Perkin 1 Abstracts: Solid Phase Organic Synthesis

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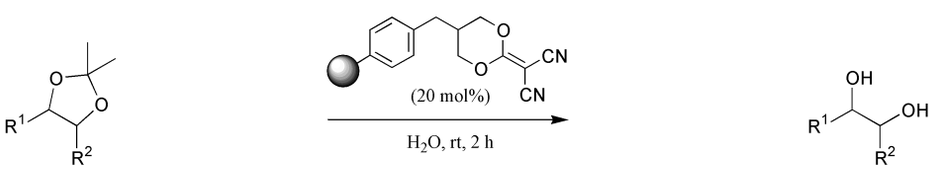
Perkin 1 Abstracts: Solid Phase Organic Synthesis are a selection of significant papers published in the recent literature covering the broad area of Solid Phase Organic Synthesis (SPOS). The abstracts cover preparation of single compounds on solid support as well as combinatorial libraries. Advances in new linker design are also covered.

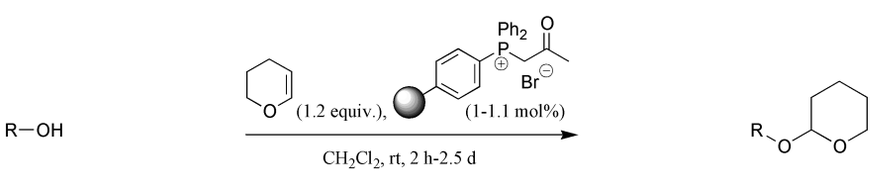
Dicyanoketene acetal catalysed acetal and silyl ether cleavage. ***Catalyst***



3 examples (yield 94-97%). Cleavage of ethylene acetals (4 examples, yield 34-93%), THP esters (4 examples, yield 34-65%) and silyl ethers (4 examples, yield trace-98%) and recycling experiments are also reported.

Y. Masaki, T. Yamada and N. Tanaka, *Synlett*, 2001, **8**, 1311.

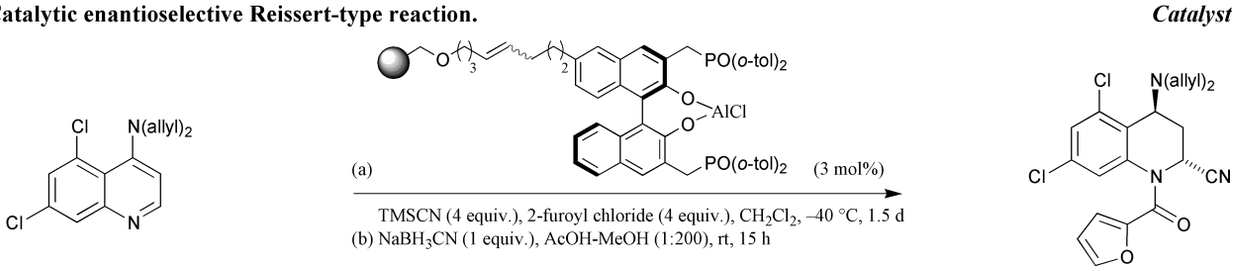
Protection and deprotection of alcohols as alkyl vinyl ethers using poly-*p*-styryldiphenylacetonylphosphonium bromide. ***Catalyst***



7 examples (yield 95-99%). Preparation of the illustrated catalyst (2 steps from a *p*-styryldiphenylphosphine monomer), its application to the preparation of tetrahydrofuran and 1-ethoxy ether protected alcohols (14 examples, yield 84-99%), and to deprotection yielding the starting alcohols (21 examples, yield 70-98%) are also reported.

Y.-S. Hon, C.-F. Lee, R.-J. Chen and P.-H. Szu, *Tetrahedron*, 2001, **57**, 5991.

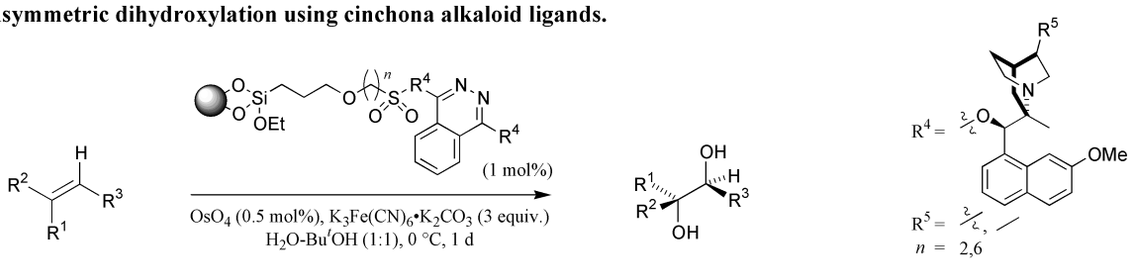
Catalytic enantioselective Reissert-type reaction. ***Catalyst***



1 example (yield 92%, %ee 86). Preparation (from Janda*Jel*™ resin) and recycling of the illustrated catalyst, solution-phase optimisation of the illustrated reaction and its application to the preparation of L-689,560, a drug candidate for Alzheimer's disease, are also reported.

M. Takamura, K. Funabashi, M. Kanai and M. Shibasaki, *J. Am. Chem. Soc.*, 2001, **123**, 6801.

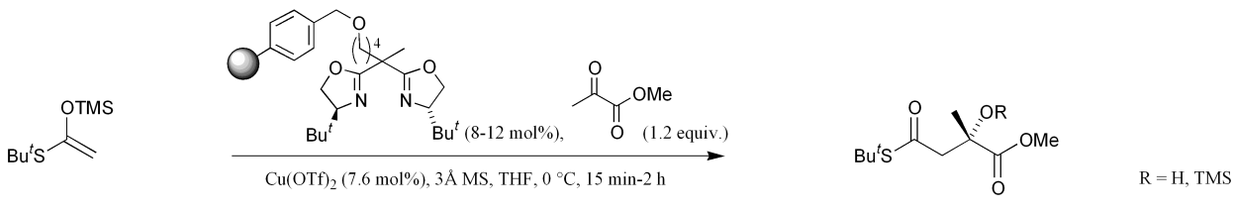
Asymmetric dihydroxylation using cinchona alkaloid ligands. ***Ligand***



14 examples (yield 75-99%, %ee 75-99). Preparation of the illustrated ligand (1 step from mesoporous SBA-15 silica), a similar monomeric alkaloid ligand and its application to asymmetric dihydroxylation (5 examples, %ee 57-97) are also reported.

H. M. Lee, S.-W. Kim, T. Hyeon and B. M. Kim, *Tetrahedron: Asymmetry*, 2001, **12**, 1537.

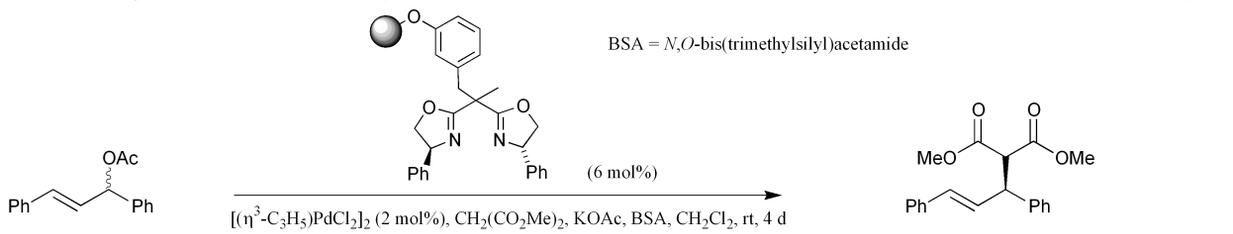
Bisoxazoline ligand for a copper catalysed enantioselective Mukaiyama aldol reaction. ***Ligand***



S. Orlandi, A. Mandoli, D. Pini and P. Salvadori, *Angew. Chem., Int. Ed.*, 2001, **40**, 2519.

3 examples (yield 90-97%, %ee 90-93). Preparation of the illustrated ligand (1 step from styrene monomer) and recycling experiments are also reported.

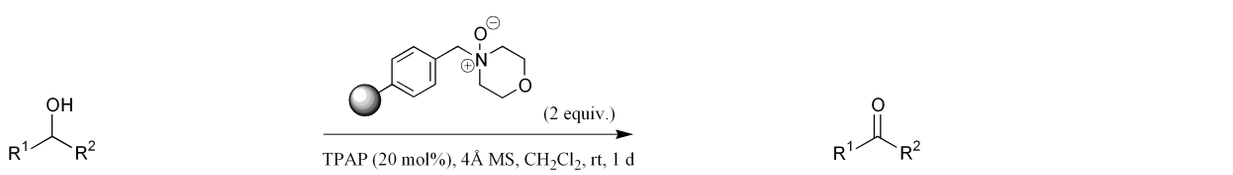
Bisoxazoline ligand for Pd and Zn catalysts. ***Ligand***



K. Hallman and C. Moberg, *Tetrahedron: Asymmetry*, 2001, **12**, 1475.

1 example (yield 28-70%, %ee 94-95). Preparation of the illustrated ligand (1 step from ArgoGel-Wang-Cl resin) and its use in a zinc-catalysed Diels–Alder reaction (1 example, racemic product) are also reported.

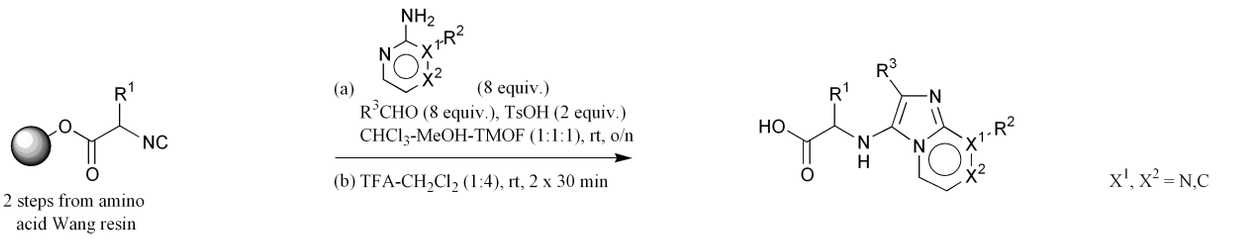
Polymer-supported *N*-methylmorpholine *N*-oxide as a co-oxidant in the TPAP oxidation of alcohols. ***Reagent***



D. S. Brown, W. J. Kerr, D. M. Lindsay, K. G. Pike and P. D. Ratcliffe, *Synlett*, 2001, **8**, 1257.

8 examples (yield 49-100%). Preparation of the illustrated reagent (1 step from morpholinomethyl PS resin), recycling experiments and investigations into the chemoselectivity of the oxidation are also reported.

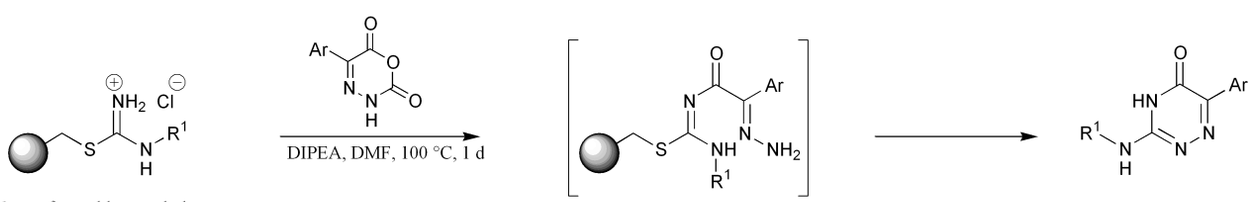
Imidazo[1,2-*a*] annulated heterocycles.



J. J. Chen, A. Golebiowski, S. R. Klopfenstein, J. McClenaghan, S. X. Peng, D. E. Portlock and L. West, *Synlett*, 2001, **8**, 1263.

10 examples (yield 25-96%, HPLC purity 74-95%). The use of alternative acid catalysts is also reported.

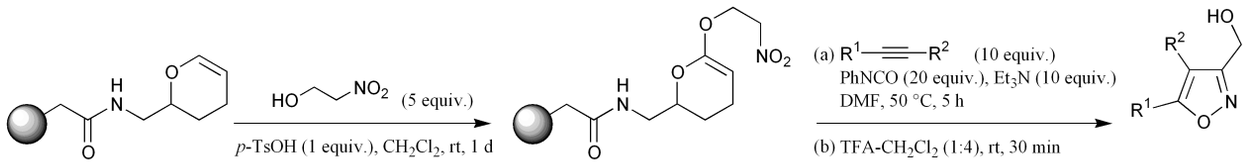
3-Amino-1,2,4-triazin-5(4*H*)-ones.



R.-Y. Yang and A. P. Kaplan, *Tetrahedron Lett.*, 2001, **42**, 4433.

13 examples (yield 50-95%, HPLC purity 48-95%).

3-Hydroxymethylisoxazoles from nitrile oxides.

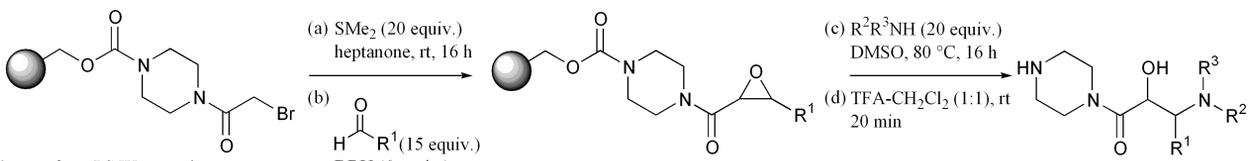


1 step from PS carboxylic acid resin

E. Cereda, A. Ezhaya, M. Quai and W. Barbaglia, *Tetrahedron Lett.,* 2001, **42**, 4951.

96 examples (sample yield 33-93%).

Amino alcohols *via* sulfonium-ion mediated Darzens reaction.

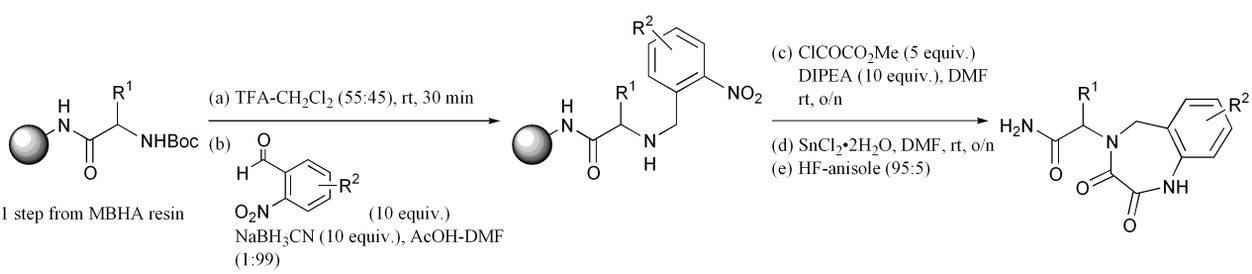


2 steps from PS Wang resin

B. Peschke, J. G. Bundgaard and J. Breinholt, *Tetrahedron Lett.,* 2001, **42**, 5127.

7 examples (ECS purity 0-77%).

4,5-Dihydro-1*H*-1,4-benzodiazepine-2,3-diones

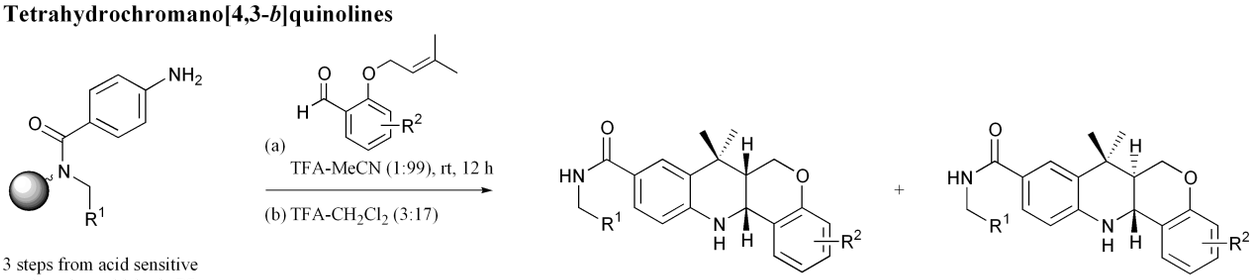


1 step from MBHA resin

A. Nefzi, N. A. Ong and R. A. Houghten, *Tetrahedron Lett.,* 2001, **42**, 5141.

41 examples (yield >80 %, HPLC purity 65-93%).

Tetrahydrochromano[4,3-*b*]quinolines

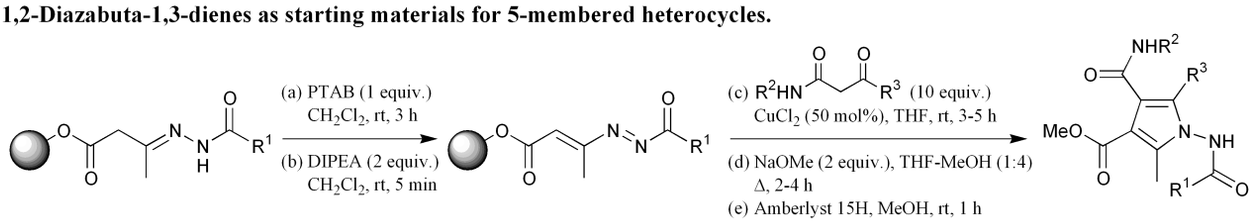


3 steps from acid sensitive methoxybenzaldehyde PS resin

D. Zhang and A. S. Kiselyov, *Synlett,* 2001, **7**, 1173.

9 examples (yield 61-81%, LCMS purity 91-96%). Catalysis of the illustrated reaction with $Yb(OTf)_3$ is found to give similar results.

1,2-Diazabuta-1,3-dienes as starting materials for 5-membered heterocycles.

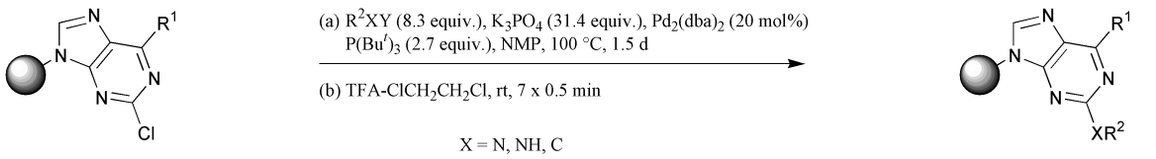


3 steps from Wang resin PTAB = phenyltrimethylammonium tribromide

O. A. Attanasi, L. De Crescentini, P. Filippone, F. Mantellini and L. F. Tietze, *Tetrahedron*, 2001, **57**, 5855.

12 examples (yield 17-64%). Use of Wang and Merrifield supported 1,2-diazabuta-1,3-dienes in the preparation of 4-triphenylphosphoranylidene-4,5-dihydropyrazol-5-ones (10 examples, yield 12-42%); 4-methoxy-1*H*-pyrazol-5(2*H*)-ones (3 examples, yield 9-42%) and 2-thiazolin-4-ones (3 examples, yield 11-42%) is also reported.

2- and 6-Substitution reactions of purines.

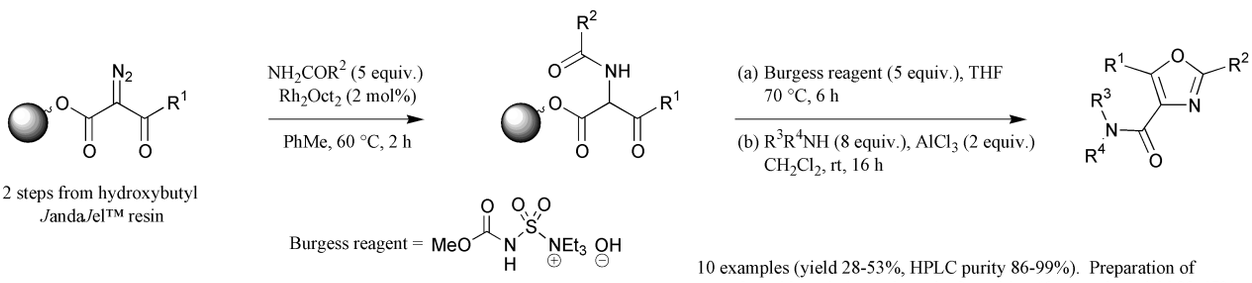


from Rink resin

5 examples (yield 66-97%). Optimisation of the illustrated procedure and a procedure for the substitution of the C6 chloride in 2,6-dichloropurines (4 examples, yield 95-100%) are also reported.

W. K.-D. Brill, C. Riva-Toniolo and S. Müller, *Synlett*, 2001, **7**, 1097.

Oxazoles *via* a Rh-catalysed N-H insertion reaction.

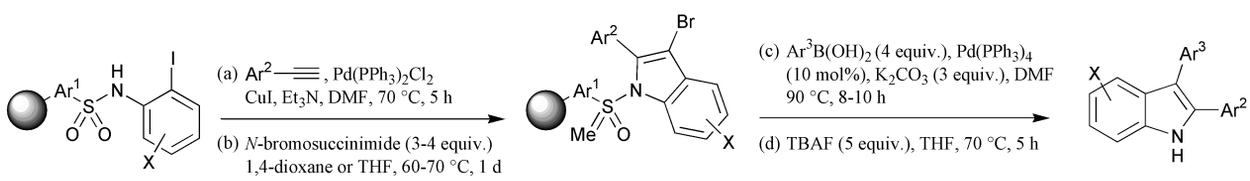


2 steps from hydroxybutyl Janda*Jel*™ resin

10 examples (yield 28-53%, HPLC purity 86-99%). Preparation of oxazoles with variation only at the 2-position (6 examples, yield 22-47%, HPLC purity 78-98%) is also reported.

B. Clapham, C. Spanka and K. D. Janda, *Org. Lett.*, 2001, **3**, 2173.

3-Substituted 2-arylindoles.

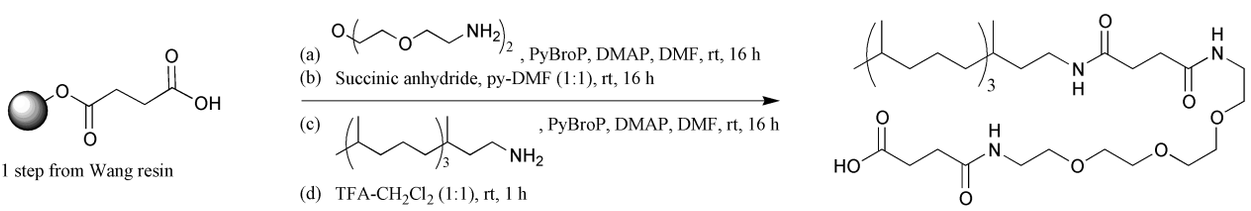


Resin not specified

12 examples (yield 85-99%, HPLC purity 82-99%). Preparation of 2-aryl-3-alkylindoles (5 examples, yield 65-96%, sample HPLC purity 89-98%) and symmetrical 2,3-dialkylindoles (2 examples, yield 81, 84%, HPLC purity 86,90%) *via* a similar route are also reported.

H. -C. Zhang, H. Ye, K. B. White and B. E. Maryanoff, *Tetrahedron Lett.*, 2001, **42**, 4751.

Components for tethered bilayer membranes.

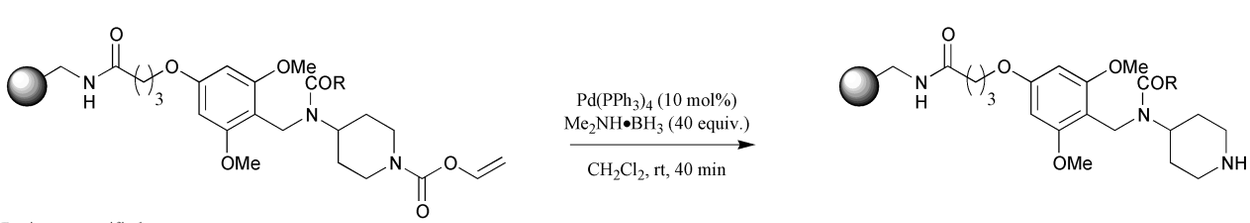


1 step from Wang resin

1 example (yield 81%). The product (also prepared in solution-phase, yield 13%) is an intermediate in the preparation of tethered bilayer membranes.

A. Bendavid, C. J. Burns, L. D. Field, K. Hashimoto, D. D. Ridley, K. R. A. S. Sandanayake and L. Wieczorek, *J. Org. Chem.*, 2001, **66**, 3709.

Alloc removal from secondary amines.



Resin not specified

1 example (yield 88%). Optimisation of the deprotection step and further derivatisation of the deprotected amine are also reported.

D. Fernández-Forner, G. Casalas, E. Navarro, H. Ryder and F. Albericio, *Tetrahedron Lett.*, 2001, **42**, 4471.