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The Lossen rearrangement from free hydroxamic acids

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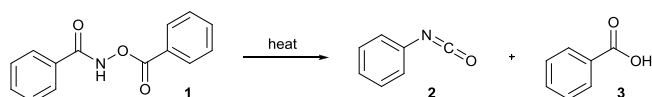
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The Lossen rearrangement, that allows the conversion of hydroxamic acids into isocyanates, was discovered almost 150 years ago. During more than a century, this transformation was supposed to occur exclusively in the presence of stoichiometric amount of activating reagents devoted to promote the dehydration of primary hydroxamic acids. Very recently, it was demonstrated that the Lossen rearrangement can take place directly from free hydroxamic acids offering a renewal of interest for such a reaction. This short review summarizes advances in this field by describing successively the metal-assisted, the self-propagative and the promoted self-propagative Lossen rearrangement with a special emphasis on their mechanisms.

1. Introduction

The history of the Lossen rearrangement began in 1865, when W. Lossen reported the first synthesis of hydroxylamine hydrochloride by passing nitric oxide into a solution of hydrochloric acid.¹ Four years later, this discovery led to the synthesis of the first hydroxamic acid, named oxalohydroxamic acid, by reacting hydroxylamine with diethyloxalate.² In 1872, W. Lossen studied the condensation of hydroxylamine hydrochloride with benzoyl chloride that gave a mixture of mono-, di- and tri- benzoyl derivatives from which he was able to isolate the benzoyl benzohydroxamate **1**. When this compound was submitted to thermolysis, benzoic acid **3** was obtained along with the lachrymatory phenyl isocyanate **2**³ (Scheme 1). In this fashion, W. Lossen discovered the rearrangement that bears his name.

In most of the cases, the Lossen rearrangement refers to the conversion of an *O*-activated hydroxamic acid such as **1** into the corresponding isocyanate **2**. However, it has been extended to other activated hydroxylamine derivatives like sulfonylimides hydroxamic acids⁴ (aza-Lossen rearrangement) or phosphinoylhydroxylamines⁵ (Lossen-like rearrangement).



Scheme 1. The discovery of the Lossen rearrangement.

After being overlooked for a long time, hydroxamic acid-containing derivatives received a growing interest over the past twenty years. Indeed, their exceptional chelating properties found many applications in medicine,⁶ asymmetric synthesis⁷ and rare metal extraction.⁸ For example, Suberoylanilide Hydroxamic Acid (SAHA) **4** (Figure 1) has been used as zinc ligand for HDAC inhibition,⁹ showing remarkable anticancer properties. Since 2006, SAHA is commercialised under the name Zolinza[®] for the treatment of cutaneous T cell lymphoma.¹⁰ Besides, hydroxamic acids bind vanadium and serve in asymmetric catalysis for the epoxidation of olefins with high enantiomeric excesses. Moreover, the complexation of Fe³⁺ with hydroxamic acids produces coordination complexes exhibiting intense red colour. Such iron ligands, so-called siderophores (e.g. crochelin A **5**, a siderophore isolated from *Azotobacter chroococcum*,¹¹ Figure 1), are used by various organisms for the solubilisation and transport of iron salts.¹² Interestingly, hydroxamic acids also bind rare earth metals like uranium.

This renewal of interest for hydroxamic acids prompted chemists to develop new efficient procedures for their preparation and purification that are probably at the origin of a resurgence of the Lossen rearrangement.¹³ In fact, these advances facilitated the access to a wide range of the Lossen rearrangement precursors, thereby favouring the study of this transformation as well as extending its scope of application. Classical transformations involved the *O*-activation of the hydroxamic acid using stoichiometric amounts of electrophile reagents.¹⁴ Such conditions were successfully applied over the years and led, among others, to the synthesis of biologically active compounds,¹⁵ even in industrially relevant processes.¹⁶ In this context, the Lossen rearrangement was preferred to the Curtius reaction for avoiding the manipulation of potentially hazardous and explosive azides on large scales. However, the use of the Lossen rearrangement is limited by complex

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reaction procedures and generation of by-products, and as a result remains sporadic at industrial scale.

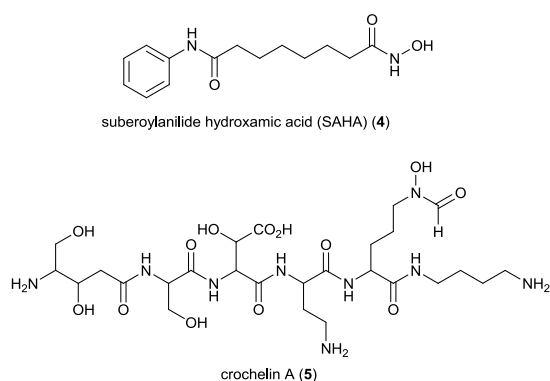
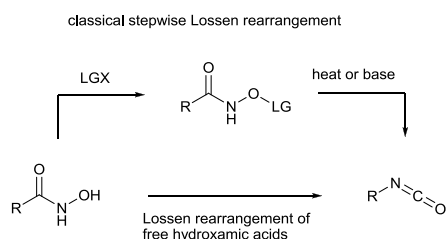


Figure 1. Structure of the HDAC inhibitor suberoylanilide hydroxamic acid (SAHA) **4** and crochelin A **5**.

On the other hand, very recent progresses demonstrated that the Lossen rearrangement can be achieved directly from free hydroxamic acids without using stoichiometric amounts of electrophilic activating reagents (Scheme 2). Such a discovery was all the more surprising as chemists were convinced for more than a century that free hydroxamic acids did not undergo the Lossen rearrangement under any conditions.^{14a} However, by providing simplified and more atom-economical processes, with water as the sole by-product, this finding may offer a ‘cure of youth’ to this 150 years old reaction. In this article, we will review advances in this field with a special emphasis on the mechanism of this chemical transformation. Thus, after a brief reminder of the classical Lossen rearrangement, metal-assisted, self-propagative and promoted self-propagative Lossen rearrangement mechanisms will be successively presented. The perspectives stemming from the Lossen rearrangement of free hydroxamic acids, leading to isocyanates in a waste- and phosgene-free fashion, will also be discussed. It is worth mentioning that along this review the term ‘direct Lossen rearrangement’ will be sometime used in reference to the transformation of free hydroxamic acids.



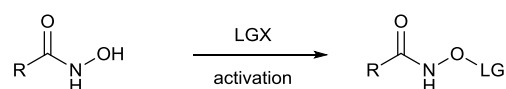
Scheme 2. Classical vs Lossen rearrangement of free hydroxamic acids.

2. Mechanism of classical Lossen rearrangements

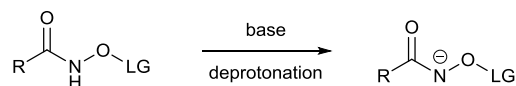
From a mechanistic point of view, the Lossen rearrangement is closely related to the Curtius and the Hoffman ones.¹⁷ These three transformations are basically intramolecular nucleophilic 1,2-shifts where the R group migrates from the carboxyl to the adjacent nitrogen following the elimination of a leaving group

(Figure 2). However, while the bromoamide- and the acyl azide-based derivatives, that are respectively the precursors of the Hoffman and Curtius rearrangements, are reactive species, hydroxamic acids need to be activated to undergo the Lossen rearrangement. Compared to bromide ion and dinitrogen, hydroxide is indeed a poorer leaving group and therefore, an activation step of the hydroxamate is usually required for the Lossen rearrangement to take place (equation 1). For this purpose, a wide variety of dehydrating reagents have been employed so far. Some recent examples include carbonyldiimidazole,¹⁸ carbodiimides,¹⁹ cyanuric chloride,²⁰ arylsulfonyl chlorides,²¹ formamide²² and oxime,²³ bromodimethylsulfonium bromide²⁴ or dimethylcarbonate.²⁵ The nature of the leaving group affects the rate of the Lossen rearrangement. Kinetics studies using various *O*-acyl hydroxamates demonstrated a direct correlation between the pK_a of the leaving carboxylate and the velocity of the reaction. In other words, the lower the pK_a of the leaving group, the faster the Lossen rearrangement.²⁶ For instance, the transformation proceeds significantly faster when the leaving group is the 2,4-dinitrophenol ($pK_a = 4.13$) rather than the 4-nitrophenol ($pK_a = 7.14$).²⁷

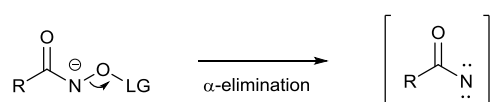
Equation 1



Equation 2



Equation 3



Equation 4

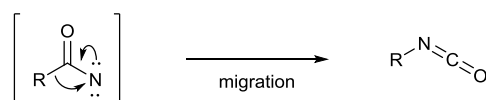


Figure 2. Mechanism of the Lossen rearrangement

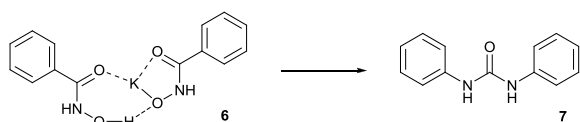
The Lossen rearrangement is usually conducted in the presence of a base that promotes the deprotonation of the nitrogen (equation 2). Formation of the resulting anion triggers the α -elimination of the leaving group to generate a highly reactive acyl nitrene (equation 3). Finally, C to N migration of the R group produces an isocyanate (equation 4) that can be subsequently trapped by various nucleophiles allowing the

access to a wide variety of chemical functions. For instance, an unstable carbamic acid intermediate is formed upon hydrolysis that decarboxylates spontaneously to produce an amine. Urea, carbamates or thiocarbamates can also be prepared by treatment of the isocyanate with amines, alcohols, or thiols, respectively.

While the hypothesis of a univalent nitrene intermediate was formulated earlier by Tiemann,²⁸ such species would be highly unstable and has neither been observed nor trapped to date.²⁹ As a result, it remains a putative structure and it is unclear whether the two last steps are concerted or not. Nevertheless, no epimerisation is observed when the reaction is carried out with a substrate featuring a stereogenic centre at the α position of the hydroxamate.³⁰ For this reason, it is assumed that the migrating group R remains connected to the activated function during the whole process.

3. Metal-assisted Lossen rearrangement

The first observation of a 'direct Lossen rearrangement' was made in 1998 when Podlaha and co-workers failed at preparing neutral salts of arylhydroxamic acids.³¹ The authors noticed that such salts rearranged spontaneously to produce the corresponding *N,N'*-diarylureas **7** under mild conditions at room temperature with yields up to 88 % (Scheme 3). It was suggested that stable potassium salts of arylhydroxamic acids were in fact dimeric species such as **6**, stabilised by a hydrogen bond between the hydroxylamino oxygens of the acid and the anion. This peculiar Lossen rearrangement constituted the first direct conversion of a free hydroxamic acid into the corresponding symmetric urea without prior activation.

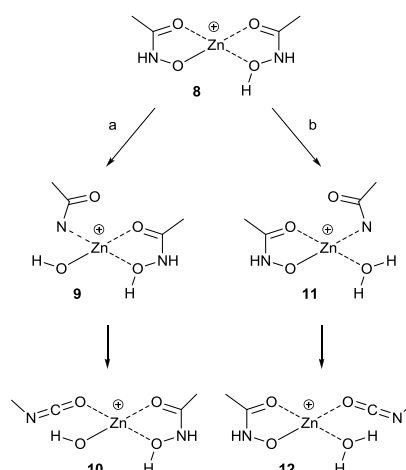


Scheme 3. First report of the 'direct' Lossen rearrangement.

Such transformation remained unnoticed until the end of the 2000s when Roithová and co-workers observed the zinc (II) triggered Lossen rearrangement of hydroxamic acids in the gas phase.³² Indeed, the mass spectroscopic analysis of a complex of zinc (II) with acetohydroxamic acid **8** revealed the presence of methyl isocyanate resulting from a metal assisted Lossen rearrangement. The authors initially suggested that the metal centre would mediate the dehydration of either a hydroxamate (**9**, path a, Scheme 4) or a hydroxamic acid (**11**, path b, Scheme 4) ligand to trigger the subsequent rearrangement.

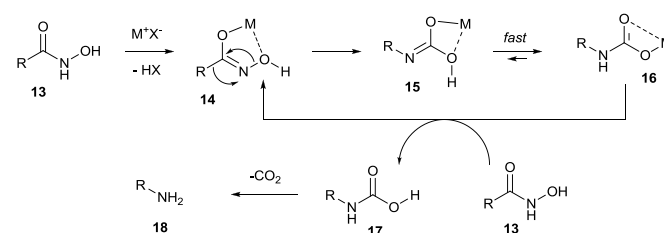
The general mechanism of metal-assisted Lossen rearrangement was further refined by computational studies suggesting that reaction from hydroxamic acids such as **13** proceeds through the formation of a *N*-deprotonated metal hydroxamate **14** which rearranges to produce a metal carbamate **16** providing the expected amine **18** upon decarboxylation (Scheme 5).³³

A similar mechanism was invoked when the Lossen rearrangement occurred under Heck reaction conditions.³⁴ In this case, the hydroxamic acid-containing aryl iodide **19** (Scheme 6) reacted smoothly with methyl acrylate in the presence of palladium (II) acetate and triethylamine to yield an amine-substituted cinnamate in a tandem Heck reaction/Lossen rearrangement sequence. The same reaction conditions were successfully applied to the synthesis of amine containing rescinnamine derivatives such as **21** from the corresponding acrylate **20**.

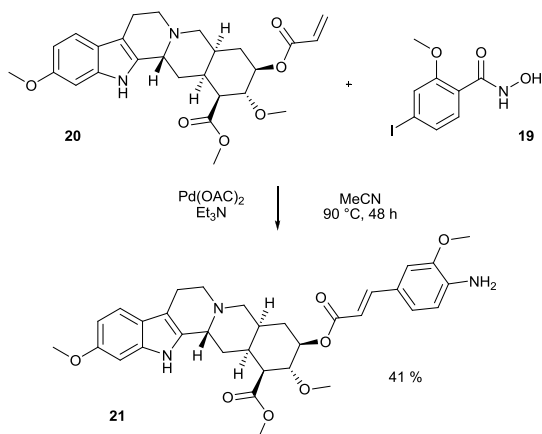


Scheme 4. Rearrangement of the complex **8** by dehydration of either a hydroxamate (path a) or a hydroxamic acid (path b) ligand.

It was also demonstrated that Pd(OAc)₂ promoted the rearrangement of aliphatic amines into the corresponding symmetric ureas in good yields ranging from 61 to 67 %. However, as triethylamine triggered the Lossen rearrangement in the absence of Pd(OAc)₂, an alternative metal free mechanistic pathway could be formulated. This mechanism will be discussed in the next section.



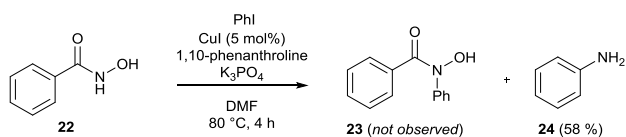
Scheme 5. General mechanism of metal-assisted Lossen rearrangement.



Scheme 6. Tandem Heck reaction/Lossen rearrangement applied to the synthesis of amine containing rescinnamine derivative **21**.

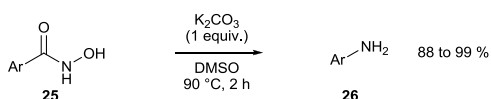
4. Self-propagative Lossen rearrangement

In 2009, Hoshino and co-workers observed an unexpected Lossen rearrangement under copper-catalysed *N*-arylation conditions (Scheme 7).³⁵ When benzohydroxamic acid **22** was treated with iodobenzene in the presence of CuI, 1,10-phenanthroline and K₃PO₄ in DMF, aniline **24** was isolated in 58% yield, instead of the expected *N*-arylation product **23**.



Scheme 7. Rearrangement of benzohydroxamic acid **22** under copper-catalysed *N*-arylation conditions.

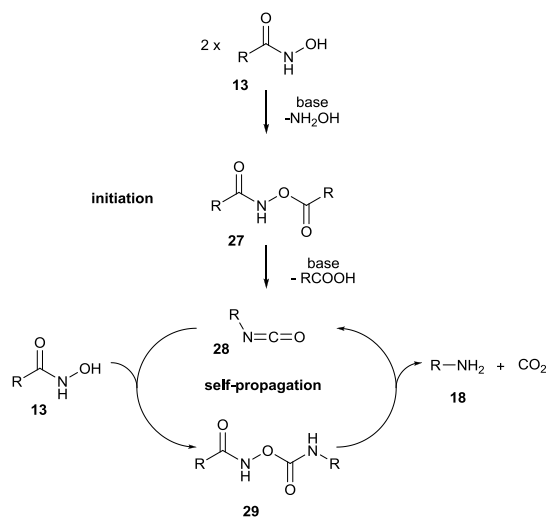
Along this study, the authors showed that CuI was not necessary for the rearrangement to occur. Various organic and inorganic bases were then evaluated. The best results were obtained when the rearrangement was performed using 1 equivalent of K₂CO₃ in DMSO at 90 °C during 2 h, leading to aromatic amines **26** in excellent yields ranging from 88 to 99% (Scheme 8). The reaction also proceeded smoothly in the presence of a catalytic amount of K₂CO₃ (5 mol%) but required a longer reaction time (18 h).



Scheme 8. Optimised conditions for the 'direct Lossen rearrangement' of arylhydroxamic acids **25**.

The authors proposed a self-propagative mechanism rather than a metal-assisted Lossen rearrangement to rationalise this result (Scheme 9). They postulated that the initiation step was a base mediated homo-condensation of the hydroxamic acid **13** to form the activated acylhydroxamate intermediate **27**. Then, this latter underwent a Lossen rearrangement to produce the corresponding isocyanate **28** which, in turn,

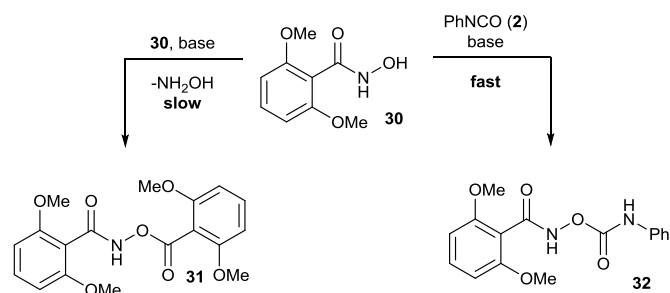
reacted with another molecule of hydroxamic acid **13** to generate an activated carbamoylhydroxamate **29**.³⁶ As the initiation step would likely be rate limiting in this process, the whole system could be considered as a self-propagative Lossen rearrangement in which the isocyanate **28** catalyses its own replication. This mechanistic hypothesis was supported by the fact that the yield dropped drastically when the reaction was conducted in the presence of water that hydrolysed the intermediate isocyanate, thereby disrupting the self-propagation cycle.



Scheme 9. The self-propagative mechanism proposed by Hoshino and co-workers for the Lossen rearrangement of free hydroxamic acids.

5. Promoted self-propagative Lossen rearrangements

The rate determining step of the self-propagative Lossen rearrangement described above is the initiation step, where a small amount of hydroxamic acid is activated by auto-condensation to afford an acylhydroxamate. As a result, the whole self-propagative process would be accelerated if a faster initiation reaction occurred. Based on this hypothesis, Hoshino and co-workers introduced a catalytic version of the self-propagative Lossen rearrangement.³⁷ Indeed, they demonstrated that the reaction can be carried-out in the presence of catalytic amount of phenylisocyanate **2** (Scheme 10). This latter reacted with the hydroxamic acid **30** to generate an activated carbamoylhydroxamate **32**. The formation of **32** proceeded faster than the generation of **31** arising from the auto-condensation of **30** since isocyanate **2** was more electrophilic than the hydroxamic acid **30**.

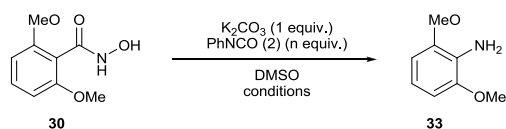


Scheme 10. Comparison of initiation steps in self-propagative Lossen rearrangements in the absence of isocyanate (slow) and in the presence of isocyanate (fast).

For example, the arylhydroxamic acid **30** reacted smoothly in the presence of K_2CO_3 (1 equiv.) and 1 mol% of phenylisocyanate **2** providing the expected aniline **33** in an excellent 92 % yield after 10 min at 50 °C (Table 1, entry 2). The same transformation required 27 h at the same temperature without catalytic amount of **2** (entry 1). The transformation could even be conducted at room temperature but with longer reaction times (entry 3). Once again, the presence of water in the reaction mixture had a detrimental effect on the yield of the reaction (entry 4) through the disruption of the auto-catalytic process.

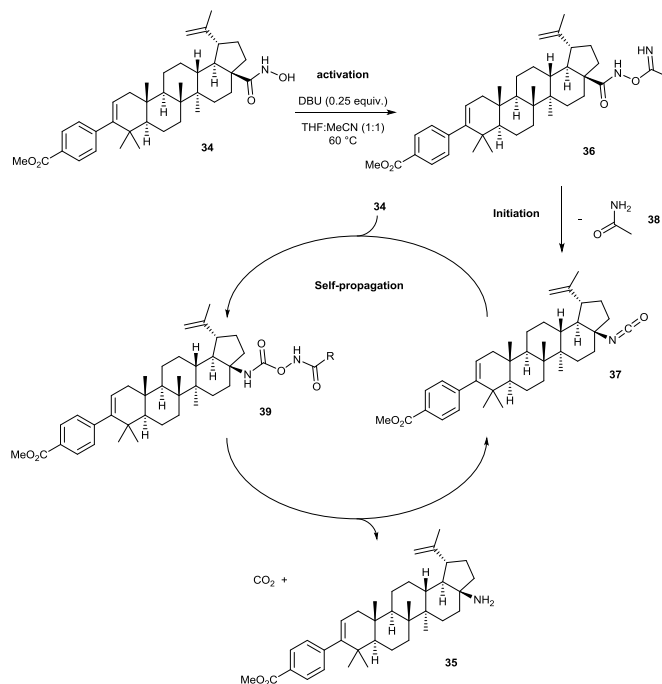
Similar results were confirmed in 2016 by the same team along with an extension of the scope to aliphatic hydroxamic acids and an example of stereoselective transformation.³⁸

Table 1. Phenylisocyanate-promoted self-propagative rearrangement of arylhydroxamic acid **30**.



Entry	PhNCO (n equiv.)	conditions	Yield (%)
1	0 equiv.	50 °C, 27 h	92
2	0.01 equiv.	50 °C, 10 min	90
3	0.01 equiv.	25 °C, 2 h	90
4	0.01 equiv.	50 °C, 10 min, H ₂ O (0.1 equiv.)	66

Another attractive self-propagative Lossen rearrangement, in which the reaction was promoted by nitriles, was reported very recently.³⁹ In this study, the conditions developed by Hoshino and co-workers were inefficient for the conversion of **34** into the corresponding amine **35** when the reaction was conducted in DMSO (Scheme 11). The low solubility of **34** in DMSO was suspected to be the cause of this failure, hence prompting the authors to perform evaluation of various solvent systems.



Scheme 11. Nitrile-promoted self-propagative Lossen rearrangement of hydroxamic acid **34**.

In the course of these experiments, a mixture of THF and acetonitrile was shown to be optimal. With the aim to explain these results, the author proposed a mechanism where the hydroxamic acid **34** is first activated by acetonitrile (Scheme 11). The resulting intermediate **36** undergoes the Lossen rearrangement to produce the isocyanate **37** along with the acetamide **38** that was observed by GC analysis. This initiation step is then followed by a self-propagated mechanism via the carbamoylhydroxamate **39**. Kinetics studies as well as reactions involving stoichiometric amounts of various nitriles were conducted to validate this hypothesis. Since production of the carboxylic acid analogue of **34** was not observed, initiation of Lossen rearrangement through the homocondensation of the hydroxamic acid **34** was ruled out. Furthermore, the metal-triggered Lossen rearrangement introduced by Roithová and co-workers was dismissed in this case, since organic bases such as DBU gave similar results than that recorded with metal salts such as potassium tert-pentoxide. These outcomes comforted the nitrile-promoted self-propagative Lossen rearrangement proposed in Scheme 11. Interestingly, this reaction was applied in a pilot study for the synthesis of the HIV-maturation inhibitor BMS-955176 on a 55 kg scale in high yield (95%).⁴⁰

Conclusions

Since its discovery, the Lossen rearrangement has been carried out with many different activating agents. These variations share relatively complex procedures and produce by-products resulting from the elimination of the leaving group. On the other hand, recent progresses demonstrated that the Lossen

rearrangement can occur directly from free hydroxamic acids, thereby avoiding these drawbacks with water and CO₂ as the only stoichiometric by-products. These advances allowed the straightforward access to amines and ureas under mild conditions. Furthermore, the attractive self-propagative Lossen rearrangement of free hydroxamic acid has been applied recently to the synthesis of a bioactive molecule on kilogram scale. While only few examples have been reported yet, the 'direct Lossen rearrangement' represents a real breakthrough making this chemical transformation competitive with the Curtius rearrangement. Hydroxamic acids are indeed more user-friendly to prepare than acyl azide. Furthermore, some 'direct Lossen rearrangements' have been conducted at room temperature while the Curtius reaction is always promoted upon heating. Consequently, the Lossen rearrangement of free hydroxamic acids could surpass the Curtius rearrangement in various applications. Among others, the scope of the 'direct Lossen rearrangement' could be extended to the synthesis of carbamates, as it is a widespread motif in medicinal chemistry.⁴¹ Some applications in the field of material science could also be envisioned. Particularly, a phosgene-free *in situ* generation of isocyanates from relatively harmless hydroxamic acids, under mild conditions and with benign by-products could certainly constitute a novel straightforward route to polyurethanes, polyureas, and their precursors.⁴²

Conflicts of interest

The authors declare no conflicts of interest.

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