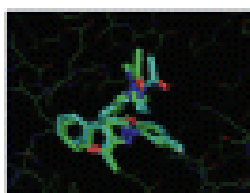




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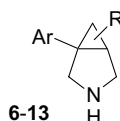
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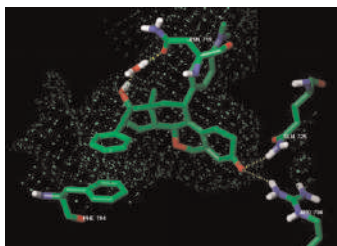
Studies on the structure–activity relationship of bicifadine analogs as monoamine transporter inhibitors pp 3682–3686

Mingzhu Zhang, Florence Jovic, Troy Vickers, Brian Dyck, Junko Tamiya, Jonathan Grey,
Joe A. Tran, Beth A. Fleck, Rebecca Pick, Alan C. Foster, Chen Chen*



Insight from molecular modeling into different conformation and SAR of natural steroids and unnatural 7-oxa-steroids pp 3687–3690

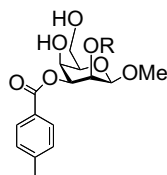
Fu-An Kang*, Xin Chen, Nareshkumar Jain, George Allan, Pamela Tannenbaum, Scott Lundeen, Zhihua Sui



Molecular modeling, in vivo activity, pharmacokinetic and metabolic properties of unnatural 7-oxa-steroids are reported.

Protein subtype-targeting through ligand epimerization: Talose-selectivity of galectin-4 and galectin-8 pp 3691–3694

Christopher T. Öberg, Helen Blanchard, Hakon Leffler, Ulf J. Nilsson*



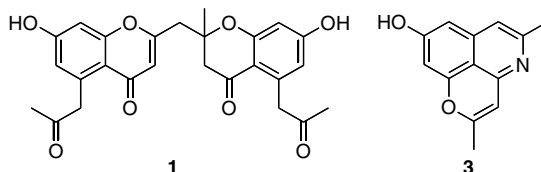
Galectin-4 C-terminal domain and galectin-8 N-terminal domain were found to prefer the α -talopyranose configuration to the natural ligand β -galactopyranose configuration. Methyl β - α -talopyranosides derivatized at O2 and O3 were synthesized and discovered to be selective submillimolar inhibitors of galectin-4C and galectin-8N.



Chrobisiamone A, a new bischromone from *Cassia siamea* and a biomimetic transformation of 5-acetonyl-7-hydroxy-2-methylchromone into cassiarin A

pp 3761–3763

Shiori Oshimi, Yuichiro Tomizawa, Yusuke Hirasawa, Toshio Honda, Wiwied Ekasari, Aty Widyawaruyanti, Marcellino Rudyanto, Gunawan Indrayanto, Noor Cholies Zaini, Hiroshi Morita*

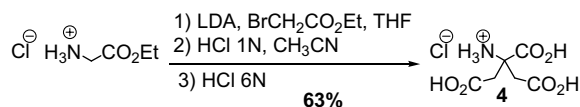


A new bischromone, chrobisiamone A (**1**) with an antiplasmodial activity has been isolated from the leaves of *Cassia siamea* and the structure was elucidated by 2D NMR analysis. Cyclization of 5-acetonyl-7-hydroxy-2-methylchromone (**2**) in the presence of ammonium acetate resulted in generation of cassiarin A (**3**) with an unprecedented tricyclic skeleton, supporting a biogenetic pathway proposed for **3**.

2-Aminopropane-1,2,3-tricarboxylic acid: Synthesis and co-crystallization with the class A β -lactamase BS3 of *Bacillus licheniformis*

pp 3764–3768

Joséphine Beck, Eric Sauvage, Paulette Charlier, Jacqueline Marchand-Brynaert*

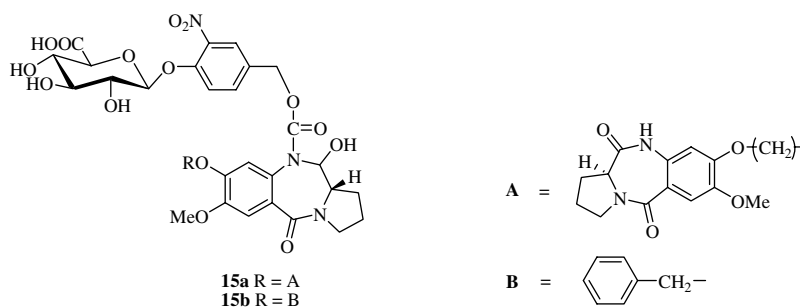


Synthesis and biochemical evaluation against β -lactamases of amino analog of citric acid are presented. The structure of the complex aminocitrate-BS3 has been analyzed by X-ray diffraction and compared to ones with citrate and isocitrate.


Pyrrolo[2,1-c][1,4]benzodiazepine- β -glucuronide prodrugs with a potential for selective therapy of solid tumors by PMT and ADEPT strategies

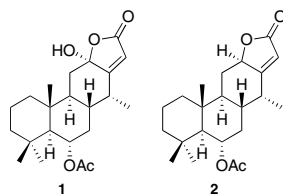
pp 3769–3773

Ahmed Kamal*, Venkatesh Tekumalla, P. Raju, V. G. M. Naidu, Prakash V. Diwan, Ramakrishna Sistla


Scutiniranes A and B, new cassane-type diterpenes from *Bowdichia nitida*

pp 3774–3777

Yosuke Matsuno, Jun Deguchi, Yusuke Hirasawa, Kunio Ohyama, Hiroo Toyoda, Chieko Hirobe, Wiwied Ekasari, Aty Widyawaruyanti, Noor Cholies Zaini, Hiroshi Morita*

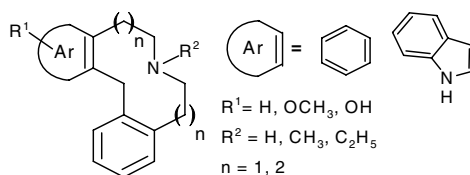


Two new diterpenes, scutiniranes A (**1**) and B (**2**), have been isolated from *Bowdichia nitida*. Scutinirane A (**1**) and 6 α -acetoxyvouacapane (**3**) showed a moderate cytotoxicity and 6 α ,7 β -diacetoxyvouacapane (**4**) showed in vitro antiplasmodial activity against parasite *Plasmodium falciparum* 3D7.

**Dopamine/serotonin receptor ligands. Part 17: A cross-target SAR approach:
Affinities of azecine-styled ligands for 5-HT_{2A} versus D₁ and D₂ receptors**

pp 3809–3813

Christoph Enzensperger, Tilo Görnemann, Heinz H. Pertz, Jochen Lehmann*



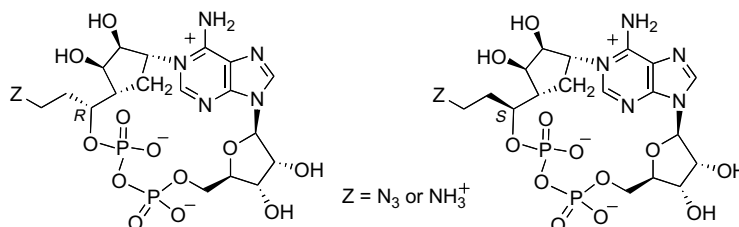
pK_i for D₁: 6.79 to 9.45; for D₂: 6.41 to 8.45; pA_2 for 5-HT_{2A}: 7.21 to 9.97

A cross-target SAR was conducted with 13 azecine-styled compounds on D₁, D₂ and 5-HT_{2A} receptors. Surprisingly, molecular modifications affect the affinity for the D₁ receptor in the same manner as the 5-HT_{2A} receptor. The protein–ligand interactions were discussed with respect to the different binding pockets.

**Synthesis of 5''-branched derivatives of cyclic ADP-carbocyclic-ribose, a potent Ca²⁺-mobilizing agent:
The first antagonists modified at the N1-ribose moiety**

pp 3814–3818

Natsumi Sakaguchi, Takashi Kudoh, Takayoshi Tsuzuki, Takashi Murayama, Takashi Sakurai, Akira Matsuda, Mitsuhiro Arisawa, Satoshi Shuto*



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COVER

Overlay of high resolution co-crystal structures of **R-22**-ADP (cyan) and **1**-ADP (green) bound in an allosteric binding site of the mitotic kinesin KSP. [Roecker, A. J.; Coleman, P. J.; Mercer, S. P.; Schreier, J. D.; Buser, C. A.; Walsh, E. S.; Hamilton, K.; Lobell, R. B.; Tao, W.; Diehl, R. E.; South, V. J.; Davide, J. P.; Kohl, N. E.; Yan, Y.; Kuo, L. C.; Li, C.; Fernandez-Metzler, C.; Mahan, E. A.; Prueksaritanont, T.; Hartman, G. D. *Bioorg. Med. Chem. Lett.* **2007**, 17, 5677.]

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Chrobisiamone A, a new bischromone from *Cassia siamea* and a biomimetic transformation of 5-acetyl-7-hydroxy-2-methylchromone into cassiarin A

Shiori Oshimi^a, Yuichiro Tomizawa^a, Yusuke Hirasawa^a, Toshio Honda^a, Wiwied Ekasari^b, Aty Widyawaruyanti^b, Marcellino Rudyanto^b, Gunawan Indrayanto^b, Noor Cholies Zaini^b, Hiroshi Morita^{a,*}

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ABSTRACT

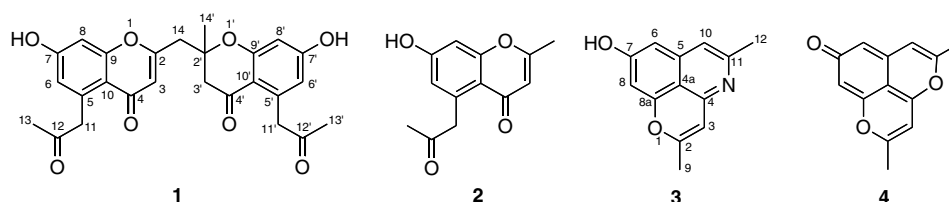
A new bischromone, chrobisiamone A (**1**) with an antiplasmodial activity has been isolated from the leaves of *Cassia siamea* and the structure was elucidated by 2D NMR analysis. Cyclization of 5-acetyl-7-hydroxy-2-methylchromone (**2**) in the presence of ammonium acetate resulted in generation of cassiarin A (**3**) with an unprecedented tricyclic skeleton, supporting a biogenetic pathway proposed for **3**.

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Malaria caused by parasites of the genus *Plasmodium* is one of the leading infectious diseases in many tropical and some temperate regions.¹ The emergence of widespread chloroquine-resistant and multiple-drug-resistant strains of malaria parasites leads to the need for the development of new therapeutic agents against malaria.²

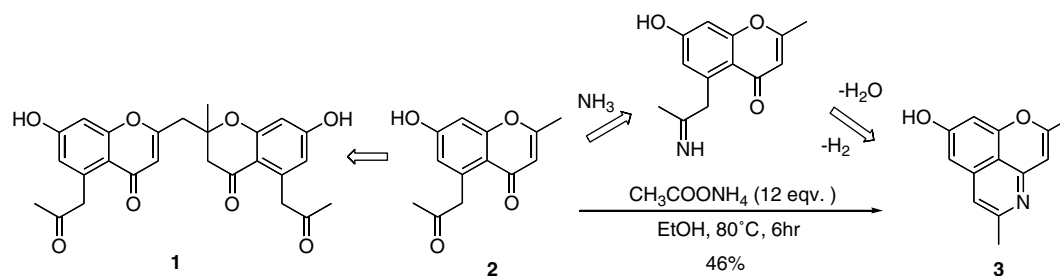
Cassia siamea (Leguminosae), have been widely used in traditional medicine, particularly for the treatment of periodic fever and malaria in Indonesia.³ So far some chromone derivatives, such as anhydrobarakol,⁴ 5-acetyl-7-hydroxy-2-methylchromone,⁵ 2-methyl-5-propyl-7,12-dihydroxychromone-12-O-β-D-glucopyranoside,⁶ and cassiadinine,⁷ have already been isolated from the bark, leaves, and flowers of *C. siamea*. We have isolated cassiarins

A (**3**) and B with an unprecedented tricyclic skeleton and a potent antiplasmodial activity from the leaves of *C. siamea* and proposed a biogenetic path for cassiarin A (**3**) generated from 5-acetyl-7-hydroxy-2-methylchromone (**2**).⁸ Recently, the first total synthesis of cassiarin A (**3**) was completed via sequential alkylation of arenes with Sonogashira coupling and 6-endo-dig-cyclization of phenolic oxygens to the resulting alkynes in 51% overall yield in seven steps.⁹ On continuing search for chemical constituents of *C. siamea*, we have isolated a new bischromone, chrobisiamone A (**1**) with an antiplasmodial activity. This letter describes the isolation and structural elucidation of **1**, and a biomimetic transformation of 5-acetyl-7-hydroxy-2-methylchromone (**2**) into cassiarin A (**3**).



* Corresponding author. Tel./fax: +81 354985778.
E-mail address: moritah@hoshi.ac.jp (H. Morita).

The leaves of *C. siamea* (300 g), which were collected at the Purwodadi Botanical Garden, Pasuruan, Indonesia (2005), were



Scheme 1. Biomimetic transformation and plausible biogenetic path for chrobisiamone A (1) and cassiarin A (3).

Table 1

¹H [δ_{H} (J, Hz)] and ¹³C NMR data (δ_{C}) of chrobisiamone A (1) in CD₃OD at 300 K

	δ_{H}	δ_{C}
2		165.5
3	6.00 (1H, s)	113.8
4		180.6
5		139.4
6	6.61 (1H, d, 2.2)	120.2
7		164.1
8	6.68 (1H, d, 2.2)	102.9
9		161.1
10		115.1
11	4.17 (2H, s)	50.5
12		208.2
13	2.31 (3H, s)	30.9
14a	3.06 (1H, d, 14.0)	43.3
14b	2.93 (1H, d, 14.0)	
2'		80.7
3'	2.74 (2H, s)	49.0 ^a
4'		192.6
5'		140.7
6'	6.20 (1H, d, 2.4)	115.9
7'		165.9
8'	6.25 (1H, d, 2.4)	103.8
9'		165.2
10'		112.5
11'a	3.88 (1H, d, 17.1)	50.7
11'b	3.96 (1H, d, 17.1)	
12'		208.7
13'	2.26 (3H, s)	30.8
14'	1.50 (3H, s)	25.7

^a Overlapped with CD₃OD.

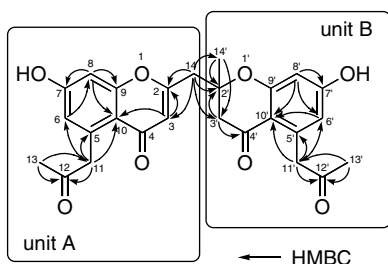


Figure 1. Selected 2D NMR correlations of chrobisiamone A (1) in CD₃OD.

extracted with MeOH, and the extract was partitioned with CHCl₃ and H₂O. CHCl₃-soluble materials were subjected to a silica gel column (CHCl₃/MeOH/AcOEt, 1:0:0 → 0:1:0), in which a fraction eluted by CHCl₃/MeOH/AcOEt (1:1:0) was further purified on an LH-20 column with CHCl₃/MeOH (1:1) to afford chrobisiamone A (1, 0.00013%) together with 5-acetyl-7-hydroxy-2-methylchromone (2),⁵ cassiarins A (3) and B,⁸ anhydrobarakol (4),⁴ and 4-(*trans*)-acetyl-3,6,8-trihydroxy-3-methyldihydro-naphthalenone.¹⁰

Chrobisiamone A (1), colorless solid, [α_{D}^{20} −19 (c 0.33, MeOH), showed the molecular formula, C₂₆H₂₄O₈, which was determined by HRESIMS [m/z 465.1549, (M+H)⁺, Δ −0.2 mmu]. IR absorptions implied the presence of OH and/or NH (3100 cm^{−1}) and ketone (1720 and 1670 cm^{−1}) functionalities. UV absorptions at 238 (ϵ 17,500), 250 (14,400), and 282 (13,500) indicated a conjugated aromatic ring system. ¹H and ¹³C NMR data are presented in Table 1. The ¹³C NMR spectrum revealed 26 carbon signals due to 13 sp² quaternary carbons, one sp³ quaternary carbon, five sp² methines, four methylenes, and three methyls. Among them, six quaternary carbons (δ_{C} 80.7, 161.1, 164.1, 165.2, 165.5, and 165.9) and four carbonyl carbons (δ_{C} 180.6, 192.6, 208.2, and 208.7) were ascribed to those bearing an oxygen atom.

The gross structure of 1 was deduced from extensive analyses of the two-dimensional NMR data, including the ¹H–¹H COSY and HMBC spectra in CD₃OD (Fig. 1). The HMBC spectrum revealed connectivities of two chromone structures (C-1 ~ C-10 and C-1' ~ C-10') and two acetyl groups (C-11 ~ C-13 and C-11' ~ C-13') classed into two units A and B as shown in Figure 1.

The presence of two acetyl groups at C-5 and C-5' was supported by HMBC correlations for H₂-11 (δ_{H} 4.17) of C-5 (δ_{C} 134.1), C-6 (δ_{C} 120.2), and C-10 (δ_{C} 115.1), and H₂-11' (δ_{H} 3.88 and 3.96) of C-5' (δ_{C} 140.7), C-6' (δ_{C} 115.9), and C-10' (δ_{C} 112.5) as shown in Figure 1. HMBC correlations for H₂-14 (δ_{H} 2.93 and 3.06) of C-2 (δ_{C} 165.5), C-2' (δ_{C} 80.7), C-3 (δ_{C} 113.8), and C-3' (δ_{C} 49.0), H₃-14' (δ_{H} 1.50) of C-2' and C-3' gave rise to the connectivity of two partial structures A and B through C-14 and C-2' atoms. Thus, chrobisiamone A (1) was concluded to be a unique dimeric ring system consisting of 5-acetyl-7-hydroxy-2-methylchromone and 5-acetyl-7-hydroxy-2-methyl-2,3-hydrochromone.¹¹

A plausible biogenetic pathway for chrobisiamone A (1) and cassiarin A (3) was proposed as shown in Scheme 1. Chrobisiamone A (1) might be derived from 5-acetyl-7-hydroxy-2-methylchromone (2) by Michael addition of the chromone carbanion of C-14 to C-2' of a second chromone. Treatment of 5-acetyl-7-hydroxy-2-methylchromone (2) with ammonium acetate as the nitrogen source caused ring cyclization giving cassiarin A (3) in 46% yield. This biomimetic transformation might support a biogenetic pathway proposed for cassiarin A (3), which might be derived through an imine intermediate of 2 followed by cyclization.

Chrobisiamone A (1), 5-acetyl-7-hydroxy-2-methylchromone (2), and anhydrobarakol (4) showed a moderate *in vitro* antiparasitodal activity¹² against parasite *Plasmodium falciparum* 3D7 (IC₅₀ 1: 2.6 $\mu\text{g/ml}$; 2: 4.5 $\mu\text{g/ml}$; 4: 7.8 $\mu\text{g/ml}$).

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