Contents lists available at ScienceDirect

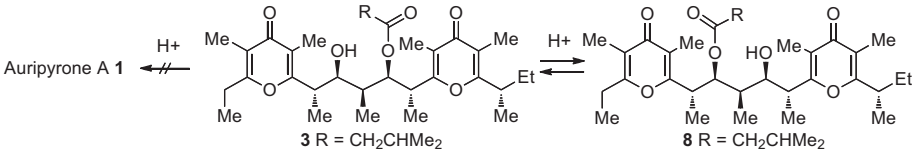
Tetrahedron Letters

journal homepage: www.elsevier.com/locate/tetlet

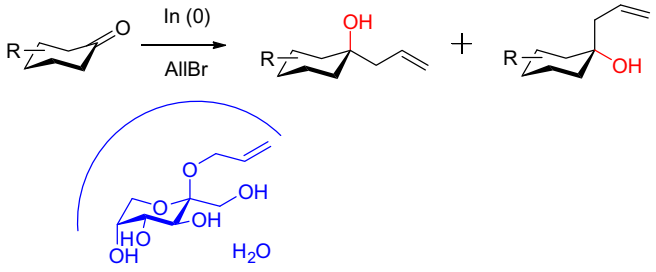
Tetrahedron Letters Vol. 51, No. 38, 2010

Contents

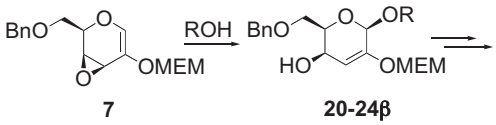
COMMUNICATIONS



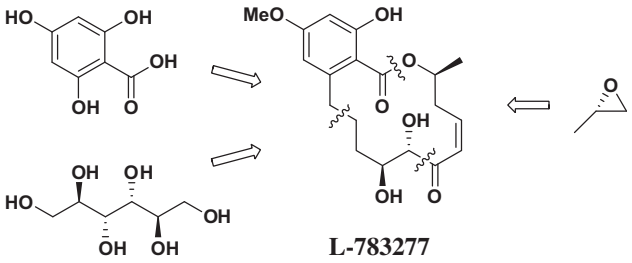
Treatment of the bis(pyrone) alcohol **3** with an acid did not give the desired cytotoxic product, auripyrone A, **1**, but rather an acyl transfer to give **8**. Model studies show this to be a general process and therefore such a cyclization is very difficult.

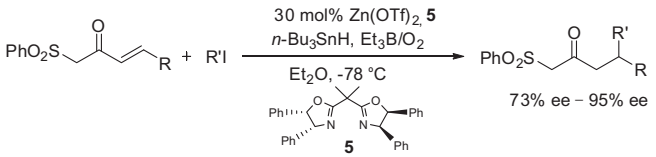


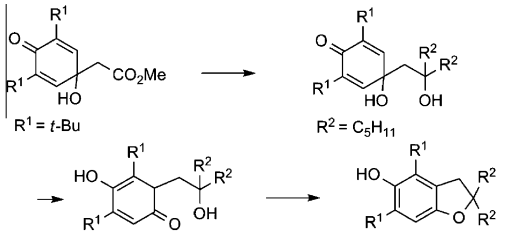
The indium-catalyzed allylation reaction was performed in good yields and short reaction times with various cyclohexanones in water. Aqueous facial amphiphilic carbohydrates solutions were also screened for their potency to modify the stereochemical outcome of the reaction.



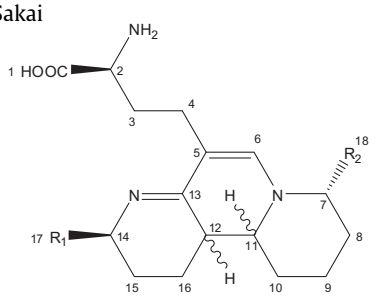
R = Me, Et, *i*-Pr, *t*-Bu, 6-(1,2:3,4-di-*O*-isopropylidene-α-D-galactopyranosyl). Glycosides **20–24β** are transformed into corresponding 3-deoxy-glycosides, 3-deoxy-β-hexopyranosid-2-uloses, and 3,4-dideoxy-β-hex-3-enopyranosid-2-uloses.





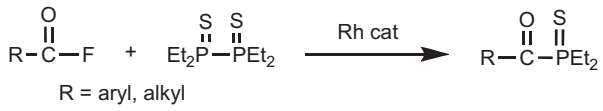


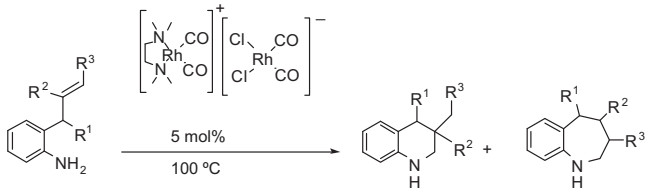
Efficient synthesis of a titled compound has been developed by the use of Grignard reagent with $MgBr_2$ followed by the base-promoted dienone-phenol rearrangement reaction.

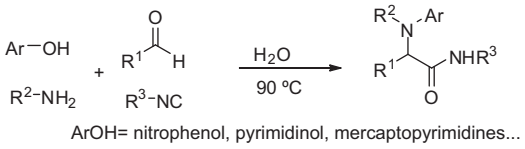


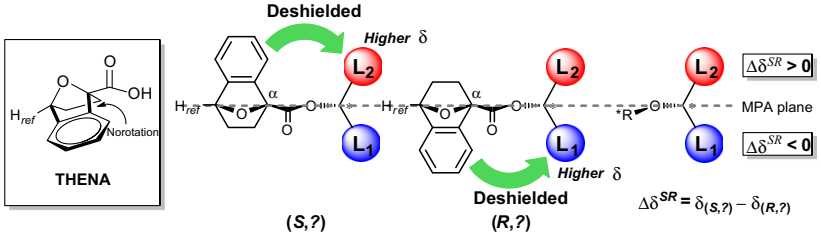
Three new nitrogen-containing heterocyclic alkaloids, oryzamutaic acids H–J (**1**–**3**), were isolated from the endosperm (polished rice) of an *Oryza sativa* mutant. The structures and relative stereochemistries of **1**–**3** were elucidated on the basis of spectroscopic analyses.

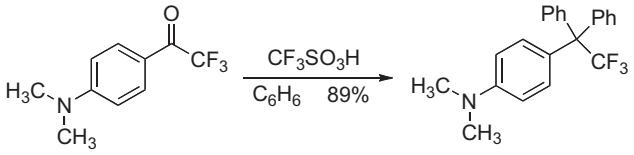
1 R_1=COOH, R_2=COOH, H-11, H-12
2 R_1=COOH, R_2=OH, H-11, H-12
3 R_1=H, R_2=COOH, H-11, H-12



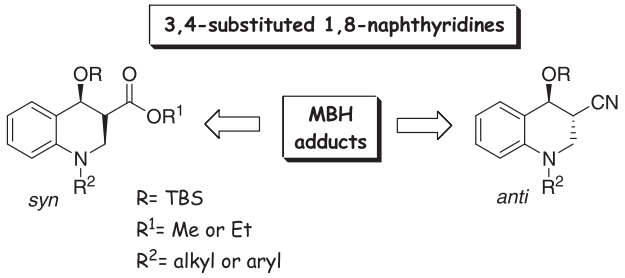




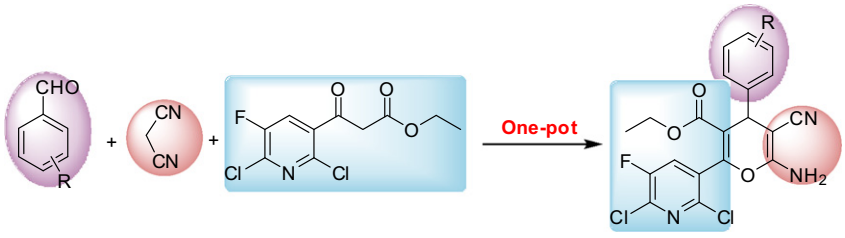


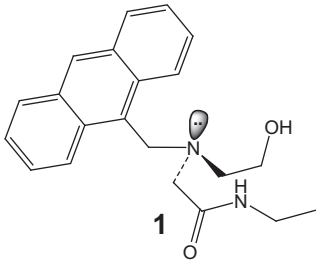


Synthetic and mechanistic studies related to condensations at trifluoromethyl ketones.

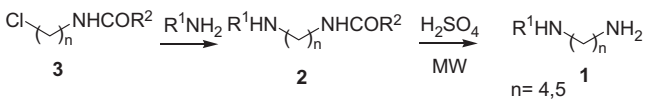


We described herein a facile and diastereoselective method to 3,4-substituted 1,8-naphthyridines from Morita–Baylis–Hillman adducts.

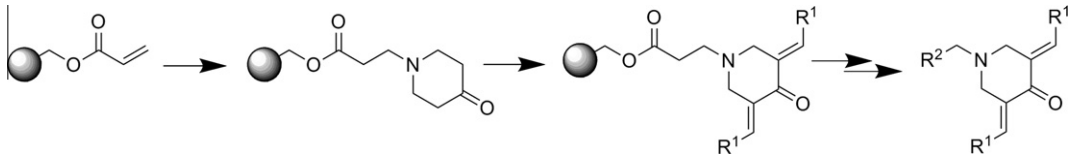


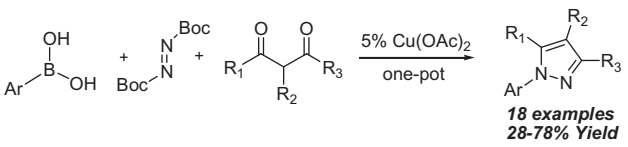


An easy-to-make simple tripodal shaped chemosensor **1**, comprising an anthracene moiety as a fluorophore and amide, alcohol functionalities as ligating groups has been designed and synthesized for Zn(II). In CH_3CN containing 0.1% DMSO, upon excitation at 370 nm, the chemosensor **1** exhibited an emission at 412 nm, which increased to a large extent upon complexation of Zn(II). Among the other metal ions examined in the study, Cd^{2+} moderately perturbed the emission of **1** under similar conditions.

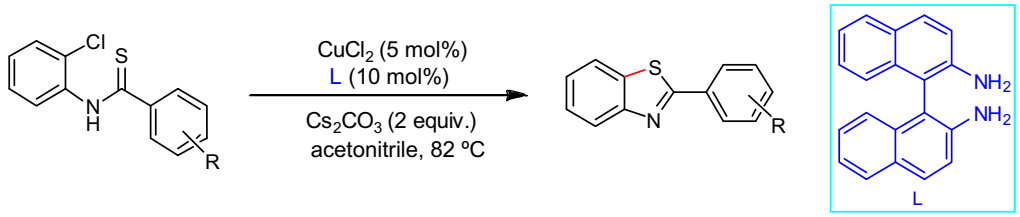


A new procedure for the synthesis of *N*-aryl tetra- and pentamethylenediamines **1** by acid hydrolysis of *N*-acyl-*N*′-arylalkylenediamines **2** under microwave irradiation is described.

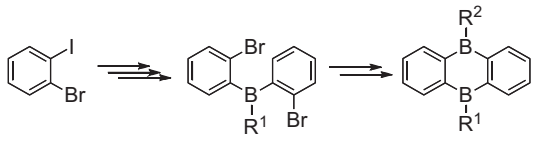


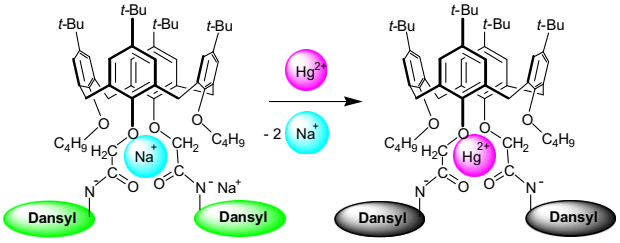


A variety of *N*-aryl pyrazoles difficult to access via conventional methods were formed in a one-pot copper-catalyzed boronic acid coupling and cyclization protocol.

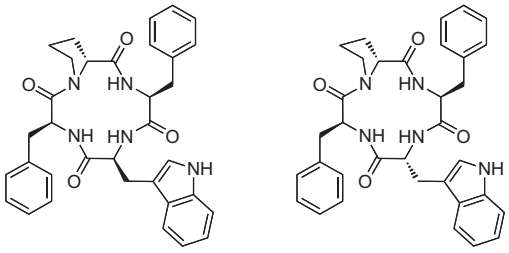


A wide range of 2-aryl or 2-alkyl-substituted benzothiazoles are synthesized through intramolecular $C_{(aryl)}$-*S* bond forming-cyclization using copper(II)–BINAM-catalyzed coupling of less reactive *N*-(2-chlorophenyl)benzo or alkylthioamide under mild reaction conditions (82 °C).

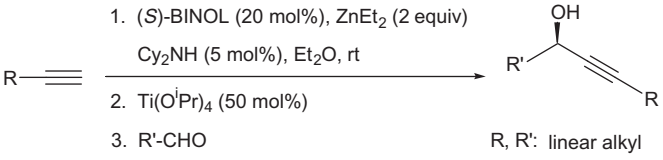


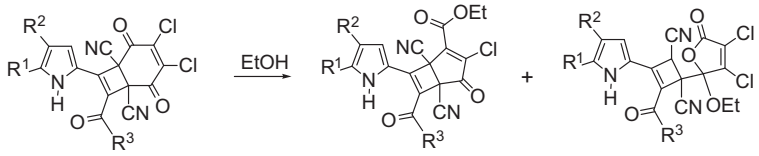


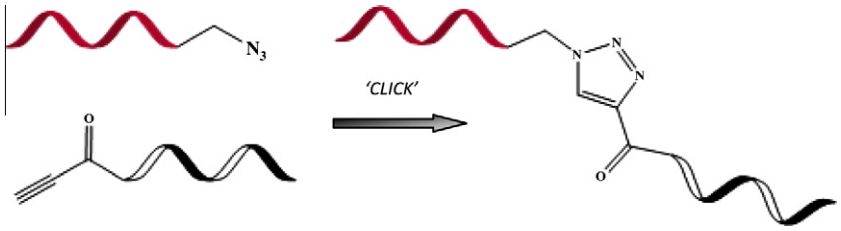
Preorganization of the dansyl-containing calix[4]arene in the *cone* conformation preferred by the flexible prototype in its Hg^{2+} complex yielded a novel fluoroionophore with improved sensorial characteristics towards this hazardous metal ion.



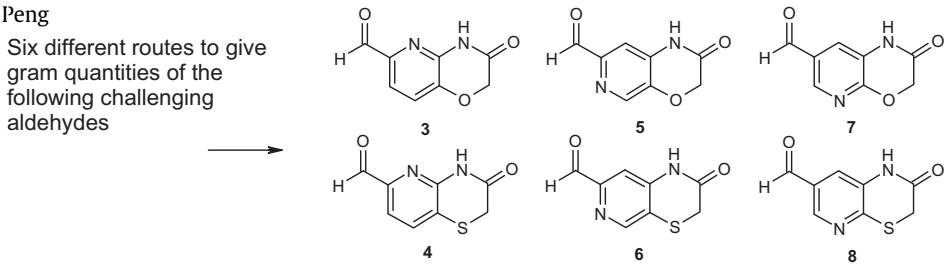
A strategy to select linear precursor peptides favoring cyclization was developed and cyclization conditions were optimized.



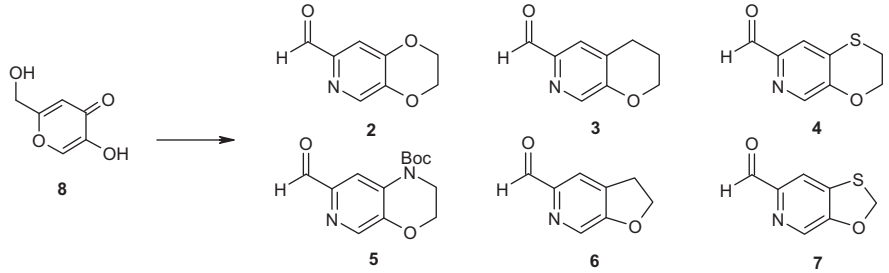




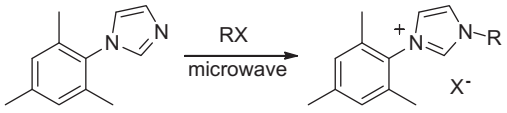
Tat peptide has been shown to have excellent cell-penetrating properties. Here, we provide the first report on the modification of Tat peptide and oligonucleotides to form an oligonucleotide–peptide conjugate using copper-catalysed azide–alkyne cycloaddition. Highly denaturing conditions were used to ensure that the biomolecules were tethered covalently as opposed to electrostatically.



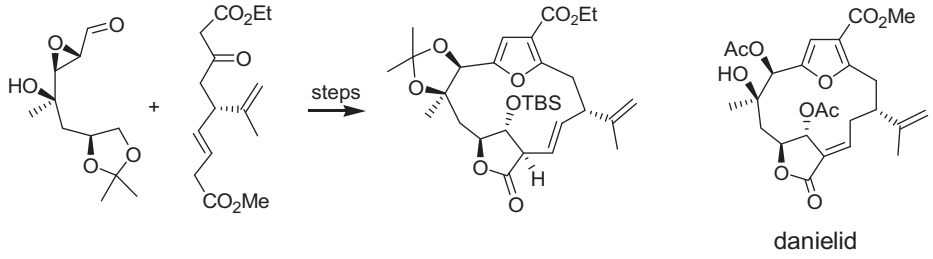
This Letter describes the synthesis of six challenging aldehydes that were unknown in the literature at the time of this work. Six different routes are discussed that are high yielding, contain no major purification issues and have been used to give gram quantities of each aldehyde.

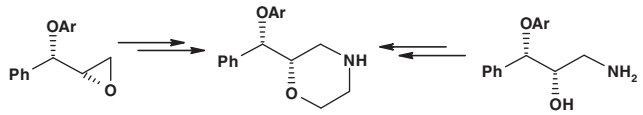


This Letter describes the synthetic routes to challenging pyridyl analogues of 2,3-dihydro-1,4-benzodioxin-6-carbaldehyde starting from kojic acid (**8**), and have been used to give gram quantities of each aldehyde.

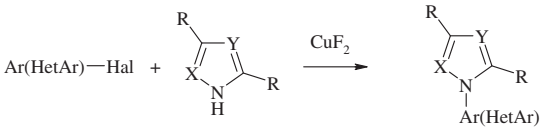


Microwave irradiation provides rapid and convenient access to unsymmetrical N′-substituted *N*-mesitylimidazolium salts, which are important precursors for NHC ligands.

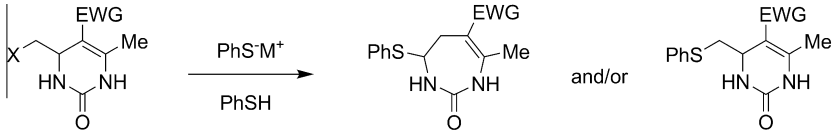




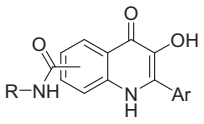
We report our results on the construction of a morpholine ring system from the corresponding epoxide and amino alcohol. From this study, we were able to convert a previous four-step synthesis into a more efficient two-step process.



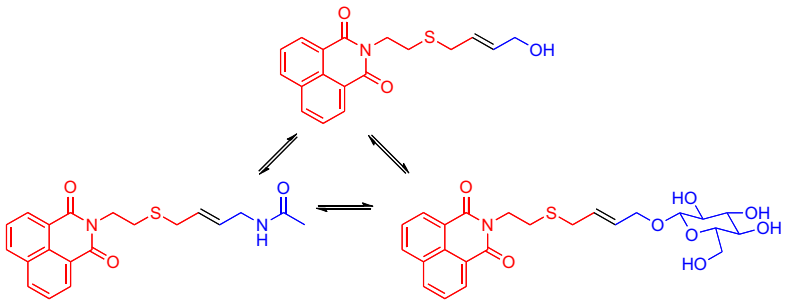
Copper(II) fluoride-mediated N-arylation of heterocycles with halothiophenes is described. The yield of the pyrazolylthiophene depends strongly on the nature of the initial thiophene.

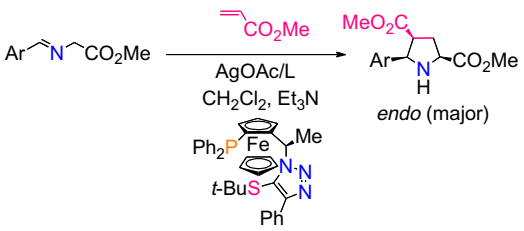


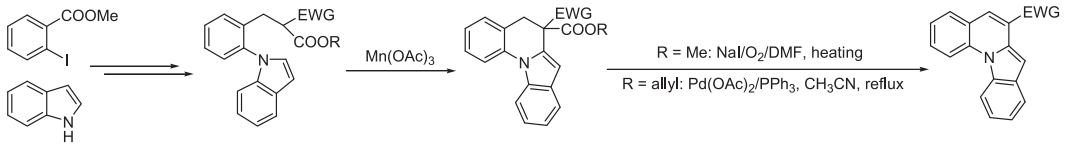
EWG = COOEt, Ts; X = Cl, OMs; $M^+ = Na^+, K^+$

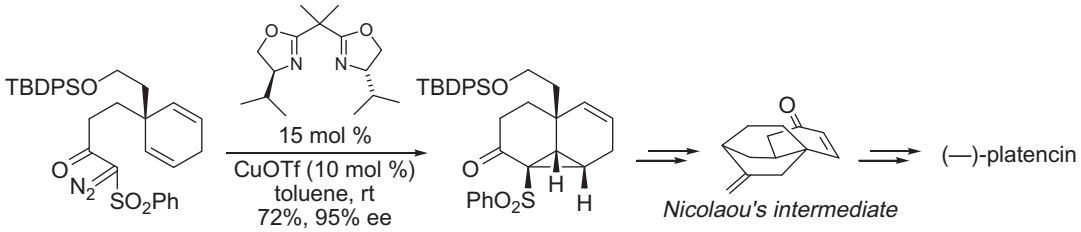


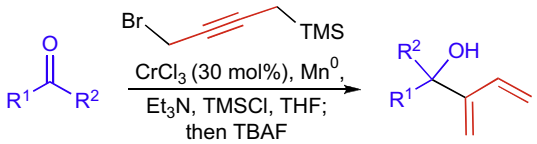
The fluorescence properties of 2-aryl-3-hydroxyquinolin-4(1*H*)-one-carboxamides (3HQCs) with carboxylic alkylamide groups at positions 6, 7 or 8 (3HQ6Cs, 3HQ7Cs, and 3HQ8Cs) have been studied to evaluate their potential as molecular probes.

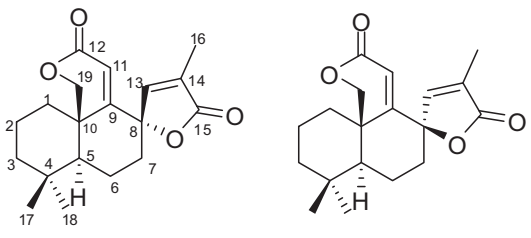




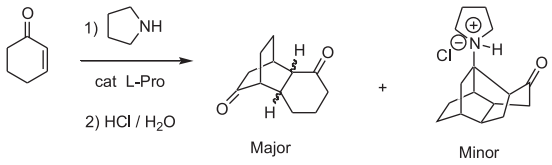




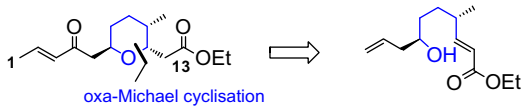




1 2



An organocatalytic homodimerization reaction is shown to proceed via a cascade mechanism involving enamine–iminium ion intermediates in competition with a concerted Diels–Alder pathway.



*Corresponding author
Supplementary data available via ScienceDirect

COVER

Naturally occurring L-783277 turned out to be a potent kinase inhibitor against MEK (MAP kinase kinase). An efficient and enantioselective total synthesis of L-783277 was successfully accomplished. Three key steps composed of olefin cross metathesis, addition of acetylene derivative to aldehyde and Yamaguchi macrolactonization were subsequently employed to construct the framework of L-783277.
Tetrahedron Letters **2010**, 51, 4942-4946.

Abstracted/indexed in: AGRICOLA, Beilstein, BIOSIS Previews, CAB Abstracts, Chemical Abstracts, Chemical Engineering and Biotechnology Abstracts, Current Biotechnology Abstracts, Current Contents: Life Sciences, Current Contents: Physical, Chemical and Earth Sciences, Current Contents Search, Derwent Drug File, Ei Compendex, EMBASE/Excerpta Medica, Medline, PASCAL, Research Alert, Science Citation Index, SciSearch. Also covered in the abstract and citation database SCOPUS®. Full text available on ScienceDirect®

ELSEVIER

Available online at www.sciencedirect.com
ScienceDirect

ISSN 0040-4039