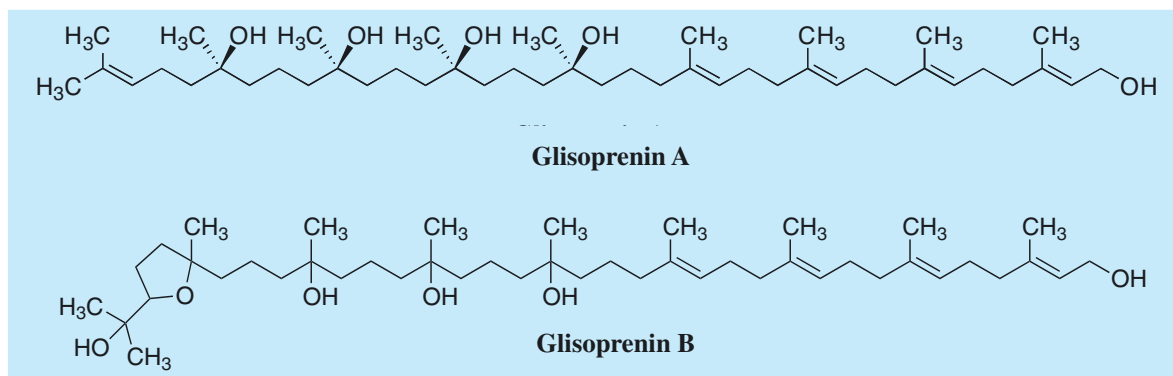


Glisoprenin

1. Discovery, producing organism and structures^{1,2)}

Glisoprenins were isolated from the culture broth of the fungal strain *Gliocladium* sp. FO-1513, and recognized to be inhibitors of acyl-CoA:cholesterol acyltransferase (ACAT) in an enzyme assay using rat liver microsomes.



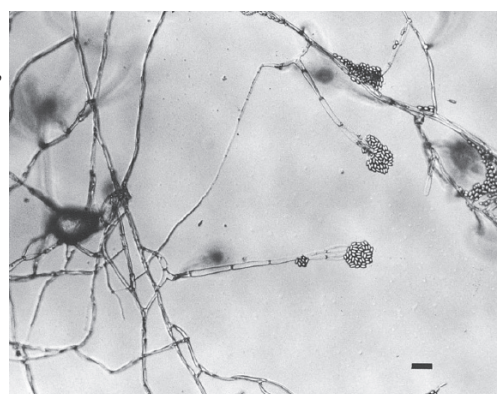
2. Physical data

Colorless oil. $C_{45}H_{82}NO_5$; mol wt 702.62. Sol. in MeOH, EtOAc, $CHCl_3$. Insol. in H_2O .

3. Biological activity^{1,3,6)}

1) ACAT inhibition¹⁾

ACAT inhibitory activity (See also Purpactin) was tested in an enzyme assay using rat liver microsomes and in a cell assay using J774 macrophages. Furthermore, selectivity of glisoprenin A toward ACAT1 or ACAT2 isozymes was determined using microsomes, prepared from ACAT1- or ACAT2-expressing CHO cells, and cell-based assays using the cells. Glisoprenin A inhibits both ACAT1 and ACAT2 isozymes.⁶⁾ Cytotoxicity was also determined in the cell assay.



Gliocladium sp. FO-1513
Bar: 20 μ m

Compound	m Microsome		Cell-based	
	ACAT1	ACAT2	ACAT1	ACAT2
Ghsoprenin A (IC ₅₀)	0.4	1.3	4.3	10 (μ M)

Compound	Rat (liver) microsomes	J774 macrophages		
	IC ₅₀ (μ M)	IC ₅₀ (μ M)	CD ₅₀ (μ M)	CD ₅₀ /IC ₅₀
Glisoprenin A	46	1.2	14.0	12.0
Glisoprenin B	61	0.57	5.2	9.1

In an *in vivo* model using hamsters, glisoprenin B reduced cholesterol absorption from intestines (25% inhibition) when administered orally at 50 mg/kg.

2) Inhibition of appressorium formation³⁾

Glisoprenin A was reported to interfere with appressorium formation in germinating conidiospores of *Magnaporthe grisea* on hydrophobic surfaces.

4. References

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