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地址

(72)发明人

(74)专利代理机构

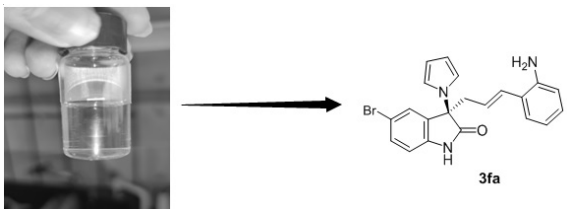
专利代理师

(51)Int.Cl.
C07D 403/04
B01J 31/24

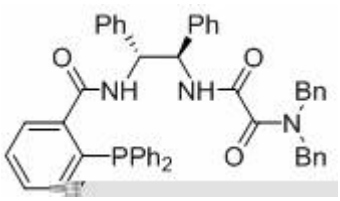
权利要求书2页 说明书25页 附图1页

(54)发明名称

(57)摘要



CN 115197199 A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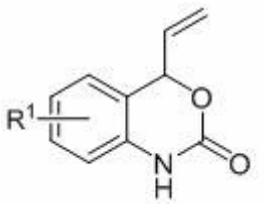
Ph
O
NH
NH
O
Bn
N
Bn
O
PPh2


R1
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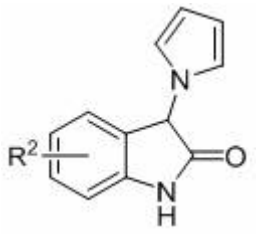
N
H
O


N
R2
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N
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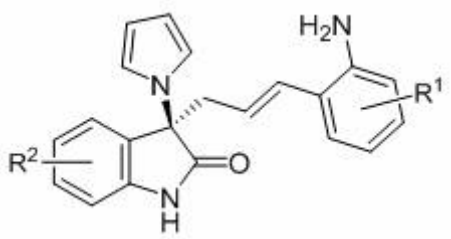
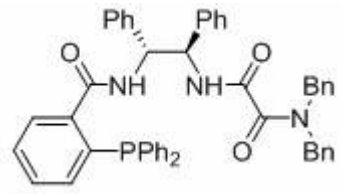

H2N
N
R1
R2
O
N
H


Ph
Ph
O
NH
NH
O
Bn
N
O
Bn
PPh2

一种含有双取代吲哚酮骨架的芳胺化合物及其合成方法

技术领域

[0001]

背景技术

[0002]

[0003]

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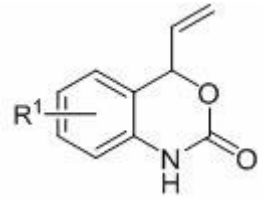
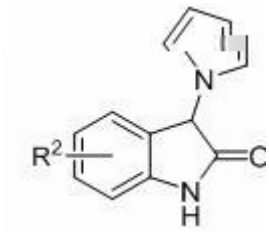
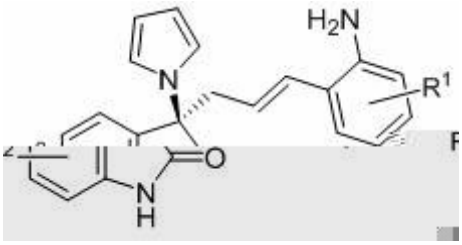
发明内容

[0004]

[0005]







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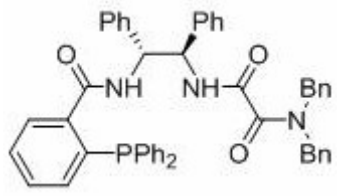


[0008]

[0009]

[0010]

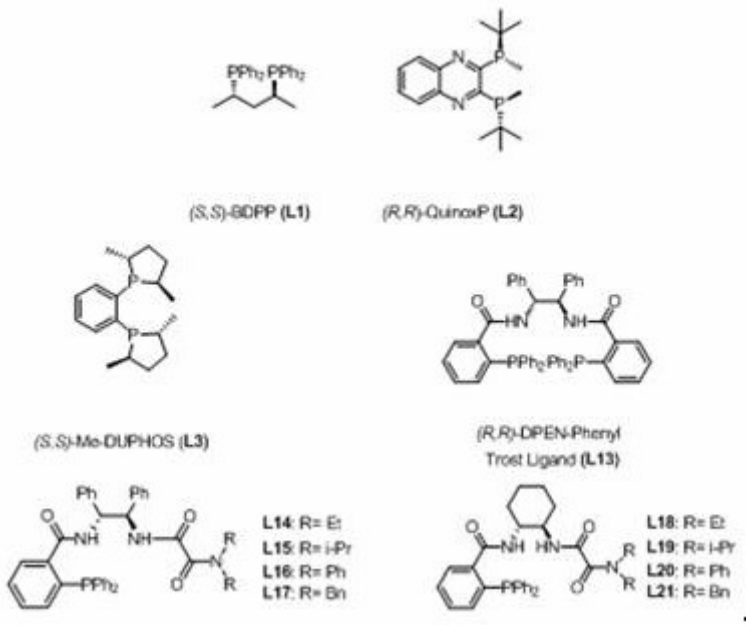
附图说明

[0011]

具体实施方式

[0012]

[0013]



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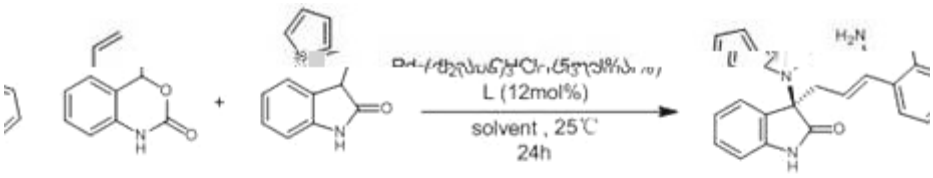

1a 2a 3aa

entry	Pd source	ligand	solvent	yield/[%][b]	Ee/%[c]
1	$Pd_2(dba)_3·CHCl_3$	L1	DCM	48	3
2	$Pd_2(dba)_3·CHCl_3$	L2	DCM	45	3
3	$Pd_2(dba)_3·CHCl_3$	L3	DCM	27	10
13	$Pd_2(dba)_3·CHCl_3$	L13	DCM	42	63
14	$Pd_2(dba)_3·CHCl_3$	L14	DCM	70	92
15	$Pd_2(dba)_3·CHCl_3$	L15	DCM	64	96
16	$Pd_2(dba)_3·CHCl_3$	L16	DCM	60	90
17	$Pd_2(dba)_3·CHCl_3$	L17	DCM	74	95
18	$Pd_2(dba)_3·CHCl_3$	L18	DCM	54	91
19	$Pd_2(dba)_3·CHCl_3$	L19	DCM	58	91
20	$Pd_2(dba)_3·CHCl_3$	L20	DCM	76	85
21	$Pd_2(dba)_3·CHCl_3$	L21	DCM	62	83

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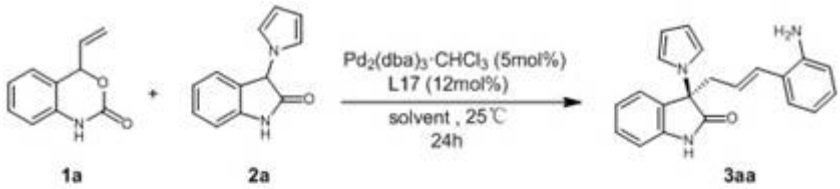
[0015]

[0016]

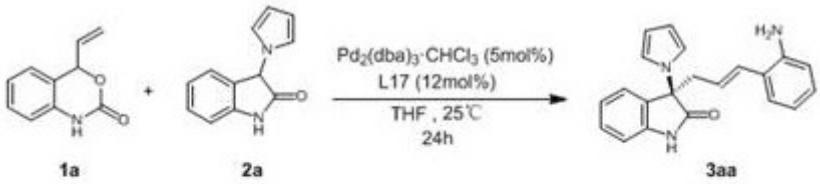
[0017]



1a 2a 3aa

entry	solvent	yield/[%][b]	Ee/%[c]
1	DCM	74	95
2	DCE	78	97
3	$CHCl_3$	NR	
4	Toluene	70	95
5	THF	86	98
6	Dioxane	80	98
7	TBME	65	92
8	CH_3CN	82	96

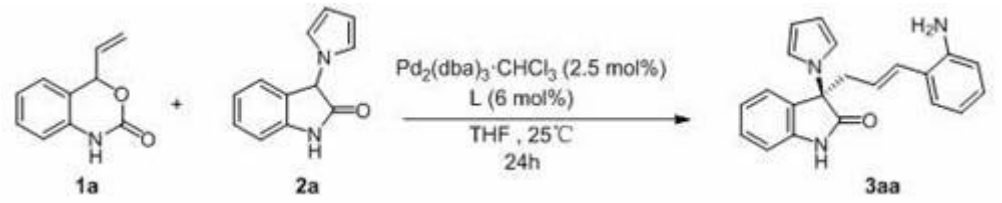
[0018]



1a 2a 3aa

entry	1a : 2a	yield/[%][b]	Ee/%[c]
1	1.5:1	91	98
2	1:1	86	98
3	1:1.5	73	98

[0021]



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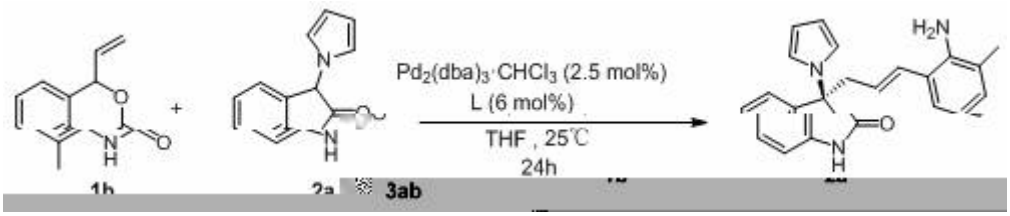
[0023]



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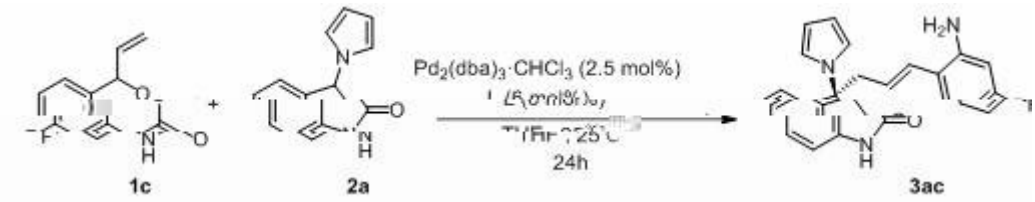

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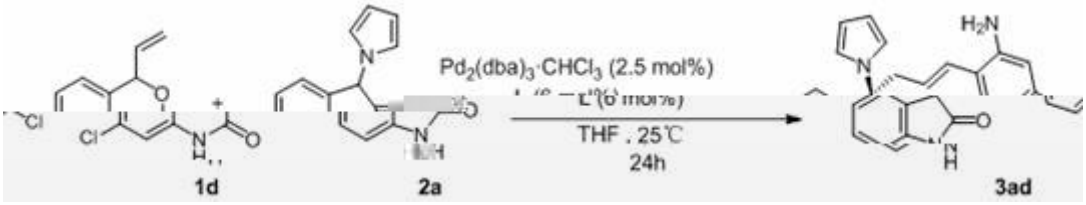

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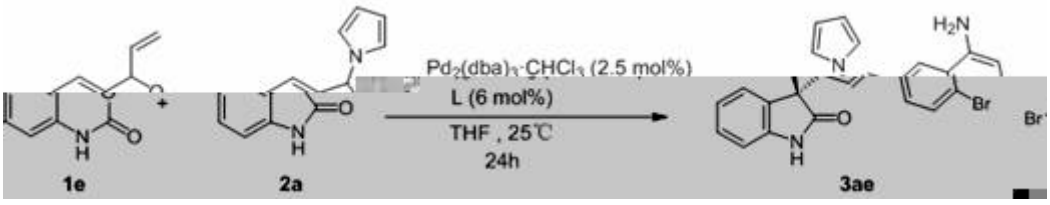

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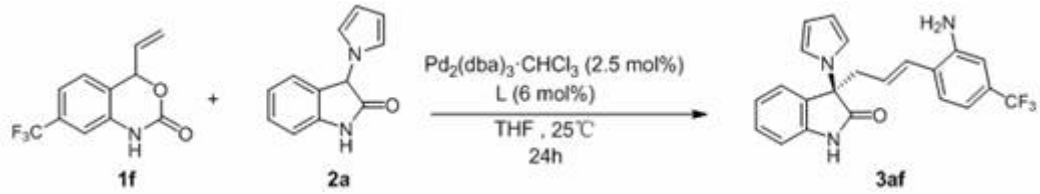

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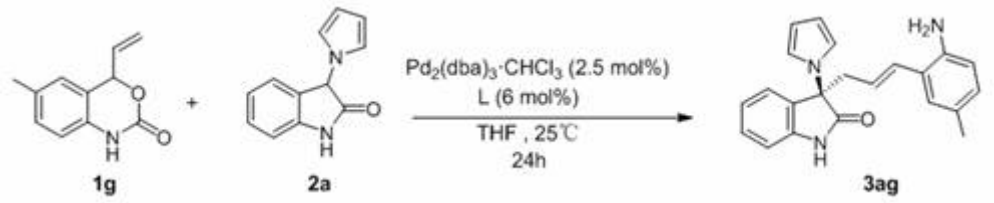

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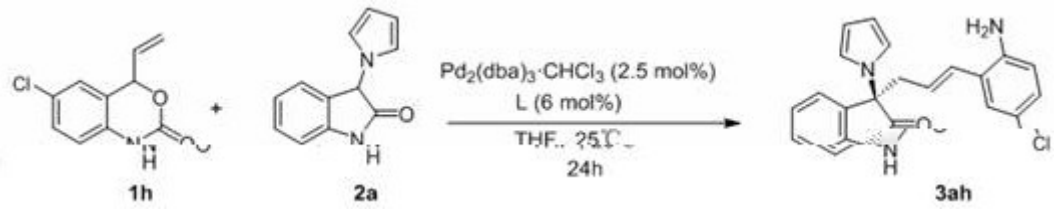

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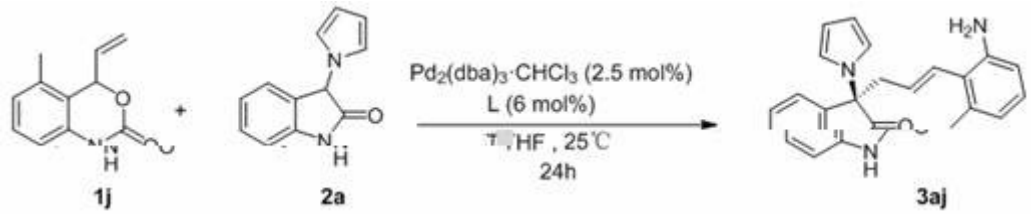

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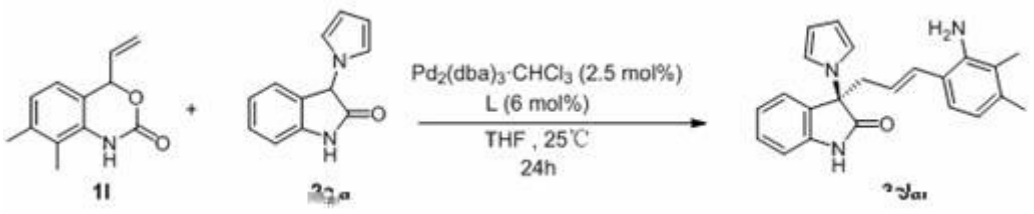

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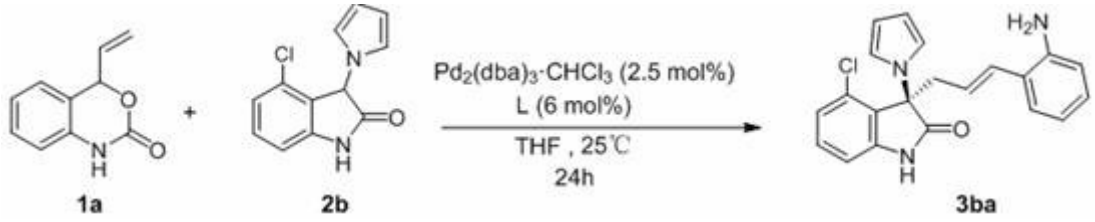

[0046]

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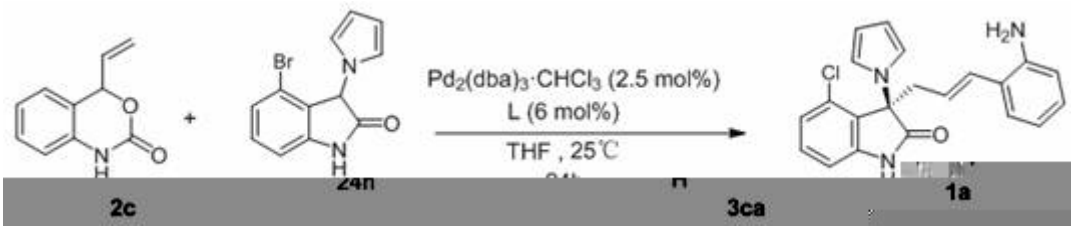

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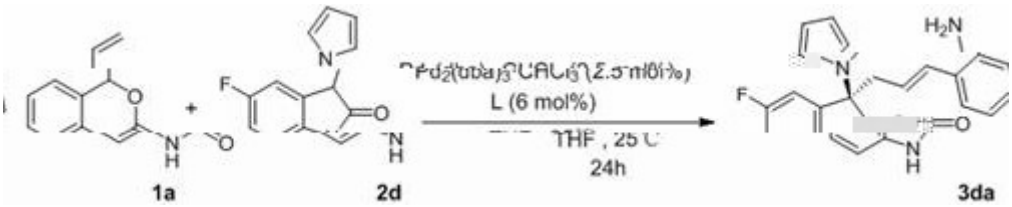

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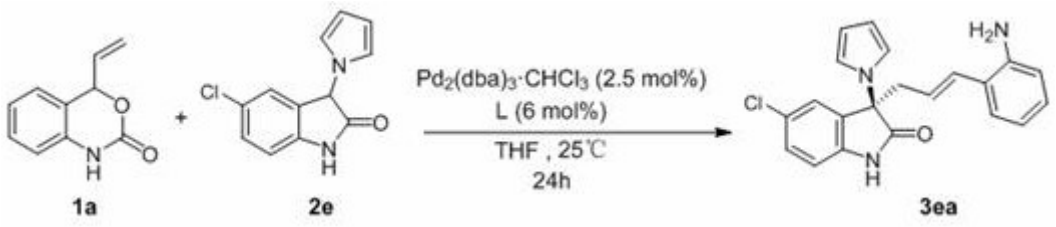

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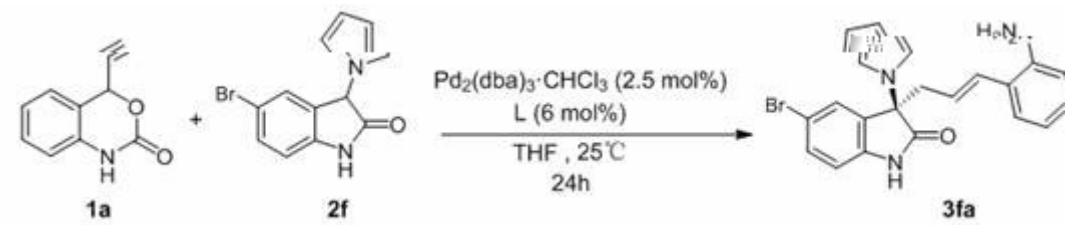

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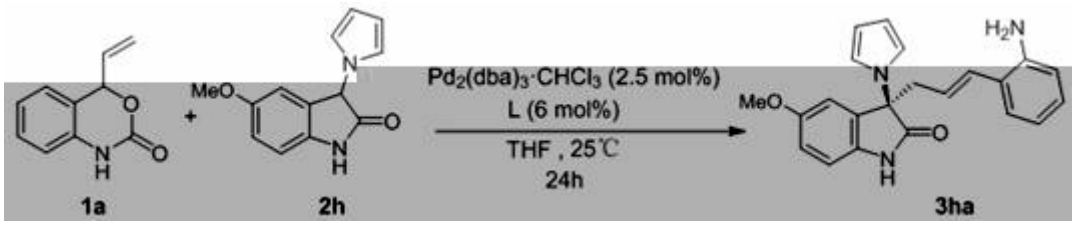

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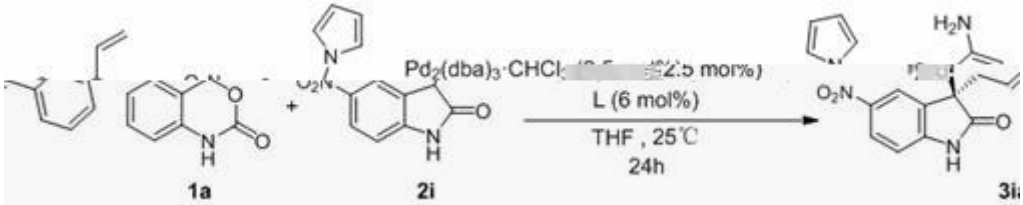

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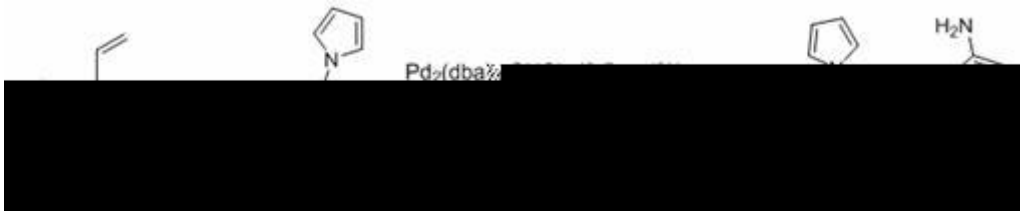

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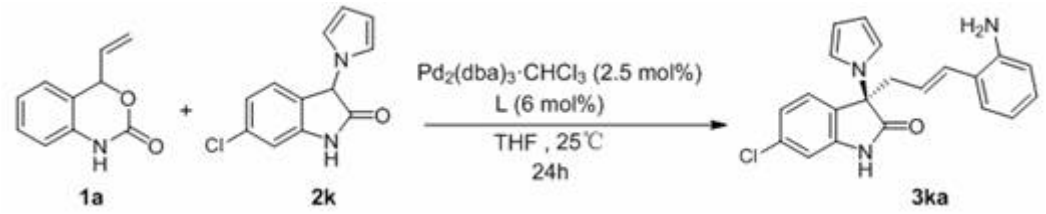
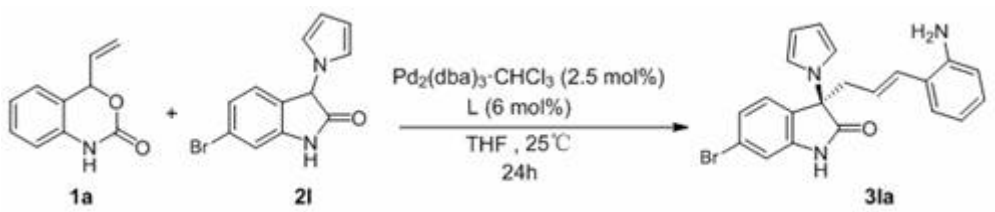

Pd2(dba)3·CHCl3 (2.5 mol%)
L (6 mol%)
THF , 25℃
24h
1a
2k
3ka

-

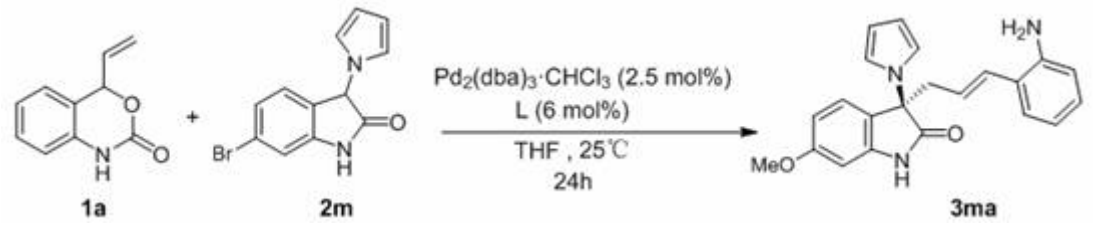
-

-

[0062]


Pd2(dba)3·CHCl3 (2.5 mol%)
L (6 mol%)
THF , 25℃
24h
1a
2l
3la

[0063]



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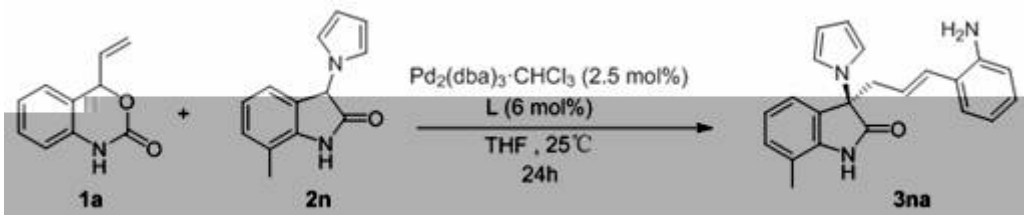
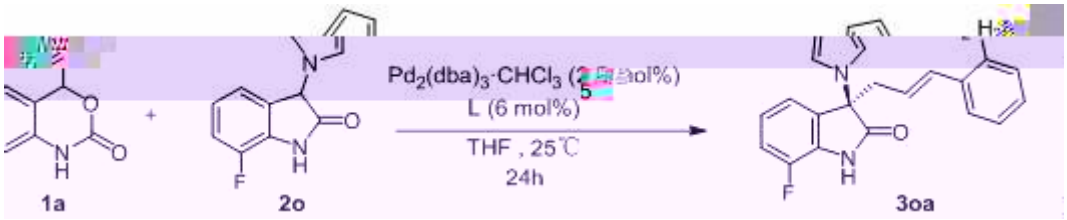

Pd2(dba)3·CHCl3 (2.5 mol%)
L (6 mol%)
THF , 25℃
24h
1a
2n
3na

-

- -

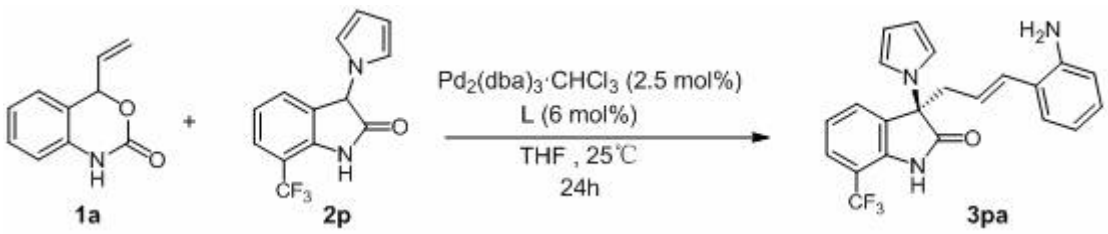
[0065]


Pd2(dba)3·CHCl3
L (6 mol%)
THF , 25℃
24h
1a
2o
3oa

-

-

[0066]



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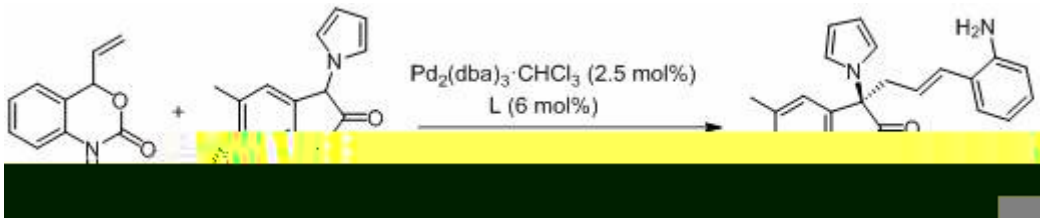

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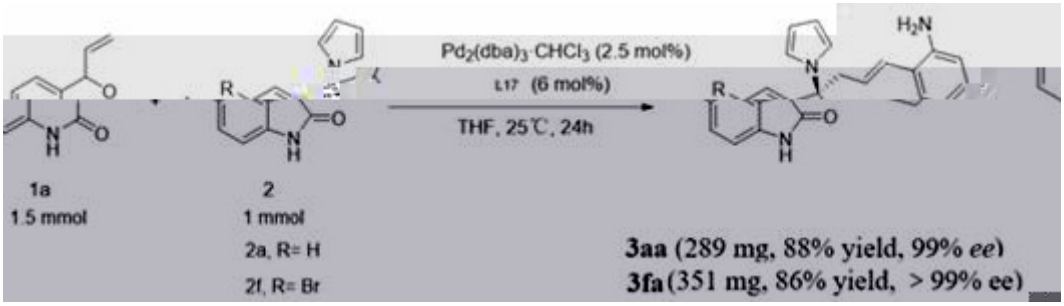
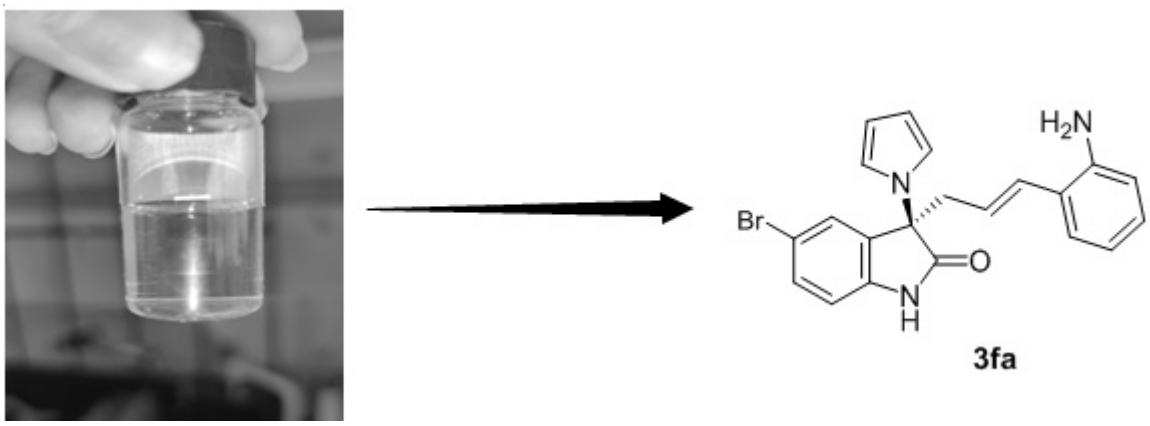

Pd2(dba)3·CHCl3 (2.5 mol%)
L17 (6 mol%)
THF, 25℃, 24h
H2N
1a
1.5 mmol
2
1 mmol
2a, R= H
2f, R= Br
3aa (289 mg, 88% yield, 99% ee)
3fa (351 mg, 86% yield, > 99% ee)


Br
N
O
N
H
H_2N
3fa