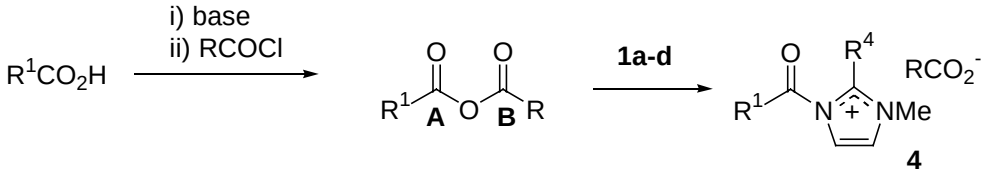
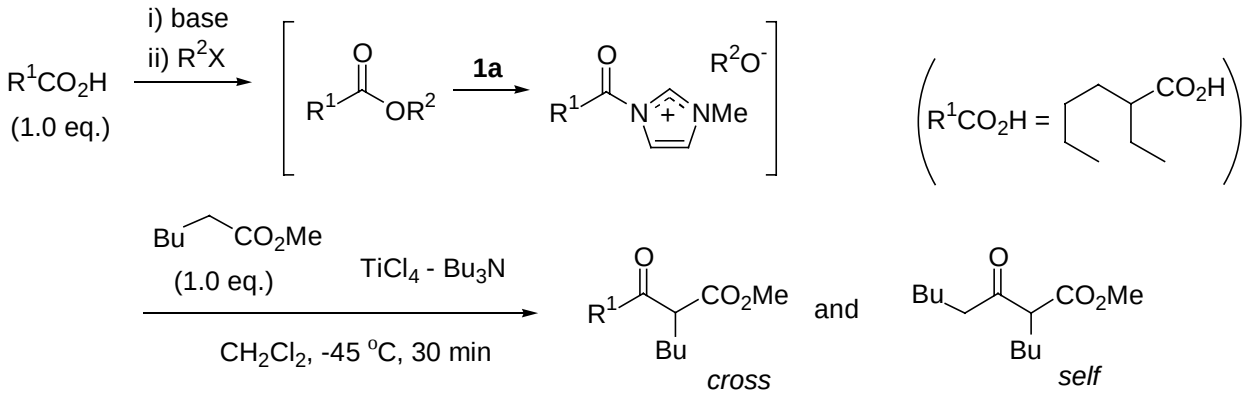
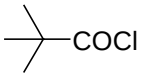


We planned to choose an appropriate anhydride that possesses both sufficient reactivity and stability. To enhance the reactivity of the carbonyl position **A** (R^1C=O), the counter carbonyl position **B** (RC=O) should have an electron withdrawing group. In addition, the R group should be sterically bulky to block undesirable attack by *N*-methylimidazoles **1a-d**. Thus, screening was performed as shown in the following table. First, TsCl was examined, because this reagent is reported to be a good promoter of the esterification and amide formation (entry 1).[11] This trial, however, was disappointing. Next, we turned our attention to a few acyl-activating reagent, and determined that the use of $Cl_3CC(=O)Cl$ and NaH was the most effective (entry 5).



entry	R^2X	base	yield (%)[a]	*cross* / *self*[b]
1	TsCl	Et_3N	trace[c]	ca. 1 / 1
2	$(CF_3CO)_2O$	Et_3N	trace	ca. 1 / 1
3		Et_3N	0	–
4	CCl_3COCl	Et_3N	73	91 / 9
5	CCl_3COCl	NaH	76	95 / 5

[a] Isolated. [b] Determined by ^1H NMR of the crude product. [c] $(R^1CO)_2O$ was formed in 38% yield.

References

1) Still, W. C.; Kahn, M.; Mitra, A. J. *J. Org. Chem.* **1978**, *43*, 2923.

2) (a) Lissel, M. *Ann. Chim.* **1987**, 77. (b) Pernak, J.; Krysinski, J.; Skrzypczak, A.; Michalak, L. *Archiv der Pharmazie (Weinheim, Germany)* **1988**, *321*, 193.

3) (a) Royals, E. E.; Hoppe, J. C.; Jordan, A. D., Jr.; Robinson, A. G., III *J. Am. Chem. Soc.* **1951**, *73*, 5857. (b) Sato, T.; Nishio, M.; Otera, J. *Synlett* **1995**, 965.

4) (a) Anderson, G. W.; Halverstadt, I. F.; Miller, W. H.; Roblin, R. O., Jr. *J. Am. Chem. Soc*. **1945**, *67*, 2197. (b) Balaji, B. S.; Chanda, B. M. *Tetrahedron* **1998**, *54*, 13237.

5) Cooke, M. P., Jr. *J. Org. Chem.* **1982**, *47*, 4963.

6) (a) Fujisawa, T.; Sato, T.; Kawara, T.; Naruse, K. *Chem. Lett.* **1980**, 1123. (b) Sato, T.; Kawara, T.; Sakata, K.; Fujisawa, T. *Bull. Chem. Soc. Jpn.* **1981**, *54*, 505.

7) (a) Crombie, L.; Harper, S. H. *J. Chem. Soc.* **1952**, 869. (b) Zefirov, N. S.; Kostetskii, P. V.; Yur'ev, Y. K. *Zh. Obshch. Khim.* **1964**, *34*, 1069. (c) Buchi, G.; Wuest, R. *J. Org. Chem.* **1966**, *31*, 977. (d) Crombie, L.; Hemesley, P.; Pattenden, G. *J. Chem. Soc., (C)* **1969**, 1024. (e) Ito, G.; Matsui, K.; Tsuchiya, K.; Matsuoka, H. Jpn. Patent 25885, 1970; *Chem. Abstr.* **1970**, *73*, 120492r. (f) Ito, G.; Matsui, K.; Tsuchiya, K.; Matsuoka, H. Jpn. Patent 25886, 1970; *Chem. Abstr*. **1970**, *73*, 120493s. (g) McMurry, J. E.; Glass, T. E. *Tetrahedron Lett.* **1971**, 2575. (h) Bulat, J. A.; Liu, H. J. *Can. J. Chem.* **1976**, *54*, 3869. (i) Nokami, J.; Yamamoto, T.; Kawada, M.; Izumi, M.; Ochi, N.; Okawara, R. *Tetrahedron Lett.* **1979**, 1047. (j) Hirano, S.; Takagi, S.; Hiyama, T.; Nozaki, H. *Bull. Chem. Soc. Jpn*. **1980**, *53*, 169. (k) Reddy, R. T.; Nayak, U. R. *Synth. Commun.* **1986**, *16*, 713. (l) Welch, S. C.; Assercq, J.-M.; Loh, J.-P.; Glase, S. A. *J. Org. Chem.* **1987**, *52*, 1440. (m) Shono, T.; Kashimura, S.; Yamaguchi, Y.; Kuwata, F. *Tetrahedron Lett.* **1987**, *28*, 4411. (n) Billington, D. C.; Bladon, P.; Helps, I. M.; Pauson, P. L.; Thomson, W.; William, D. *J. Chem. Res., (Syn.)* **1988**, 326. (o) Fiandanese, V.; Marchese, G.; Naso, F. *Tetrahedron Lett.* **1988**, *29*, 3587. (p) Mathew, J.; Alink, B. *J. Chem. Soc., Chem. Commun.* **1990**, 684. (q) Bergman, R.; Nilsson, B.; Wickberg, B. *Tetrahedron Lett.* **1990**, *31*, 2783. (r) Mathew, J. *J. Org. Chem.* **1990**, *55*, 5294. (s) Lis, L. G.; Zheldakova, T. A.; Pap, A. A.; Lakhvich, F. A. *Zh. Org. Khim.* **1990**, *26*, 1678. (t) Sarkar, A. K. *J. Chem. Res., (Syn.)* **1992**, 418. (u) Padwa, A.; Ishida, M.; Muller, C. L.; Murphree, S. S. *J. Org. Chem.* **1992**, *57*, 1170. (v) Tsukasa, H. *Biosci. Biotech. Biochem*. **1994**, *58*, 1181. (w) Islam, S. Md.; Kawano, T.; Hatanaka, M.; Ueda, I. *Tetrahedron Lett.* **1996**, *37*, 5735. (x) Trost, B. M.; Pinkerton, A. B. *J. Org. Chem.* **2001**, *66*, 7714.

8) Kamat, V. P.; Hasegawa, H.; Katsumi, T.; Hoshi, T.; Suzuki, T.; Ando, M. *Tetrahedron* **2000**, *56*, 4397.

9) (a) Mamdapur, V. R.; Pal, P. P.; Chakravarti, K. K.; Nayak, U. G.; Bhattacharyya, S. C. *Tetrahedron* **1964**, *20*, 2601. (b) Branca, Q.; Fischli, A. *Helv. Chem. Acta* **1977**, *60*, 925. (c) Abad, A.; Arno, M.; Pardo, A.; Pedro. J. R.; Seoane, E. *Chem. Ind.* **1985**, 29. (d) Nelson, K. A.; Mash, E. A. *J. Org. Chem*. **1986**, *51*, 2721. (e) Nozawa, H.; Nohira, H. *J. Org. Chem.* **1987**, *52*, 1630. (f) Xie, Z.-F.; Suemune, H.; Sakai, K. *J. Chem. Soc., Chem. Commun*. **1988**, 1638. (g) Xie, Z.-F.; Sakai, K. *J. Org. Chem.* **1990**, *55*, 820. (h) Tanaka, K.; Ushino, H.; Kawabata, Y.; Suzuki, H. *J. Chem. Soc., Perkin Trans. 1* **1991**, 1445. (i) Dowd, P.; Choi, S. C. *Tetrahedron* **1992**, *48*, 4773. (j) Tanaka, K.; Matsui, J.; Somemiya, K. *Synlett*. **1994**, 351. (k) Xie, B. H.; Lu, S. J.; Fu, H. X.; Gao, L. *Chin. Chem. Lett.* **1997**, *8*, 477. (l) Alexakis, A.; Benhaim, C.; Fournioux, X.; van der Heuvel, A.; Leveque, J.-M.; March, S.; Rosset, S. *Synlett* **1999**, 1811. (m) Louie, J.; Bielawski, C. W.; Grubbs, R. H. *J. Am. Chem. Soc.* **2001**, *123*, 11312. (n) Fujimoto, S.; Yoshikawa, K.; Itoh, M.; Kitahara, T. *Biosci. Biotech. Biochem*. **2002**, *66*, 1389. (o) Fraser, P. K.; Woodward, S. *Chem. Eur. J.* **2003**, *9*, 776. For recent reviews; (p) Tanaka, K.; Suzuki, H. *Rev. Heteroatom Chem.* **1992**, *7*, 149. (q) Williams, A. S. *Synthesis* **1999**, 1707. (r) Kraft, P.; Bajgrowicz, J. A.; Denis, C.; Fráter, G. *Angew. Chem. Int. Ed.* **2000**, *39*, 2980.

10) (a) Scholl, M.; Ding, S.; Lee C. W.; Grubbs, R. H. *Org. Lett.* **1999**, *1*, 953. (b) Bielawski, C. W.; Grubbs, R. H. *Angew. Chem., Int. Ed.* **2000**, *34*, 1234.

11) Wakasugi, K.; Iida, A.; Misaki, T.; Nishii, Y.; Tanabe, Y. *Adv. Synth. Catal.* **2003**, *345*, 1209.