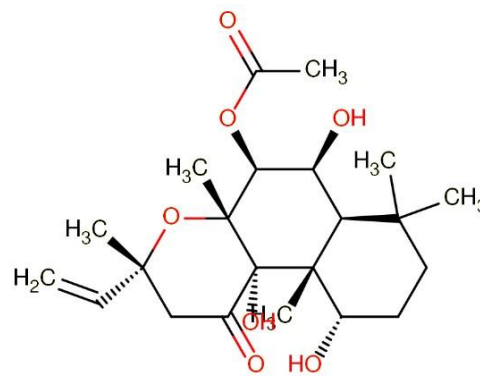


Forskolin (毛喉素) (腺苷酸环化酶激活剂)

货号: RPM0013

◆ 产品信息

中文名称/Chinese Name:	毛喉素; 佛司可林
别称/Synonyms:	Colforsin; Coleonol
CAS 号/CAS NO.:	66575-29-9
化学式/Formula:	$C_{22}H_{34}O_7$
分子量/M.Wt:	410.5
外观/Appearance:	固体
保存条件/Storage:	Powder: -20°C , 3 年 Insolvent: -80°C , 1 年



◆ 溶解信息

溶解度/Solubility:	DMSO: 55 mg/mL (133.98 mM)
	H ₂ O: 不溶
	Ethanol: 15 mg/mL (36.5 mM)

溶液配制参考/Preparing Stock Solutions:

	1 mg	5 mg	10 mg
1 mM	2.4361 mL	12.1803 mL	24.3605 mL
5 mM	0.4872 mL	2.4361 mL	4.8721 mL
10 mM	0.2436 mL	1.218 mL	2.4361 mL
50 mM	0.0487 mL	0.2436 mL	0.4872 mL

◆ 生物活性

产品描述/Description	Forskolin 是一种腺苷酸环化酶激活剂, 属于天然二萜类产物。Forskolin 可以增加 cAMP 水平, 激活 PXR 和 FXR, 也可以诱导细胞自噬。Forskolin 对心脏产生正性肌力作用, 具有血小板抗凝集和降压作用。
靶点活性/Targets (IC ₅₀)	Adenylyl cyclase: 0.5 μM
体外活性/In vitro	1.用 Forskolin (0.01-10 μM) 处理大鼠肾上腺髓质嗜铬瘤细胞 PC12 3-48 h, MTT 法检测细胞生长抑制情况。10 μM Forskolin 处理后, 细胞活力迅速下降, 处理 6 h 后细胞活力下降为 88.4%, 处理 48 h 后细胞活力下降为 60.5%。 ^[1] 2.用 Forskolin (1-100 μM) 处理人骨髓瘤细胞 U266、H929、INA-6、RPMI 8226 和 OPM-2 72 h, Flow Cytometry 检测细胞死亡情况。Forskolin 剂量依赖性诱

	导人骨髓瘤细胞死亡，其中 U266、OPM-2 和 INA-6 比 H929 和 RPMI 8226 细胞更敏感。^[2] 3.用 Forskolin (1-100 μM) 处理人 IL-2 依赖性白血病细胞 Kit 225 和人白血病细胞 MT-2 20 min, ELISA 测定 cAMP 浓度。Forskolin 诱导 cAMP 水平上调，在 50-100 μM 之间达到最大水平。^[3]
体内活性/In vivo	1.将 Forskolin (4-5 mg/kg in PBS/DMSO solution (15:1)) 腹腔注射给携带鼠多发性骨髓瘤肿瘤 MOPC315 的 BALB/c nude 小鼠，在肿瘤细胞注射后的第 2/4/6 天给药，检测体内抗肿瘤活性。所有小鼠最终都发生了肿瘤，但 Forskolin 显著延缓了体内肿瘤的生长。提高 cAMP 的化合物可能在治疗多发性骨髓瘤方面具有治疗潜力。^[4] 2.将 Forskolin (50 mg/kg) 灌胃给药给 STZ 诱导糖尿病模型的 C57BL/6 小鼠，每周一次，持续十二周，研究 Forskolin 对糖尿病条件下视网膜炎症的影响。与正常对照组相比，糖尿病对照组和 Forskolin 治疗组的视网膜葡萄糖浓度均增加，但由于葡萄糖转运蛋白 1 表达下调，Forskolin 处理组仅为糖尿病对照组的约 68.06%。与正常对照组相比，Forskolin 治疗组和糖尿病对照组的 ICAM-1 和 TNF-α表达上调，但 Forskolin 处理组的这两种炎症因子表达水平分别为糖尿病对照的 68.75%和 75.37%。^[5]
激酶实验/Kinase Assay	For Jak3 kinase assays, Fsk-treated MT-2 cells were lysed, clarified, and immunoprecipitated using Jak3 antibody as described above. Kinase reactions were carried out as described previously at 30°C for 20 min. For PKA kinase assays, untreated MT-2 cells were lysed, and Jak3 was immunoprecipitated and bound to PAS beads as described previously. Immunoprecipitated Jak3 was washed with kinase buffer (50 mM Hepes-NaOH (pH 7.4), 10 mM MgCl₂, 0.5 mM EGTA, 0.5 mM DTT, 20 μg/ml aprotinin, 10 μg/ml leupeptin, 1 μg/ml pepstatin A) and incubated with 200 μM ATP and purified protein kinase A catalytic subunit (PKAc) as indicated in the figure legends. Kinase reactions were carried out at 32°C for 30 min followed by vigorous washing of the beads with cold kinase wash buffer as described previously. For [γ-32P]ATP radiolabeled kinase assays using recombinant Jak3, Hek293 cells were transfected with wild type (WT) Jak3 or kinase-dead Jak3 K855A using Lipofectamine 2000 according to the manufacturer's instructions. Cells were lysed and immunoprecipitated with Jak3 antibody. Jak3-bound PAS beads were washed three times in cold lysis buffer followed by kinase buffer. Kinase reactions were initiated by adding 10 μCi [γ-32P]ATP, 10 μM unlabeled ATP, and 1 μg of purified PKAc to Jak3-bound PAS bead reaction mixtures. Kinase reactions were performed at 32°C for 30 min. Jak3-bound PAS beads were washed three times in radioimmunoassay buffer (10 mM Tris-HCl, pH 7.4, 75 mM NaCl, 20 mM EDTA, 10 mM EGTA, 20 mM Na₄P₂O₇, 50 mM NaF, 20 mM 2-glycerolphosphate, 1 mM p-nitrophenyl phosphate, 0.1% Triton X-100) and one time in kinase wash buffer. The reactions were stopped by adding 2 $\times$ SDS-PAGE sample buffer followed by SDS-PAGE. Coomassie stainable Jak3 bands were excised from the PVDF membrane and subjected to phosphoamino acid analysis^[2].

◆ 参考文献

- [1] Park KH, et al. Modulation of PC12 cell viability by forskolin-induced cyclic AMP levels through ERK and JNK pathways: an implication for L-DOPA-induced cytotoxicity in nigrostriatal dopamine neurons. *Toxicol Sci.* 2012 Jul;128(1):247-57.
- [2] Follin-Arbelet V, et al. The natural compound forskolin synergizes with dexamethasone to induce cell death in myeloma cells via BIM. *Sci Rep.* 2015 Aug 26;5:13001.
- [3] Rodriguez G, et al. Forskolin-inducible cAMP pathway negatively regulates T-cell proliferation by uncoupling the interleukin-2 receptor complex. *J Biol Chem.* 2013 Mar 8;288(10):7137-46.
- [4] Follin-Arbelet V, et al. Cyclic AMP induces apoptosis in multiple myeloma cells and inhibits tumor development in a mouse myeloma model. *BMC Cancer.* 2011 Jul 18;11:301.
- [5] You ZP, et al. Forskolin attenuates retinal inflammation in diabetic mice. *Mol Med Rep.* 2018 Feb;17(2):2321-2326.

◆ 注意事项

1. 请在收到本产品后立即按照说明书中推荐的条件保存，使用前进行离心，使粉末充分沉降至管底。
2. 请根据实验目的及本产品的溶解性，选择相应的溶剂配制高浓度母液后使用，最佳工作浓度请参考相关文献通过实验摸索优化，本说明书中的数据来源于公开文献，仅供参考。
3. 本产品仅供科研使用，不可用于诊断或治疗领域。
4. 为了您的安全和健康，请穿实验服并戴一次性手套操作。