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Silver-Promoted Cyclization of α-Aminoacetophenone with NH_4PF_6: Synthesis of 2-Arylformylimidazole Derivatives

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Abstract

A new method for AgOAc-oxidative cyclization of α-aminoacetophenones with NH_4PF_6 leading to 2-arylformylimidazoles derivatives has been developed. Under the optimal reaction conditions, a wide range of 2-arylformylimidazoles derivatives were synthesized in moderate to good yields ranging from 52% to 93%. These products were confirmed by IR, NMR and MS. The results show that this method has advantages such as the availability for raw materials and simple chemical operations.

Keywords

α-Aminoacetophenone, Imidazole, Condensation, Cyclization, Oxidative Deamination

银促进的α-氨基芳乙酮与NH_4PF_6的缩合环化反应：2-芳甲酰基咪唑衍生物的合成研究

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摘　要

本文发展了一种由六氟磷酸铵提供铵源，与两分子*α*-氨基芳乙酮在醋酸银的氧化作用下，经过缩合、脱胺、环化等串联反应合成2-芳甲酰基咪唑衍生物的新方法。在最优条件下，合成了8种2-芳甲酰基咪唑衍生物，收率为52%~93%。所有的产物结构通过IR、NMR和MS等手段表征。该方法具有原料简单易得、操作简便等优点。

关键词

***α*-氨基芳乙酮，咪唑，缩合反应，环化反应，氧化脱胺**

1. 引言

咪唑作为一种重要的氮杂五元骨架，不仅是生物体内肌肽、组氨酸、组胺、嘌呤等重要生命物质的基本单元，而且存在于多种农药、医药化合物中，如杀虫剂、除草剂、灭菌剂等[1]，以及降压药氯沙坦[2]、抗消化性溃疡药甲氰咪胺[3]、抗肿瘤药物 4H-吡咯并[1,2-a]苯并咪唑(PBIS)衍生物[4]-[6]等。此外，咪唑化合物还具有良好的配位性能，不仅易与金属离子配位形成金属配合物[7]，还可作为多种酶的活性中心功能基[7] [8]。由于咪唑化合物具有众多优良的性能，被广泛应用于生物、工业和有机合成领域中。因此，百余年来咪唑及其衍生物的合成及应用研究从未间断，至今仍十分活跃[9]。咪唑环的合成方法众多，据文献报道主要有如下一些方法：乙二醛(1，2-二酮)-醛-氨法[10]-[12]、*α*-氨基缩醛法[13]、直接缩聚法[14] [15]、异腈法[16]、*α*-氨基氰法[17]、*α*-酰氨基希夫碱法[18]、Claisen 重排反应法[19]、钯催化环化合成法[20]等。2-芳甲酰基咪唑衍生物最初从海鞘类动物体内分离出，近年来，该类化合物在生物学研究领域中备受关注[21] [22]。但有关 2-苯甲酰基-5-苯基咪唑及其衍生物的合成鲜有报道。已报道的该类化合物的合成方法主要有以下两种：1) 以芳基乙二醛为原料制备 2-苯甲酰基-5-苯基咪唑[23] [24]。但是该方法中使用的原料芳基乙二醛不易获取，需要复杂的制备过程；2) 以 *α*-叠氮基芳乙酮为原料制备 2-苯甲酰基-5-苯基咪唑[25] [26]。但是叠氮化合物有毒、易爆炸，而且反应后处理复杂，限制了其应用范围。

本文以 *α*-氨基芳乙酮和六氟磷酸铵为原料，通过反应条件的优化，建立了一种合成 2-芳甲酰基咪唑衍生物的反应新方法。在醋酸银的作用下，*α*-氨基芳乙酮发生碳氮键断裂，与铵离子缩合环化，最终生成 2-芳甲酰基咪唑衍生物。本文合成 2-芳甲酰基咪唑衍生物的技术方法具有原料简单易得、反应后处理简单等特点。采用红外光谱(IR)、核磁共振波谱(^{1}H NMR, ^{13}C NMR)和质谱(MS)对产物进行了结构表征。

2. 实验部分

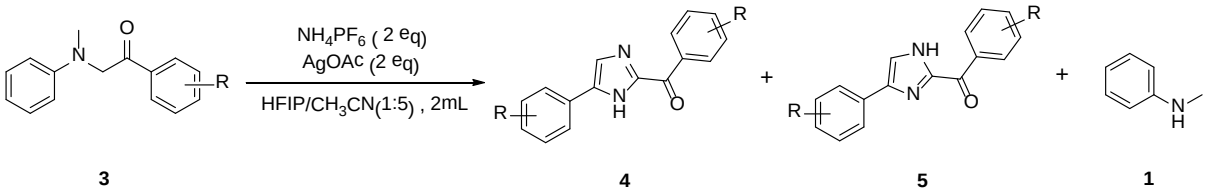
2.1. 试剂与仪器

WRS-1B 型数字熔点仪(上海申光仪器仪表有限公司)；Bruker EQUINOX55 型红外分光光度计(瑞士布鲁克公司)；Avance-III AV500 核磁共振仪(瑞士布鲁克公司，TMS 为内标，DMSO-d_6 为溶剂)；GC(7890A)-MS(5975C)型气相色谱－质谱联用仪(美国安捷伦公司)；Bruker micrOTOF-Q II 高分辨率质谱仪(美国布鲁克－道尔顿公司)；薄层层析硅胶用 GF254 硅胶和 HF254 型柱层析硅胶(烟台江友硅胶开发有限公司)。石油醚和乙酸乙酯经过重蒸纯化，其它试剂均为进口或国产市售分析纯。原料 *α*-氨基芳乙酮根

据文献[27]的方法合成。

2.2. 化合物 4a~4i(5a~5i) (4,5 互为同分异构体)的合成及产物表征：

化合物 **4a~4i** (**5a~5i**)的合成反应如图 1 所示。向 15 mL 反应管(SYNTHWARE)中依次加入磁力搅拌子、*α*-(N-甲基-N-苯基)-苯乙酮(**3**)(0.20 mmol)、AgOAc (66.8 mg，0.40 mmol，2.0 equiv)、NH_4PF_6 (65.2 mg，0.40 mmol，2.0 equiv)、HFIP(六氟异丙醇)与 CH_3CN (v:v = 1:5) 的混合溶液(2 mL)，于 130℃下搅拌回流反应。用薄层色谱(TLC)监测反应进程，反应完成后冷却至室温，用 25 mL 乙酸乙酯稀释，过滤分离出固体物质，减压蒸除溶剂，粗产物经柱层析[v(石油醚)/v(乙酸乙酯) = 10:1~5:1]分离得到化合物 **4** 与 **5**。化合物 **4** 与 **5** 互为同分异构体，我们尝试分离这两种化合物，然而由于 **4** 与 **5** 之间相互快速转化[24]，因此未能分离出它们。目标化合物的表征结果见表 1 和表 2。



3a: R = H; **3b**: R = CH_3; **3c**: R = *p*-OCH_3; **3d**: R = F; **3e**: R = Cl; **3f**: R = Br; **3g**: R = CN; **3h**: R = CF_3.

Figure 1. Synthesis of compounds **4a-4h** and **5a-5h**
图 1. 化合物 **4a~4h** 与 **5a~5h** 的合成

Table 1. Feature, yields, MS, HRMS and IR data for compounds **4a-4h** and **5a-5h**
表 1. 化合物 **4a~4h** 与 **5a~5h** 的特征、产率、低分辨质谱、高分辨质谱及红外光谱表征

Compd.	Feature	m.p./˚C	Yield*(%)	LRMS (EI, 70 eV), m/z (%)	HRMS (ESI) $[M+H]^+$(calcd.), m/z	IR (KBr) ν/cm^{-1}
4a,5a	Yellow solid	278.6	93	249 (18), 247 (10), 220 (100), 105(53)	249.1033 (249.1023)	3257, 1625, 1464, 1298, 1288, 1171, 909, 759, 686
4a,5a	Yellow solid	278.6	93	249 (18), 247 (10), 220 (100), 105 (53)	249.1033 (249.1023)	3257, 1625, 1464, 1298, 1288, 1171, 909, 759, 686
4b,5b	Yellow solid	184.6	73	276 (96), 248 (100), 130 (10), 119 (75)	277.1341 (277.1335)	3274, 1618, 1455, 1374, 1291, 1271, 1169, 906, 769, 702
4c,5c	Yellow solid	187.4	80	309 (17), 308 (78), 265 (28), 135 (100)	309.1243 (309.1234)	3263, 1611, 1599, 1450, 1288, 1247, 1160, 1029, 905, 831, 775, 657
4d,5d	Yellow solid	113.0	83	285 (17), 284 (100), 135 (74), 95 (51)	285.0831 (285.0834)	3248, 1627, 1469, 1385, 1310, 1289, 1264, 1245, 1170, 1040, 940, 868, 761, 680
4e,5e	Yellow solid	171.0	58	318 (50), 316 (77), 207 (53), 139 (100)	317.0257 (317.0243)	3269, 2921, 2847, 1614, 1584, 1469, 1451, 1292, 1168, 1100, 1015, 904, 835, 771
4f,5f	Brown solid	257.9	69	408 (48), 406 (100), 380 (39), 157 (67)	404.9243 (404.9233)	3257, 2914, 1679, 1580, 1451, 1320, 1169, 1071, 1022, 1012, 903, 832, 770, 713, 665
4g,5g	Brown solid	272.3	65	298 (66), 270 (100), 130 (72), 102 (84)	299.0944 (299.0928)	3280, 2217, 1635, 1607, 1464, 1320, 1301, 1177, 1146, 914, 768, 681
4h,5h	Yellow solid	200.6	52	385 (16), 384 (78), 356 (100), 173 (42)	385.0769 (385.0770)	3274, 1632, 1615, 1478, 1328, 1292, 1169, 1112, 1065, 1014, 910, 785, 682

*Yield after purification by column chromatography on silica gel.

Table 2. ^{1}H NMR, ^{13}C NMR data of compounds **4a—4h (5a—5h)**
表 2. 化合物 **4a—4h (5a—5h)**的 ^{1}H NMR，^{13}C NMR 结构表征

Compd.	^{1}H NMR (500 MHz, DMSO-d_6), δ	^{13}C NMR (500 MHz, DMSO-d_6), δ
4a, 5a	13.84 (0.19H, s, NH), 13.68 (1H, s, NH), 8.64 (d, *J* = 7.0 Hz, 2H), 8.50 (d, *J* = 7.0 Hz, 0.41H), 8.09 (s, 1H), 7.97 (d, *J* = 6.0 Hz, 2H), 7.80 (s, 0.24H), 7.69～7.64 (m, 1H), 7.62~7.54 (m, 2H), 7.47～7.41 (m, 2H), 7.35 (t, *J* = 7.0 Hz, 0.25H), 7.28 (t, *J* = 7.0 Hz, 1H)	181.0, 180.8, 145.8, 144.7, 142.9, 136.2, 136.0, 135.7, 133.7, 133.0, 132.9, 132.8, 130.7, 130.5, 128.9, 128.6, 128.5, 128.3, 128.2, 128.1, 127.1, 127.0, 125.7, 124.9, 118.6, 118.5
4b, 5b	13.67 (0.32H, s, NH), 13.53 (1H, s, NH), 8.54 (d, *J* = 8.0 Hz, 2H), 8.43 (d, *J* = 8.0 Hz, 0.68H), 7.99 (d, *J* = 2.0 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 0.66H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.72 (d, *J* = 2.0 Hz, 0.34H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 0.75H), 7.26(d, *J* = 8.0 Hz, 0.68H), 7.23 (d, *J* = 8.0 Hz, 2H), 2.42 (s, 3H), 2.40 (s, 1H), 2.33(s, 1H), 2.32 (s, 3H)	180.4, 180.3, 145.7, 144.7, 143.4, 143.2, 142.9, 137.7, 136.2, 135.7, 133.6, 133.4, 131.0, 130.8, 130.7, 129.4, 129.2, 128.9, 128.7, 128.3, 125.9, 125.6, 124.8, 117.8, 21.2, 20.8
4c, 5c	13.56 (0.26H, s, NH), 13.45 (1H, s, NH), 8.71 (d, *J* = 8.5 Hz, 2H), 8.57 (d, *J* = 8.5 Hz, 0.60H), 7.92 (d, *J* = 1.5 Hz, 1H), 7.89 (s, 0.28H), 7.87 (d, *J* = 8.5 Hz, 2H), 7.65 (s, 0.26H), 7.13 (d, *J* = 8.5 Hz, 2H), 7.09 (d, *J* = 8.5 Hz, 0.70H), 7.02 (s, 0.28H), 6.99 (d, *J* = 8.5 Hz, 2H), 3.88 (s, 2H), 3.86 (s, 1H), 3.80 (s, 1H), 3.78 (s, 2H)	179.1, 178.9, 163.2, 163.0, 159.3, 158.6, 145.6, 144.7, 142.7, 135.4, 133.1, 132.9, 128.9, 128.7, 127.6, 127.1, 126.5, 126.1, 121.3, 116.9, 114.3, 114.0, 113.6, 113.5, 55.5, 55.2, 55.1
4d, 5d	13.79(0.17H, s, NH), 12.63 (1H, s, NH), 8.71 (t, *J* = 6.5Hz, 2H), 8.58(t, *J* = 6.5 Hz, 0.38H), 8.05 (s, 1H), 8.00 (dd, *J* = 8.0 Hz, 0.42H), 7.95 (dd, *J* = 8.0Hz, 2H), 7.74 (s, 0.18H), 7.40 (t, *J* = 8.8 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 0.35H), 7.29 (d, *J* = 9.0 Hz, 0.39H), 7.24 (t, *J* = 8.8 Hz, 2H)	179.0, 166.1, 164.1, 162.5, 160.5, 145.6, 144.5, 142.0, 134.9, 133.6 (d, *J* = 9.3 Hz), 133.5 (d, *J* = 9.3 Hz), 132.5 (d, *J* = 2.8 Hz), 130.1 (d, *J* = 2.8 Hz), 128.8, 127.9 (d, *J* = 8.0 Hz), 126.8 (d, *J* = 8.0 Hz), 118.4, 115.8 (d, *J* = 21.5 Hz), 115.5-115.2 (m), 115.1
4e, 5e	13.87 (0.15H, s, NH), 13.71 (1H, s, NH), 8.59 (d, *J* = 7.8 Hz, 2H), 8.50 (s, 0.29H), 8.11 (s, 1H), 7.94 (d, *J* = 7.9 Hz, 2H), 7.82 (s, 0.27H), 7.67 (d, *J* = 8.1 Hz, 2H), 7.48 (d, *J* = 7.9 Hz, 2H)	179.4, 170.3, 144.5, 141.8, 138.2, 137.7, 134.5, 132.6, 132.5, 131.6, 131.5, 131.4, 131.1, 129.6, 128.7, 128.6, 128.5, 127.4, 126.9, 126.5, 119.3
4f, 5f	13.91 (0.14H, s, NH), 13.74 (1H, s, NH), 8.51 (d, *J* = 8.4 Hz, 2H), 8.42 (d, *J* = 8.4 Hz, 0.30H), 8.16 (s, 1H), 7.93 (d, *J* = 8.4 Hz, 0.33H), 7.89 (d, *J* = 8.4 Hz, 2H), 7.82 (d, *J* = 8.4 Hz, 2H), 7.79 (d, *J* = 8.4 Hz, 0.43H), 7.66 (d, *J* = 8.4Hz, 0.32H), 7.61 (d, *J* = 8.4 Hz, 2H)	179.7, 174.3, 144.5, 141.8, 134.8, 132.8, 132.6, 132.5, 131.9, 131.5, 131.4, 131.3, 129.6, 129.4, 127.7, 127.4, 126.9, 120.1, 119.3
4g, 5g	14.16 (0.07H, s, NH), 13.97 (1H, s, NH), 8.66 (d, *J* = 8.5 Hz, 2H), 8.55 (d, *J* = 8.0 Hz, 0.15H), 8.36 (d, *J* = 2.0 Hz, 1H), 8.17 (d, *J* = 8.0 Hz, 0.17H), 8.15 (d, *J* = 4.0 Hz, 0.10H), 8.11 (d, *J* = 8.5 Hz, 2H), 8.08 (d, *J* = 8.5 Hz, 2H), 7.93 (d, *J* = 8.5 Hz, 0.24H), 7.90 (d, *J* = 8.5 Hz, 2H)	184.9, 175.5, 149.9, 146.6, 144.5, 143.1, 137.9, 137.5, 136.4, 136.3, 130.7, 126.7, 126.6, 124.2, 123.5, 120.3, 114.6
4h, 5h	14.14 (0.10H, s, NH), 13.91 (1H, s, NH), 8.69 (d, *J* = 8.0 Hz, 2H), 8.60 (d, *J* = 8.0 Hz, 0.21H), 8.30 (s, 1H), 8.19 (d, *J* = 8.0 Hz, 0.21H), 8.13 (d, *J* = 8.0 Hz, 2H), 7.96 (d, *J* = 8.0 Hz, 2H), 7.87 (d, *J* = 8.0 Hz, 0.10 H), 7.84 (s, 0.06H), 7.81 (d, *J* = 8.0 Hz, 0.23H), 7.76 (d, *J* = 8.0 Hz, 2H)	180.0, 144.6, 141.6, 139.2, 137.4, 132.5, 132.2, 131.3, 127.5, 127.2, 125.5(d, *J* = 1.5 Hz), 125.3, 125.2 (d, *J* = 1.5 Hz), 124.9, 123.3, 122.8, 120.7

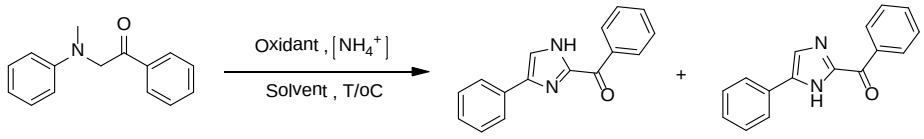
3. 结果与讨论

3.1. 反应条件的优化

以 *α*-(N-甲基-N-苯基)-苯乙酮(**3a**, 0.20 mmol)合成 2-苯甲酰基-4(或 5)-苯基咪唑(**4a**, **5a**)的反应作为合成 2-芳甲酰基咪唑衍生物的反应的模型，分别对氧化剂、铵源、反应温度和反应溶剂等条件进行优化，结果见表 3。

首先将原料 *α*-(N-甲基-N-苯基)-苯乙酮 **3a**、醋酸银、六氟磷酸铵、六氟异丙醇与乙腈(v:v = 1:5)的混合溶剂加入反应管，于 130℃反应 12 h，发现生成痕量的产物 **4a** 与 **5a** (表 3，Entry 1)。对反应溶剂进行筛选，结果表明，选用体积比为 1:5 的六氟异丙醇(HFIP)与乙腈(CH_3CN)的混合溶剂，收率达到 93% (Entries 1~7)。选用醋酸铵(NH_4OAc)作为铵源，反应的收率明显下降(Entry 8)。当反应温度为 110℃时，反应收率为 84% (Entry 9)。继续降低反应温度至 90℃，或者缩短反应时间，原料 *α*-(N-甲基-N-苯基)-苯乙酮没有反应完，导致收率降低(Entries 10 and 11)。在确定了合适的溶剂和反应温度等条件后，对氧化剂进行了考察，对比分别加入氧化银(Ag_2O)、碳酸银(Ag_2CO_3)、硝酸银($AgNO_3$)，以及双氧水、过硫酸钾($K_2S_2O_8$)等氧化剂，均能促进反应的进行，但都不如醋酸银的反应效果明显(Entries 12~18)。增加或减少醋酸银的量，均不能继续提高收率(Entry 19, 20)。通过对实验条件的筛选和优化，最终确定了 130℃下以两当量的醋酸银作氧化剂，两当量的六氟磷酸铵为铵源，体积比为 1:5 的六氟异丙醇与乙腈的混合溶剂作为反应溶剂

Table 3. Optimization of reaction conditions[a]
表 3. 反应条件的优化 [a]



3a **4a** **5a**

Entry	Oxidant(eq)	[NH4+]	Solvent (volumeratio)	Temperature /℃	Time /h	Yield[b](%)
1	AgOAc (2)	NH_4PF_6	HFIP	130	12	Trace
2	AgOAc (2)	NH_4PF_6	DCE	130	12	0
3	AgOAc (2)	NH_4PF_6	CH_3CN	130	12	21
4	AgOAc (2)	NH_4PF_6	HFIP/CH_3CN (1:1)	130	12	70
5	AgOAc (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	93
6	AgOAc (2)	NH_4PF_6	HFIP/*t*-BuOH (1:5)	130	12	21
7	AgOAc (2)	NH_4PF_6	PrCN/CH_3CN (1:5)	130	12	24
8	AgOAc (2)	NH_4OAc	HFIP/CH_3CN (1:5)	130	12	51
9	AgOAc (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	110	12	84
10	AgOAc (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	90	12	76
11	AgOAc (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	8	81
12	Ag_2O (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	48
13	Ag_2CO_3 (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	Trace
14	$AgNO_3$(2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	12
15	H_2O_2(2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	59
16	$K_2S_2O_8$(2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	53
17	$V(oacac)_5$ (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	17
18	$Mn(OAc)_2{\cdot}2H_2O$ (2)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	50
19	AgOAc (1.5)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	53
20	AgOAc (3)	NH_4PF_6	HFIP/CH_3CN (1:5)	130	12	69

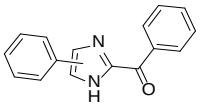
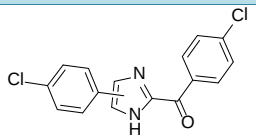
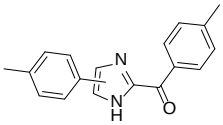
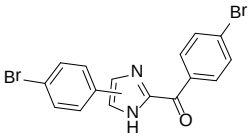
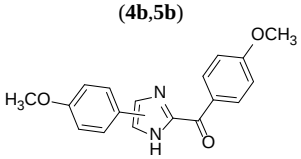
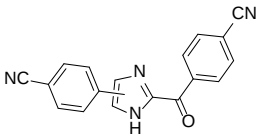
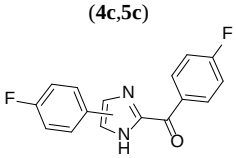
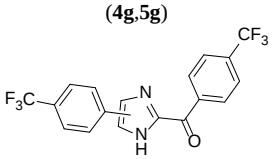
[a]Reaction conditions: 0.2 mmol compound **3a**, oxidantand 0.2 mmol [NH4+] (2 eq) in 2 mL solvent; [b]isolated yield aftercolumn chromatographic purification.

的最优反应条件。在此条件下，*α*-(N-甲基-N-苯基)-苯乙酮(**3a**)合成 2-苯甲酰基-4-苯基咪唑(**4a**)与 2-苯甲酰基-5-苯基咪唑(**5a**)的反应可以获得最高的收率。

3.2. 反应底物的扩展

对反应底物的拓展研究结果(表 4)表明，苯环上对位存在供电子基团的 2-芳甲酰基咪唑衍生物的反应收率相对较高(表 4，Entries 2~4，收率为 73%~83%)。苯环上对位存在吸电子基团的 2-芳甲酰基咪唑衍生物，其反应收率相对较低(表 4，Entries 6~9，收率为 52%~69%)。当苯环上不含取代基时，反应的收率最高(表 4，Entry 1，收率为 93%)。实验结果表明，*α*-(N-甲基-N-苯基)-苯乙酮合成 2-芳甲酰基咪唑衍生物的反应活性与苯环上取代基的类型、位置密切相关，苯环上对位取代基的给电子作用越强，*α*-(N-甲基-N-苯基)-芳乙酮合成 2-芳甲酰基咪唑衍生物的反应活性越高。我们合成的产物核磁共振谱图出现两组峰，^{1}H NMR 谱图显

Table 4. Substrate scope[a]
表 4. 底物拓展 [a]

Entry	Compd.	Yield[b](%)	4/5 Ratio	Entry	Compd.	Yield[b](%)	4/5 Ratio
1	 **(4a,5a)**	93%	4.0	**5**	 **(4e,5e)**	58%	5.7
2	 **(4b,5b)**	73%	3.4	**6**	 **(4f,5f)**	69%	5.3
3	 **(4c,5c)**	80%	2.9	**7**	 **(4g,5g)**	65%	11.1
4	 **(4d,5d)**	83%	5.2	**8**	 **(4h,5h)**	52%	9.8

[a]Reaction conditions: 0.2 mmol compound **3**, 0.4 mmol AgOAc (2 eq), 0.4 mmol NH_4PF_6 (2 eq) in 2 mL HFIP/CH_3CN (v:v= 1:5) at 130℃ for 12 h; [b]isolated yield after column chromatographic purification.

示化合物 **4** 比 **5** 的 NH 化学位移值小，根据两种同分异构体在 ^{1}H NMR 谱图中的 NH 峰面积，我们计算出 **4**、**5** 两种化合物的比例(a/b Ratio)，结果如表 4 所示。

4. 结论

我们研究了以 *α*-氨基芳乙酮为原料，通过碳氮键的断裂，串联环化合成 2-芳甲酰基咪唑衍生物的反应。通过条件筛选, 确定了 130℃下, 以两当量的醋酸银作催化剂, 两当量的六氟磷酸铵为铵源，六氟异丙醇与乙腈(体积比：1:5)的混合溶剂作为反应溶剂的最佳反应条件。在此反应条件下，*α*-(N-甲基-N-苯基)-苯乙酮合成 2-苯甲酰基-4(或 5)-苯基咪唑(4a, 5a)的反应产率可达 93%。该反应具有操作简便、原料简单易得等特点，通过 *α*-氨基芳乙酮与六氟磷酸铵的串联环化反应，提供了一条有效制备 2-芳甲酰基咪唑衍生物的反应新方法。

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