Synthesis of polymeric supports with spacer-modified triazene linkers: aldol and Grignard reactions of immobilized nortropinone

Tetrahedron Letters 44 (2003) 2441

Ryszard Lazny\* and Aneta Nodzewska

Institute of Chemistry, University of Bialystok, Al. Pilsudskiego 11/4, 15-443 Bialystok, Poland

# Synthesis of phosphonocinnamic thioesters, substrate analogues of cinnamoyl-CoA reductase, a key enzyme in the lignification process

Tetrahedron Letters 44 (2003) 2445

Caroline Lapeyre, Florence Bedos-Belval, Hubert Duran,\* Michel Baltas and Liliane Gorrichon SPCMIB, UMR CNRS 5068, Université Paul Sabatier, 118 route de Narbonne, 31062 Toulouse, France

$$R^{3}O \xrightarrow{P(OEt)_{2}} \xrightarrow{(COCl)_{2}} \begin{bmatrix} R^{2} & O \\ R^{3}O & Cl \end{bmatrix} \xrightarrow{NAC, El_{3}N} R^{3}O \xrightarrow{R^{2}} \xrightarrow{OCH_{2}CH_{3}} S(CH_{2})_{2}NHAC$$

## Short, stereoselective synthesis of C-glycosyl asparagines via an olefin cross-metathesis

Tetrahedron Letters 44 (2003) 2449

Ernest G. Nolen,\* Adam J. Kurish, Kelli A. Wong and Michael D. Orlando

Department of Chemistry, Colgate University, Hamilton, NY 13346, USA

The Grubbs second generation ruthenium catalyst was used for olefin cross-metathesis leading to  $\alpha$ - and  $\beta$ -C-glycosyl asparagines.

PO 
$$CO_2R$$
 PO  $CO_2R$  PO  $CO_2R$  NHP  $CO_2R$   $CO_2R$ 

P = Ac or Bn P' = Cbz, Boc, or Fmoc <math>X = O or NH

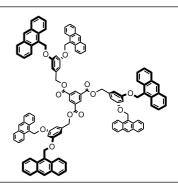
## Synthesis and photoluminescence study of anthracene based dendrimer and dendron

Tetrahedron Letters 44 (2003) 2455

Masaki Takahashi,<sup>a,\*</sup> Tomoya Odagi,<sup>b</sup> Hiroko Tomita,<sup>a</sup> Tatsuo Oshikawa<sup>a</sup> and Mitsuji Yamashita<sup>a</sup>

<sup>a</sup>Department of Materials Science and Chemical Engineering, Faculty of Engineering, Shizuoka University, 3-5-1 Johoku, Hamamatsu, Shizuoka 432-8561, Japan <sup>b</sup>Graduate School of Science and Engineering, Shizuoka University, 3-5-1 Johoku, Hamamatsu, Shizuoka 432-8561, Japan

A new type of polyaromatic dendrimer composed of six anthracene groups was synthesized. A synthetic procedure and photoluminescence properties of the dendrimer are reported.



# Synthesis of functionalized bicyclo[3.2.1]octan-6-ones for diterpenoids: allylsilane directed Pummerer reaction: insertion reactions of diazoketones

Philip Magnus,\* Trevor Rainey and Vince Lynch

Department of Chemistry and Biochemistry, University of Texas at Austin, Austin, TX 78712, USA

Treatment of 1 with Rh<sub>2</sub>(OAc)<sub>4</sub> (cat.) gave 2.

#### Fluorapatite: efficient catalyst for the Michael addition

Tetrahedron Letters 44 (2003) 2463

Mohamed Zahouily,<sup>a,\*</sup> Younes Abrouki,<sup>a</sup> Ahmed Rayadh,<sup>a</sup> Saïd Sebti,<sup>b</sup> Hamid Dhimane<sup>c</sup> and Marc David<sup>c</sup>

- <sup>a</sup>Laboratoire de Synthèse Organique et Traitement de l'Information, UFR de Chimie Appliquée, Université Hassan II, Faculté des Sciences et Techniques, BP 146, 20650 Mohammadia, Morocco
- <sup>b</sup>Laboratoire de Chimie Organique Appliquée et Catalyse, Université Hassan II, Faculté des Sciences Ben M'Sik, BP 7955, 20702 Casablanca, Morocco
- <sup>c</sup>Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, UMR 8601, Université René Descartes (Paris 5), 45 rue des Saints-Pères, 75270 Paris Cedex 06, France

+ R-S-H

FAP

MeOH/r.t

X = NO<sub>2</sub>, CI, H and OMe

R = Ph. o-NH-Ph and CH.-CO.Et

Good to very high yields

### NMR enantiodifferentiation of triphenylphosphonium salts by chiral hexacoordinated phosphate anions

Tetrahedron Letters 44 (2003) 2467

Virginie Hebbe,<sup>a</sup> Anne Londez,<sup>a</sup> Catherine Goujon-Ginglinger,<sup>a</sup> Franck Meyer,<sup>b</sup> Jacques Uziel,<sup>b</sup> Sylvain Jugé<sup>c,\*</sup> and Jérôme Lacour<sup>a,\*</sup>

+ CO<sub>2</sub>R Ph<sub>3</sub>P NHBz

R = H, Me

Me

<sup>a</sup>Département de Chimie Organique, Université de Genève, quai Ernest Ansermet 30, CH-1211 Genève-4, Switzerland

Ansermet 30, CH-1211 Genève-4, Switzerland

<sup>b</sup>Université de Cergy-Pontoise, SOSCO UMR 8123, 5 mail Gay Lussac,

F-95031 Cergy-Pontoise, France

<sup>c</sup>Université de Bourgogne, LSEO UMR 5632, 6 Bd Gabriel, F-21000 Dijon, France

BINPHAT—rather than TRISPHAT—anion is an efficient NMR (<sup>1</sup>H and <sup>31</sup>P) chiral shift reagent for chiral triphenylphosphonium salts.

CI CI CI A-BINPHAT

### Total synthesis of the marine pyridoacridine alkaloid sebastianine A

Tetrahedron Letters 44 (2003) 2473

Laurent Legentil, Jean Bastide and Evelyne Delfourne\*

Centre de Phytopharmacie, UMR-CNRS 5054, Université de Perpignan, 52 Avenue de Villeneuve, 66860 Perpignan Cedex, France

## Preparation of N-hydroxysuccinimido esters via palladium-catalyzed carbonylation of aryl triflates and halides

Rongliang Lou,\* Melissa VanAlstine, Xufeng Sun and Mark P. Wentland\*

Department of Chemistry, Rensselaer Polytechnic Institute, 110 8th Street, Troy, NY 12180, USA

N-hydroxysuccinimido esters of aromatic carboxylic acids (a.k.a. active esters) can be made using a potentially general, one-step procedure via Pd-catalyzed carbonylation of an aryl triflate or aryl iodide with CO and N- hydroxysuccinimide (NHS).

$$Ar - X$$

$$X = OTf or I$$

$$Pd(OAc)_2$$

$$Xantphos$$

$$CO, Et_3N, NHS$$

$$DMSO, 70 °C$$

$$Ar$$

$$O - N$$

### Facile N-1 protection of cyclam, cyclen and 1,4,7-triazacyclononane

Tetrahedron Letters 44 (2003) 2481

Wen Yang,\* Christen M. Giandomenico, Michael Sartori and Dennis A. Moore

AnorMED, Inc. 200 20353 64th Ave, Langley, British Columbia, Canada V2Y 1N5

A non-stoichiometrically controlled, high yielding method for the tri-protection of cyclam/cyclen is described.

This method is also effective for the di-protection of 1,4,7-triazacyclononane.

# Titanium-mediated synthesis of bicyclic cyclopropylamines from unsaturated nitriles

Tetrahedron Letters 44 (2003) 2485

Christophe Laroche, Philippe Bertus\* and Jan Szymoniak\*

UMR 6519-Réactions Sélectives et Applications, CNRS-Université de Reims Champagne-Ardenne, UFR Sciences, BP 1039, 51687 Reims Cedex 2, France

$$Bn-N$$

$$CN$$

$$Ti(OiPr)_4, Et_2O$$

$$2. BF_3 \cdot OEt_2$$

$$Bn-N$$

$$D=1 2 3$$

# A concise synthesis of glycosyl- $\alpha$ -amino acid derivatives via homologation of dialdoses into bromo uronic acids and esters

Tetrahedron Letters 44 (2003) 2489

Claude Grison,\* Stéphane Dumarçay and Philippe Coutrot

Institut Nancéien de Chimie Moléculaire, FR CNRS 1742, Laboratoire de Chimie Organique Biomoléculaire, UMR CNRS 7565, Université Henri Poincaré, Nancy I, BP 239, 54506 Vandoeuvre-lès-Nancy Cédex, France

## Norrish Type II photoreactivity of $\beta$ -anisylalkanophenones and solvent effects on stereoselective Yang cyclization

Jarugu Narasimha Moorthy\* and Prasenjit Mal

Department of Chemistry, Indian Institute of Technology, Kanpur-208 016, India

Photoexcitation of  $\beta$ -anisylalkanophenones leads to Norrish Type II reactions to afford cyclobutanols **CB1** and **CB2** stereoselectively in moderate yields (31–43%). The composition of the cyclobutanols is dramatically influenced by solvent.

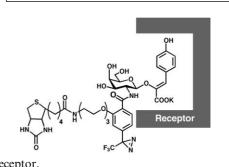
# Synthesis of a novel bioactive photoaffinity probe based on a leaf-movement factor with potential high binding affinity to its receptor molecule

Tomohiko Fujii, Takanori Sugimoto, Shosuke Yamamura and Minoru Ueda\*

Laboratory of Natural Products, Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Yokohama 223-8522, Japan

We developed novel photoaffinity probe (1) for the detection of receptor molecule. Probe 1 bearing photolabeling group on the 2'-position of the glycon moiety, which is near the potential binding site of the molecule with its receptor.

Tetrahedron Letters 44 (2003) 2497



Tetrahedron Letters 44 (2003) 2501

# An approach to the desulfurization of oligonucleotide phosphorothioates

Colin B. Reese\* and Hongbin Yan

Department of Chemistry, King's College London, Strand, London WC2R 2LS, UK

(i) BrCH<sub>2</sub>CN, PhNMe<sub>2</sub>, MeCN; (ii) *E*-2-nitrobenzaldoxime,  $N^1,N^1,N^3,N^3$ -tetramethylguanidine, MeCN (+CH<sub>2</sub>Cl<sub>2</sub>).

### Ring size selective synthesis of meso-aryl expanded porphyrins

Ryuichiro Taniguchi, Soji Shimizu, Masaaki Suzuki, Ji-Young Shin, Hiroyuki Furuta and Atsuhiro Osuka\*

Department of Chemistry, Graduate School of Science, Kyoto University, Sakyo-ku, Kyoto 606-8502, Japan

*meso*-Aryl expanded porphyrins were prepared in a ring size selective manner from methanesulfonic acid-catalyzed reaction of dipyrromethane and tri-pyrromethane with aryl aldehydes.

### Base-catalyzed intramolecular condensation of tokinolide B

Tetrahedron Letters 44 (2003) 2509

Beatriz Quiroz-García,<sup>a</sup> Liliana Hernández,<sup>a</sup> Rubén A. Toscano,<sup>a</sup> Olov Sterner<sup>b,\*</sup> and Guillermo Delgado<sup>a,\*</sup>

<sup>a</sup>Instituto de Química de la Universidad Nacional Autónoma de México, Circuito Exterior, Ciudad Universitaria, Coyoacán 04510 México, D. F., Mexico

<sup>b</sup>Department of Organic and Bioorganic Chemistry, Lund University, PO Box 124, SE 221 00 Lund, Sweden

The new pentacyclic compound 4 was obtained as the result of the intramolecular condensation of the natural dimeric phthalide, tokinolide B (3), in basic media.

# D- and L-Erythrose as sources of chiral quaternary carbon centers. Total synthesis of (-)-malyngolide and (+)-tanikolide

Tetrahedron Letters 44 (2003) 2513

Alexandros E. Koumbis,\* Kyriaki M. Dieti, Myrofora G. Vikentiou and John K. Gallos

Department of Chemistry, Aristotle University of Thessaloniki, Thessaloniki 541 24, Greece

# Novel generation and ring closure of 1,3-thiaza-1,3-butadiene S-oxides through thermal cycloreversion of 6H-1,3,5-oxathiazine S-oxides

Tetrahedron Letters 44 (2003) 2517

Kazuaki Shimada,\* Islam Md. Rafiqul, Masanobu Sato, Shigenobu Aoyagi and Yuji Takikawa

Department of Chemical Engineering, Faculty of Engineering, Iwate University, Morioka, Iwate 020-8551, Japan

Thermal cycloreversion of 6H-1,3,5-oxathiazine S-oxides A afforded 5H-1,2,4-oxathiazoles C via generation of novel 1,3-thiaza-1,3-butadiene S-oxides B.

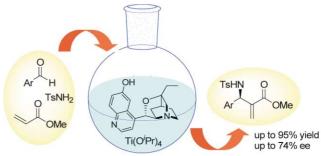
$$\begin{array}{c|c}
 & O \\
 & \bullet \\$$

# Chiral quinuclidine-based amine catalysts for the asymmetric one-pot, three-component aza-Baylis-Hillman reaction

Tetrahedron Letters 44 (2003) 2521

Daniela Balan and Hans Adolfsson\*

Department of Organic Chemistry, Stockholm University, SE-10691 Stockholm. Sweden



## Highly efficient and chemoselective cleavage of prenyl ethers using ZrCl<sub>4</sub>/NaBH<sub>4</sub>

K. Suresh Babu, B. China Raju, P. V. Srinivas and J. Madhusudana Rao\*

Natural Products Laboratory, Organic Chemistry Division-1, Indian Institute of Chemical Technology, Hyderabad 500 007, India

An efficient and chemoselective cleavage of prenyl ethers in the presence of several other ether and ester functionalities using ZrCl<sub>4</sub>/NaBH<sub>4</sub> in high yield is described.

### Synthesis of (±)-solanapyrones A and B

Tetrahedron Letters 44 (2003) 2529

Barry Lygo, a,\* Mohamed Bhatia, b Jason W.B. Cookec and David J. Hirsta

<sup>a</sup>School of Chemistry, University of Nottingham, Nottingham NG7 2RD, UK

<sup>b</sup>Department of Chemistry, University of Salford, Salford M5 4WT, UK

<sup>c</sup>GlaxoSmithKline, Gunnels Wood Road, Stevenage, Herts SG1 2NY, UK

Application of an *exo*-selective intramolecular Diels-Alder reaction to the synthesis of solanapyrones A and B is reported.

R = CHO, solanapyrone A R = CH<sub>2</sub>OH, solanapyrone B

### Three novel bis(indole) alkaloids from a stony coral, Tubastraea sp.

Tetrahedron Letters 44 (2003) 2533

Tetsuo Iwagawa,<sup>a,\*</sup> Miho Miyazaki,<sup>a</sup> Hiroaki Okamura,<sup>a</sup> Munehiro Nakatani,<sup>a</sup> Matsumi Doe<sup>b</sup> and Kaoru Takemura<sup>c</sup>

<sup>a</sup>Department of Chemistry and Bioscience, Faculty of Science, Kagoshima University, 1-21-35 Kagoshima 890, Japan

<sup>b</sup>Analytical Division, Graduate School of Science, Osaka City University, 3-3-7 Sugimoto, Sumiyoshi-ku, Osaka 558-5858, Japan

<sup>c</sup>Sankei Kagaku Co., Ltd Nan-ei 2-9, Kagoshima 891-0122, Japan

# A facile synthesis of 4- and 6-chloromethyl-1H-indole-2-carboxylates: replacement of a sulfonic acid functionality by chlorine

Tetrahedron Letters 44 (2003) 2537

Béla Pete<sup>a,\*</sup> and Gyula Parlagh<sup>b</sup>

<sup>a</sup>Research Group of the Hungarian Academy of Sciences, Department of Organic Chemical Technology, Technical University of Budapest, H-1521 Budapest, Hungary

<sup>b</sup>Department of Physical Chemistry, Technical University of Budapest, H-1521 Budapest, Hungary

4- and 6-(Chloromethyl)indoles were prepared from indole-4- and 6-methanesulfonic acids, respectively, by replacement of the sulfonic acid group with chlorine. The (chloromethyl)indoles undergo facile nucleophilic substitution reactions.

### Synthesis of (+)-prelactone B

### Tushar K. Chakraborty\* and Subhasish Tapadar

Indian Institute of Chemical Technology, Hyderabad 500 007, India

BnO 
$$CH_3$$
  $CH_3$   $CH_3$ 

## A novel and convenient method for the synthesis of substituted naphthostyrils

Tetrahedron Letters 44 (2003) 2545

Jin-Jun Liu,\* Fred Konzelmann and Kin-Chun Luk

Department of Discovery Chemistry, Hoffmann-La Roche Inc., 340 Kingsland Street, Nutley, NJ 07110, USA

Naphthostyrils were synthesized via a base-catalyzed intramolecular cyclization of oxindole precursors through a one-pot reaction. This method allows convenient access to 3,5,6-trisubstituted naphthostyrils which may serve as a new template for CDK2 inhibition.

# Toward the synthesis of tetrodecamycin: asymmetric synthesis of a direct precursor of the C6–C18 *trans*-decalin portion

Tetrahedron Letters 44 (2003) 2549

Franz F. Paintner,\* Gerd Bauschke and Kurt Polborn

Department Pharmazie-Zentrum für Pharmaforschung, Ludwig-Maximilians-Universität München, Butenandtstraße 5-13, Haus C, D-81377 München, Germany

# A mild and efficient oxidation of alcohols to aldehydes and ketones with periodic acid catalyzed by chromium(III) acetylacetonate

Tetrahedron Letters 44 (2003) 2553

Liang Xub and Mark L. Trudella,\*

<sup>a</sup>Department of Chemistry, University of New Orleans, New Orleans, LA 70148, USA <sup>b</sup>St Charles Pharmaceuticals, Inc., PO Box 850185, New Orleans, LA 70185, USA

$$\begin{array}{c} \text{OH} \\ \text{R}^{1} \\ \end{array} \begin{array}{c} \text{R}^{2} \\ \end{array} \begin{array}{c} \frac{\text{H}_{5}\text{IO}_{6} \text{ (1.5 equiv.)}}{\text{10 mol \% Cr(acac)}_{3}} \\ \text{CH}_{3}\text{CN, r.t.} \end{array} \begin{array}{c} \text{O} \\ \text{R}^{1} \\ \text{R}^{2} \end{array}$$

### Studies toward a synthesis of C3-epimauritine D: construction of the macrocycle

Young-Ah Kim, Hyo-Nim Shin, Myoung-Soon Park, So-Hye Cho and So-Yeop Han\*

Department of Chemistry, Division of Molecular Life Sciences, and Division of Nano Sciences, Ewha Womans University, Seoul 120-750, Republic of Korea

OTBS

### Dehydrative glycosylation of tri-O-benzylated 1-hydroxyribofuranose catalyzed by a copper(II) complex

Tetrahedron Letters 44 (2003) 2561

Takeyuki Suzuki, Shoko Watanabe, Taichiro Yamada and Kunio Hiroi\*

Department of Synthetic Organic Chemistry, Tohoku Pharmaceutical University, 4-4-1 Komatsushima, Aoba-Ku, Sendai 981-8558, Japan

A phosphine/Cu(II) complex catalyzes the dehydrative glycosylation of tri-O-benzylated 1-hydroxyribofuranose to give the ribofuranoside with high stereoselectivity.

BnO OBn

$$Cu(II) cat.$$
 $BnO OBn$ 
 $a:\beta = 98:2-5:95$ 

### Rapid cyclopeptide analysis by microwave enhanced Akabori reaction

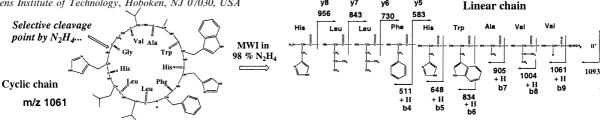
Tetrahedron Letters 44 (2003) 2565

Birendra N. Pramanik, a,\* Yao Hain Ing, Ajay K. Bose, b,\* Li-Kang Zhang, a Yan-Hui Liu,<sup>a</sup> Subhendu N. Ganguly<sup>b</sup> and Peter Bartner<sup>a</sup>

<sup>a</sup>Schering-Plough Research Institute, 2015 Galloping Hill Road, Kenilworth, NJ 07033, USA

<sup>b</sup>George Barasch Bioorganic Research Laboratory, Department of Chemistry and Chemical Biology,

Stevens Institute of Technology, Hoboken, NJ 07030, USA



### Solid-phase synthesis of indolines via palladium-catalyzed cyclization

Tetrahedron Letters 44 (2003) 2569

Yongping Yu, John M. Ostresh and Richard A. Houghten\*

Torrey Pines Institute for Molecular Studies, 3550 General Atomics Court, San Diego, CA 92121, USA

# Rapid synthesis of substituted 5-phenyl-1,3-dioxolan-4-ones under microwave-induced solvent-free conditions

Rochelle R. Ferrett, Michael J. Hyde, Kimberly A. Lahti and Timothy L. Friebe\* Department of Chemistry, Eastern Michigan University, Ypsilanti, MI 48197, USA

$$R^{1}$$
  $R^{2}$   $R^{2$ 

### A short synthesis of staurosporinone (K-252c)

Tetrahedron Letters 44 (2003) 2577

Susana P. Gaudêncio, Maria M. M. Santos, Ana M. Lobo\* and Sundaresan Prabhakar\*

Secção de Química Orgânica Aplicada, Departamento de Química, CQFB-REQUIMTE and SINTOR-UNINOVA, campus Faculdade de Ciências e Tecnologia, Universidade Nova de Lisboa, Quinta da Torre, 2829 Monte de Caparica, Portugal

## A rapid access to chiral alkylidene cyclopentenone prostaglandins involving ring-closing metathesis reaction

Tetrahedron Letters 44 (2003) 2579

Robert Weaving, Emmanuel Roulland, Claude Monneret and Jean-Claude Florent\*

Laboratoire de Pharmacochimie, UMR 176 CNRS-Institut Curie, Section Recherche, 26, rue d'Ulm, 75248 Paris cedex 05, France

The synthesis of alkylidene cyclopentenone prostaglandins was realized through a sequential Claisen reaction, followed by a ring-closing metathesis reaction.

## Triethylborane triggered intermolecular domino Michael-aldol three-component coupling reactions

Tetrahedron Letters 44 (2003) 2583

S. Chandrasekhar,\* Ch. Narsihmulu, N. Ramakrishna Reddy and M. Srinivasa Reddy *Indian Institute of Chemical Technology, Hyderabad 500 007, India* 

The triethylborane triggered intermolecular domino Michael-aldol reaction is described. Initial triethylborane addition in a Michael fashion to methyl vinyl ketone resulted in a vinylborane which further reacted with an aldehyde to give the aldol product in a one-pot process.

R-CHO + 
$$Et_3B$$
  $R = aryl, alkyl$   $Et_3B$   $R = aryl, alkyl$   $Et_3B$   $R = aryl, alkyl$ 

### $\pi$ -Face selective azaspirocyclization of $\omega$ -(methoxyphenyl)-N-methoxyalkylamides

Duncan J. Wardrop,\* Matthew S. Burge, Wenming Zhang and José A. Ortíz

Department of Chemistry, University of Illinois at Chicago, 845 West Taylor Street, Chicago, IL 60607-7061, USA

A novel and efficient strategy for the stereoselective preparation of 1-azaspiranes utilizing a nitrenium ion cyclization is described.

$$R_1$$
  $R_2$   $R_3$   $R_4$   $R_4$   $R_4$   $R_4$   $R_5$   $R_5$   $R_5$   $R_5$   $R_5$   $R_5$   $R_5$   $R_5$   $R_5$   $R_6$   $R_6$   $R_6$   $R_6$   $R_7$   $R_8$   $R_9$   $R_9$ 

# cis-Enhanced cyclopropanation catalysts: reaction chemistry of three isomers of $Rh_2[N(C_6H_5)COCH_3]_4$

Tetrahedron Letters 44 (2003) 2593

Cassandra T. Eagle,\* David Farrar, Grant N. Holder, Michelle L. Hatley, Shirley L. Humphrey, Elizabeth V. Olson, Maria Quintos, Joseph Sadighi and Tom Wideman

A. R. Smith Department of Chemistry, Appalachian State University, Boone, NC 28608, USA

### S-Trityl protection of bis-amino bis-thiol (BAT) chelator enables flexible derivatisation and facile labelling with technetium-99m

Tetrahedron Letters 44 (2003) 2597

Bernard J. Cleynhens, Guy M. Bormans, Hubert P. Vanbilloen, Dominique V. Vanderghinste, Davy M. Kieffer, Tjibbe J. de Groot and Alfons M. Verbruggen\*

Laboratory for Radiopharmaceutical Chemistry and Nuclear Medicine, University of Leuven, Herestraat 49, B-3000 Leuven, Belgium

Labelling of, S,S'-bis-trityl-N-BOC BAT with technetium-99m.

# A new and convergent synthesis for 2,5-diaminotetrahydropyrimidones

Tetrahedron Letters 44 (2003) 2601

Lianhong Xu,\* Lijun Zhang, Clifford M. Bryant and Choung U. Kim

Department of Medicinal Chemistry, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA 94404, USA

TAN1057A/B has shown potent activity against MRSA. A novel and concise route to the synthesis of its heterocycle core 2,5-diamino-5,6-dihydro-1*H*-pyrimidine-4-one is described. This methodology allows the synthesis of an array of TAN-1057A/B analogs with different amine substitutions at the 2-position.

$$\begin{array}{c} \text{CH}_3 \\ \text{BocN} \\ \text{NH} \\ \text{O} \\ \text{N} \\ \text{SCH}_3 \\ \end{array} \begin{array}{c} \text{1) Cbz-CI, K}_2\text{CO}_3 \\ \text{BocN} \\ \text{N} \\ \text{N$$

### Purine nucleoside synthesis from uridine using immobilised Enterobacter gergoviae CECT 875 whole cells

J. A. Trelles, M. Fernández, E. S. Lewkowicz, A. M. Iribarren and J. V. Sinisterrab, \*

<sup>a</sup>Universidad Nacional de Quilmes, R.S. Peña 180, (1876) Bernal, Buenos Aires, Argentina

<sup>b</sup>Laboratory of Biotransformations, Faculty of Pharmacy, Universidad Complutense de Madrid, E-28040 Madrid, Spain

 $\begin{array}{l} R_1 \! = \! R_2 \! = \! OH \, , \, R_3 \ \, = \! H \, , \, R_4 \! = \! H \, ; \, Uridine \, (U) \\ R_1 \! = \! OH \, , \, R_2 \! = \! R_3 \! = \! R_4 \! = \! H \, ; \, 2' \! - \! deoxyuridine \, (DU) \\ R_1 \! = \! R_2 \! = \! R_3 \! = \! R_4 \! = \! H \, ; \, 2' \! - \! 3' \! - \! dideoxyuridine \, (DDU) \\ R_1 \! = \! R_3 \! = \! OH \, ; \, R_3 \! = \! H \, , \, R_4 \! = \! H \, ; \, Ara \, uridine \, (AU) \\ R_1 \! = \! R_2 \! = \! OH \, ; \, R_3 \! = \! H \, ; \, R_4 \! = \! CH_3 \, ; \, 5 \! - \! methyluridine \, (MU) \end{array}$ 

# The synthesis of $\beta$ -lactams via a one-pot Reformatsky reaction of imines promoted by $Zn/Cp_2TiCl_2$ (cat.)

Tetrahedron Letters 44 (2003) 2611

Lei Chen, Gang Zhao\* and Yu Ding\*

Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 345 Lingling lu, Shanghai 200032, PR China