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ARTICLES

Streamlined synthesis of α-keto-, α-hydroxy- and α,α-difluoro-phosphonates from amides via electrophilic activation with trifluoromethanesulfonic anhydride

Mu-Han Liu, Wei-Ting Sun, An-Qi Yang, Zhi Wang, Zong Chang, Ai-E Wang* & Pei-Qiang Huang*

Department of Chemistry and Fujian Provincial Key Laboratory of Chemical Biology, College of Chemistry and Chemical Engineering, Xiamen University, Xiamen 361005, China
*Corresponding authors (email: aiewang@xmu.edu.cn; pqhuang@xmu.edu.cn)

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Abstract Development of efficient and versatile methods for functional group transformations is one major objective in modern organic synthetic chemistry. α-Ketophosphonates are a class of bifunctional compounds playing a prominent role in organic and medicinal chemistry. However, their broader applicability has been hampered by lacking of direct and convenient synthetic methods from stable and abundant starting materials. Direct transformation of readily available and robust amides into labile α-ketophosphonates is thus highly desirable but remains a formidable challenge, as such a reaction is both kinetically and thermodynamically unfavorable, and there exists a chemoselective issue for the known bisphosphonylation. Herein, we report unprecedented versatile synthesis of α-ketophosphonates, α-hydroxyphosphonates and α,α-difluorophosphonates from either secondary or tertiary amides. The reactions are enabled by *in situ* electrophilic activation with trifluoromethanesulfonic anhydride (Tf_2O). The method features high efficiency, good chemoselectivity, wide substrate scope, excellent functional group tolerance, and easy scalability. The practicality of this methodology is highlighted by the late-stage functionalization of drug molecules and concise formal synthesis of an FBPase inhibitor.

Keywords amide activation, α-functionalized phosphonates, trifluoromethanesulfonic anhydride, versatile transformation

1 Introduction

Functional group transformations are the cornerstone of organic synthesis [1]. The development of direct activations of robust chemical bonds and straightforward transformations of stable and abundant starting materials is attracting particular interest in modern synthetic organic chemistry [2–5].

α-Functionalized phosphonates are an important class of organophosphonates. This class of bifunctional compounds has shown important biological activities and found multifaceted applications in biology, pharmaceutical, and agrochemistry (Figure 1A) [6–10]. Among them, α-ketophosphonates are of particular interest. Apart from their intriguing bioactivites [7,11,12], α-ketophosphonates are fascinating as well as versatile molecules in organic synthesis, which can undergo a diverse range of valuable transformations [13,14] and serve as useful precursors for the preparation of other types of α-functionalized phosphonates like α-hydroxyphosphonates [15,16], α,α-difluorophosphonates [8,17], α-iminophosphonates [18,19] , and α-aminophosphonates (Figure 1B) [9,18].

In addition, as the C–P bond in α-ketophosphonates is highly reactive and readily cleaved [20,21], α-ketophosphonates can be used as efficient radical acylating agents [22,23], but are also susceptible to hydrolysis and difficult to handle.

The most general and widely used method to prepare α-ketophosphonates is Michaelis-Arbuzov reaction between acid chlorides and trialkylphosphites [20,21,24]. The method works well for simple acid chlorides, but suffers from drawbacks including low functional group tolerance (e.g., multiple addition products were often observed for α,β-unsaturated acyl chlorides) [24], high reactivity/toxicity of acid chloride and their limited availability, associated with problems in synthesis of acid chlorides (e.g. use of large excess of $SOCl_2$ or $POCl_3$ as solvent). An alternative method for the synthesis of α-ketophosphonates is oxidation of α-hydroxy phosphonates, which are prepared by the addition of dialkyl phosphites to aldehydes [25]. Apart from additional steps required for prefunctionalization, the potential disadvantages associated with this approach include inherent lability of starting aldehydes, use of high molar ratio of metal oxidants (e.g., MnO_2, CrO_3 and their mineral salts)/substrate, coupled with inorganic waste and serious concern in the context of environmental compatibility (Figure 1C).

Therefore, the development of a straightforward and environmentally benign method that enables direct synthesis of these molecules from readily accessible stable compounds, with broad applicability and functional group tolerance, would be appealing and in high demand from both academic and industrial perspectives.

Amide is one of the most ubiquitous structural units existing in both natural and synthetic compounds such as peptides, proteins, pharmaceuticals, agrochemicals and functional materials [26]. On the other hand, amides are well-known for their high chemical stability due to the high resonance stability of N–C(O) bond (15–20 kcal/mol), which renders them ideal functional modulars compatible with conventional reaction conditions in multistep synthesis. These benign features make amides privileged starting materials in developing new methodologies [27]. However, the late-stage diversification and further applications have been hampered by their low electrophilicity. In the past two decades, significant breakthroughs have been achieved for the direct transformation of

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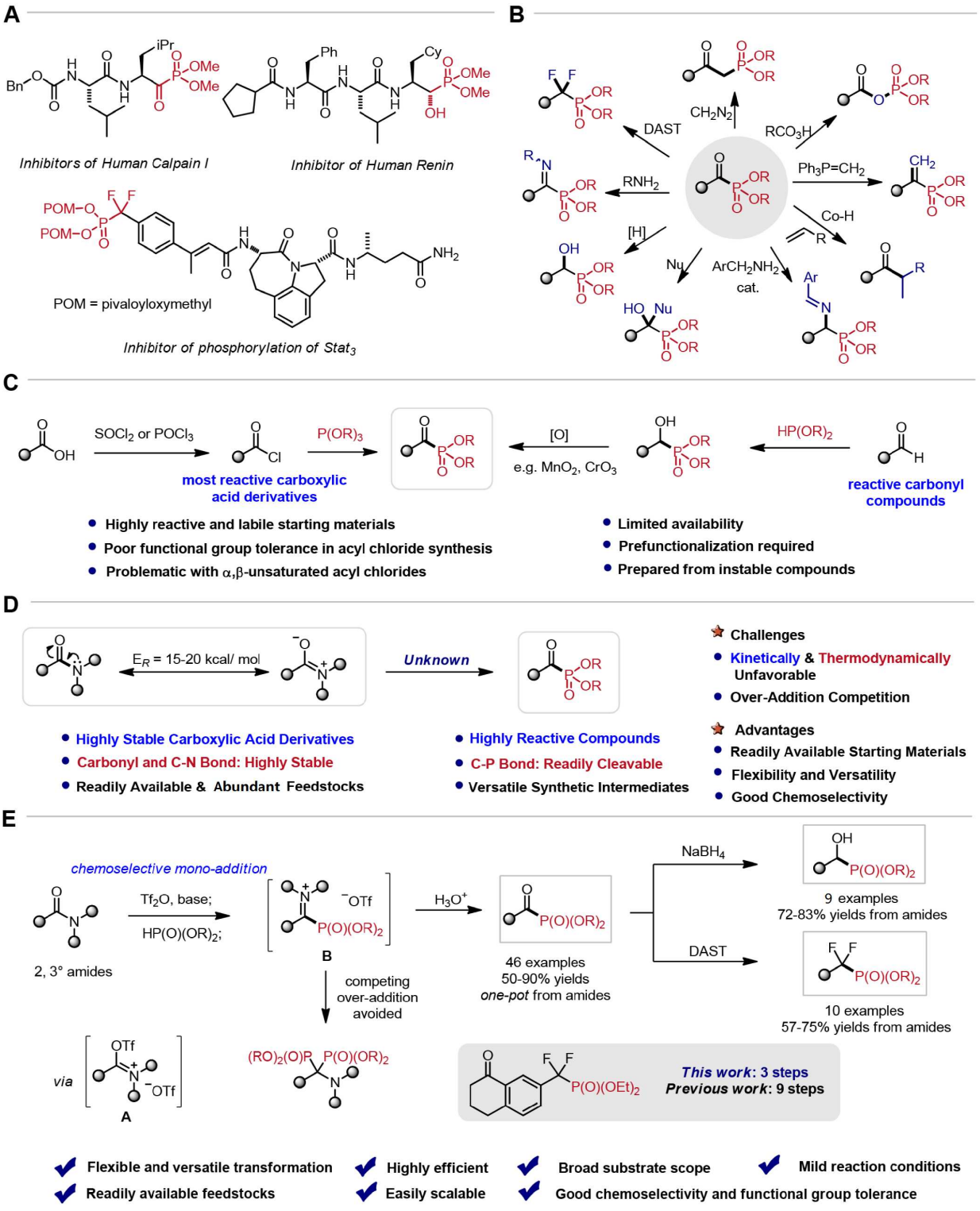


Figure 1 (Color online) Background and reaction design. (A) Representative biologically active α-functionalized phosphonates. (B) α-Ketophosphonates as versatile synthetic intermediates. (C) General methods toward α-Ketophosphonates. (D) Challenges in the transformation of amides into α-ketophosphonates. (E) This work: direct & versatile transformation of amides to α-ketophosphonates, α-hydroxyphosphonates and α,α-difluorophosphonates via Tf_2O activation.

amides [28–34], by using strategies including electrophilic activation [18,35–51], metal hydride reduction [52,53], catalytic hydrosilylation [54–66], electron-transfer induced activation [67,68], and others [69]. Very recently, Deng *et al.* [18,51] reported a one-pot synthesis of quaternary α-aminophosphonates and chiral α-aminophosphonates from secondary amides, by combining electrophilic amide activation with imine umpolung reactions. Despite these notable advances, the direct transformation of amides into labile compounds remains elusive.

Thanks to the early pioneering work of Ghosez *et al.* [35], and, more recently, Charette *et al.* [36–39], Movassaghi *et al.* [40,41], Maulide *et al.* [42–45], Huang *et al.* [46–48], and others [49,50], the highly electrophilic trifluoromethanesulfonic anhydride (Tf_2O) has proven to be an indispensable and powerful synthetic tool for amide activation [70]. Different from other strategies for amide activation, such as catalytic hydrosilylation [31], the oxidation state

is not reduced throughout the electrophilic activation with Tf_2O, which makes Tf_2O an unique amide activation reagent that has enabled a wide range of valuable transformations, such as Ghosez' cyclobutanone synthesis [35], chemoselective amide reduction [37,38], reductive alkylation/bisalkylation of amides [46,47]. In particular, Maulide and coworkers [42–45] have extensively explored Tf_2O-mediated amide umpolung and developed a variety of novel organic reactions. Moreover, Tf_2O has also gained significant attention as a versatile activator for the transformation of phosphorus oxides, heterocycles, nitriles, etc., and as efficient radical trifluoromethylation/trifluoromethylthiolation reagents in photocatalysis [71–73].

The transformation of amides to α-ketophosphonates is highly desirable, but such a process remains a formidable challenge. It is a textbook knowledge that less reactive acyl compounds can be easily synthesized from more reactive ones but the reverse is difficult [74]. The low electrophilicity of amide carbonyl and high stability of the C–N bond in the cleaved amide group versus the enhanced electrophilicity of α-ketophosphonate and high lability of the C–P bond in the formed α-ketophosphonates render this transformation both kinetically and thermodynamically unfavorable. To the best of our knowledge, the direct transformation of amides to highly reactive α-ketophosphonates has not yet been reported (Figure 1D).

As a continuation of our interest in developing highly selective and efficient C–C [46–48,60–63] and C–heteroatom [61,75,76] bond-forming reactions based on activation of amides, we report herein the direct conversion of both tertiary and secondary amides to α-ketophosphonates by *in situ* electrophilic activation with Tf_2O. In the previous study, the direct phosphonylation of amides through activation with Tf_2O has led to bisphosphonylation products [75]. We envisioned that if the highly electrophilic intermediate **A**, generated from activation of an amide with Tf_2O [36], could be trapped by phosphite in priority, acidic hydrolysis of the resulting iminium phosphonate **B** would thus provide α-ketophosphonate. To achieve this goal, the competitive over-addition to iminium phosphonate **B** was required to be prevented. Based on this methodology, biologically valuable α-hydroxyphosphonates and α, α-difluorophosphonates could also be easily accessed from bench-stable amides by one-pot phosphonylation-reduction and phosphonylation-fluorination process (Figure 1E).

2 Experimental

Unless otherwise stated, reactions were performed in oven-dried glassware under an argon atmosphere. 2,6-Lutidine and 2,6-di-*tert*-butyl-4-methylpyridine (DTBMP) were purchased from Energy Chemical (China). Sodium borohydride ($NaBH_4$) was purchased from TCI (Japan). Diethyl phosphite was purchased from Acros (Belgium). Oxalyl chloride was purchased from Sigma-Aldrich (Germany). Diethylaminosulfur trifluoride (DAST) was purchased from J&K (China). Tf_2O was purchased from Aladdin (China). Unless otherwise noted, commercially available reagents were used as received. Dichloromethane was distilled over calcium hydride under a nitrogen atmosphere. Tetrahydrofuran was distilled over Na under an argon atmosphere. All the liquid reagents were distilled prior to use. Trifluoromethanesulfonic anhydride (Tf_2O) was distilled over phosphorous pentoxide and stored for no more than one week before redistilling. Flash column chromatography was performed with silica gel (300–400 mesh) supplied by Yantai Silica Gel Factory (China), eluting with petroleum ether (PE)/ethyl acetate (EA) mixture.

(1) General procedure A (transformation of secondary amides to α-ketophosphonates)

To a cooled (0 °C) solution of secondary amide **1** (10.0 mmol) and 2,6-lutidine (1.40 mL, 12.0 mmol) in dichloromethane (30 mL) was added Tf_2O (2.02 mL, 12.0 mmol) dropwise. After stirring the mixture at 0 °C for 30 min, phosphite (11.0 mmol) was added over 90 min. The reaction was allowed to warm to room temperature and stirred for 1–18 h. The reaction was quenched with HCl (1 M, 30 mL) and extracted with CH_2Cl_2 (3 × 20 mL). The combined organic layers were washed with saturated aqueous Na_2CO_3 solution, dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by distillation under reduced pressure to afford the desired α-ketophosphonate product **2**.

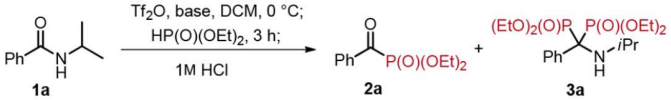
(2) General procedure B (transformation of tertiary amides to α-ketophosphonates)

To a cooled (−78 °C) solution of tertiary amide **5** (10.0 mmol) and 2,6-di-*tert*-butyl-4-methylpyridine (DTBMP) (2.46 g, 12.0 mmol) in dichloromethane (40 mL) was added Tf_2O (2.02 mL, 12.0 mmol) dropwise. After stirring the mixture at −78 °C for 40 min, phosphite (11.0 mmol) was added from −78 to −10 °C in 150 min. The reaction was allowed to warm to room temperature and stirred for 5–24 h. The reaction was quenched with HCl (1 M, 30 mL) and extracted with CH_2Cl_2 (3 × 20 mL). The combined organic layers were washed with saturated aqueous Na_2CO_3 solution, dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by distillation under reduced pressure to afford the desired α-ketophosphonate product **2**.

3 Results and discussion

Firstly, the transformation of secondary amides to α-ketophosphonates was studied. The transformation of *N*-isopropylbenzamide **1a** into α-ketophosphonates **2a** was selected as a model reaction (Table 1). Initial screening of various bases additives showed that the incorporation of sterically hindered, weak nucleophilic basic additives, such as Hünigs base (*i*-Pr_2NEt), 2,6-lutidine, 2,6-di-*tert*-butyl-4-methylpyridine (DTBMP), and 2-fluoropyridine, was beneficial to the formation of α-ketophosphonates **2a**; however, bisphosphonylation product **3a** was formed together in some cases. Both 2,6-lutidine and 2-fluoropyridine are distinguished to give product **2a** in quantitative yield, with no bispho-

Table 1 Reaction optimization for the phosphonylation of secondary amides [a]



Entry	Base	Phosphite (eq.)	**2a** (%) [b]	**3a** (%) [b]
1	none	1.1	47	0
2	Et_3N (1.2 eq.)	1.1	33	13
3	*i*Pr_2NEt (1.2 eq.)	1.1	76	14
4	Pyridine (1.2 eq.)	1.1	18	25
5	DTBMP (1.2 eq.)	1.1	85	3
6	2,6-Lutidine (1.2 eq.)	1.1	> 99 (87) [c]	0
7	2-F-Pyr. (1.2 eq.)	1.1	> 99	0
8	2,6-Lutidine (1.2 eq.)	1.0	92	0
9	2,6-Lutidine (1.2 eq.)	1.2	98	4
10	2,6-Lutidine (1.2 eq.)	1.3	84	21
11	2,6-Lutidine (1.0 eq.)	1.1	86	9
12	2,6-Lutidine (1.5 eq.)	1.1	> 99	0

a) Reaction conditions: Tf_2O (1.2 equiv.), base, dichloromethane (DCM) (0.2 M), 0 °C, 30 min, then $HP(O)(OEt)_2$, rt, 3 h. b) Determined by ^{31}P nuclear magnetic resonance (^{31}P NMR). c) Isolated yield on 10 mmol scale.

sphonylation product **3a** observed (entries 6 and 7). Considering its low price, 2,6-lutidine was ultimately chosen as the optimal base. Further optimizations showed that careful control of the amount of diethyl phosphite to 1.1 equiv. and use of at least 1.2 equiv. of 2,6-lutidine was necessary to achieve high level of efficiency and meanwhile to avoid the formation of bisphosphonylation product. It should be noted that slow addition of *P*-nucleophiles (30 min on 2 mmol scale; 90 min on 10 mmol scale) was also essential to prevent bisphosphonylation reaction. Under the optimized conditions, α-ketophosphonates **2a** was obtained in an isolated yield of 87% (entry 6).

With the optimal conditions in hand, we explored the substrate scope of this methodology (Figure 2). In addition to diethyl phosphite, dimethyl, diisopropyl and triethyl phosphites, could also react efficiently with *N*-isopropylbenzamide (**1a**) to give the corresponding deaminative phosphonylation products **2a**–**2c** in high yields. The alkyl moieties of phosphites seemed to have a great influence on the reactivity. The sterically bulky diisopropyl phosphite reacted most slowly.

Apart from acylic phophites, the cyclic phosphite could serve as a suitable phosphonylation reagent as well to give the corresponding α-ketophosphonate **2e**, which has been used as an efficient

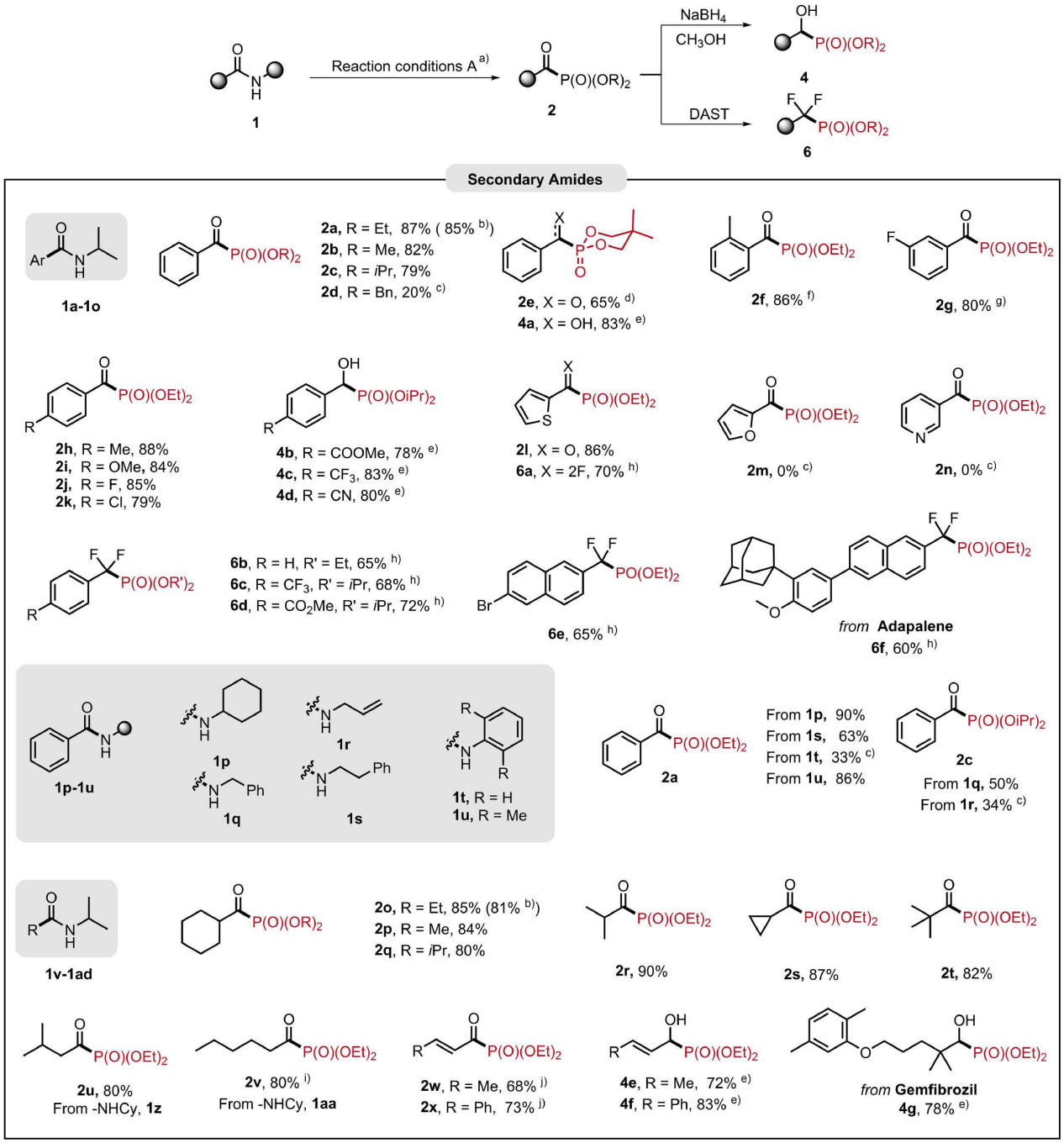


Figure 2 (Color online) Phosphonylation of secondary amides. a) Reaction conditions A for secondary amide: amide (10.0 mmol), Tf_2O (12.0 mmol), 2,6-lutidine (12.0 mmol), CH_2Cl_2 (30 mL), 0 °C, 30 min; $HPO(OR)_2$ (11.0 mmol), 0 °C, 90 min, then rt for 1–18 h; hydrolysis with 1 M HCl. b) $P(OEt)_3$ as nucleophile. c) Determined by ^{31}P NMR. d) 3.0 mmol scale. e) Performed with 2.0 mmol of amides and the resulting α-ketophosphonates **2**, without further purification, were treated with $NaBH_4$ (6.0 mmol), CH_3OH (10 mL). f) Hydrolysis with 4 M HCl, reflux for 90 min. g) Hydrolysis with 4 M HCl. h) Performed with 2.0 mmol of amides and the resulting α-ketophosphonates **2**, without further purification, were treated with diethylaminosulfur trifluoride (DAST) (8.0 mmol). i) Activated by Tf_2O at −78 °C, 30 min; $HPO(OEt)_2$, −10 °C, 90 min, then rt, 10 h. j) Activated with Tf_2O at −40 °C, 30 min; $HPO(OEt)_2$, −10 °C, 90 min, then rt, 8 h.

intermolecular radical acylation reagent in cobalt-catalyzed Markovnikov hydroacylation of unactivated alkenes by the group of Li [23].

Under the optimized conditions, a wide array of secondary aroyl amides could be converted into the corresponding α-ketophosphonates in good to modest yields. In general, benzamide derivatives bearing electron-withdrawing groups on the phenyl ring show higher reactivity than those having electron-donating groups.

To avoid over addition, diisopropyl phosphite was applied in these cases. As these α-ketophosphonate products were too labile to be purified by vacuum distillation or column chromatography to provide pure samples, without further purification, they were directly converted to biologically interesting α-hydroxyphosphonates by reduction (**4b**–**4d**).

The reaction is widely functional group-tolerant and highly chemoselective. A variety of functional groups, such as halogen (**2g**, **2j**–**2k**), cyano (**4d**) and ester (**4b**) groups, are compatible with the current process to furnish the desired α-ketophosphonate products. The *ortho*-substituted benzamide with moderate steric hindrance could be tolerated (**2f**). Thiophene-2-carboxamide could serve as a suitable substrate for the reaction (**2l**), while no desired α-ketophosphonate products were obtained for the reaction of furan-2-carboxamide (**2m**) and pyridine-3-carboxamide (**2n**). The *N*-substituents on the secondary aroyl amides could vary from isopropyl to other *s*-alkyl (**1p**), *n*-alkyl (**1s**) substituents and benzyl group (**1q**). The phosphonylation of *N*-allyl (**1r**) and *N*-phenyl (**1t**) benzamides resulted in low conversions to α-ketophosphonates. The steric hindered 2,6-dimethylanilide **1u** proved to be an effective substrate for coupling with phosphite to produce α-ketophosphonate product.

In addition, the reaction could proceed smoothly with fatty acid amides as well. Both branched (**2o**–**2u**) and unbranched (**2v**) secondary alkanamides are suitable substrates. To be noticed, the method is also applicable to α,β-unsaturated amides to produce α-keto-β,γ-unsaturated phosphonates **2w** and **2x**, which were less successfully prepared by Michaelis-Arbuzov reaction, where multiple addition products were often observed [24].

Next, the phosphonylation of tertiary amides was investigated. With *N*-benzoylpyrrolidine **5a** as the model substrate, it is found that treatment of **5a** with 1.2 equiv. of Tf_2O, 1.2 equiv. of DTBMP, and 1.1 equiv. of diethyl phosphite at −78 °C was optimal to enable the transformation of **5a** into the corresponding α-ketophosphonates **2a** in an isolated yield of 86% (see Table S1 in the Supporting Information online for detailed reaction optimizations). By varying both the acetyl group and *N*-substituents of the tertiary amides, the substrate scope of this transformation was explored. As can be seen from Figure 3, not only tertiary benzamide derivatives featuring

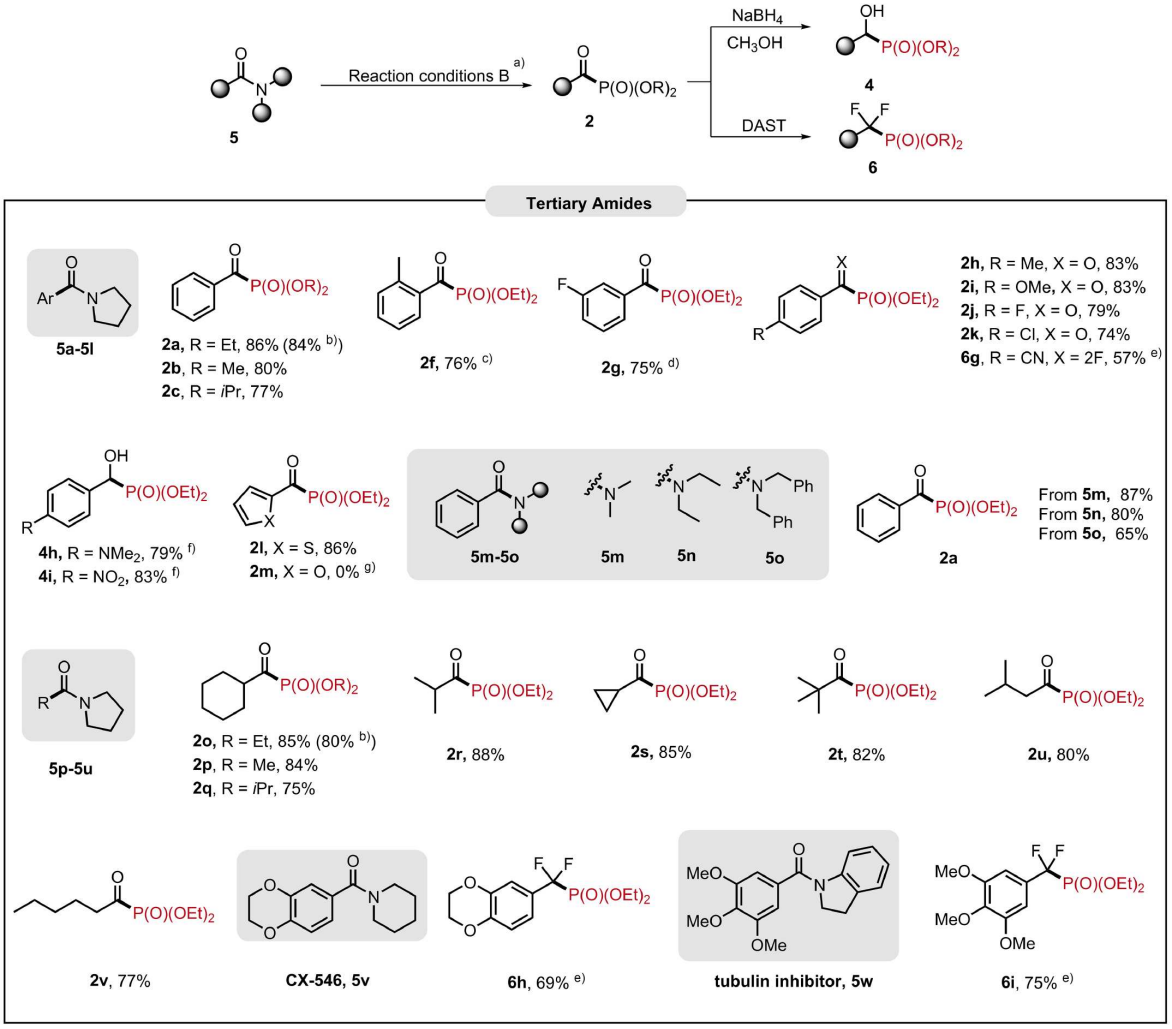


Figure 3 Phosphonylation of tertiary amides. a) Reaction conditions B for tertiary amide: amide (10.0 mmol), Tf_2O (12.0 mmol), DTBMP (12.0 mmol), CH_2Cl_2 (40 mL), −78 °C, 40 min; $HPO(OR)_2$ (11.0 mmol), −78 °C to −10 °C, 2.5 h, then rt for 5–24 h; hydrolysis with 1 M HCl. b) $P(OEt)_3$ as nucleophile. c) Hydrolysis with 4 M HCl, reflux for 90 min. d) Hydrolysis with 4 M HCl. e) Performed with 2.0 mmol of amides and the resulting α-ketophosphonates **2**, without further purification, were treated with DAST (8.0 mmol). f) Performed with 2.0 mmol of amides and the resulting α-ketophosphonates **2**, without further purification, were treated with $NaBH_4$ (6.0 mmol), CH_3OH (10 mL). g) Determined by ^{31}P NMR.

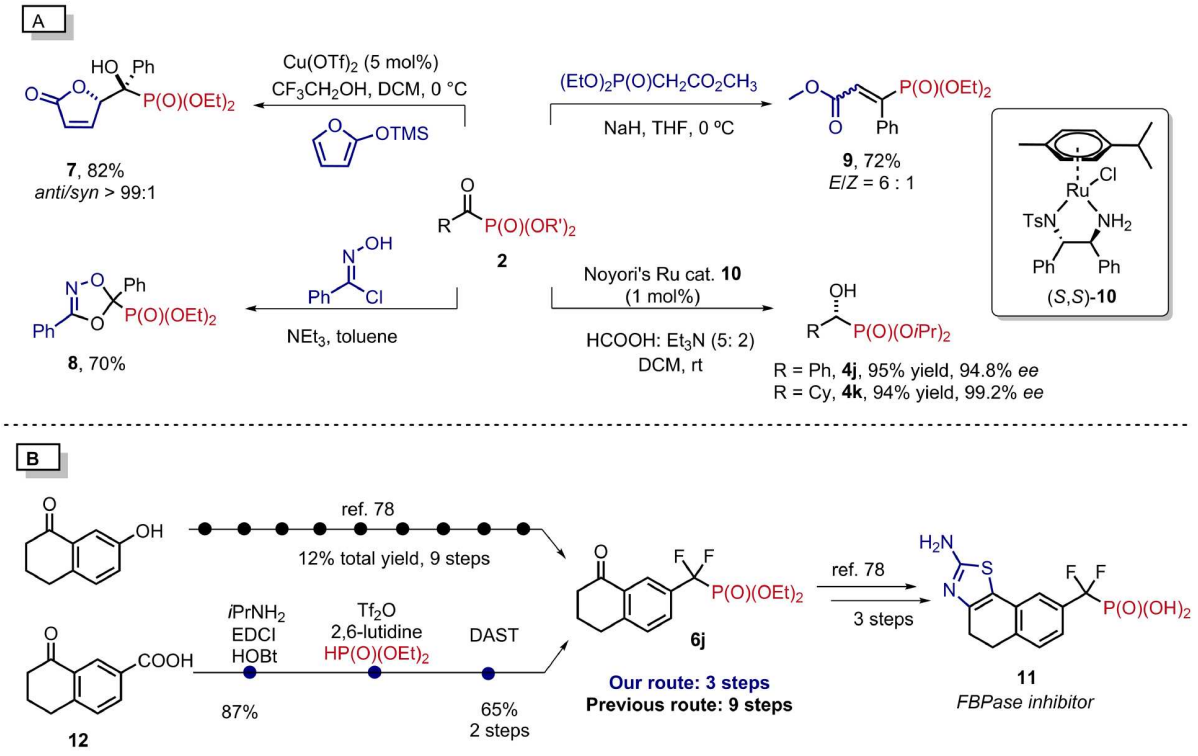


Figure 4 (Color online) Synthetic application. (A) Versatile transformation of α-ketophosphonates. (B) Formal synthesis of FBPase inhibitor **11**.

electron-donating and electron-withdrawing groups with varied substitution patterns (**5a**–**5o**), but also branched and unbranched tertiary fatty acid amides (**5p**–**5u**) could react smoothly to yield α-ketophosphonates in high yield.

It should be noted that all the α-ketophosphonates were prepared on gram scales from both secondary and tertiary amide.

Furthermore, based on this methodology, not only α-hydroxyphosphonates **4** could be easily accessed from amides by a sequential phosphonylation-reduction process (**4a**–**4f**, **4h**–**4i**), but also biologically valuable α,α-difluorophosphonates **6** could be prepared through a similar sequential phosphonylation-fluorination process (**6a**–**6e**, **6g**). The approaches could be applied to the late-stage functionalization of drug molecules or their derivatives, such as ampakine CX-546 (**5v**), tubulin inhibitor **5w** [77] and amide derivative of Adapalene and Gemfibrozil, to deliver α-hydroxyphosphonate or α,α-difluorophosphonate derivatives in good yields (**4g**, **6f**, **6h**–**6i**).

To showcase the versatility and utility of α-ketophosphonates as building blocks, several additional derivatization reactions of α-ketophosphonate **2** were performed, including vinylogous Mukaiyama aldol reaction with trimethylsilyloxyfuran, 1,3-dopolar cycloaddition with nitrile oxides and Wittig-Horner-Emmons (HWE) reaction, and α-ketophosphonate **2** was thus successfully transformed to δ-hydroxyalkylbutenolide phosphonate **7**, phosphonate-containing dioxazole derivative **8** and vinylphosphonate **9**, respectively. Furthermore, using commercially available Noyori-type catalyst (*S*,*S*)-RuCl[(*p*-cymene)TsDPEN] [(*S*,*S*)-**10**], valuable chiral α-hydroxyphosphonates can be easily accessed by enantioselective transfer hydrogenation of α-ketophosphonates (Figure 4A).

The synthetic utility of our methodology was further demonstrated by the preparation of difluoromethylene phosphonate **6j**, which is an intermediate for the synthesis of tricyclic FBPase inhibitor **11** and has been prepared from 7-hydroxy-1-tetralone in nine steps in 12% yield (Figure 4B) [78]. With our method in hand, the amide from commercially available acid **12** was first converted readily to α-ketophosphonate, which, without purification, was subjected directly to the fluorination, thus providing difluoromethylene phosphonate **6j** in 56% in three steps.

4 Conclusions

In summary, we have developed a Tf_2O-mediated phosphonylation of amides that not only enables direct conversion of both secondary and tertiary amides into α-ketophosphonates, but also provides a novel approach to prepare biologically significant α-hydroxyphosphonates and α,α-difluorophosphonates. The reactions exhibit high chemoselectivity, broad substrate scope, good functional-group tolerance, and easy scalability. Based on this method, it can be easily accessed as well. The synthetic utility of this method was demonstrated by the late-stage functionalization of drug molecules and the concise formal synthesis of an FBPase inhibitor.

Conflict of interest

The authors declare no conflict of interest.

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Supporting information

The supporting information is available online at http://chem.scichina.com and http://link.springer.com/journal/11426. The supporting materials are published as submitted, without typesetting or editing. The responsibility for scientific accuracy and content remains entirely with the authors.

References

1 Larock RC, Ed. *Comprehensive Organic Transformations: A Guide to Functional Group Preparations*. 3rd Ed. New York: Wiley, 2018
2 Saint-Denis TG, Zhu RY, Chen G, Wu QF, Yu JQ. *Science*, 2018, 359: eaao4798
3 Qiu Z, Li CJ. *Chem Rev*, 2020, 120: 10454–10515
4 Yang HY, Lin LQ, Li NQ, Ren ZH, Guan ZH. *Sci China Chem*, 2023, 66: 1474–1481
5 Wan X, Lin JX, Bai JJ, Li JJ, Wang KF, Cao ZY, Li MG, Bao XG, Wan XB. *Org Chem Front*, 2024, 11: 183–193

6 Patel DV, Rielly-Gauvin K, Ryono DE, Free CA, Rogers WL, Smith SA, DeForrest JM, Oehl RS, Petrillo Jr. EW. *J Med Chem*, 1995, 38: 4557–4569
7 Tao M, Bihovsky R, Wells GJ, Mallamo JP. *J Med Chem*, 1998, 41: 3912–3916
8 Romanenko VD, Kukhar VP. *Chem Rev*, 2006, 106: 3868–3935
9 Mucha A, Kafarski P, Berlicki Ł. *J Med Chem*, 2011, 54: 5955–5980
10 Zhang G, Hao G, Pan J, Zhang J, Hu D, Song B. *J Agric Food Chem*, 2016, 64: 4207–4213
11 Bera AK, Polovnikova LS, Roestamadji J, Widlanski TS, Kenyon GL, McLeish MJ, Hasson MS. *J Am Chem Soc*, 2007, 129: 4120–4121
12 Horatscheck A, Wagner S, Ortwein J, Kim BG, Lisurek M, Beligny S, Schütz A, Rademann J. *Angew Chem Int Ed*, 2012, 51: 9441–9447
13 Breuer E. Acyl phosphonates and their derivatives. In: Hartley FR, Ed. *The Chemistry of Organophosphorus Compounds. Vol. 4. Ter- and Quinquevalent Phosphorus Acids and Their Derivatives*. Chichester: Wiley, 1996. 653–729
14 McKenna CE, Kashemirov BA. *Top Curr Chem*, 2002, 220: 201−238
15 Corbett MT, Johnson JS. *J Am Chem Soc*, 2013, 135: 594–597
16 Rádai Z, Keglevich G. *Molecules*, 2018, 23: 1493
17 Shevchuk M, Wang Q, Pajkert R, Xu J, Mei H, Röschenthaler GV, Han J. *Adv Synth Catal*, 2021, 363: 2912–2968
18 Lu JX, Yu Y, Li ZH, Luo J, Deng L. *J Am Chem Soc*, 2024, 146: 16706–16713
19 Maestro A, de Marigorta EM, Palacios F, Vicario J. *Asian J Org Chem*, 2020, 9: 538–548
20 Ackerman B, Jordan TA, Eddy CR, Swern D. *J Am Chem Soc*, 1956, 78: 4444–4447
21 Berlin KD, Taylor HA. *J Am Chem Soc*, 1964, 86: 3862–3866
22 Kim S, Cho CH, Lim CJ. *J Am Chem Soc*, 2003, 125: 9574–9575
23 Zhang B, He J, Li Y, Song T, Fang Y, Li C. *J Am Chem Soc*, 2021, 143: 4955–4961
24 Szpala A, Tebby JC, Griffiths DV. *J Chem Soc Perkin Trans 1*, 1981, 1: 1363–1366
25 Liao Y, Shabany H, Spilling CD. *Tetrahedron Lett*, 1998, 39: 8389–8392
26 Greenberg A, Breneman CM, Liebman JF. *The Amide Linkage: Structural Significance in Chemistry, Biochemistry and Materials Science*. New York: Wiley, 2003
27 Lei P, Chen Q, Chen H, Zhou Y, Jin L, Wang W, Chen F. *Chin J Org Chem*, 2023, 43: 254–264
28 Pace V, Holzer W, Olofsson B. *Adv Synth Catal*, 2014, 356: 3697–3736
29 Kaiser D, Maulide N. *J Org Chem*, 2016, 81: 4421–4428
30 Kaiser D, Bauer A, Lemmerer M, Maulide N. *Chem Soc Rev*, 2018, 47: 7899–7925
31 Matheau-Raven D, Gabriel P, Leitch JA, Almehmadi YA, Yamazaki K, Dixon DJ. *ACS Catal*, 2020, 10: 8880–8897
32 Czerwiński PJ, Furman B. *Trends Chem*, 2020, 2: 782–784
33 Czerwiński PJ, Furman B. *Front Chem*, 2021, 9: 655849
34 Feng M, Zhang H, Maulide N. *Angew Chem Int Ed*, 2022, 61: e202212213
35 Falmagne JB, Escudero J, Taleb-Sahraoui S, Ghosez L. *Angew Chem Int Ed Engl*, 1981, 20: 879–880
36 Charette AB, Grenon M. *Can J Chem*, 2001, 79: 1694–1703
37 Barbe G, Charette AB. *J Am Chem Soc*, 2008, 130: 18–19
38 Pelletier G, Bechara WS, Charette AB. *J Am Chem Soc*, 2010, 132: 12817–12819
39 Bechara WS, Pelletier G, Charette AB. *Nat Chem*, 2012, 4: 228–234
40 Movassaghi M, Hill MD. *J Am Chem Soc*, 2006, 128: 4592–4593
41 Movassaghi M, Hill MD, Ahmad OK. *J Am Chem Soc*, 2007, 129: 10096–10097
42 de la Torre A, Kaiser D, Maulide N. *J Am Chem Soc*, 2017, 139: 6578–6581
43 Li J, Berger M, Zawodny W, Simaan M, Maulide N. *Chem*, 2019, 5: 1883–1891
44 Spieß P, Berger M, Kaiser D, Maulide N. *J Am Chem Soc*, 2021, 143: 10524–10529
45 Heindl S, Riomet M, Matyasovsky J, Lemmerer M, Malzer N, Maulide N. *Angew Chem Int Ed*, 2021, 60: 19123–19127
46 Xiao KJ, Luo JM, Ye KY, Wang Y, Huang PQ. *Angew Chem Int Ed*, 2010, 49: 3037–3040
47 Xiao KJ, Wang AE, Huang PQ. *Angew Chem Int Ed*, 2012, 51: 8314–8317
48 Fan T, Wang A, Li JQ, Ye JL, Zheng X, Huang PQ. *Angew Chem Int Ed*, 2018, 57: 10352–10356
49 Tang Z, Mo K, Ma X, Huang J, Zhao D. *Angew Chem Int Ed*, 2022, 61: e202208089
50 Tang Z, Yao Z, Yu Y, Huang J, Ma X, Zhao X, Chang Z, Zhao D. *Angew Chem Int Ed*, 2024, 63: e202412152
51 Lu JX, Li ZH, Deng L. *J Am Chem Soc*, 2024, 146: 4357–4362
52 Shirokane K, Kurosaki Y, Sato T, Chida N. *Angew Chem Int Ed*, 2010, 49: 6369–6372
53 Shirokane K, Wada T, Yoritate M, Minamikawa R, Takayama N, Sato T, Chida N. *Angew Chem Int Ed*, 2014, 53: 512–516
54 Gregory AW, Chambers A, Hawkins A, Jakubec P, Dixon DJ. *Chem Eur J*, 2015, 21: 111–114
55 Matheau-Raven D, Dixon DJ. *Angew Chem Int Ed*, 2021, 60: 19725–19729
56 Miller AAM, Biallas P, Shennan BDA, Dixon DJ. *Angew Chem Int Ed*, 2024, 63: e202314308
57 Katahara S, Kobayashi S, Fujita K, Matsumoto T, Sato T, Chida N. *J Am Chem Soc*, 2016, 138: 5246–5249
58 Yoritate M, Takahashi Y, Tajima H, Ogihara C, Yokoyama T, Soda Y, Oishi T, Sato T, Chida N. *J Am Chem Soc*, 2017, 139: 18386–18391
59 Sugiyama Y, Yamada K, Kaneko D, Kusagawa Y, Okamura T, Sato T. *Angew Chem Int Ed*, 2024, 63: e202317290
60 Ou W, Han F, Hu XN, Chen H, Huang PQ. *Angew Chem Int Ed*, 2018, 57: 11354–11358
61 Chen DH, Sun WT, Zhu CJ, Lu GS, Wu DP, Wang AE, Huang PQ. *Angew Chem Int Ed*, 2021, 60: 8827–8831
62 Ji KL, He SF, Xu DD, He WX, Zheng JF, Huang PQ. *Angew Chem Int Ed*, 2023, 62: e202302832
63 Xu FF, Chen JQ, Shao DY, Huang PQ. *Nat Commun*, 2023, 14: 6251
64 Han F, Lu GS, Wu DP, Huang PQ. *Sci China Chem*, 2023, 66: 1094–1100
65 Zhao F, Jiang F, Wang X. *Sci China Chem*, 2022, 65: 2231–2237
66 Li J, He Y, Jiang F, Wang X. *Green Chem*, 2023, 25: 9080–9085
67 He Y, Wang Y, Li SJ, Lan Y, Wang X. *Angew Chem Int Ed*, 2022, 61: e202115497
68 Yang W, Jiao J, Wang X. *Chin J Org Chem*, 2023, 43: 1857–1867
69 Li C, Ling L, Luo Z, Wang S, Zhang XY, Zeng XM. *ACS Catal*, 2023, 13: 3120–3130
70 Luo Y. *Sci Sin Chim*, 2024, 54: 968
71 Adler P, Pons A, Li J, Heider J, Brutiu BR, Maulide N. *Angew Chem Int Ed*, 2018, 57: 13330–13334
72 Huang H, Kang JY. *Synthesis*, 2022, 54: 1157–1202
73 Ouyang Y, Qing FL. *J Org Chem*, 2024, 89: 2815–2824
74 Solomons TWG, Fryhle CB. *Organic Chemistry*. 10th Ed. Hoboken: Wiley, 2009. 794
75 Wang AE, Chang Z, Sun WT, Huang PQ. *Org Lett*, 2015, 17: 732–735
76 Chen H, Chen DH, Huang PQ. *Cell Rep Phys Sci*, 2023, 4: 101574
77 Kim ND, Park ES, Kim YH, Moon SK, Lee SS, Ahn SK, Yu DY, No KT, Kim KH. *Bioorg Med Chem*, 2010, 18: 7092–7100
78 Tsukada T, Takahashi M, Takemoto T, Kanno O, Yamane T, Kawamura S, Nishi T. *Bioorg Med Chem Lett*, 2009, 19: 5909–5912