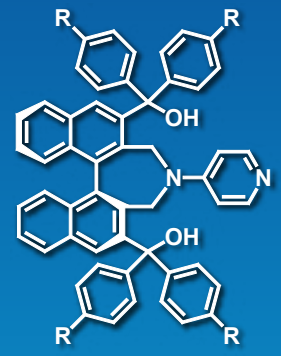
CHEMISTRY

DMAP-type Chiral Nucleophilic Catalysts for Enantioselective Acylation



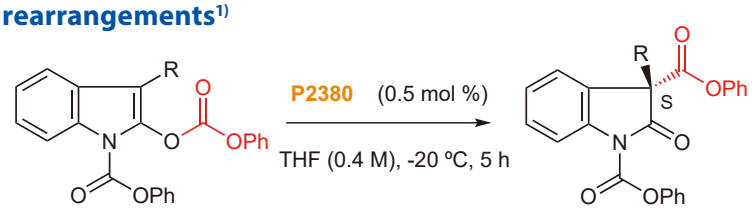
R=H [P2617]
R=C(CH$_3$)$_3$ [P2380]

Advantages

- Chiral 4-dimethylaminopyridine (DMAP)-structured organocatalysts derived from axial chirality of binaphthyl
- Catalyze versatile asymmetric acylation reactions
- High catalytic activity, chemoselectivity and enantioselectivity

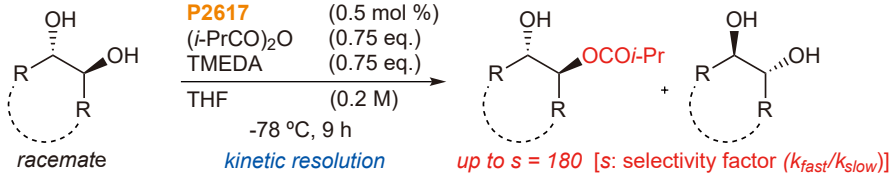
Applications

Steglich rearrangements[1)]

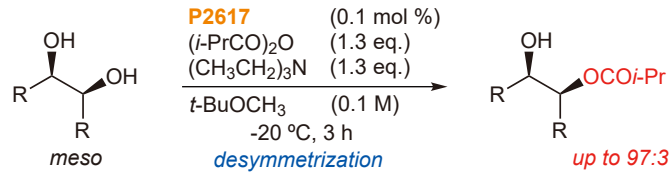


R	Yield %	er
CH_3	>98	98:2
Bn	>98	96:4
$CH_2CH_2NHCO_2CH_3$	>98	97:3
CH_2CH_2OTBS	>98	92:8

Kinetic resolution of D,L-1,2-diols[3)]



Desymmetrization of *meso*-1,2-diols[4)]



Reference
1) H. Mandai, K. Fujii, H. Yasuhara, K. Abe, K. Mitsudo, T. Korenaga, S. Suga, *Nat. Commun.* **2016**, *7*, 11297.
2) K. Fujii, K. Mitsudo, H. Mandai, S. Suga, *Bull. Chem. Soc. Jpn.* **2016**, *89*, 1081.
3) K. Fujii, K. Mitsudo, H. Mandai, S. Suga, *Adv. Synth. Catal.* **2017**, *359*, 2778.
4) H. Mandai, H. Yasuhara, K. Fujii, Y. Shimomura, K. Mitsudo, S. Suga, *J. Org. Chem.* **2017**, *82*, 6846.

(*S*)-[4-(Pyridin-4-yl)-4,5-dihydro-3*H*-dinaphtho[2,1-*c*:1',2'-*e*]azepine-2,6-diyl]bis(diphenylmethanol) 50mg [P2617]

(*S*)-[4-(Pyridin-4-yl)-4,5-dihydro-3*H*-dinaphtho[2,1-*c*:1',2'-*e*]azepine-2,6-diyl]bis[bis[4-(*tert*-butyl)phenyl]methanol] 50mg [P2380]

These materials were produced by collaboration with Prof. Seiji Suga and Assist. Prof. Hiroki Mandai, Okayama University.

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Introduction of the Researcher

Suga Lab

Division of Applied Chemistry, Graduate School of Natural Science and Technology, Okayama University

Research Description

The Suga research group focuses on the development of new synthetic methods in the field of organic electrochemistry, organic functional materials, and organocatalysts. The chiral nucleophilic catalyst listed in this leaflet was developed by the Suga group based on their own innovative design concept. The catalysts exhibit extremely high catalytic activity and high enantioselectivity in various enantioselective acyl transfer reactions and have performance far superior to existing chiral nucleophilic catalysts.

The asymmetric organocatalysts (**P2617** and **P2380**) are chiral DMAP derivatives, developed by the Suga group. They show extremely high catalytic activity (0.1-0.5 mol % catalyst) and enantioselectivity in chiral acylation reactions, such as Steglich rearrangements[1], the kinetic resolution of secondary carbinols[2] and D,L-1,2-diols[3], and the desymmetrization of *meso*-1,2-diols.[4]

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