repeatedly backcrossed to the C57BL/6J mice. Forskolin is injected intraperitoneally into neonatal mice at postnatal days 4 (P4) and 5 (P5). Mice injected with DMSO serve as the controls. The treated mice are euthanized at P6, and their retinas are isolated for whole-mount immunohistochemistry (IHC). The effect of different concentrations of Forskolin on the survival rate and retinal vasculature is first tested, and the optimal concentration is determined, 1.0 μg/50 μL (0.3 mg/kg) at P4 and 1.5 μg/50 μL (0.5 mg/kg) at P5, used to compare the retinal vascular phenotypes between WT mice and Mrp4-deficient mice.

Rats[4]

Male Wistar rats, aged 10-14 weeks old, with a mean weight of 300 g±50 g, are divided into four groups; 19 are experimentally induced to develop diabetes, and 8 are maintained in a healthy condition. Both diabetic and healthy rats receive no Forskolin (control), or 6 mg/kg per day of Forskolin, administered orally for 8 weeks. Blood glucose levels are determined in each group before and after Forskolin treatment. The diabetic rats are tested two weeks after confirming the presence of diabetes (three weeks after the induction) and after eight weeks of the designated treatment.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2023 Jun 12;41(6):1103-1117.e12.
- Signal Transduct Target Ther. 2021 Feb 28;6(1):91.

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REFERENCES


