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Heterocycle-guided synthesis of *m*-hetarylanilines via three-component benzannulation

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Full Research Paper

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Abstract

A one-pot three-component synthesis of substituted *meta*-hetarylanilines from heterocycle-substituted 1,3-diketones has been developed. The electron-withdrawing power of the heterocyclic substituent (which can be estimated on the basis of calculated Hammett constants) in the 1,3-diketone plays a pivotal role in the studied reaction. The series of *meta*-hetarylanilines prepared (21–85% isolated yield) demonstrates the synthetic utility of the developed method.

Introduction

The aniline moiety is omnipresent in the synthetic chemistry with applications ranging from building blocks to catalysis [1-4]. Among the possible substitution patterns, *meta*-substituted anilines hold a special place. These compounds are difficult to access due to the inherent *ortho*-/*para*-directional reactivity of the amino group, at the same time they are widely used in medicinal chemistry, resulting in several marketed drugs (Figure 1). On the other hand, 3,5-diarylanilines can be regarded as *meta*-terphenyls which are of great interest for material and coordination chemistry [5-16]. Moreover, compounds with diverse bioactivities and natural products contain the *meta*-terphenyl moiety as a key fragment [17-26].

The aforementioned features have led to an extensive development of novel methods to access *meta*-substituted anilines which can be divided into two main strategies (Scheme 1A) [27]. The first strategy focuses on the decoration of the aromatic ring mainly via metal-catalyzed C–N or C–C bond formation.

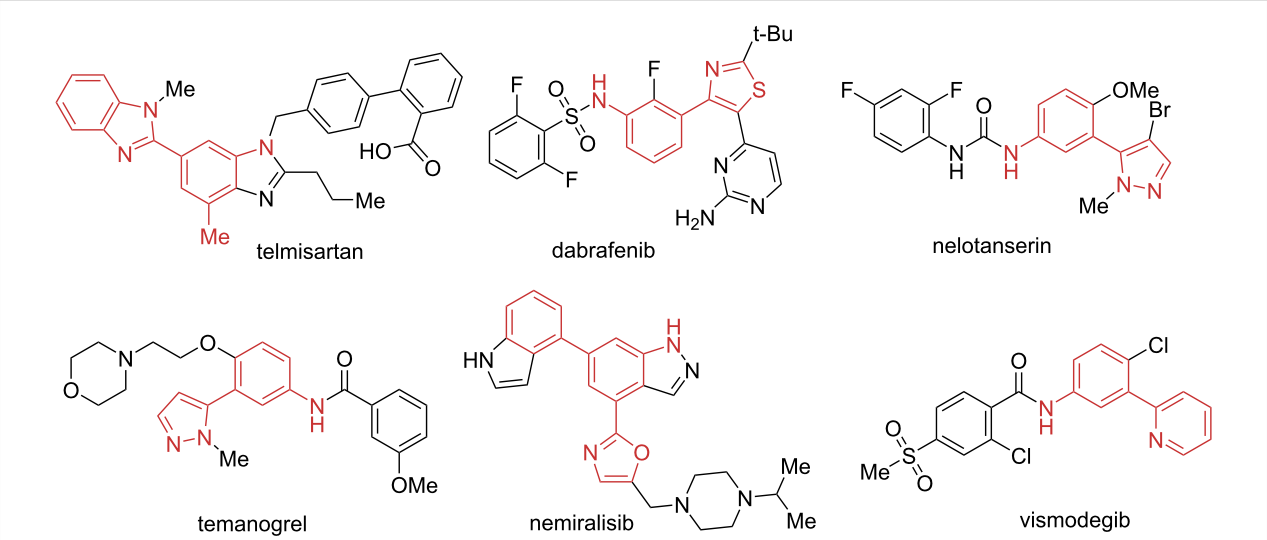
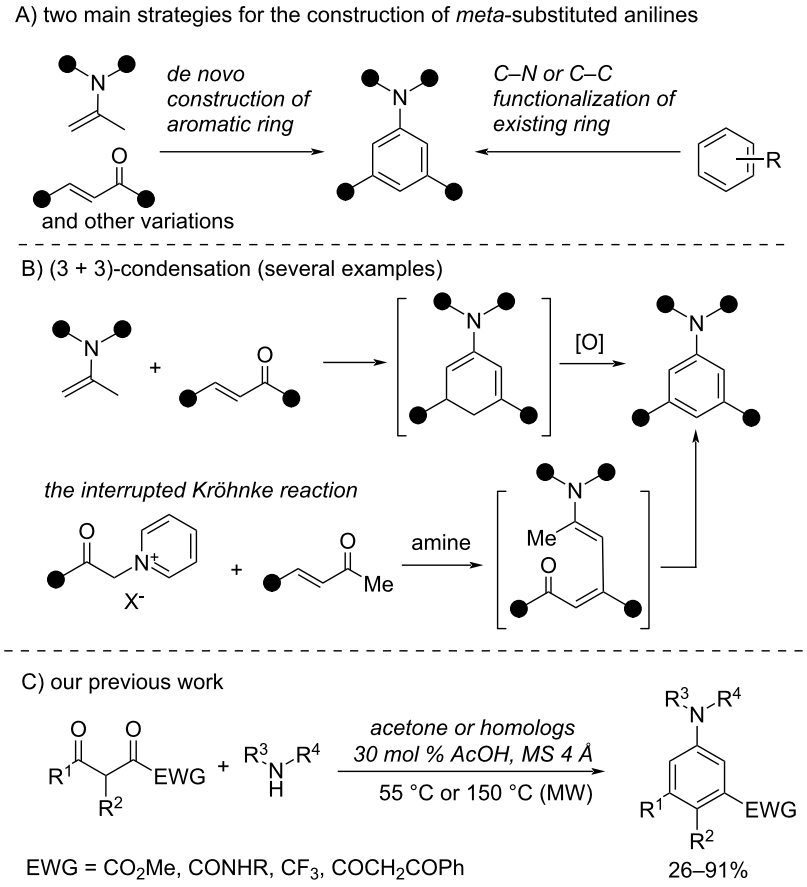


Figure 1: The *meta*-hetarylaniline motif in bioactive molecules.



Scheme 1: Strategies to access *meta*-substituted anilines.

Despite recent advances in the area of remote C–H functionalization, this strategy still requires some pre-functionalization of the starting material or the use of directing groups [28-32]. An alternative strategy is based on aromatic ring formation via benzannulative inter- or intramolecular condensation of acyclic precursors [27,32-37].

Within the latter strategy, [3 + 3] condensations are gaining much attention due to the availability of starting materials and the straightforward installation of the aryl(hetaryl) substituent in the *meta*-position [38-43]. For example, several methods based on the Michael condensation–oxidation sequence starting from α,β-unsaturated ketones have been described (Scheme 1B) [44-50]. Recently, several methods have been developed based on the interrupted Kröhnke reaction (Scheme 1B) [51,52]. The main step of this process is an intermolecular cyclization of the formed 1,5-diketone followed by aromatization.

Previously we have shown that 1,3-diketones bearing an electron-withdrawing group (EWG) adjacent to one of the carbonyls readily react with in situ-generated acetone imines in a (3 + 3) manner to afford *meta*-substituted anilines (Scheme 1C) [53,54]. Various EWGs (ester, carbamoyl, ketone, trifluoromethyl) have been successfully employed which motivated us to evaluate other possible EWGs.

Results and Discussion

Based on the fact, that many heterocycles are isoelectronic to an ester or a carbamoyl group, we were interested in testing various heterocycles as electron-withdrawing groups for activation of the carbonyl group in 1,3-diketones. In order to compare the electron-withdrawing ability of heterocycles and previously studied EWGs, we tried to utilize Hammett constants. Since only a few numbers of experimentally measured Hammett constants for heterocycles are known [55,56], this approach seems unsuitable at first. However, recently, a web-based tool for the calculation of the substituent descriptors compatible with the Hammett sigma constants was released [57] allowing direct comparison of different substituents.

On the basis of the calculated Hammett constants, we have selected a model series of 1,3-diketones, bearing heterocyclic substituents with a range of electron-withdrawing ability (Figure 2). For the amine model series, we used amines with different nucleophilicity, namely benzylamine (primary alkylamine), morpholine (secondary alkylamine) and aniline (aromatic amine).

We first examined the reaction of 1,2,4-oxadiazole-1,3-diketone **1a** (σ_m/σ_p 0.463/0.575, which is quite close to the constants of the CO_2Me group, so a successful reaction was expected, Figure 2) with morpholine under previously found conditions (Scheme 2). To our delight, the *meta*-1,2,4-oxadiazole aniline **3ab**, formed by the sequence of aldol-type reactions, was isolated in 80% yield and its structure was confirmed by NMR and single crystal X-ray analysis (CCDC 2356151). No significant improvement in the yield was observed by varying the reaction conditions. Surprisingly, the reaction of 1,3-diketone **1a**, morpholine and acetone without the use of molecular sieves and acid catalysis (conditions A) resulted in 81% yield of *meta*-substituted aniline **3ab**.

Applying the latter conditions to the reaction with benzylamine, the target substituted aniline **3aa** was formed in a good 73% yield. However, the reaction with less nucleophilic aniline was sluggish, requiring almost 15 days to achieve full conversion of the 1,3-diketone **1a** (TLC control). In this case, performing the reaction in the presence of molecular sieves and 1.5-fold excess of aniline (conditions B) dramatically reduced the reaction time to 1 d, allowing isolation of diarylamine **3ac** in 75% yield (Scheme 2).

Next, we started to screen various heterocyclic 1,3-diketones with the model amine series. The reaction of diketone **1b**, bearing the less electron-withdrawing 1,3,4-oxadiazole moiety (σ_m/σ_p 0.335/0.443), with alkylamines proceeded well (73–74% yields), but required the addition of $CHCl_3$ as co-solvent due to the low solubility of the starting 1,3-diketone **1b**. On the other hand, the reaction of 1,3-diketone **1b** with aniline resulted in

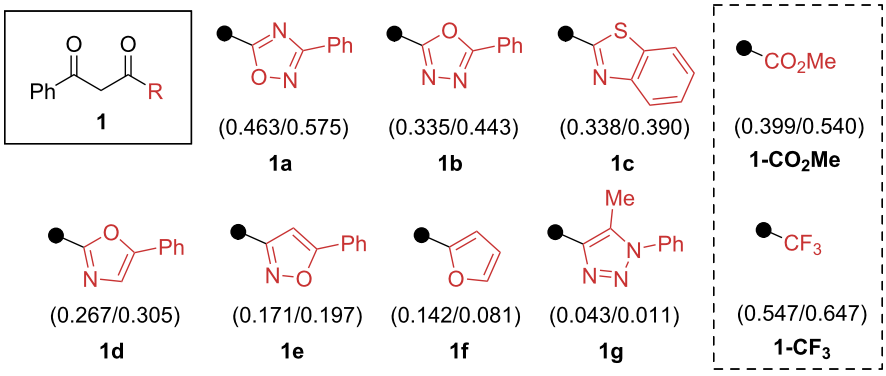
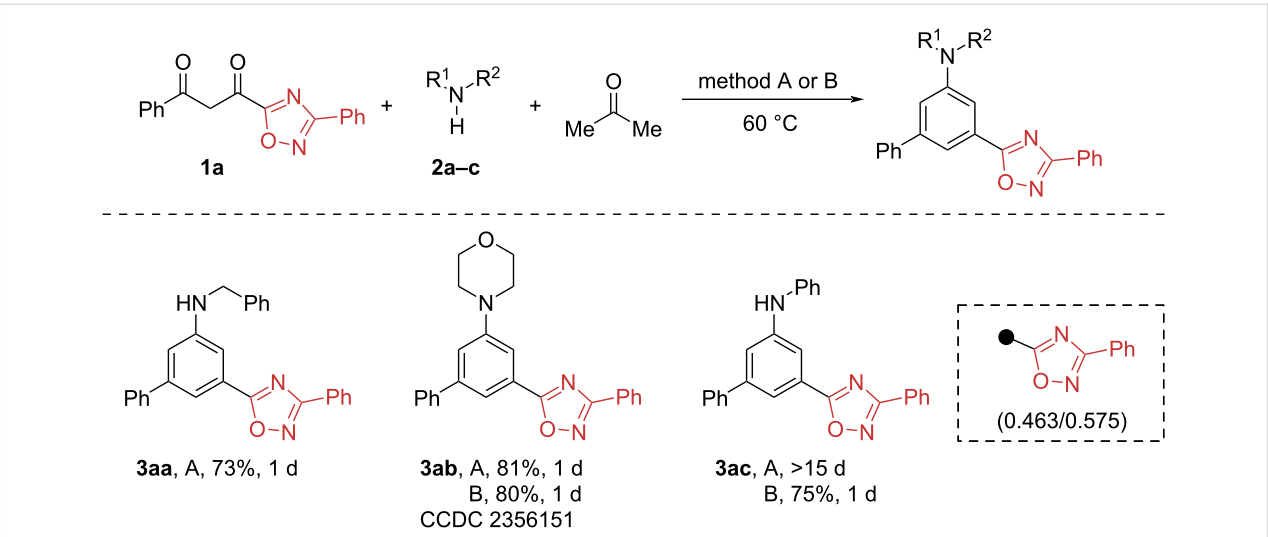


Figure 2: The model series of synthesized 1,3-diketones and corresponding calculated Hammett constants of heterocyclic substituents. Previously studied EWGs [53] are shown in the dashed block. The numbers in parentheses are σ_m and σ_p calculated [57] constants.

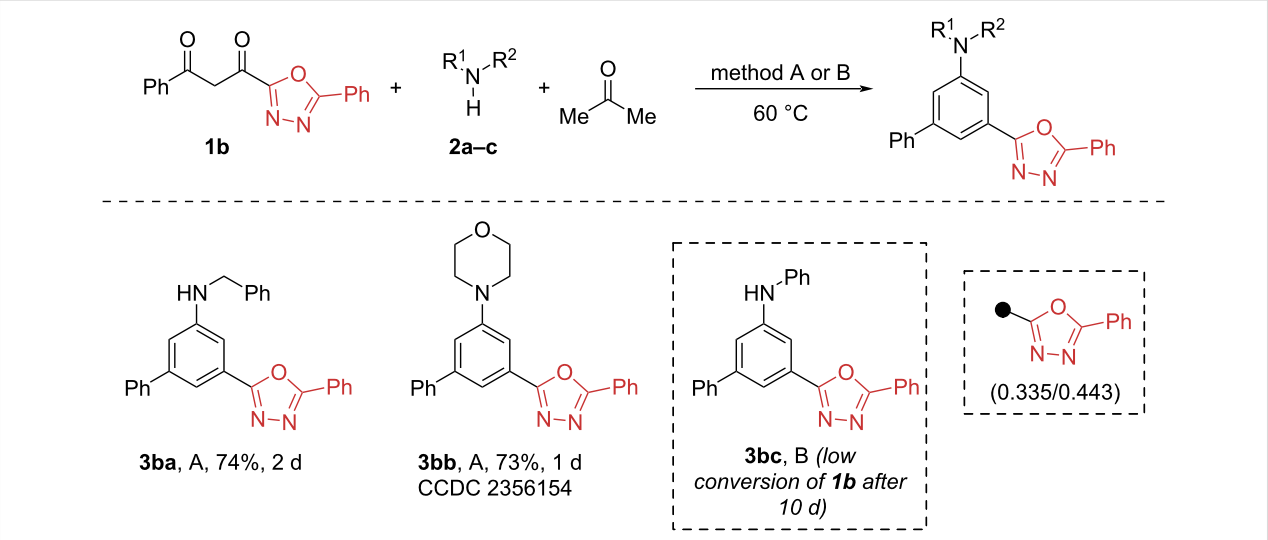


Scheme 2: Synthesis of *meta*-substituted anilines from 1,2,4-oxadiazol-5-yl substituted 1,3-diketone **1a**. Conditions A: **1a** (0.5 mmol), **2a,b** (0.5 mmol) and acetone (2 mL), 60 °C. Conditions B: **1a** (0.5 mmol), **2c** (0.75 mmol), AcOH (30 mol %), molecular sieves 3 Å (300 mg) and acetone (2 mL), 60 °C.

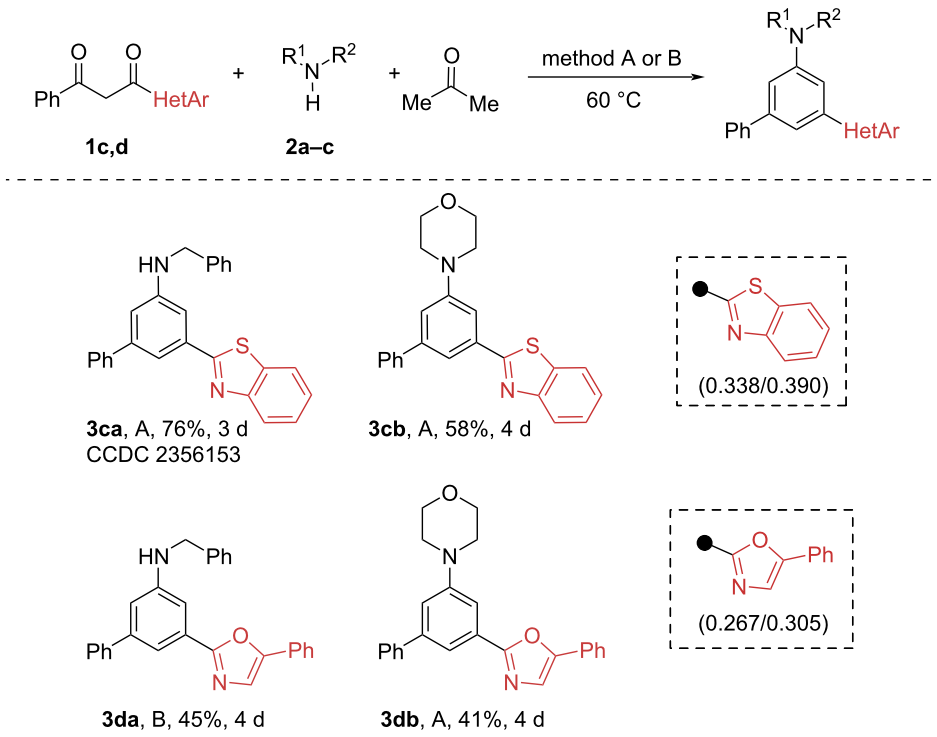
low conversion of **1b** even at prolonged reaction times (up to 10 days). The addition of molecular sieves, excess aniline, or acid catalysts did not significantly affect the conversion (Scheme 3).

1,3-Diketones with benzothiazole (**1c**, σ_m/σ_p 0.338/0.390) and oxazole (**1d**, σ_m/σ_p 0.267/0.305) substituents reacted with primary and secondary alkylamines, requiring prolonged heating and a 1.5 excess of amine (Scheme 4), to give *meta*-substituted arylamines in reasonable synthetic yields. In the case of 1,3-diketone **1d**, the addition of molecular sieves is necessary in order to reduce the formation of the enamine side-product. Similar to 1,3-diketone **1b**, an extremely low conversion of **1c** and **1d** was observed in the reaction with aniline.

The isoxazol-3-yl or furan-2-yl substituents have calculated Hammett constants below 0.200 (Figure 2), thus based on the above observations, a slow reaction of 1,3-diketones **1e** and **1f** with aliphatic amines was expected. Indeed, the reaction of 1,3-diketones **1e** or **1f** with benzylamine was sluggish, and a number of undefined side-products were formed (LC control). *m*-Isoxazole arylamine **3ea** was isolated in low yield (21%)



Scheme 3: Synthesis of *meta*-substituted anilines from 1,3,4-oxadiazol-substituted 1,3-diketone **1b**. Conditions A: **1b** (0.5 mmol), **2a,b** (0.5 mmol) and acetone/$CHCl_3$ (3 mL, 2:1), 60 °C. Conditions B: **1b** (0.5 mmol), **2c** (0.75 mmol), AcOH (30 mol %), molecular sieves 3 Å (300 mg) and acetone (2 mL), 60 °C.



Scheme 4: Synthesis of *meta*-substituted anilines from benzothiazol-2-yl and oxazol-2-yl-substituted 1,3-diketones. Conditions A: **1c**,**d** (0.5 mmol), **2a**,**b** (0.75 mmol) and acetone/$CHCl_3$ (2 mL, 1:1), 60 °C. Conditions B: **1c**,**d** (0.5 mmol), **2a**,**c** (0.75–2.5 mmol), AcOH (30 mol %), molecular sieves 3 Å (300 mg) and acetone/$CHCl_3$ (2 mL, 1:1), 60 °C.

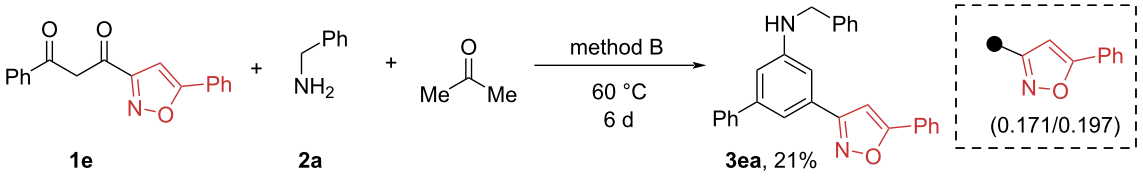
after heating for 6 days (Scheme 5). In fact, arylamine **3fa**, produced from furan-substituted 1,3-diketone **1f** and a 5-fold excess of benzylamine, could be prepared in 18% crude yield (after 7 days, see Supporting Information File 1, page S9).

Finally, all attempts to perform the reaction with 1,2,3-triazole 1,3-diketone **1g** (σ_m/σ_p 0.043/0.011) with model amine series failed (7 days reaction time in each case). This result is in good agreement with low Hammett constants of the triazole-substituent of 1,3-diketone **1g**, which are close to the calculated Hammett constants of the phenyl group (σ_m/σ_p 0.055/0.012).

Quantum-chemical/chemoinformatics calculations were also performed to correlate the observed reactivity with the charges on the carbonyl group of 1,3-diketones. Unfortunately, no reliable correlation was found between the charges and the reactivity of the 1,3-diketones with the present set of heterocyclic substituents or with the EWGs that were previously studied (see Supporting Information File 1).

The presence of electron-donating (**3ha**) or electron-withdrawing groups (**3ia**) in the aryl substituent of the 1,3-diketone does not affect the reaction outcome (Figure 3). We have further demonstrated the utility of three-component condensation by introducing additional functional groups into the amine moiety (Figure 3).

Substituted arylamines bearing alcohol (**3ae**), phenol (**3ad**), alkene (**3bi**), dimethyl acetal (**3bj**) functionality can be accessed



Scheme 5: Synthesis of *meta*-substituted aniline from isoxazol-3-yl-substituted 1,3-diketone **1e**. Conditions B: **1e** (0.3 mmol), **2a** (0.45 mmol), AcOH (30 mol %), molecular sieves 3 Å (300 mg) and acetone (1 mL), 60 °C.

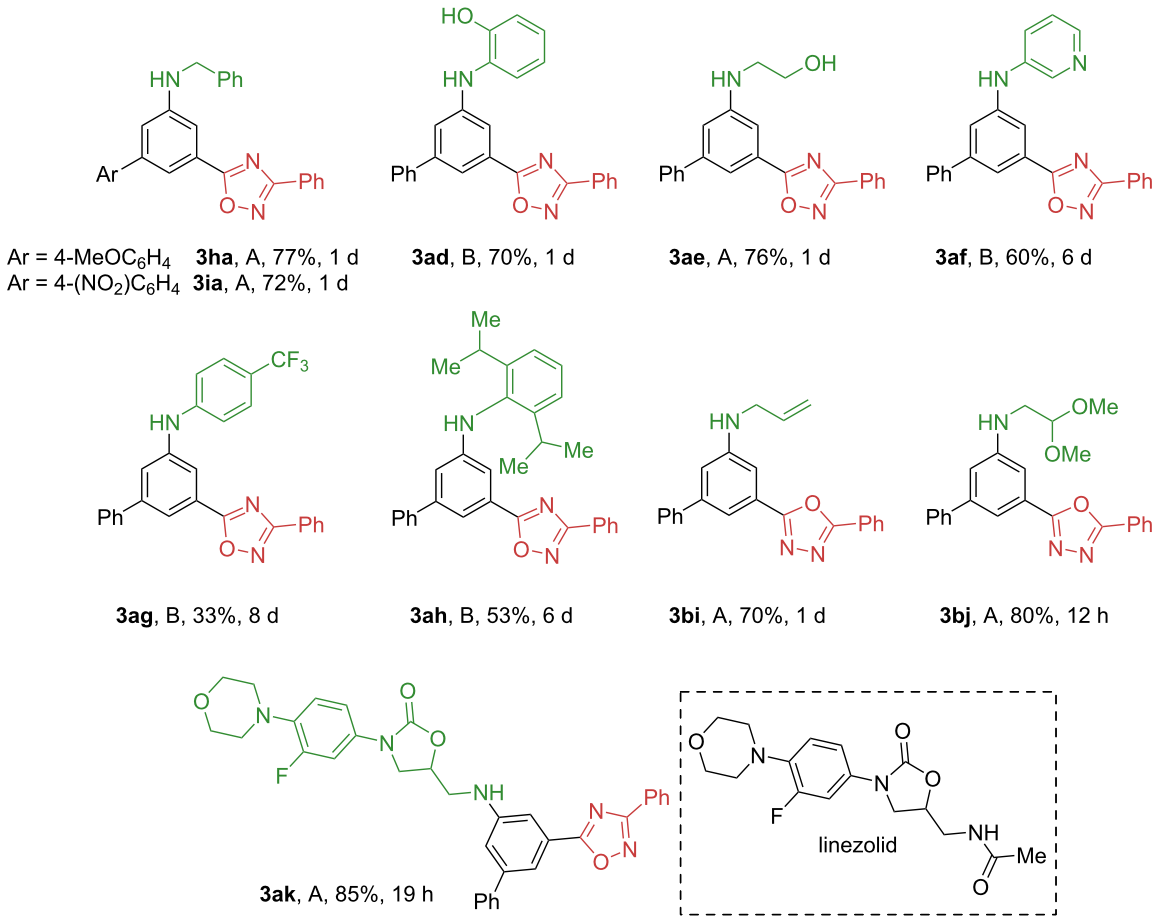


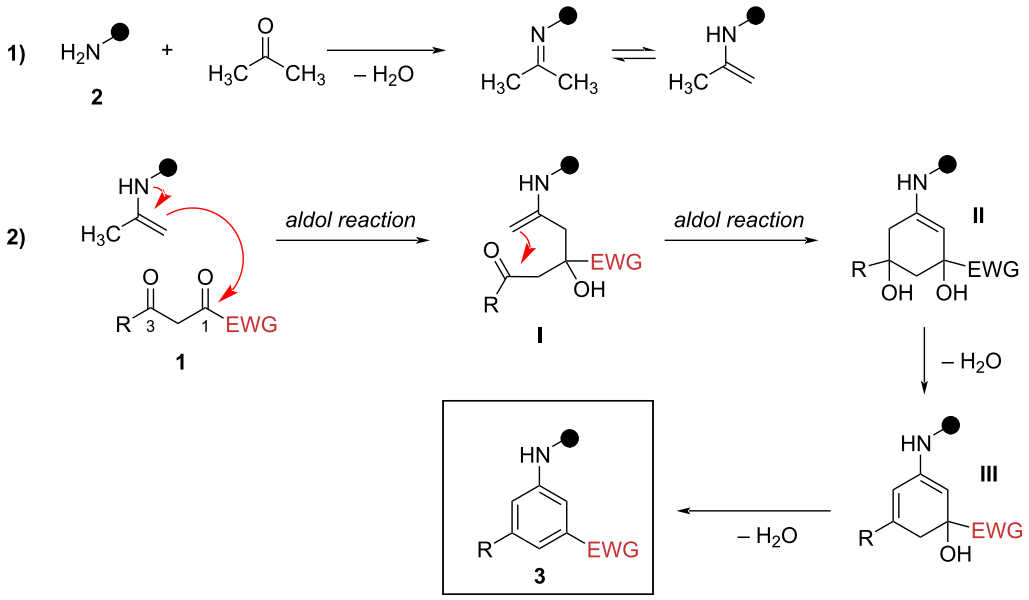
Figure 3: Scope of functionalized amines in three-component condensation. Conditions A: **1a**,**b**,**h**,**i** (0.2–0.5 mmol), **2e**,**i**–**k** (0.2–0.75 mmol) and acetone (1–2 mL) or acetone/$CHCl_3$ (3 mL, 2:1), 60 °C. Conditions B: **1a** (0.3–0.5 mmol), **2d**,**f**–**h** (0.45–0.75 mmol), AcOH (30 mol %), molecular sieves 3 Å (300 mg) and acetone (1–2 mL), 60 °C.

in good yields. Reaction of 1,3-diketone **1a** with a non-amidine type heterocyclic amine, 3-aminopyridine, provided *N*-hetaryl-aniline (**3af**) in moderate yield. Furthermore, it is possible to synthesize sterically hindered anilines such as arylamine **3ah**, which was prepared from 2,6-di(isopropyl)aniline in 53% yield. However, in the case of an electron-deficient amine (4-trifluoromethylaniline), the desired *meta*-heterocycle aniline **3ag** was prepared in low yield. Finally, the developed method is suitable for the late-stage arylation of drug-like molecules such as deacetyllinezolid (**3ak**).

The *meta*-substituted anilines **3** are formed in a sequence of reactions shown in Scheme 6 [53]. Firstly, the reaction of acetone and amines **2** leads to the formation of acetone imine/enamine (reaction 1, Scheme 6). Nucleophilic addition of an enamine to the most electron-deficient carbonyl group (C^1=O, adjacent to the EWG) of the 1,3-diketones **1** gives the acyclic carbinol **I** (reaction 2, Scheme 6), followed by the intramolecular addition of enamine **I** to the C^3=O to form intermediate **II**, which dehydrates to cyclic carbinol **III**. Finally, dehydration of intermediate **III** yields anilines **3**.

Conclusion

In summary, a method for the synthesis of substituted *meta*-hetarylanilines under mild conditions starting from 1,3-diketones with heterocyclic substituents, acetone and various amines has been developed. The success of this three-component reaction is governed by the electron-withdrawing ability of the heterocyclic substituent in the 1,3-diketone, which can be evaluated with computational Hammett constants. As a rule-of-thumb, 1,3-diketones bearing substituents with σ_m or $\sigma_p > 0.300$ afford *meta*-anilines from alkylamines in good synthetic yields, and higher σ_m or σ_p are required for three-component condensation with less nucleophilic arylamines. The developed one-pot three-component reaction is efficient (yields up to 85%), compatible with many functional groups, and allows to synthesize a



Scheme 6: Proposed mechanism for the formation of *meta*-substituted anilines **3** via three-component benzannulation.

series of difficult-to-access *meta*-substituted anilines of interest for medicinal and material chemistry.

Supporting Information

CCDC 2356152 (**1g**), 2356151 (**3ab**), 2356154 (**3bb**) and 2356153 (**3ca**) contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre via https://www.ccdc.cam.ac.uk/structures.

Supporting Information File 1

Full experimental details, characterization data of new compounds and NMR spectra.
[https://www.beilstein-journals.org/bjoc/content/supplementary/1860-5397-20-188-S1.pdf]

Supporting Information File 2

xyz files with Cartesian atomic coordinates for all model structures and CIF-files for compounds **1g**, **3ab**, **3bb** and **3ca**.
[https://www.beilstein-journals.org/bjoc/content/supplementary/1860-5397-20-188-S2.zip]

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Data Availability Statement

The data that supports the findings of this study is available from the corresponding author upon reasonable request.

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