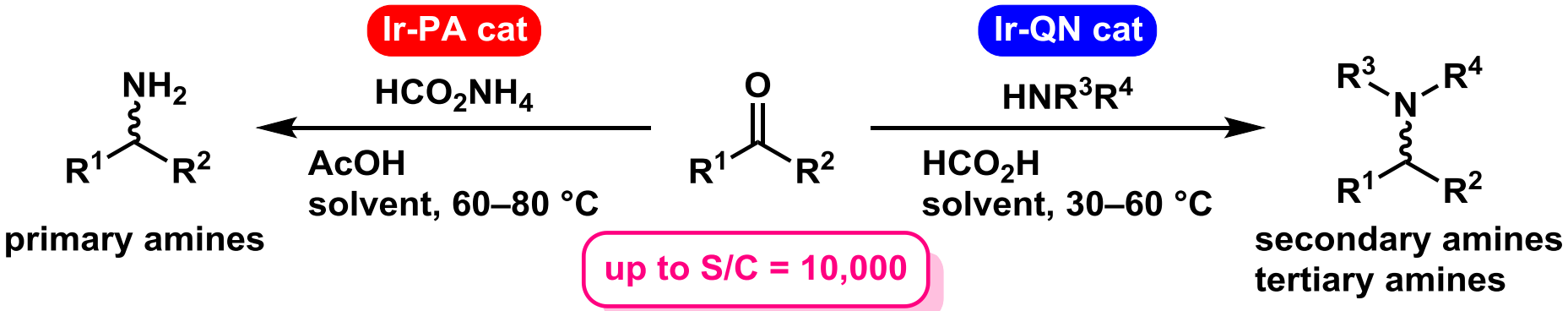
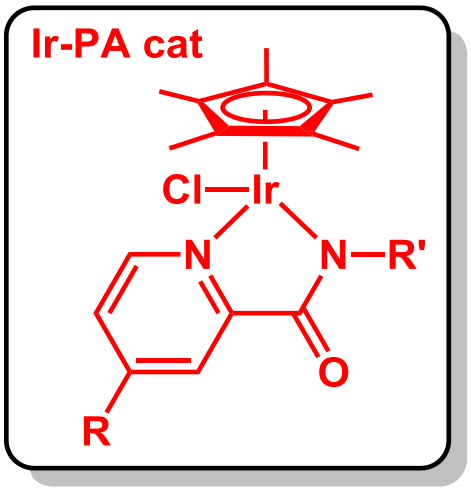
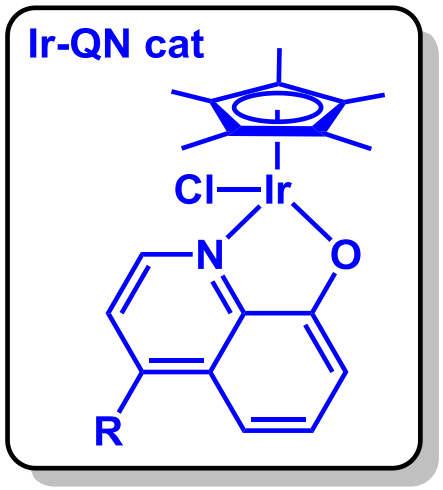
Iridium Catalysts for Reductive Amination of Carbonyl Compounds

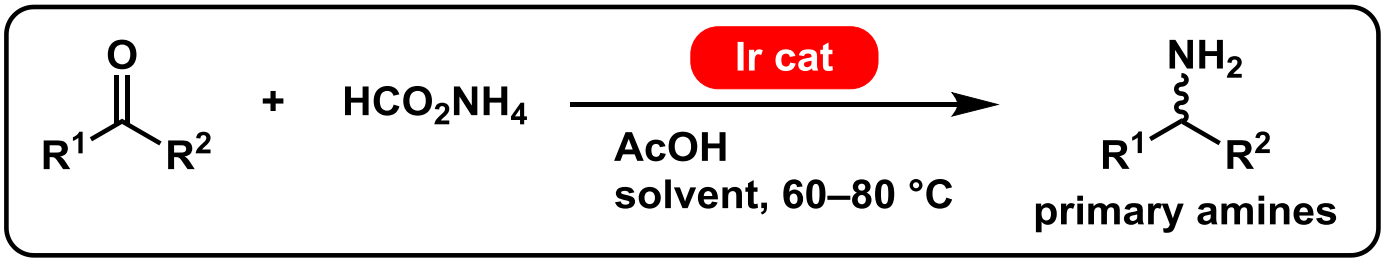




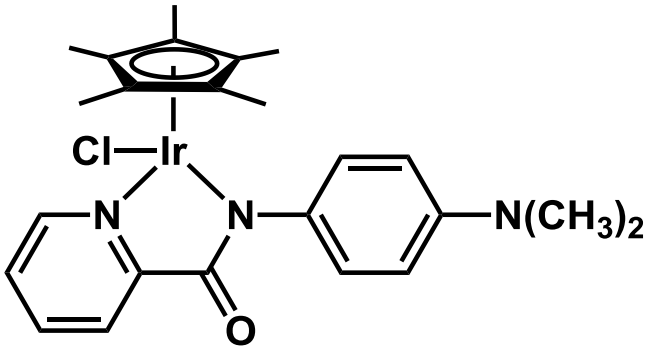


- safe and inexpensive formate
- high activity and chemoselectivity
- lower temperature
- clean and operationally simple

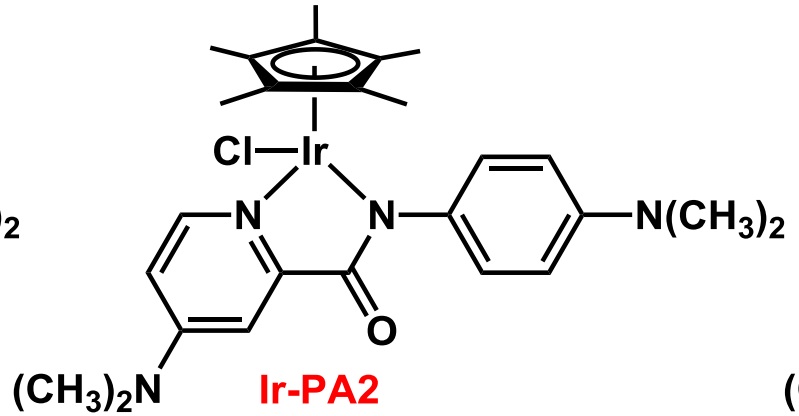
Iridium Catalysts for Synthesis of Primary Amines in Our Product Line



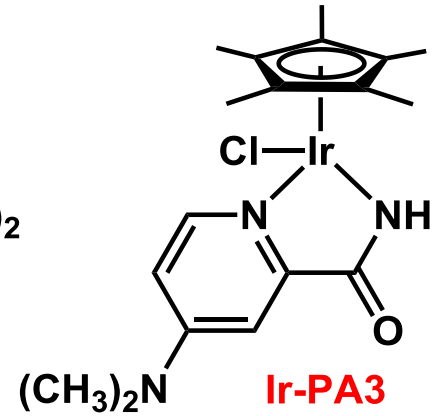
Ir cat:



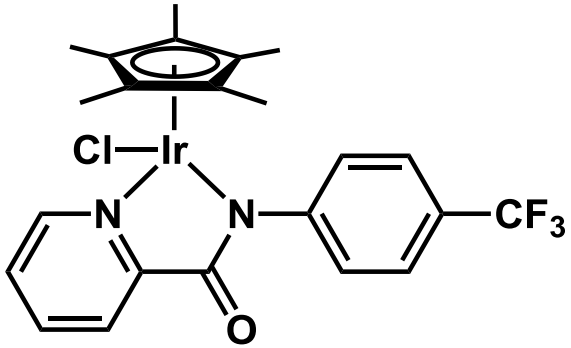
Ir-PA1



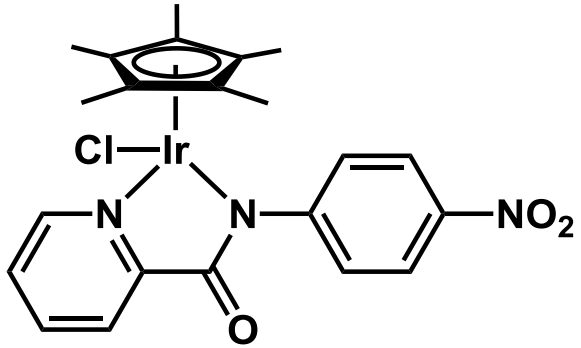
Ir-PA2



Ir-PA3

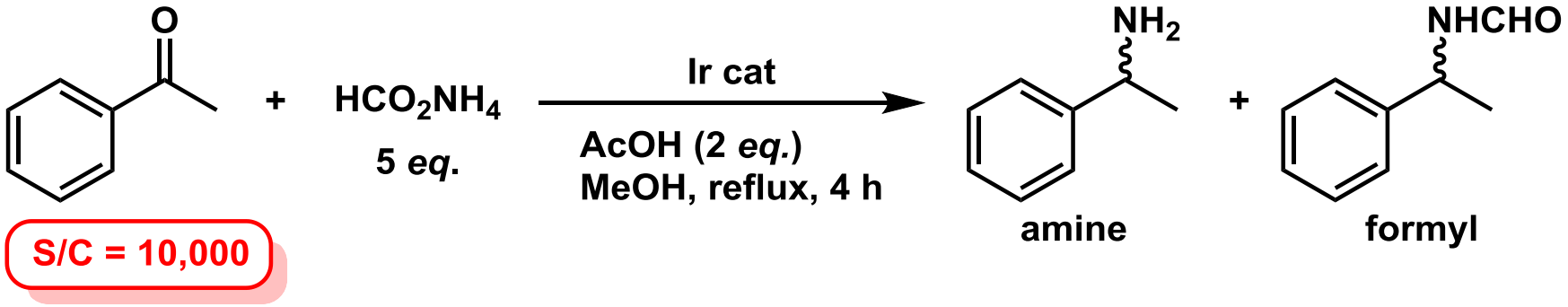


Ir-PA4



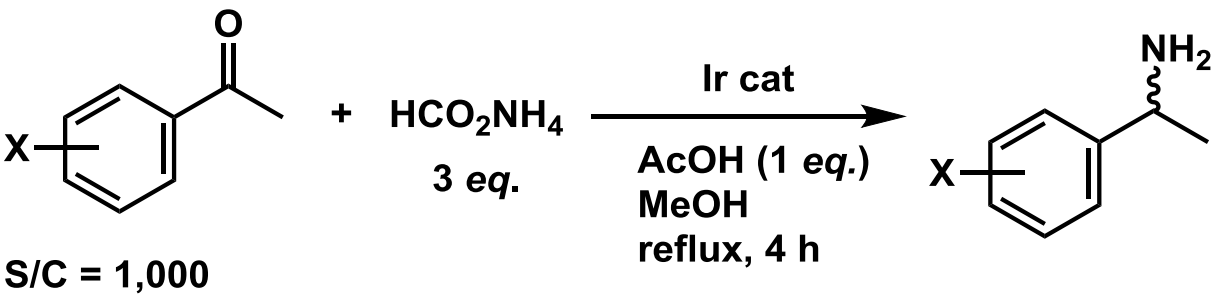
Ir-PA5

Reaction of Acetophenone

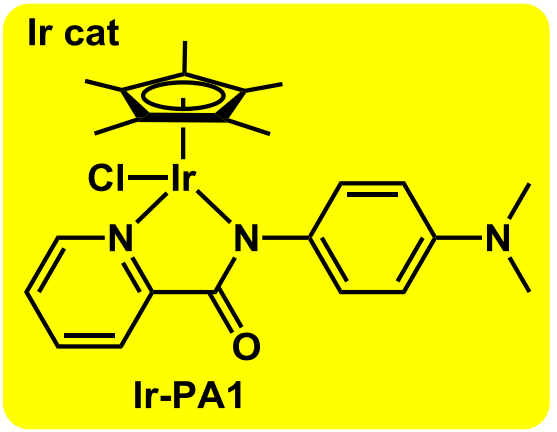


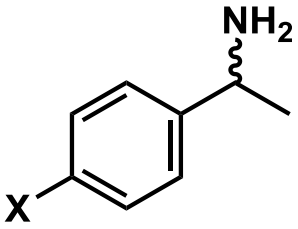
Ir cat	Ir-PA1	Ir-PA2
amine (%)	55	90
formyl (%)	6	8

Reaction of Substituted Acetophenones



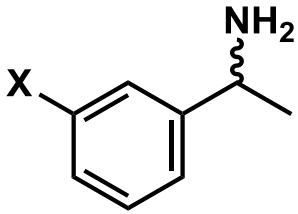
S/C = 1,000



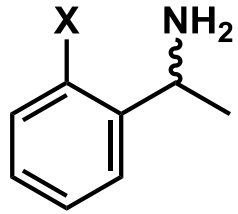


X	conv. (%)	yield (%)
NO_2[a]	96	94
CN[a]	100	96
Br[a]	100	99
OMe	100	97

[a] conditions: 60 °C

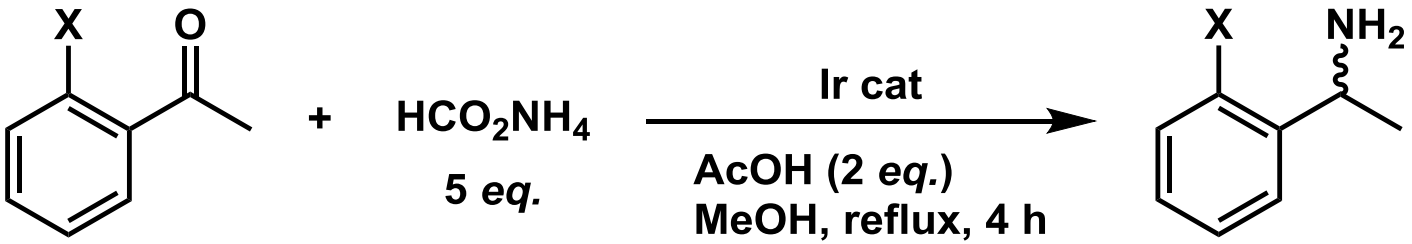


X	conv. (%)	yield (%)
OCH_3	100	98
Cl	100	99
NO_2	100	98



X	conv. (%)	yield (%)
OCH_3	100	92
CH_3	51	35
F	99	96
Cl	83	41
Br	66	21
NO_2	13	0

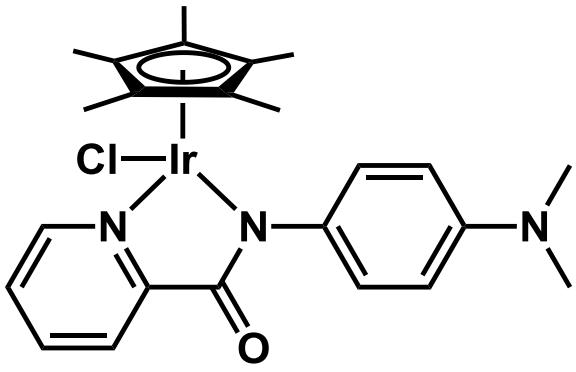
Reaction of 2′-Haloacetophenones



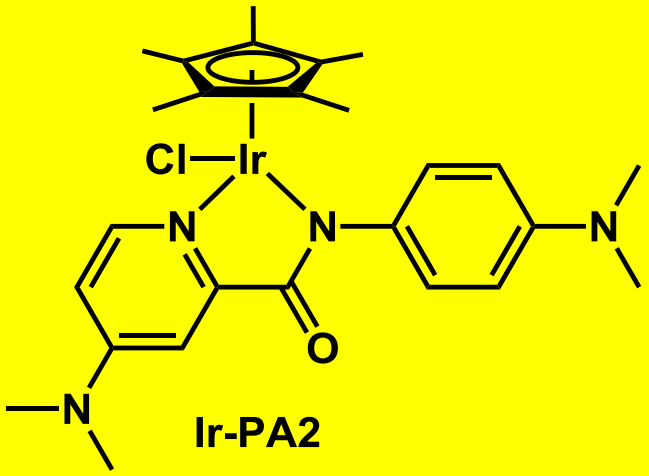
S/C = 2,000

	X = Cl		X = Br	
Ir cat	conv. (%)	yield (%)	conv. (%)	yield (%)
Ir-PA1	76	45	51	18
Ir-PA2	>99	90	96	69
Ir-PA3	>99	86	>99	85

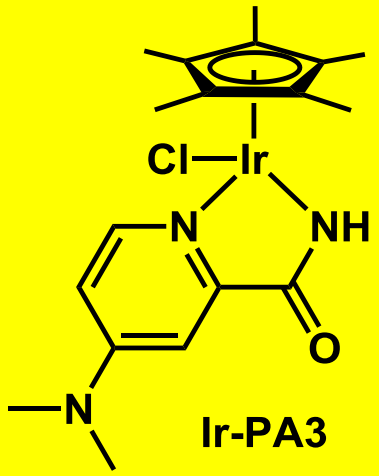
Ir cat:



Ir-PA1

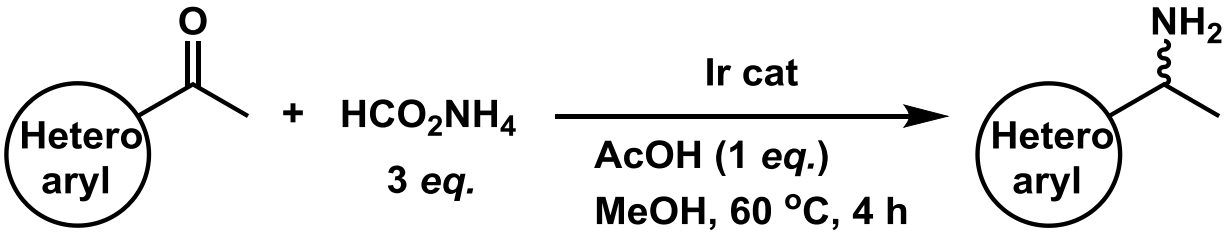


Ir-PA2

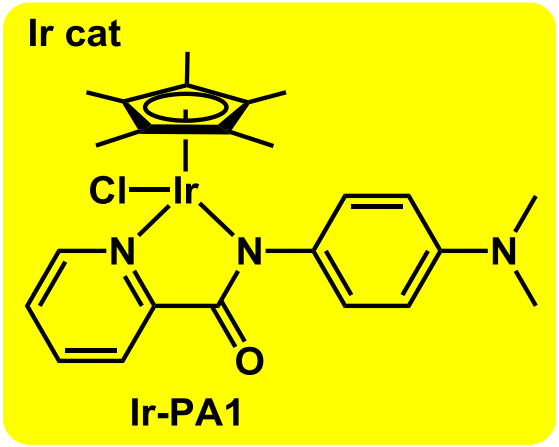


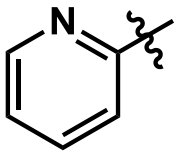
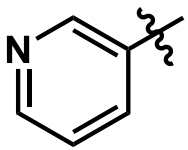
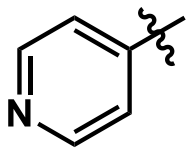
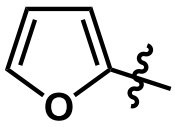
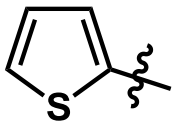
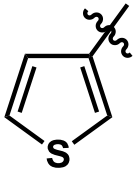
Ir-PA3

Reaction of Heteroaromatic Ketones



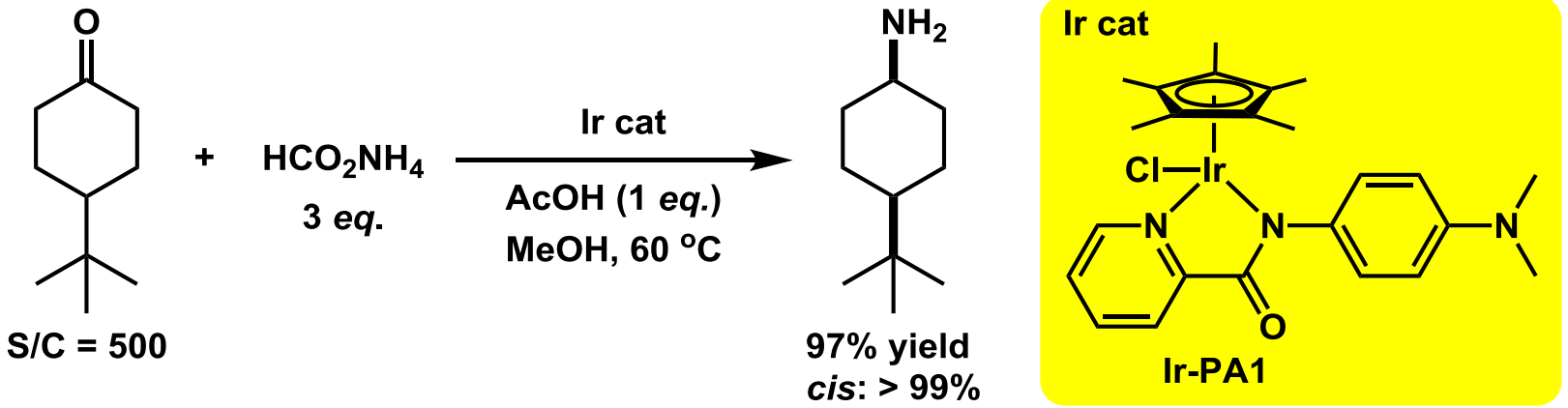
S/C = 1,000

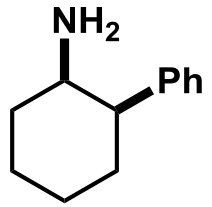


Heteroaryl						
yield (%)	72	92	93	96	89[a]	>99[a]

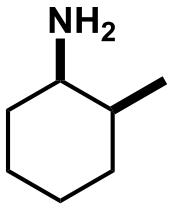
[a] Conditions: HCO_2NH_4 (5 *eq.*), AcOH (2 *eq.*), reflux, 6 h.

Diastereoselective Reaction of Cyclic Ketones

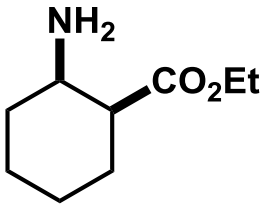




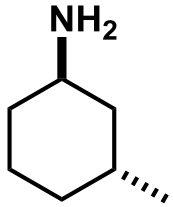
81% yield
cis/*trans* = 98:2



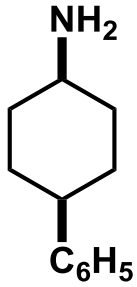
75% yield
cis/*trans* = 94:6



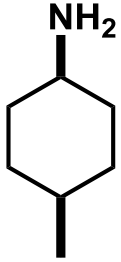
54% yield
cis/*trans* = 96:4



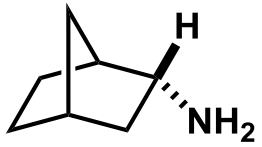
75% yield
cis/*trans* = 4:96



99% yield
cis/*trans* = 93:7

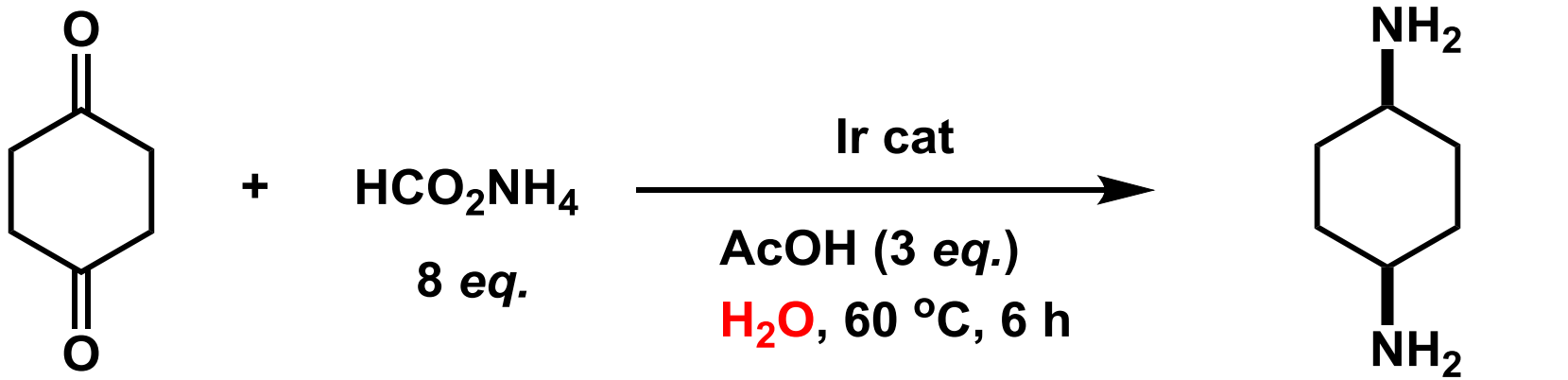


79% yield
cis/*trans* = 90:10



62% yield
exo/*endo* = 6:94

Synthesis of cis-1,4-Cyclohexanediamine

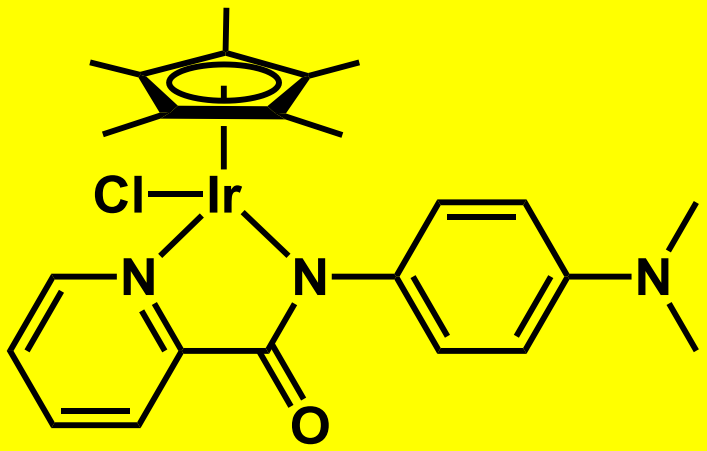


S/C = 1,000

82% yield

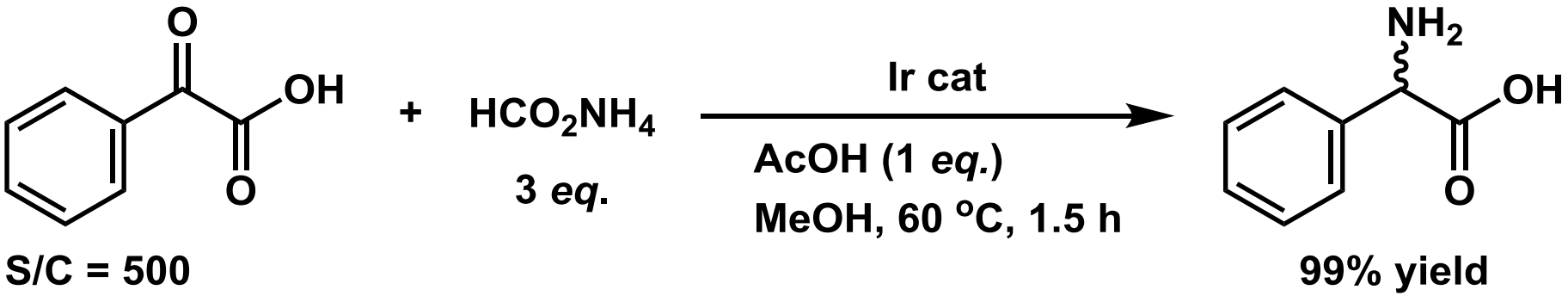
***cis*/*trans* = 98:2**

Ir cat



Ir-PA1

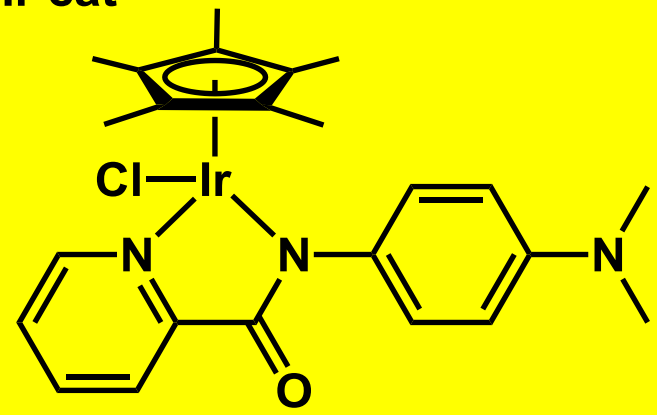
Synthesis of α-Amino Acid



S/C = 500

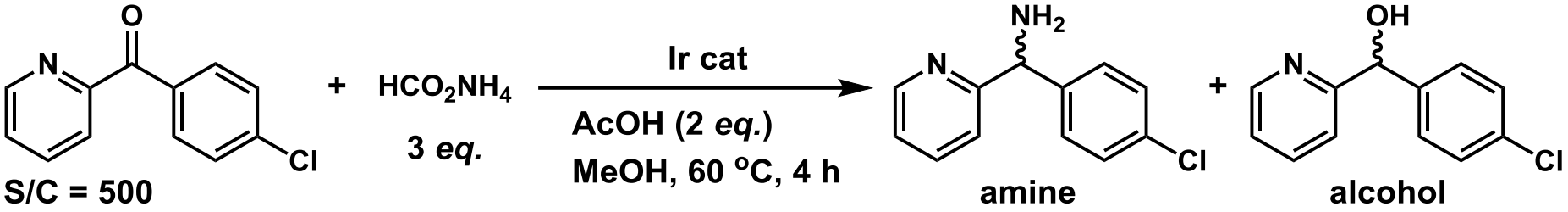
99% yield

Ir cat

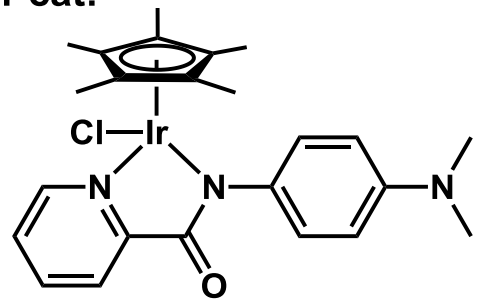


Ir-PA1

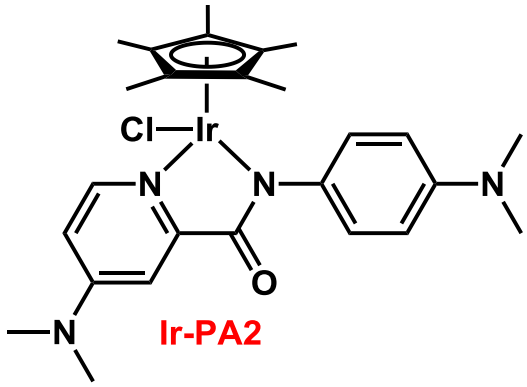
Reaction of 2-(4-Chlorobenzoyl)pyridine



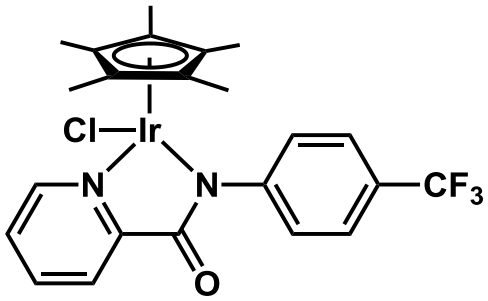
Ir cat:



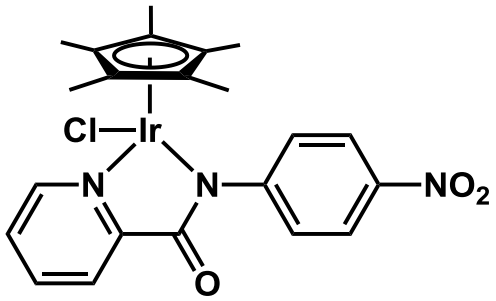
Ir-PA1



Ir-PA2



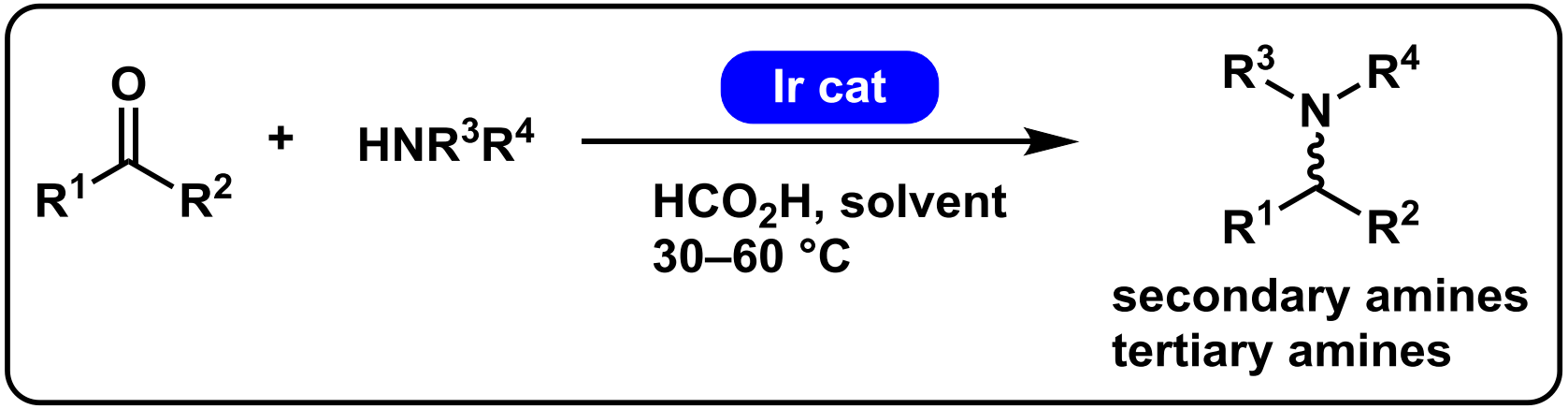
Ir-PA4



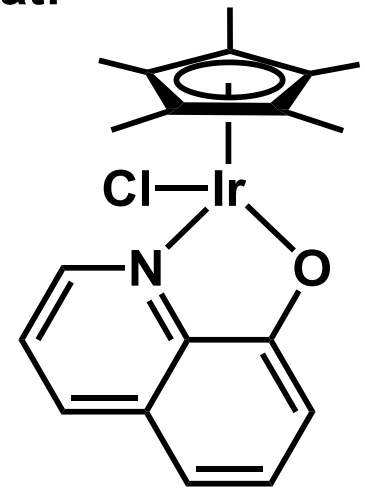
Ir-PA5

entry	Ir cat	yield (%) amine	yield (%) alcohol
1	Ir-PA2	34	54
2	Ir-PA1	73	15
3	Ir-PA4	81	9
4	Ir-PA5	82	8

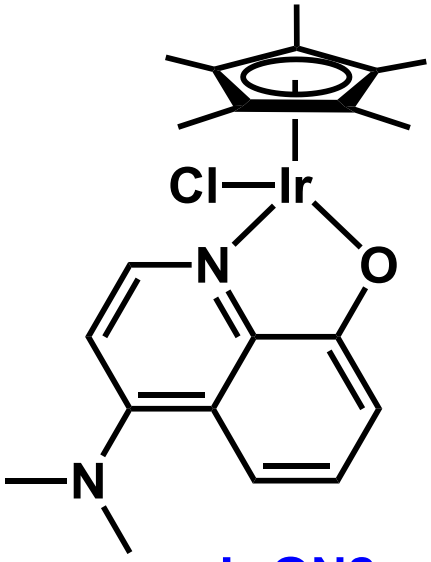
Iridium Catalysts for Synthesis of Secondary and Tertiary Amines in Our Product Line



Ir cat:

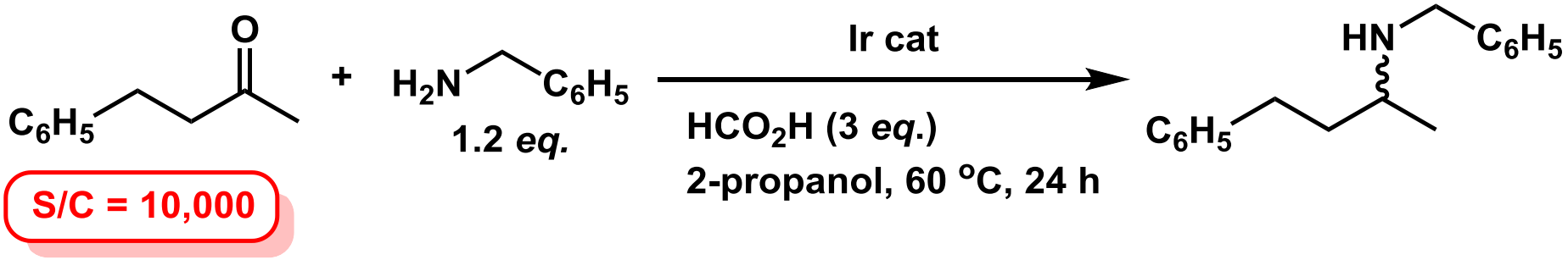


Ir-QN1



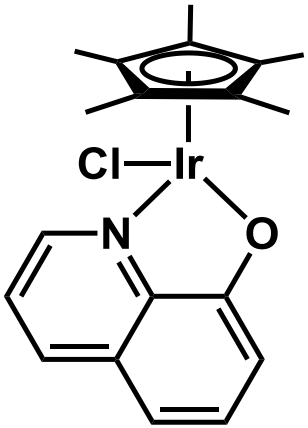
Ir-QN2

Synthesis of Secondary Amine

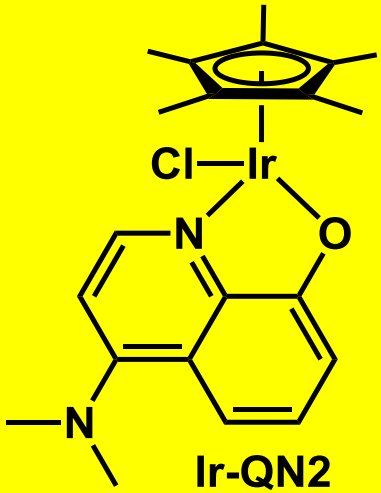


S/C = 10,000

Ir cat:



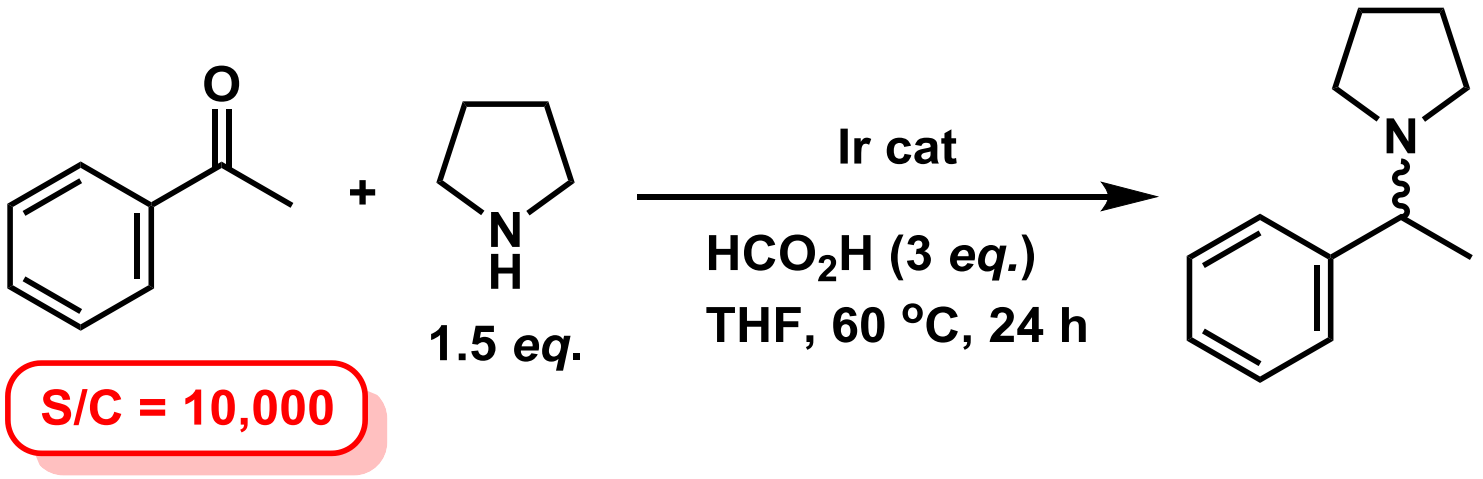
Ir-QN1



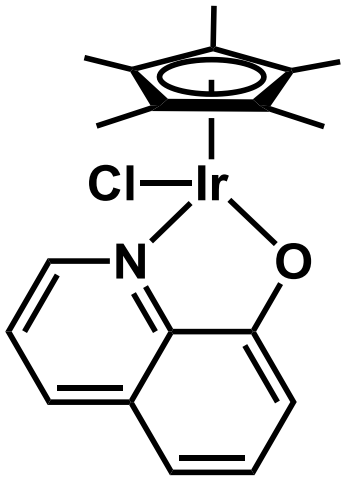
Ir-QN2

Ir cat	yield (%)
Ir-QN1	39
Ir-QN2	88

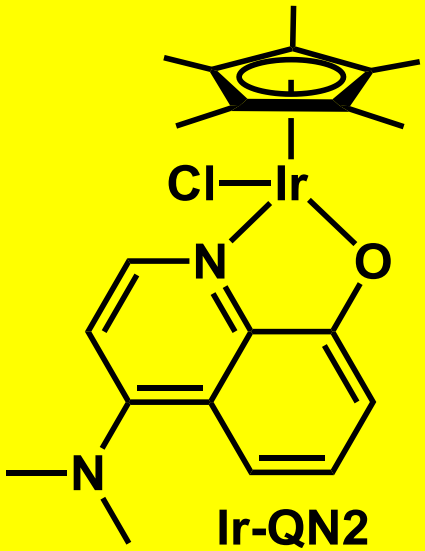
Synthesis of Tertiary Amine



Ir cat:



Ir-QN1



Ir-QN2

Ir cat	yield (%)
Ir-QN1	85
Ir-QN2	97