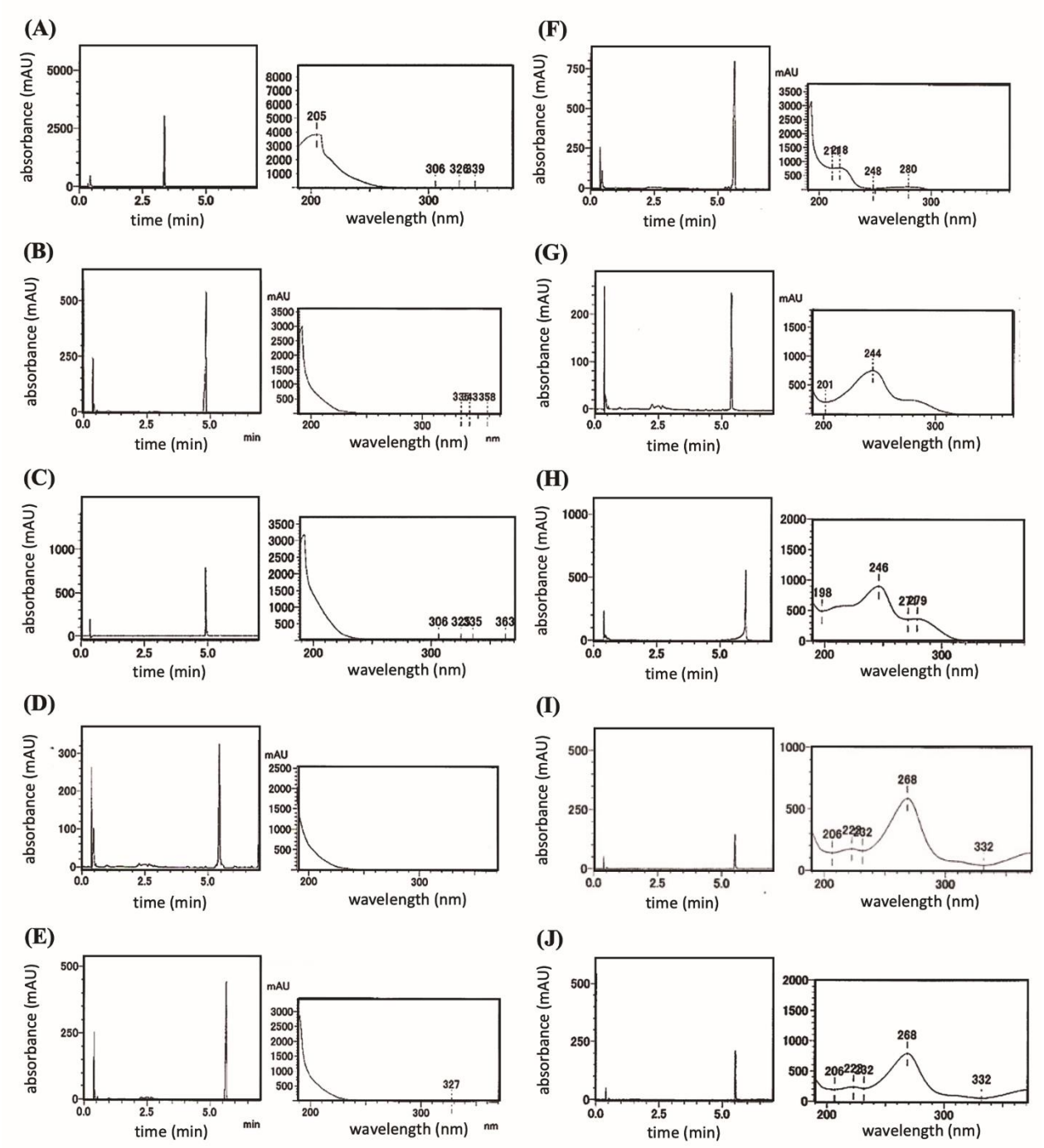

Figure S1. Chromatogram and spectrogram of active compounds analyzed in Ultra-Fast Liquid Chromatography (UFLC). (A) Brefeldin A (1 µg), **(B)** beauveriolide I (1 µg), **(C)** beauveriolide III (1 µg), **(D)** beauverolide A (1 µg), **(E)** beauverolide B (1 µg), **(F)** beauverolide K (1 µg), **(G)** oxohygrolidin (1 µg), **(H)** hygrolidin (1 µg), **(I)** dinapinone A1 (0.2 µg) and **(J)** dinapinone A2 (0.2 µg) were diluted in methanol and analyzed in UFLC system under the following condition (column, Shimpak XR-ODS (i.d. 2.0 × 75 mm); eluent, 6 minutes linear gradient from 5-95% CH_3CN-0.1% H_3PO_4 then 1 minute isocratic 95% CH_3CN-0.1% H_3PO_4; flow, 0.55 mL/min; detection, UV at 190-370 nm).

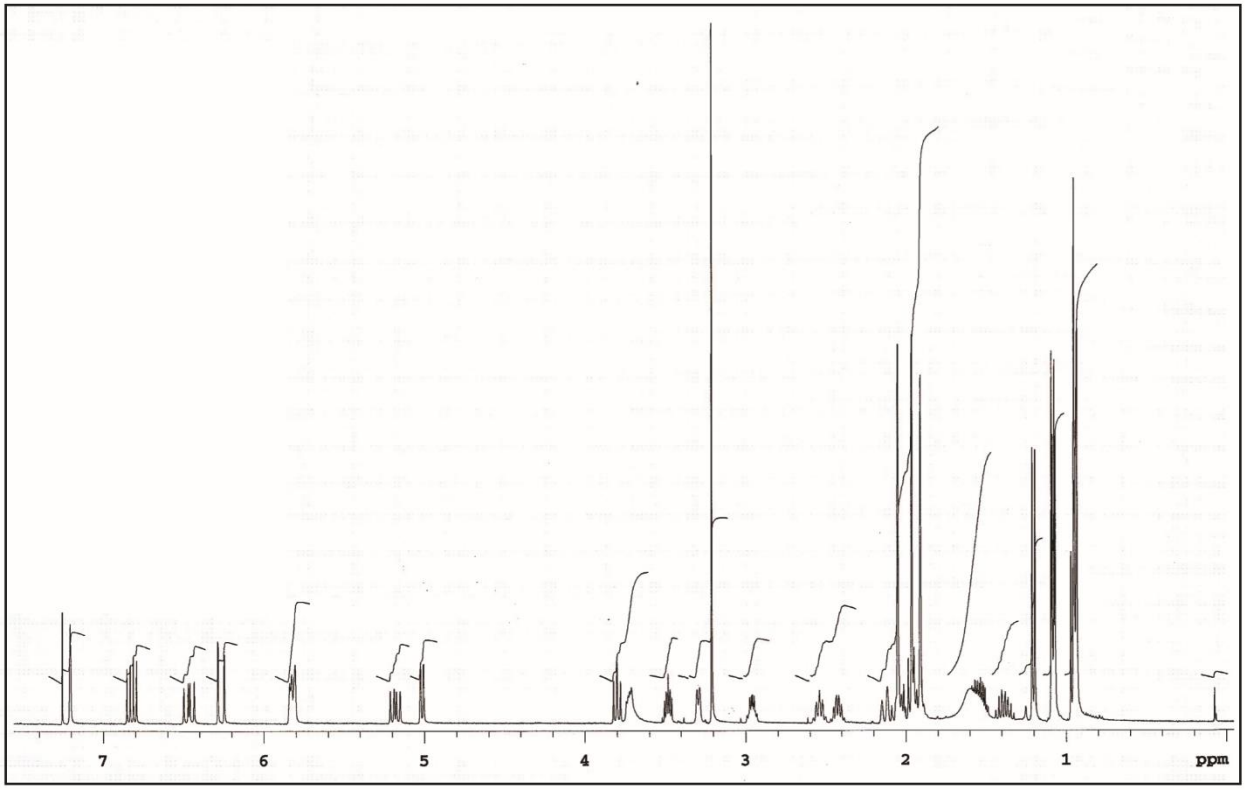

Figure S2. ^{1}H-NMR spectrum of oxohygrolidin (7) (400 MHz, in $CDCl_3$).

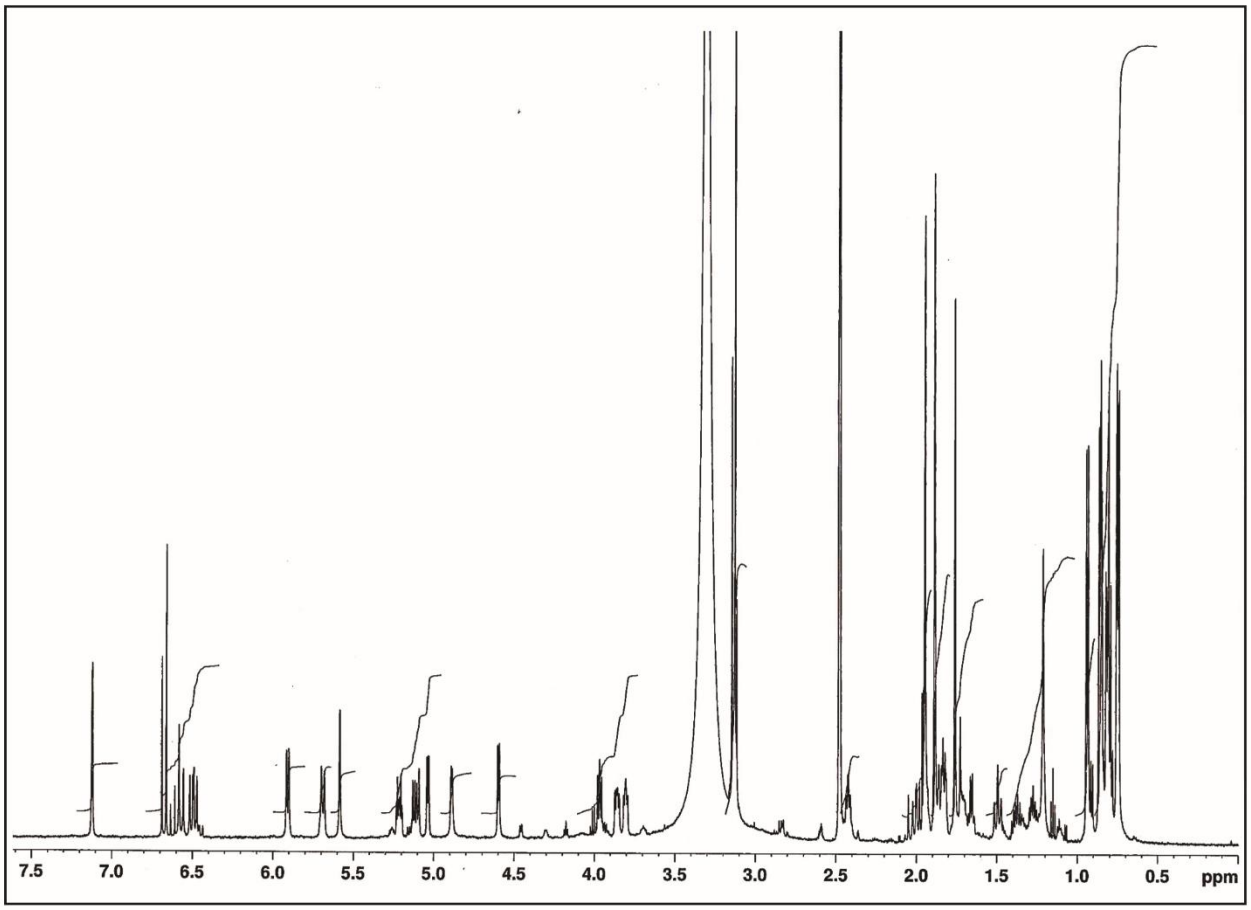

Figure S3. ^{1}H-NMR spectrum of hygrolidin (8) (600 MHz, in DMSO-d_6).

Supplementary materials and methods

1.1. Chemical data of active compounds

Beauverolide A (**4**) (*1, 2*): HR-ESIMS: m/z found 552.3399, calcd 552.3413 for $C_{30}H_{47}N_3O_5Na$ [M + Na]+.

Beauverolide B (**5**) (*2, 3*): HR-ESIMS: m/z found 544.3737, calcd 544.3750 for $C_{31}H_{50}N_3O_5$ [M + H]+.

Beauverolide K (**6**) (*2, 3*): HR-ESIMS: m/z found 613.3820, calcd 613.3859 for $C_{37}H_{51}N_4O_5$ [M + H]+.

Oxohygrolidin (**7**) (*4*): HR-ESIMS: m/z found 575,3943, calcd 575.3934 for $C_{34}H_{55}O_7$ [M + H]+; 1H-NMR (400 MHz, CDCl3) δ 0.93 (CH3, d), 0.95 (CH3, s), 0.95 (CH3, s), 1.07 (CH3, d), 1.09 (CH3, d), 1.20 (CH3, d), 1.38~1.54 (CH2, m), 1.91 (CH3, s), 1.93~1.98 (CH, m), 1.96 (CH3, d), 1.95~1.98 (CH2-a, m), 1.96~2.10 (CH, m), 2.05 (CH3, s), 2.11~2.15 (CH2-b, m), 2.42 (CH, m), 2.54 (CH, m), 2.96 (CH, m), 3.21 (CH3, s), 3.29 (CH, d), 3.48 (CH, q), 3.73 (CH, q), 3.80 (CH, t), 5.01 (CH, dd), 5.18 (CH, q), 5.80 (CH, br. d), 6.26 (CH, dd), 6.46 (CH, q), 6.82 (CH, q), 7.20 (CH, s).

Hygrolidin (**8**) (*5*): HR-ESIMS: m/z found 713.3877, calcd 713.3882 for $C_{38}H_{58}O_{11}Na$ [M + Na]+; 1H-NMR (600 MHz, DMSO-d6) δ 0.71 (3H, d), 0.74 (CH3, d), 0.81 (CH3, t), 0.85 (CH3, d), 0.86 (CH3, d), 0.94 (CH3, d), 1.28~1.39 (CH2, m), 1.50 (CH2-a, t), 1.66 (CH, m), 1.71 (CH, m), 1.76 (CH3, s), 1.83 (CH2-b, m), 1.83 (CH, m), 1.87~2.00 (CH2, m), 1.89 (CH3, s), 1.94 (CH, m), 1.95 (CH3, s), 2.43 (CH, t), 3.13 (CH3, s), 3.14 (CH, t), 3.81 (CH, q), 3.86 (CH, m), 3.97 (CH, t), 4.61 (OH, q), 4.60 (OH, d), 5.04 (CH, dd), 5.11 (CH, dd), 5.22 (CH, m), 5.59 (OH, s), 5.69 (CH, d), 5.91 (CH, d), 6.50 (CH, q), 6.57 (CH, d), 6.68 (CH, d), 7.12 (CH, s).

1.2. Assay of neutral lipid synthesis in CHO-K1 cells

Assays for triacylglycerol (TG) and cholesteryl ester (CE) synthesis using CHO-K1 cells were conducted according to established methods with some modifications (*6*). CHO-K1 cells (1.25×10^5 cells) were seeded in a 48-well plastic microplate in 250 μL of maintained medium and allowed to recover overnight in 37°C and 5.0% CO_2. Following the recovery, 2.5 μL of a sample/control (in methanol) and 5 μL of [^{14}C]oleic acid (1 nmol, 1.85 KBq in 10% ethanol/PBS solution) were then added to each well and incubated for 6 hours in 37°C and 5.0% CO_2. After incubation, cells were washed twice with 250 μL of PBS. The cells were then lysed using 250 μL of 10 mM Tris-HCl (pH 7.5) containing 0.1% (w/v) SDS, and neutral lipid were extracted following the method of Bligh and Dye (*7*). [^{14}C]TG and [^{14}C]CE were separated on a TLC plate (silica gel F254, 0.5-mm thick) and then analyzed with a bioimaging analyzer (FLA7000). Neutral lipid inhibitory activity (%) was defined as ([^{14}C]TG or [^{14}C]CE of sample/[^{14}C]TG or [^{14}C]CE of control) × 100. The IC_{50} value was defined as the sample concentration causing 50% inhibition of neutral lipid synthesis.

1.3. Sterol *O*-acyltransferase (SOAT) enzyme assay using microsomes prepared from CHO-K1 cells

SOAT assay using microsomes prepared from CHO-K1 cells was conducted using our established method (*8*). Briefly, CHO-K1 cells (80%-90% confluences cultured in 10 mL culture discs) were homogenized in 8 mL cold buffered sucrose solution (pH 7.2, 100 mM sucrose, 50 mM KCl, 40 mM KH_2PO_4, and 30 mM EDTA; hereafter referred to as buffer A) in a Potter-type homogenizer. The microsomal fraction was pelleted by centrifugation at 100,000× g at 4°C for 1 h (TLA110, Beckman Coulter), resuspended in buffer A, and stored at –80°C until used. An assay cocktail for SOAT activity contained 500 μg BSA (fatty acid free), [1-^{14}C] oleoyl-CoA (20 mM, 3.7 kBq), a test sample (2.0 μL in methanol solution), and microsomes of CHO-K1 cells in a total volume of 200 μL buffer A. [1-^{14}C]oleoyl-CoA was added last in the assay cocktail to start the SOAT reaction. After a 5-min reaction at 37°C, the reaction was stopped by adding chloroform:methanol (2:1, 1.2

mL). The product [^{14}C]CE was extracted using the method of Bligh and Dyer (*7*). Neutral lipids were separated on a TLC plate (silica gel F254, 0.5-mm thick) and then analyzed with a bioimaging analyzer (FLA7000). SOAT activity (%) was defined as ([^{14}C]CE of sample/[^{14}C]CE of control)×100. The IC_{50} value was defined as the sample concentration causing 50% inhibition of SOAT.

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