·论 文·

一种查耳酮类化合物的合成方法

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摘 要 建立一种以取代苯乙酮和取代苯甲醛为原料,采用多聚磷酸/浓硫酸体系催化合成查耳酮类化合物的方法,并对反应条件进行优化。结果表明,最优反应条件为多聚磷酸5 equiv.、浓硫酸20 equiv.,1,4-二氧六环作溶剂,90 ℃氮气保护下反应2 h。在优化的反应条件下,以较好的得率合成了12个查耳酮类化合物。所有化合物结构通过IR、HRMS、^{1}H NMR和^{13}C NMR进行表征。

关键词 查耳酮类化合物;缩合反应;多聚磷酸/浓硫酸;苯乙酮;苯甲醛;合成

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A method for the synthesis of chalcones

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Abstract In this paper, a new method for catalytic synthesis of chalcones from substituted acetophenone and substituted benzaldehyde in polyphosphoric acid/concentrated sulfuric acid system was proposed, and the reaction conditions were optimized. The results showed that the optimized reaction conditions were determined as polyphosphoric acid of 5 equiv. and concentrated sulfuric acid of 20 equiv., with 1,4-dioxane as solvent at 90 °C for 2 h under nitrogen protection. Twelve chalcones were synthesized with good yield. All target compounds were characterized by IR, HRMS, ^{1}H NMR and ^{13}C NMR.

Key words chalcones; condensation; polyphosphoric acid/H_2SO_4; acetophenones; benzaldehydes; synthesis

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查耳酮是许多天然存在的化合物中最常见的骨架之一,研究发现查耳酮类化合物的生物活性较为广泛,例如肿瘤、炎症和糖尿病。查耳酮类化合物美托查酮(metochalcone)和索法酮(sofalcone)

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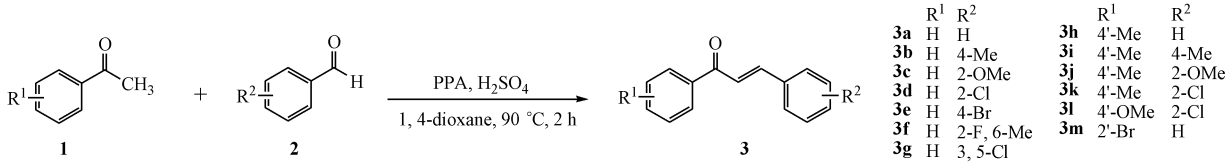
曾分别作为抗胆碱药和抗溃疡药应用于临床。查耳酮化合物由于自身结构的柔性能够与诸多受体相结合,从而体现出了许多生物活性,如抗蛲虫、抗过敏、抗肿瘤、抗氧自由基、抗菌、抗病毒、抗溃疡和解痉挛。合成查耳酮类化合物经典的合成方法为碱或酸催化的Claisen-Schmidt缩合[1]。常使用的碱催化剂有氢氧化钠、氢氧化钾、碱土金属氢氧化物、双(三甲硅基)酰胺锂和哌嗪。酸催化剂有氯化氢、三氯化铝、四氯化钛、氯化钌、三氟化硼、对甲苯磺酸。也有文献报道了研磨法[2]、微波加热法[3]以及水作为溶剂相转移催化法[4]合成查耳酮类衍生物。但是Claisen-Schmidt缩合存在反应时间较长、常伴有副产物或反应不彻底导致难以分离的缺点[5]。除了经典缩合反应外,交叉偶联法(Suzuki反应[5]、Heck反应[6]、Julia-Kocienski反应[7]、Wittig反应[8])和Friedel-Crafts酰化反应也常用于查耳酮类化合物的合成[9],但总体得率不理想。因此,开发简便、高效、反应条件温和的查耳酮类化合物的合成方法具有重要意义。本研究以1,4-二氧六环(1,4-dioxane)为溶剂,PPA/H_2SO_4体系催化苯乙酮和苯甲醛缩合合成系列查耳酮类化合物(路线1)。此方法操作简单、反应条件温和、产率高且所使用的催化剂成本低。



	R^1	R^2		R^1	R^2
3a	H	H	**3h**	4'-Me	H
3b	H	4-Me	**3i**	4'-Me	4-Me
3c	H	2-OMe	**3j**	4'-Me	2-OMe
3d	H	2-Cl	**3k**	4'-Me	2-Cl
3e	H	4-Br	**3l**	4'-OMe	2-Cl
3f	H	2-F, 6-Me	**3m**	2'-Br	H
3g	H	3, 5-Cl			

Scheme 1 Synthesis route of chalcones

PPA:Polyphosphoric acid

1 试剂和仪器

多聚磷酸(PPA,纯度大于80%,海业联联合化工有限责任公司);浓硫酸(纯度98%,重庆川江化学试剂厂);1,4-二氧六环[纯度99.7%,重庆川东化工(集团)有限公司];其他试剂均为市售分析纯。

INOVA 600 MHz核磁共振仪(TMS内标)、Impact HD Q-TOF型高分辨质谱仪(德国Bruker公司);红外光谱仪(天津港东科技股份有限公司);XT-4型显微熔点测定仪(北京泰光仪器有限公司)。

2 目标化合物的合成

2.1 反应条件的优化

以苯甲醛(0.8 mmol)和苯乙酮(1.0 mmol)为反应底物,优化反应条件。分别考察PPA用量、浓硫酸用量、反应温度、反应时间、酸的种类以及溶剂种类对反应的影响,筛选出最优反应条件。

2.2 反应底物的拓展

以化合物**3a**为例,在优化好的实验条件基础上,取PPA(5.0 mmol)加入20 mL圆底烧瓶中,再依次加入1,4-二氧六环(3.5 mL)、浓硫酸(20.0 mmol)、苯甲醛(0.8 mmol)和苯乙酮(1.0 mmol),于90 ℃氮气保护下油浴搅拌加热反应,2 h反应结束。用乙酸乙酯、饱和食盐水萃取,有机相减压浓缩后经柱色谱分离纯化得到化合物**3a**,洗脱剂为石油醚-乙酸乙酯(50∶1)。以相同条件合成查耳酮化合物12个,所有化合物经核磁共振氢谱(^{1}H NMR)、核磁共振碳谱(^{13}C NMR)、高分辨质谱(HRMS)、红外波谱(IR)和熔点仪表征,理化性质数据列于表1,核磁表征数据列于表2。

3 结果与讨论

3.1 反应条件的优化

多聚磷酸(PPA)在有机合成中用作失水剂、环化剂、酰化剂,是缩合、环化、重排、取代等反应的催化剂或溶剂。本研究以苯甲醛(0.8 mmol)和苯乙酮(1.0 mmol)为反应底物,优化反应条件。考察了PPA用量、浓硫酸用量、反应温度、反应时间、酸的种类以及溶剂种类对反应的影响。薄层色谱(TLC)监测反应进程,反应结束后分离得到目标化合物,比较了不同条件下的产率,从而筛选出最佳反应条件,结果列于表3。

PPA用量为10 equiv.,浓硫酸用量为15 equiv.,以二氧六环为溶剂,90 ℃搅拌反应2 h,以

Table 1　Appearance,yields,melting points,HRMS and IR data of compounds **3a−3l**

Compd.	Appearance	Yield/%	mp/°C	HRMS, *m/z* $[M+H]^+$ (calcd.)	IR(KBr), ν_{max}/cm^{-1}
3a	White solid	96	51−54(54−56[10])	208.112 8(208.088 8)	1 648,1 585,1 563,819,760,720,690
3b	Yellow solid	95	92−95(95−96[11])	222.105 6(222.104 4)	1 642,1 575,1 555,810,765,728,693
3c	Yellow solid	90	63−64(58−60[12])	238.146 7(238.099 4)	1 667,1 560,1 588,849,754,740,686
3d	Yellow solid	82	54−55(50−52[13])	242.125 8(242.049 8)	1 682,1 577,1 542,807,752,701,640
3e	Light red solid	83	120−122(122−123[14])	285.864 7(285.999 3)	1 686,1 592,1 535,820,778,734,682
3f	Yellow solid	86	77−79	240.115 8(240.095 0)	1 661,1 581,1 569,849,780,742,710
3g	Yellow solid	80	75−78	276.102 4(276.010 8)	1 650,1 580,1 572,818,750,710,688
3h	Light red solid	91	60−64(50−51[15])	222.148 7(222.104 5)	1 653,1 574,1 562,852,776,740,696
3i	Light red solid	91	124−127(128−130[16])	236.158 8(236.120 1)	1 679,1 595,1 576,855,774,738,712
3j	Red oil	92	/	252.164 1(252.115 0)	1 687,1 592,1 525,841,777,741,682
3k	Light red solid	88	74−76	256.126 6(256.065 4)	1 669,1 594,1 542,852,760,740,668
3l	Orange solid	86	79−81(79−80[17])	272.108 6(272.060 4)	1 622,1 590,1 560,849,761,725,687

Table 2　^{1}H NMR and ^{13}C NMR data of compounds **3a−3l**

Compd.	^{1}H NMR(600 MHz,$CDCl_3$),δ	^{13}C NMR(150 MHz,$CDCl_3$),δ
3a	8.03(s,1H,Ph-H),8.02(d,*J*=1.3 Hz,1H,Ph-H),7.82(d,*J*=15.7 Hz,1H,β-H),7.65(dd,*J*=6.5,3.0 Hz,2H,α-H,Ph-H),7.59(t,*J*=7.4 Hz,1H,Ph-H),7.55(s,1H,Ph-H),7.52(dd,*J*=12.4,4.4 Hz,3H,Ph-H),7.43(dd,*J*=5.0,1.8 Hz,3H,Ph-H)	190.93,145.21,138.57,135.24,133.14,130.90,129.32,128.98,128.86,128.80,122.46
3b	8.03(s,1H,Ph-H),8.01(d,*J*=1.4 Hz,1H,Ph-H),7.80(d,*J*=15.7 Hz,1H,β-H),7.58(d,*J*=7.4 Hz,1H,α-H),7.55(d,*J*=8.1 Hz,2H,Ph-H),7.52−7.50(m,2H,Ph-H),7.49(d,*J*=4.0 Hz,1H,Ph-H),7.23(d,*J*=7.9 Hz,2H,Ph-H),2.40(s,3H,-CH_3-H)	191.00,145.29,141.43,138.70,133.00,132.50,130.05,128.93,128.81,121.44,21.88
3c	8.05(d,*J*=2.0 Hz,1H,Ph-H),8.04(d,*J*=2.0 Hz,1H,Ph-H),7.81(d,*J*=15.7 Hz,1H,β-H),7.64(dd,*J*=7.4,2.0 Hz,2H),7.55(d,*J*=15.7 Hz,1H,α-H),7.42−7.40(m,3H,Ph-H),6.99(d,*J*=8.9 Hz,2H,Ph-H),3.89(s,3H,-OCH_3-H)	189.07,163.78,144.31,135.43,131.44,131.16,130.67,129.26,128.70,122.24,114.20,55.84
3d	8.18(d,*J*=15.8 Hz,1H,β-H),8.03(s,1H,Ph-H),8.01(d,*J*=1.3 Hz,1H,α-H),7.76−7.74(m,1H,Ph-H),7.59(t,*J*=7.4 Hz,1H,Ph-H),7.50(dd,*J*=9.7,5.7 Hz,3H,Ph-H),7.47(s,1H,Ph-H),7.45−7.42(m,1H,Ph-H),7.34−7.30(m,2H,Ph-H)	190.32,140.51,137.81,135.38,133.14,132.84,131.08,130.19,128.56,128.52,127.68,126.99,124.69
3e	8.02(s,1H,Ph-H),8.01(d,*J*=1.3 Hz,1H,Ph-H),7.74(d,*J*=15.7 Hz,1H,β-H),7.60(t,*J*=7.4 Hz,1H,Ph-H),7.55(d,*J*=8.5 Hz,2H,α-H),7.53(d,*J*=6.2 Hz,1H,Ph-H),7.51(d,*J*=2.1 Hz,3H,Ph-H),7.50(s,1H,Ph-H)	189.86,142.99,137.63,133.43,132.58,131.84,129.42,128.31,128.13,124.43,122.19
3f	8.05(s,1H,Ph-H),8.04(d,*J*=1.4 Hz,1H,Ph-H),7.91(d,*J*=15.9 Hz,1H,β-H),7.73(d,*J*=15.9 Hz,1H,α-H),7.59(t,*J*=7.4 Hz,1H,Ph-H),7.51(t,*J*=7.6 Hz,2H,Ph-H),7.23−7.21(m,1H,Ph-H),7.03(d,*J*=7.4 Hz,1H,Ph-H),7.01−6.97(m,1H,Ph-H),2.50(s,3H,-CH_3-H)	190.77,141.01,140.93,138.19,136.00,133.04,130.66,130.59,128.69,127.30,127.21,126.56,122.25,114.08,113.93,20.55
3g	8.10(d,*J*=15.8 Hz,1H,β-H),8.02−8.00(m,2H,Ph-H),7.68(d,*J*=8.5 Hz,1H,α-H),7.60(t,*J*=7.4 Hz,1H,Ph-H),7.52(d,*J*=7.9 Hz,2H,Ph-H),7.49(d,*J*=6.9 Hz,1H,Ph-H),7.46(d,*J*=2.4 Hz,2H,Ph-H),7.30(dd,*J*=8.4,2.0 Hz,1H,Ph-H)	190.24,139.46,137.90,136.60,136.20,133.21,131.98,130.27,128.84,128.74,128.63,127.69,125.15
3h	7.95(s,1H,Ph-H),7.94(s,1H,Ph-H),7.81(d,*J*=15.7 Hz,1H,β-H),7.65(dd,*J*=7.2,2.2 Hz,2H,Ph-H),7.54(d,*J*=15.7 Hz,1H,α-H),7.42(d,*J*=2.1 Hz,1H,Ph-H),7.41(d,*J*=1.8 Hz,1H,Ph-H),7.31(d,*J*=8.0 Hz,2H,Ph-H),2.44(s,3H ,-CH_3-H)	190.15,144.51,135.76,135.12,130.54,129.46,129.06,128.78,128.53,122.22,21.80
3i	7.95(s,1H,Ph-H),7.93(s,1H,Ph-H),7.79(d,*J*=15.7 Hz,1H,β-H),7.55(s,1H,Ph-H),7.54(s,1H,Ph-H),7.50(d,*J*=15.7 Hz,1H,α-H),7.30(d,*J*=7.9 Hz,2H,Ph-H),7.22(d,*J*=7.9 Hz,2H,Ph-H),2.44(s,3H,-CH_3-H),2.39(s,3H,-CH_3-H)	190.44,144.81,143.82,141.26,136.10,132.60,130.01,129.63,128.95,128.76,121.43,21.99,21.85

(Continued)

Compd.	^{1}H NMR(600 MHz,$CDCl_3$),δ	^{13}C NMR(150 MHz,$CDCl_3$),δ
3j	8.12(d,*J*=15.9 Hz,1H,β-H),7.94(s,1H,Ph-H),7.93(s,1H,Ph-H),7.63(dd,*J*=13.2,8.5 Hz,2H,Ph-H,α-H),7.37(t,*J*=8.6 Hz,1H,Ph-H),7.30(d,*J*=8.0 Hz,2H,Ph-H),6.99(t,*J*=7.5 Hz,1H,Ph-H),6.94(d,*J*=8.3 Hz,1H,Ph-H),3.91(s,3H,-OCH_3-H),2.43(s,3H,-CH_3-H)	190.76,158.89,143.45,140.07,136.06,131.75,129.37,129.29,128.81,124.18,123.04,120.85,111.35,77.37,77.16,76.95,55.66,21.79
3k	8.17(d,*J*=15.8 Hz,1H,β-H),7.93(d,*J*=8.2 Hz,2H,Ph-H),7.74(dd,*J*=7.0,2.4 Hz,1H,Ph-H),7.48(d,*J*=15.7 Hz,1H,α-H),7.43(dd,*J*=6.8,2.4 Hz,1H,Ph-H),7.31(d,*J*=4.2 Hz,1H,Ph-H),7.29(s,2H,Ph-H),2.43(s,3H,-CH_3-H)	190.25,144.21,140.53,135.80,135.72,133.73,131.43,130.64,129.75,129.15,128.14,127.44,125.21,22.07
3l	8.16(d,*J*=15.7 Hz,1H,β-H),8.03(d,*J*=8.9 Hz,2H,Ph-H),7.76−7.73(m,1H,Ph-H),7.49(d,*J*=15.7 Hz,1H,α-H),7.43(d,*J*=7.0 Hz,1H,Ph-H),7.31(d,*J*=4.3 Hz,2H,Ph-H),6.98(d,*J*=8.9 Hz,2H),3.89(s,3H,-OCH_3-H)	189.60,164.52,140.75,136.35,134.45,131.81,131.25,128.74,128.01,125.71,114.87,56.48

74%产率获得**3a**(表3,Entry 1)。当浓硫酸用量增加到20 equiv. 时,产率升高(表3,Entry 2),进一步增加浓硫酸用量,产率降低(表3,Entry 3)。增加PPA用量对产率没有明显影响(表3,Entry 6),但是PPA用量减小到5 equiv. 时,产率达到96%(表3,Entry 5),进一步减少PPA添加量产率也随之降低(表3,Entry 4)。因此,确定PPA和浓硫酸最佳用量分别为5 equiv. 和20 equiv. 。之后考察了反应温度和反应时间对产率的影响,改变反应温度和反应时间均使产率降低(表3,Entries 7~10)。当不添加PPA时无化合物**3a**生成(表3,Entry 11),当不添加浓硫酸时以8%的产率获得化合物**3a**(表3,Entry 12)。由此可见PPA是催化苯乙酮和苯甲醛缩合生成查耳酮的必不可少的催化剂。然后,用浓盐酸(HCl)、磷酸(H_3PO_4)、硝酸(HNO_3)或醋酸(AcOH)替换浓硫酸,考察不同种类的酸与PPA共同催化的效果。替换酸后,产率极低(表3,Entries 14~16),甚至得不到目标产物(表3,Entry 13)。当使用乙醇(EtOH)、四氢呋喃(THF)、二甲基亚砜(DMSO)或*N*,*N*-二甲基甲酰胺(DMF)作溶剂时,均未获得目标产物(表3,Entries 17~20)。基于以上实验结果,确定PPA 5 equiv.、浓硫酸20 equiv.,在二氧六环溶剂中,于90 ℃反应2 h为最优反应条件。

Table 3 Optimization of the reaction conditions

Entry	Additive1 (equiv.)	Additive2 (equiv.)	Solvent	*T*/℃	*t*/h	Yield/%
1	PPA(10)	H_2SO_4(15)	1,4-dioxane	90	2	74
2	PPA(10)	H_2SO_4(20)	1,4-dioxane	90	2	86
3	PPA(10)	H_2SO_4(25)	1,4-dioxane	90	2	62
4	PPA(2.5)	H_2SO_4(20)	1,4-dioxane	90	2	72
5	PPA(5)	H_2SO_4(20)	1,4-dioxane	90	2	96
6	PPA(20)	H_2SO_4(20)	1,4-dioxane	90	2	87
7	PPA(5)	$H_2SO_{4(}$20)	1,4-dioxane	80	2	83
8	PPA(5)	H_2SO_4(20)	1,4-dioxane	100	2	85
9	PPA(5)	H_2SO_4(20)	1,4-dioxane	90	1	76
10	PPA(5)	H_2SO_4(20)	1,4-dioxane	90	3	80
11	PPA(0)	H_2SO_4(20)	1,4-dioxane	90	2	/
12	PPA(5)	H_2SO_4(0)	1,4-dioxane	90	2	8
13	PPA(5)	HCl(20)	1,4-dioxane	90	2	/
14	PPA(5)	H_3PO_4(20)	1,4-dioxane	90	2	8
15	PPA(5)	HNO_3(20)	1,4-dioxane	90	2	5
16	PPA(5)	AcOH(20)	1,4-dioxane	90	2	6
17	PPA(5)	H_2SO_4(20)	EtOH	90	2	/
18	PPA(5)	H_2SO_4(20)	THF	90	2	/
19	PPA(5)	H_2SO_4(20)	DMSO	90	2	/
20	PPA(5)	H_2SO_4(20)	DMF	90	2	/

THF: Tetrahydrofuran; DMSO: Dimethyl sulfoxide; DMF: *N*,*N*-dimethylformamide. Conditions were optimized with acetophenone (**1a**, 1.0 mmol) and benzaldehyde (**2a**,0.8 mmol) as the substrate,and the solvent was 3.5 mL

3.2 底物的拓展

为了探索该方法的适用范围,在最优反应条件下,以不同取代的苯乙酮和不同取代的苯甲醛为底物,考察了不同取代基对反应产率的影响,结果见表1。当苯甲醛和/或苯乙酮取代基为供电子基甲基或甲氧基或无取代时(**3a~3c**、**3h~3j**),以高收率(90%~96%)得到目标化合物。当反应底物苯乙酮上有吸电子基溴时,没有获得目标产物(**3m**)。当苯甲醛上有吸电子基氟、氯或溴取代时产率降低(**3d~3f**、**3k**和**3l**),特别是当苯甲醛被两个吸电子基取代时产率进一步降低(**3g**)。上述结果表明,底物上有吸电子基取代时不利于该条件下缩

合生成查耳酮。

4　结　论

1,4-二氧六环为反应溶剂,于90 ℃氮气保护下反应2 h,PPA/H_2SO_4体系催化苯乙酮和苯甲醛缩合合成查耳酮,以高收率得到了12个查耳酮类化合物。该方法操作简单、反应条件温和、产率高且所使用催化剂成本低。该条件下合成的查耳酮类化合物均为*E*型,化合物**3a**~**3l**乙烯基质子α-H(2-H)和β-H(3-H)分别在δ 7.4和δ 7.7左右产生两组双重峰,偶合常数大于15 Hz,与文献[18]报道的*E*型查耳酮α-H、β-H化学位移一致。

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