Graphical Abstract

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Effect of electron-withdrawing substituents on the inverse-electron demand diels -alder reaction of 2-aminopyrroles and 1,3,5-triazines

Michael De Rosa*[,a], David Arnold[a], Eric Blythe[a], Martilias S. Farrell[a], Tamika Seals[a], Kristin Wills[a] and Miroslav Medved'[b]
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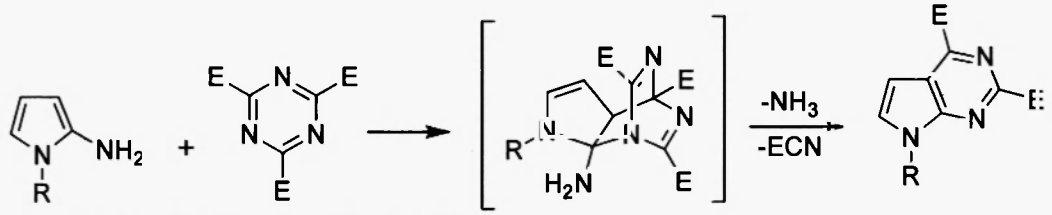
Inverse-electron demand Diels-Alder reactions of 1,3,5-triazines and 2-aminopyrroles are facilitated by electron-withdrawing groups (EWG) on both reactants. Whereas HOMO-LUMO calculations predict that EWG on 2-aminopyrroles should lower the relative rate of reaction. This apparent contradiction can be explained if the reaction cascade is under equilibrium control with at least one reversible step.



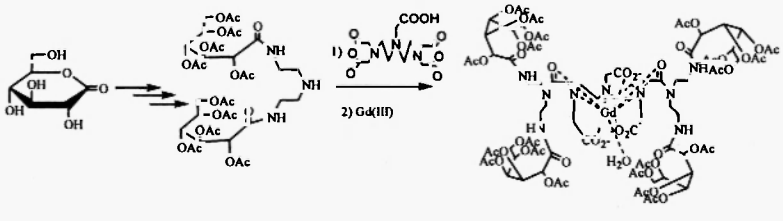
R = Me, Et, *t*-Bu; E = CF_3, CO_2Et

Heterocycl. Commun. 13 (2007) 101 – 108

Studies on preparation and characterization of novel mri contrast agents for targeting organs and blood vessels

Gang Yu[a], Mitsuji Yamashita*[b], Kengo Aoshima[a], Masatsugu Kobayashi[a], Tatsunori Kato[a], Nao Kamikage[a], Masaki Takahashi[c], Hisao Takayanagi[d], Tatsuo Oshikawa[e], Sofie Laurent[f], Carmen Burtea[f], L. Vander Elst[f], Robert N. Muller[f], Yasuo Takehara[g], and Harumi Sakahara[g]
[a] Department of Material Sciences, Graduate School of Science and Engineering, Shizuoka University, Hamamatsu 432-8561, Japan; [b] Department of Nano-Materials, Graduate School of Science and Technology, Shizuoka University, Hamamatsu 432-8001, Japan; [c] Department of Materials Chemistry, Faculty of Engineering, Shizuoka University, Hamamatsu 432-8561, Japan; [d] Mitsubishi Pharma Corporation, Kamoshida, Aoba, Yokohama 227-0033, Japan; [e] Department of Materials Chemistry, Numazu College of Technology, Numazu 410-8501, Japan; [f] NMR Laboratory, Department of Organic Chemistry, University of Mons-Hainaut, B-7000 Mons, Belgium; [g] Radio Isotope Laboratory, Faculty of Medicine, Hamamatsu University School of Medicine, Hamamatsu 431-3192, Japan

To improve MRI contrast agent of Gd-DTPA (Gadolinium-Diethylenetriamine-N,N,N',N",N"-pentaacetic acid complex), sugar dendritic derivatives which contains Gd-DTPA as the core part and four sugars dendrimer **8(Gd-DTPA-D1Glc(OAc))** or twelve sugars dendrimer **10(Gd-DTPA-D2Glc(OAc))** as the terminal part were prepared. From the result of relaxivity profile depending on temperature and magnitude of magnetic field, the smaller size MRI contrast agent **8(Gd-DTPA-D1Glc(OAc))** showed similar behavior to that of Gd-DTPA and the dendrimer constructs DDS of Gd-DTPA and was proven to have the improved properties for MR imaging of blood vessel (MRA) as well as for targeting specific organs.



8(Gd-DTPA-D1Glc(OAc)

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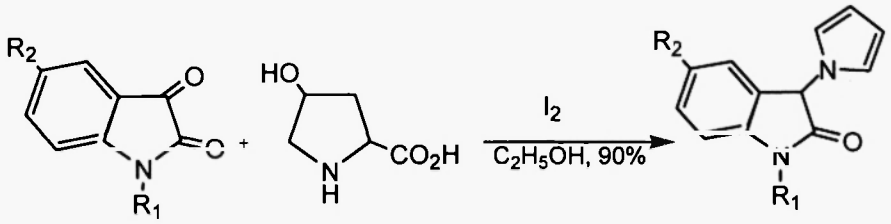
Novel synthesis of substituted pyrrole bound to indolinone via molecular iodine-catalyzed reaction

Bimal K. Banik,* Isabella Garcia[a], Frances R. Morales[a] and Calista Aguilar[a]

An expeditious synthesis of indolinone bound to pyrrole starting from isatin and 4-hydroxyproline via a molecular iodine-catalyzed reaction is described. A mechanism is postulated that describes the formation of ylide and zwitterion intermediates. It is suggested that iodine can catalyze several spontaneous processes.

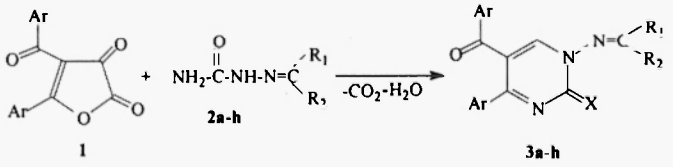


Heterocycl. Commun. 13 (2007) 113 – 120

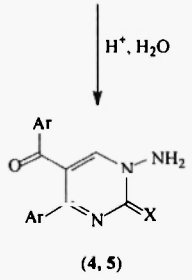
Reactions of 4-(4-methylbenzoyl)-5-(4-methylphenyl)-2,3-furandione with semi-thiosemi-carbazones

Zülbiye Önal* and Ismail Yıldırım
Department of Chemistry, Erciyes University, 38039, Kayseri, Turkey

The 4-(4-methylbenzoyl)-5-(4-methylphenyl)-2,3-furandione (**1**) and various semi-/thiosemi-carbazones **2a-h** combine with loss of carbondioxide and water yielding 1-methylenaminopyrimidine-2-one and -thione derivatives **3a-h**. Hydrolysis of **3c** and **3h** lead to the **4**) and **5**.



2,3	X	R_1	R_2
a	O	CH_3-	C_6H_5-
b	S	CH_3-	C_6H_5-
c	O	CH_3-	*p*-$CH_3C_6H_4$-
d	S	CH_3-	*p*-$CH_3C_6H_4$-
e	O	CH_3-	*p*-$CH_3OC_6H_4$-
f	S	CH_3-	*p*-$CH_3OC_6H_4$-
g	O	H-	C_6H_5-
h	S	H-	C_6H_5-

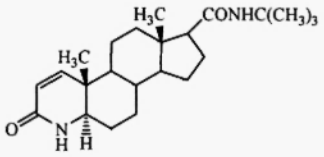


Heterocycl. Commun. 13 (2007) 121 – 124

Facile water mediated synthesis of Finasteride Form-I, an azaandrostane steroid

Divvela V. N. Srinivasa Rao[a], Ganala Naga Trinadhachari[a], Racha Lenin[a] Koilpillai Joseph Prabahar[a], Andra Naidu[b] and Ramesh Dandala*[a]
[a] Chemical Research Department, APL Research Center, Hyderabad-500 072, India
[b] Jawaharlal Nehru Technological University, Kukatpally, Hyderabad-500 072, India

A simple method for the preparation of 17□-(N-*tert*-butyl carbamoyl)-4-aza-5□-androst-1-ene-3-one form I from bis-finasteride tetrahydrofuran monohydrate solvate was prepared by using eco friendly solvent water.



Finasteride

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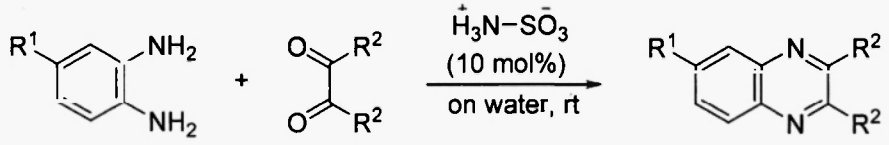
Efficient route to quinoxalines catalyzed by sulfamic acid in tap water suspension

Zhenjiang Li,* Weisi Li, Xinghua Ren, Yingjie Sun, Yuhu Shi, and Pingkai Ouyang
College of Life Science and Pharmaceutical Engineering, Nanjing University of Technology, Nanjing 210009, China

Quinoxalines were synthesized *via* direct condensations of *o*-phenylenediamines with *α*-diketones promoted by sulfamic acid at room temperature in tap water suspension in high yields and by simple work-up.



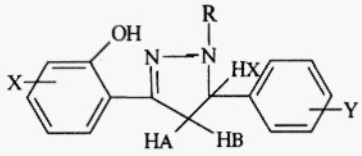
R^1 = H, Me, Cl; R^2 = alkyl, aryl, heteroaryl 75–92%

Heterocycl. Commun. 13 (2007) 131 – 138

Synthesis chareacterizationand biological activity of some new 1-n subtituted-3, 5-diphenyl-2-pyrazolines

Sadaf Sadiq Khan and Aurangzeb Hasan*
Department of Chemistry, Quaid-i-Azam University, Islamabad – 45320, Pakistan

A group of four series (A-D) of 22 new bioactive 1-N-acid substituted 3, 5-diphenyl-2-pyrazolines were synthesized by cyclization of variably substituted chalcones and simple or substituted phenyl hydrazine and / or semicarbazide, using acetic acid as a solvent. The chemical structure of the compounds was characterized by FTIR, ^{1}HNMR, EIMS spectroscopy and CHN analysis. The antibacterial and antifungal activities of these compounds were evaluated by agar well diffusion method and agar tube dilution method respectively.



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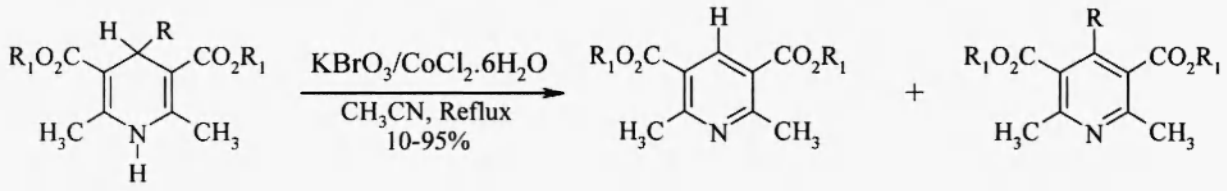
Oxidative-aromatization of hantzsch ester 1,4-dihydro-pyridines by $KBrO_3$/ $CoCl_2.6H_2O$ under mild condition

Karim Akbari Dilmaghani*, Behzad Zeynizadeh, and Mansoor Mirzaei
Department of Chemistry, Faculty of Sciences, Urmia University, Urmia 57159-165, Iran

1,4-Dihydropyridines can be converted to their corresponding pyridine derivatives in moderate to excellent yields.



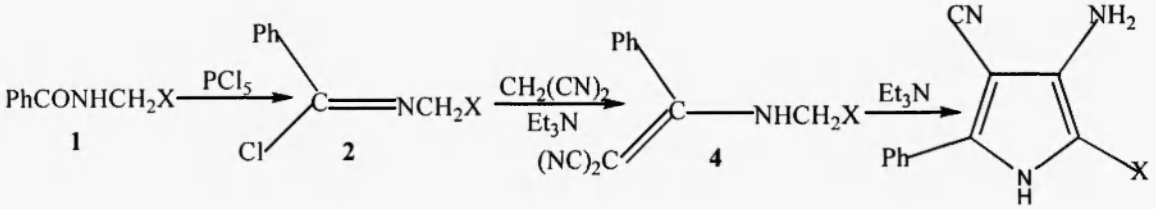
R: Alkyl, Aryl, Heterocycle
R_1: C_2H_5

Heterocycl. Commun. 13 (2007) 143 – 146

A new route to the synthesis of 3-aminomethylene derivatives of 2-cyano-3-phenylacrylonitriles and the polyfunctionalized pyrroles synthesis thereof

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens, Athens157 80, Greece

A new route to the synthesis of 3-aminomethylene derivatives of 2-cyano-3-phenyl-acrylonitrile, starting from N-benzoylaminomethylene compounds, their conversion to the corresponding N-chlorophenylmethylenes and their reaction with malononitrile, is described. These products are useful intermediates for the synthesis of polyfunctionalized 3-amino-pyrroles, important synthetic targets in heterocyclic chemistry.



1 2 4 5

X: a=CN, b=COOEt, c=COPh

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Synthesis and Antimicrobial activity of *N*-(substituted)-*N'*-[8-oxido dinaphtho-16*H*-(2,1-*d*:(1',2'-*g*)1,3,2-dioxaphosphocin-8-yl]ureas

U. Anasuyamma, P. Haranath, B. Siva kumar and C. Suresh Reddy*
*Department of Chemistry, Sri Venkateswara University, Tirupati-517 502, India
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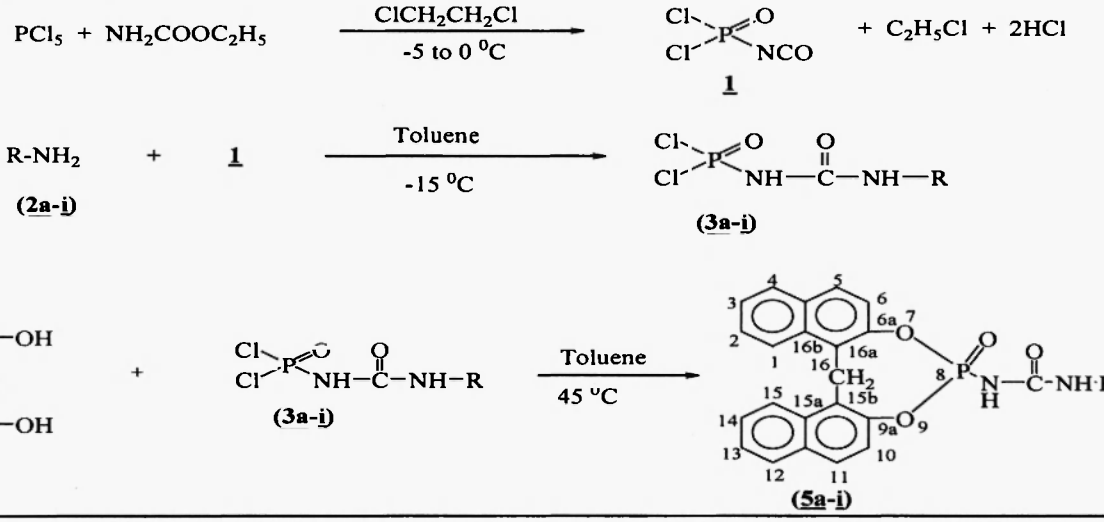
Department de Quimica Organica, Faculatad de Quimica, Universidad de Murcia, Campus de Espinardo, E-30100 Murcia, Spain

Substituted dinaphtho-16*H*-(2,1-*d*.(1',2'-*g*)1,3,2-dioxaphosphocin-8-yl]ureas (**5a-i**) were synthesized by reacting bis (2-hydroxy-1-naphthyl)methane (**4**) with different carbamidophosphoric acid dichlorides (**3**) in the presence of triethylamine in dry toluene at 45-50 °C. Their structures were established by elemental analysis, IR, ^{1}H, ^{13}C & ^{31}P NMR spectral data. These compounds were found to possess good antimicrobial activity.

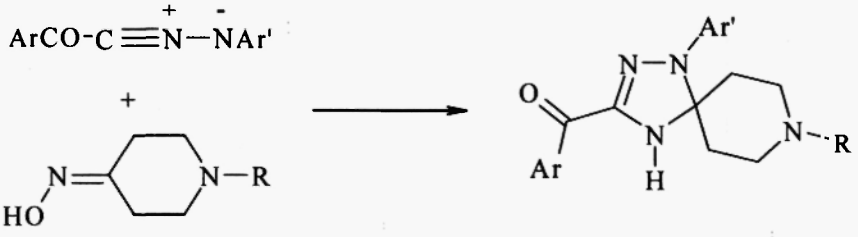


Heterocycl. Commun. 13 (2007) 155 – 160

Heterocyclic synthesis using nitrilimines: part 7 synthesis of some new 3-substituted 1-aryl-1,2,4,8-tetraazaspiro[4.5]dec-2-enes

Hany M. Dalloul[a*], Peter H. Boyle[b]
Al-Aqsa University of Gaza, Gaza-Palestine. b) Trinity College, Dublin 2, Ireland.

A series of new 1,2,4,8-tetrazaspiro[4.5]dec-2-enes 4a-r were synthesized from the reaction of corresponding hydrazonoyl halides 1 with substituted heterocyclic oximes 3. The structures of the synthesized compounds were confirmed by their elemental analysis and spectral data.

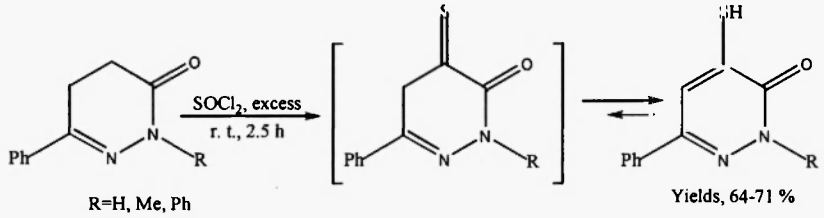


Heterocycl. Commun. 13 (2007) 161 – 164

A simple and efficient synthesis of 4-mercapto-6-phenylpyridazin-3(2H)-ones

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens
Athens, 157 80, Greece.

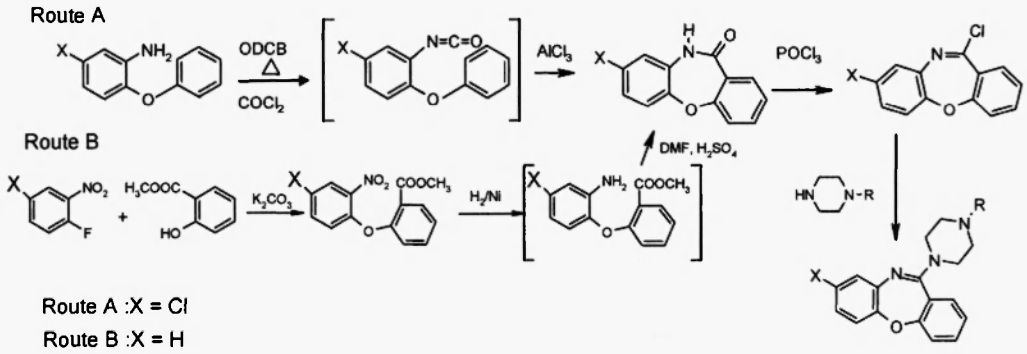
The reaction of excess thionyl chloride on some 6-phenyl-4,5-dihydropyridazin-3(2H)-ones under mild conditions to the corresponding 4-mercaptopyridazin-3(2H)-ones, depending on the 2-position substituent, in good yields, is described.



Heterocycl. Commun. 13 (2007) 165 – 172

Synthesis and evaluation of antipsychotic activity of 11- (4-aryl-1-piperazinyl)-dibenz [b, f][1,4] oxazepines and their 8-chloro analogues

B. S. Wagh†, B. P. Patil, S. S. Harak, M. S. Jain and S. B. Wagh*
*Department of Pharmaceutical Chemistry, N. D. M. V. P'S College of Pharmacy, Gangapur Road, Nashik-422 002
University Institute of Chemical Technology, Matunga, Mumbai

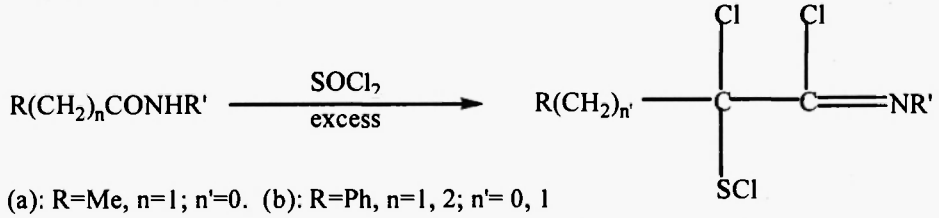


Heterocycl. Commun. 13 (2007) 173 – 176

A convenient one-pot synthesis of α-chloro-α-chlorosulfenyl-imidoyl chlorides *via* an abnormal reaction of excess thionyl chloride on secondary amides

Georgia Tsolomiti, Kyriaki Tsolomiti and Athanase Tsolomitis*
The Laboratory of Organic Chemistry, The School of Chemical Engineering, The National Technical University of Athens,
Athens 157 80, Greece

The abnormal reaction of excess thionyl chloride on some secondary amides resulting to the corresponding α-chloro-α-chlorosulfenyl-imidoyl chlorides, is described here.



(a): R=Me, n=1; n'=0. (b): R=Ph, n=1, 2; n'= 0, 1

R'=Ph, p-ClC_6H_4, $PhCH_2$, p-$ClC_6H_4CH_2$ 75-87 %

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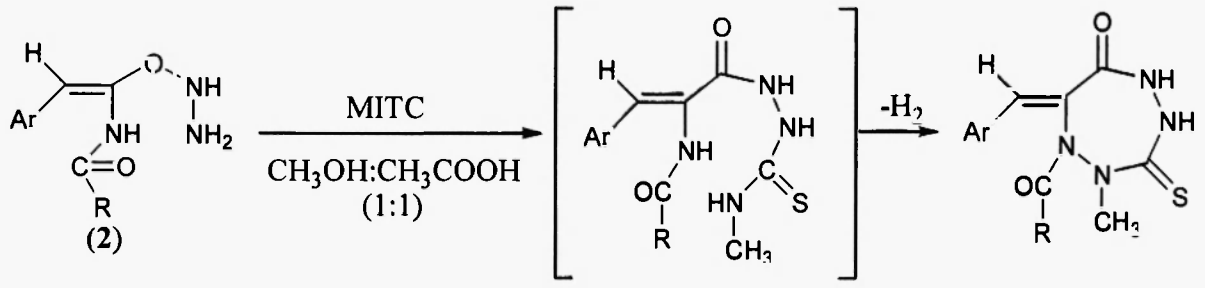
One pot synthesis of 1-(N-acetyl benzoyl)-7(substituted phenylmethylene)-2-methyl- 6-oxo-3-thioxo-1,2,4,5-tetraazaperhydroepins

Y Bharathi Kumari
Department of Chemistry, JNTU College of Engineering, Kukatpally, Hyderabad - 85 (AP)

Cycloaddition of substituted a-acetamido / benzamido cinnam hydrazides [2(a-g)] with methyl isothiocyanate (MITC) to yield the title compounds [3(a-g)].

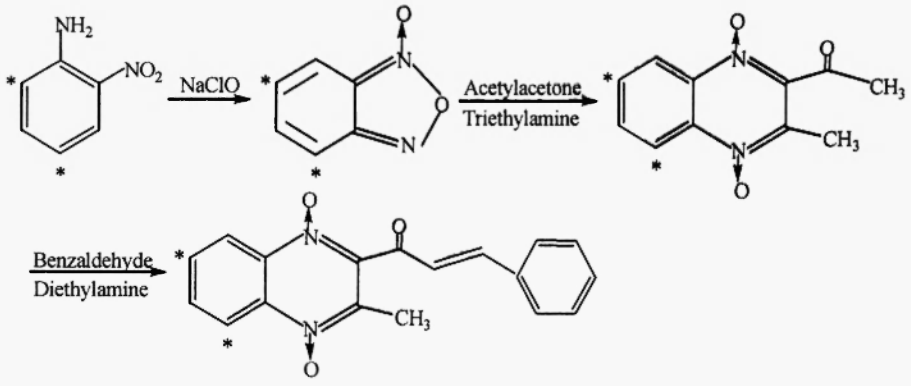


Heterocycl. Commun. 13 (2007) 183 – 188

Synthesis of [^{3}h] quinocetone

Jianyong Li[1]*, Jiyu Zhang[1], Xu-zheng Zhou[1], Ping Zhang[2]
[1]Lanzhou Institute of Animal and Pharmaceutical Veterinary Science,
Chinese Academy of Agricultural Sciences, Lanzhou 730050, P.R. China.
[2] Institute of Atom Energy Application, Chinese Academy of Agricultural Sciences, Beijing 180030, P.R.China.

[^{3}H]Quinocetone was prepared from [^{3}H]o-nitroaniline with a radiochemical yield of 59.2%. [^{3}H]o-nitroaniline, as starting material, was oxidized with NaClO to [^{3}H]benzofurazan oxide. [^{3}H]Benzofurazan oxide was recombined with acetylacetone to 3-methyl-2-acetyl-quinoxaline-1,4-dioxide with [^{3}H] in parent structure. In the last reaction, 3-methyl-2-acetyl-quinoxaline- 1,4-dioxide with [^{3}H] was condensed with benzaldehyde to the title compound-[^{3}H]Quinocetone. The specific radioactivity of the labeled product was 12.14mCi/mmol and its radiochemical purity was >98%.



* represents possible site of tritium