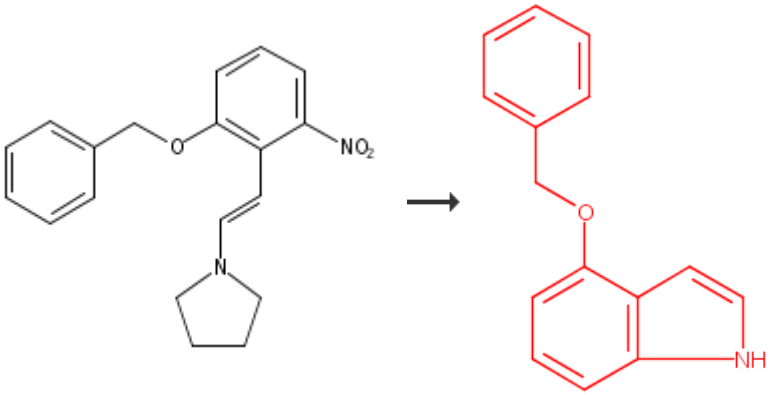
1. Single Step



99%

Overview

Steps/Stages

1.1 R:H_2, C:$Fe(OAc)_2$, C:Rh, S:THF, 20 h, rt

Notes

chemoselective, scalable, Reactants: 1, Reagents: 1, Catalysts: 2, Solvents: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

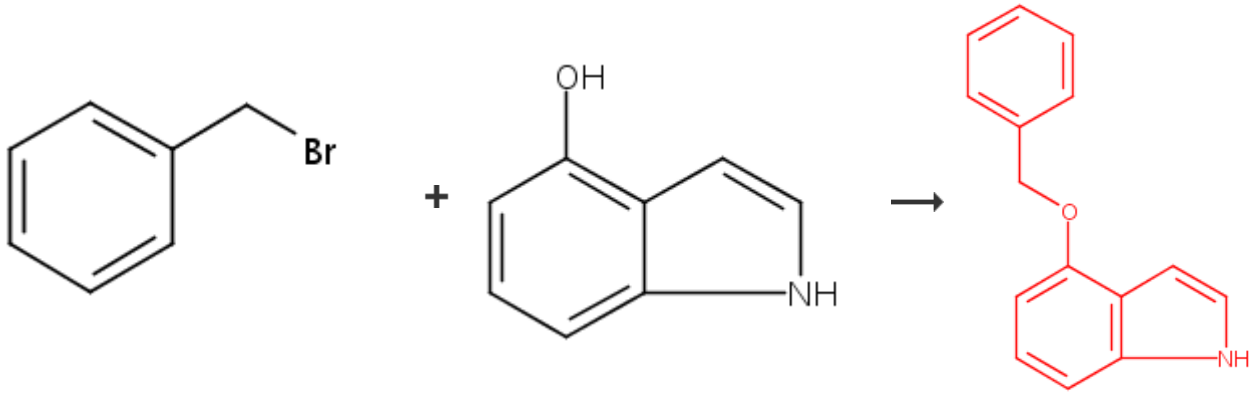
References

Iron(II) acetate

By Huleatt, Paul B. and Chai, Christina L. L.

From e-EROS Encyclopedia of Reagents for Organic Synthesis, , 1-3; 2011

2. Single Step



94%

Overview

Steps/Stages

Notes

1.1 R:K_2CO_3, S:Me_2CO, 72 h, rt

Reactants: 2, Reagents: 1, Solvents: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

References

The first potent inhibitor of mammalian group X secreted phospholipase A2: elucidation of sites for enhanced binding

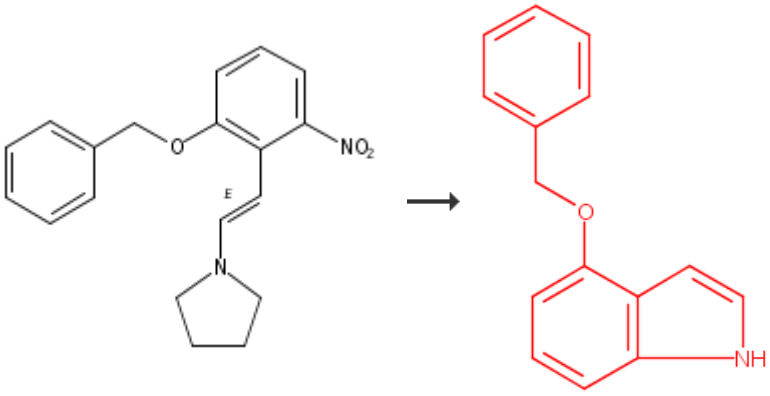
By Smart, Brian P. et al

From Journal of Medicinal Chemistry, 49(10), 2858-2860; 2006

Experimental Procedure

4-(benzyloxy)-1H-indole. To 4-hydroxy indole (1.00 g, 7.52 mmol) in anhydrous acetone (50 mL) was added anhydrous K_2CO_3 (3.11 g, 22.56 mmol) and benzyl bromide (1.54 g, 9.02 mmol) and set to reflux under N_2 for 72 hours. After cooling to room temperature, the reaction mixture was poured onto 100 mL H_2O and 100 mL EtOAc in a separatory funnel and the layers separated. The aqueous layer was extracted 3 x 20 mL EtOAc and the combined organic layer was dried over $MgSO_4$, filtered, and the solvent removed by rotary evaporation. Purification by column chromatography on silica gel (20% EtOAc/80% Hexanes) afforded a pale yellow oil (1.576 g, 94% yield). ^{1}H NMR (300 MHz, $CDCl_3$) δ 5.23 (s, 2H), 6.58 (d, J = 7.5 Hz, 1H), 6.72 (s, 1H), 7.01-7.12 (m, 3H), 7.30-7.42 (m, 3H), 7.51 (d, J = 7.2 Hz, 2H), 8.15 (br s, 1H).

3. Single Step



96%

Overview

Steps/Stages

1.1 R:N_2H_4, R:Ni, S:MeOH, S:THF

Notes

Raney Ni, N2H4, MeOH, THF, 45-50 C/2 h., (Under N2), Catalysis, Heterocyclization, Intramolecular, N-Deoxygenation, Reduction, Reductive cleavage, Transamination, Reactants: 1, Reagents: 2, Solvents: 2, Steps: 1, Stages: 1, Most stages in any one step: 1

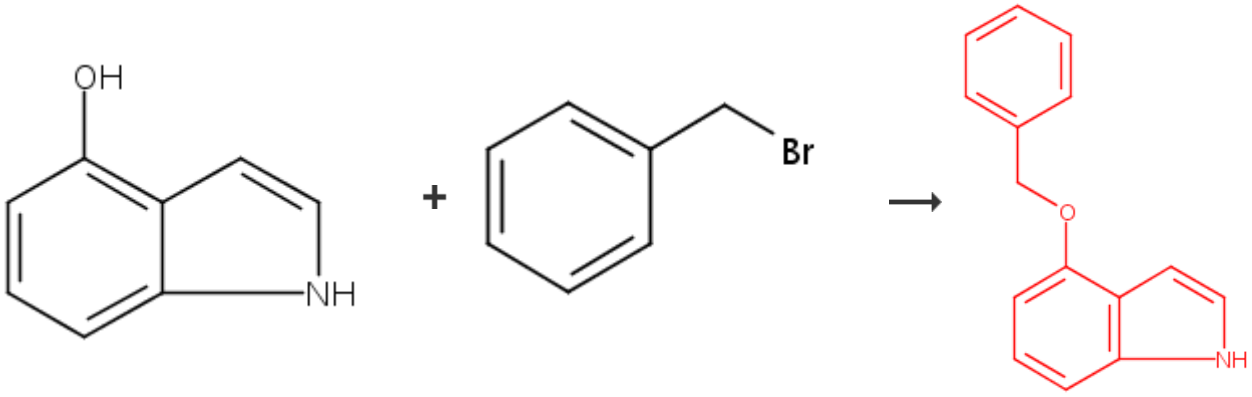
References

Indoles from 2-methylnitrobenzenes by condensation with formamide acetals followed by reduction: 4-benzyloxyindole (1H-indole, 4-(phenylmethoxy)-)

By Batcho, Andrew D. and Leimgruber, Willy

From Organic Syntheses, 63, 214-25; 1985

4. Single Step



78%

Overview

Steps/Stages

1.1 R:K_2CO_3, S:Me_2CO, rt → reflux

Notes

Reactants: 2, Reagents: 1, Solvents: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

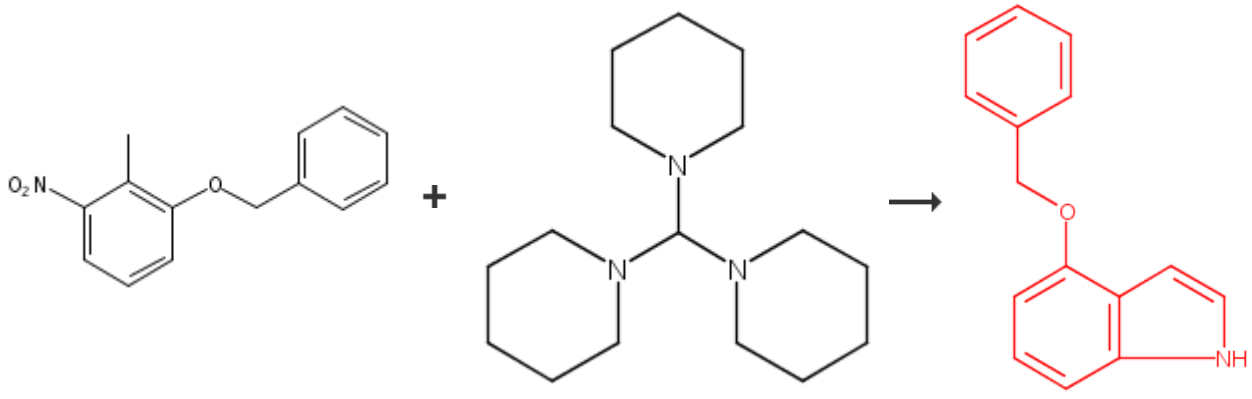
References

Process for preparation of Varespladib

By Ge, Min et al

From Faming Zhuanli Shenqing, 101838232, 22 Sep 2010

5. Single Step



85%

Overview

Steps/Stages

Notes

1.1

1.2 R:AcOH, R:Fe, S:PhMe

silica gel promoter 2nd step, Reactants: 2, Reagents: 2, Solvents: 1, Steps: 1, Stages: 2, Most stages in any one step: 2

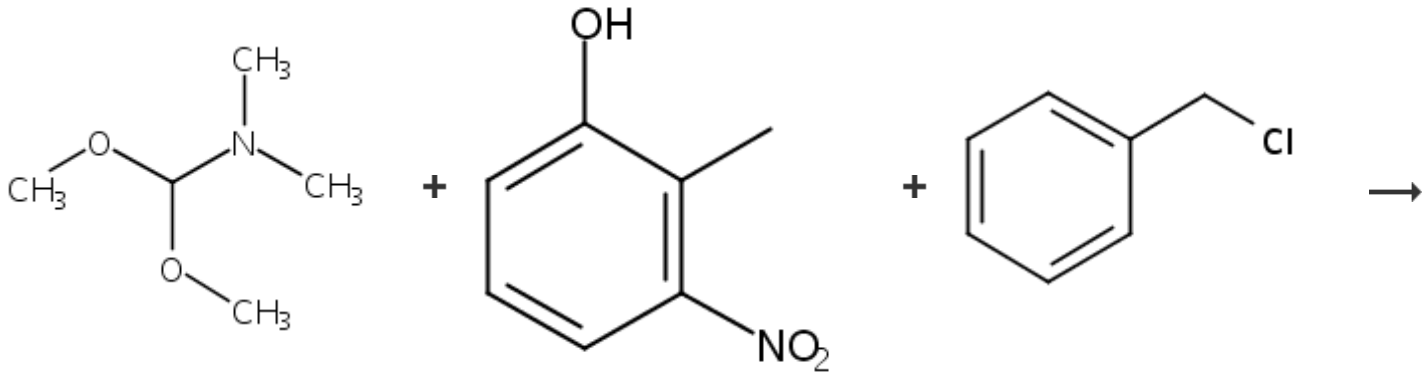
References

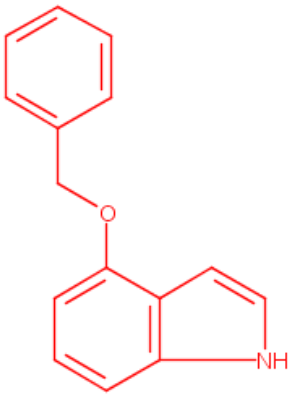
Silica gel-assisted reductive cyclization of 2-nitro-β-piperidinostyrenes, derived from 2-nitrotoluenes, to indoles

By Kawase, Masami et al

From Journal of Heterocyclic Chemistry, 24(6), 1499-501; 1987

6. Single Step





82%

Overview

Steps/Stages

Notes

1.1 R:Pyrrolidine, R:K_2CO_3, R:N_2H_4, R:Ni, S:MeOH, S:THF, S:DMF

K2CO3, DMF/90 C/3 h., Me2NCH(OMe)2, Pyrrolidine, DMF/Reflux 3 h./N2, Raney Ni/N2H4, MeOH/THF/45-50 C/2 h., C-Alkylation, Condensation, Heterocyclization, O-Alkylation, O-Benzylation, O-Protection, Olefination, Reduction, Reductive cleavage, Substitution, Reactants: 3, Reagents: 4, Solvents: 3, Steps: 1, Stages: 1, Most stages in any one step: 1

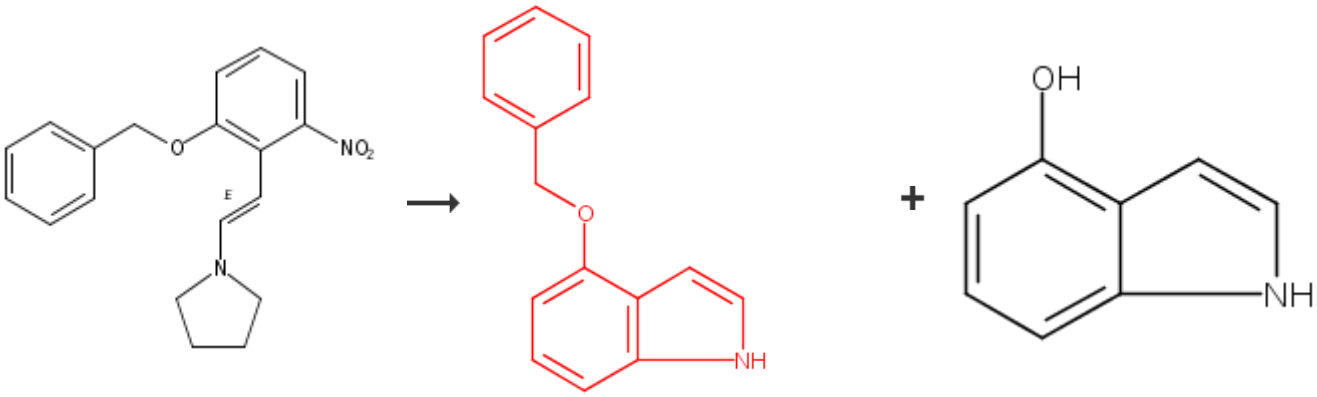
References

Indoles from 2-methylnitrobenzenes by condensation with formamide acetals followed by reduction: 4-benzyloxyindole (1H-indole, 4-(phenylmethoxy)-)

By Batcho, Andrew D. and Leimgruber, Willy

From Organic Syntheses, 63, 214-25; 1985

7. Single Step



88% **6%**

Overview

Steps/Stages

1.1 R:H_2, C:Rh, C:$Ni(NO_3)_2$, S:THF, 15 h, rt, 1 atm

1.2 R:NH_4OH, S:H_2O, 20 min, rt

Notes

product depends on catalyst, yield depends on catalyst, Reactants: 1, Reagents: 2, Catalysts: 2, Solvents: 2, Steps: 1, Stages: 2, Most stages in any one step: 2

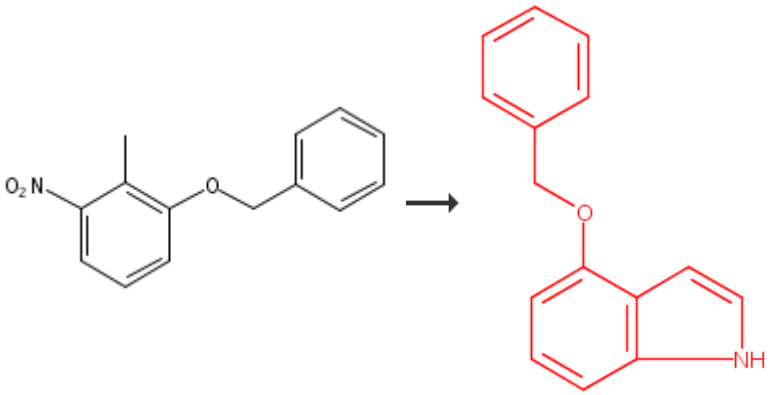
References

Highly chemoselective reduction using a Rh/C-Fe(OAc)2 system: Practical synthesis of functionalized indoles

By Akao, Atsushi et al

From Tetrahedron Letters, 47(6), 969-972; 2006

8. Single Step



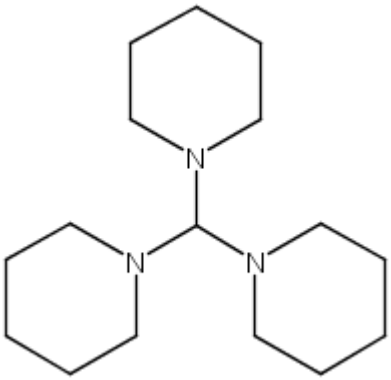
74%

Overview

Steps/Stages

Notes

1.1 R:



Reactants: 1, Reagents: 3, Catalysts: 1, Solvents: 2, Steps: 1, Stages: 2, Most stages in any one step: 2

References

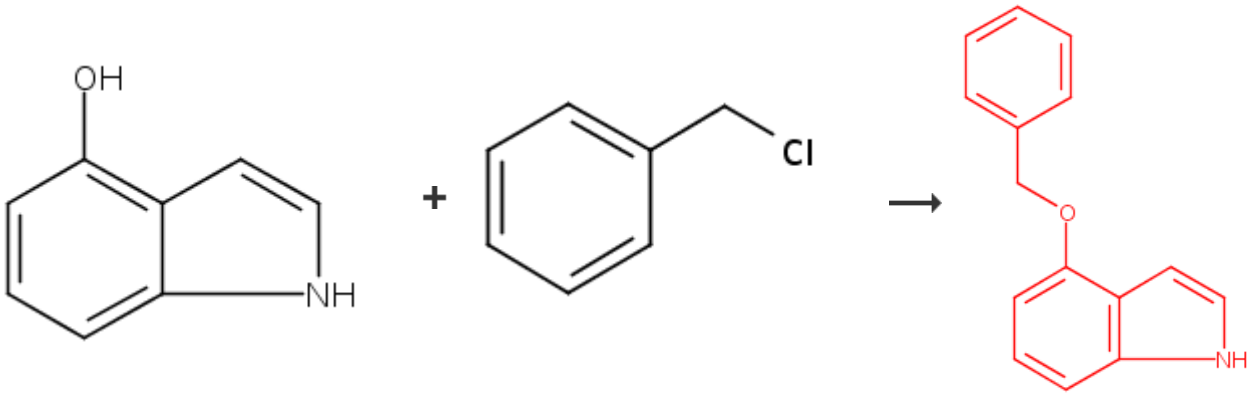
Synthesis of baeocystin, a natural psilocybin analog

By Brenneisen, Rudolf et al

From Archiv der Pharmazie (Weinheim, Germany), 321(8), 487-9; 1988

1.2 R:NH_4OAc, R:HCl, C:$TiCl_3$, S:Et_2O, S:H_2O

9. Single Step



54%

Overview

Steps/Stages

Notes

1.1 C:4-DMAP, S:CH_2Cl_2, 24-72 h, rt

1.2 R:H_2O

Reactants: 2, Reagents: 1, Catalysts: 1, Solvents: 1, Steps: 1, Stages: 2, Most stages in any one step: 2

References

Indole derivatives as cholinesterase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

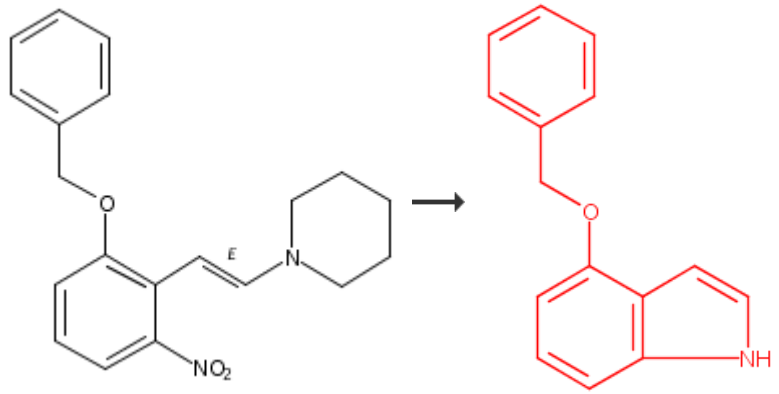
By Nudelman, Abraham and Weinstock-Rosin, Marta

From PCT Int. Appl., 2013150529, 10 Oct 2013

Experimental Procedure

General/Typical Procedure: **Procedure B : Synthesis of carbamates.** Method I: A carbamoyl chloride (15 mmol) was added to a solution of 4-, 5- or 6 -hydroxyindole; or to 4-, 5-, 6 - or 7-hydroxyindole-3-propanoic acid (or ester) (7.51 mmol) in dry CH_2Cl_2 (50 mL) containing NEt_3 (9.01 mmol) and 4-DMAP (10% mol). The mixture was stirred at room temperature for 24-72 h. Despite the use of 2 eq of carbamoyl chloride, starting phenolic material remained (determined by TLC analysis). The reaction was quenched by addition of water, and the mixture was extracted with CH_2Ch- The organic layer was washed with 5% $NaHCO_3$, brine, dried over $MgSO_4$ and evaporated to give the crude carbamates. The residual carbamates were purified either by chromatography, or by extraction with 2N NaOH and CH_2Cl_2 (in order to remove traces of unreacted hydroxyindoles and carbamoyl chlorides), followed by elution of the organic phase through a plug of silica gel, which was washed with EtOAc-Hex (1:1). The filtrate was evaporated and the residue was crystallized from CH_2Cl_2 and hexane. **4-(Benzyloxy)-1*H*-indole, (2).** Compound **2,** prepared from 4-hydroxyindole by procedure P, was isolated by chromatography eluted with EtOAc-hexane (1:14 to 1:12), and was isolated as a yellow oil in 30-54% yields. Yield 54%. ^{1}**H-NMR** (300 MHz, $CDCl_3$) ppm δ 8.15 (bs, 1H, H-1), 7.57-7.60 (m, 2H, H-12), 7.38-7.49 (m, 3H, H-13+H-14), 7.16 (t, *J* = 8.1 Hz, 1H, H-6), 7.09-7.02 (m, 2H, H-7+H-5), 6.81-6.78 (m, 1H, H-3), 6.67 (d, *J* = 8.1 Hz, 1H, H- 2), 5.30 (s, 2H, H-10); ^{13}**C-NMR** (75 MHz, $CDCl_3$) ppm δ 152.56, 137.64, 137.36, 128.56, 127.81, 127.42, 122.82, 122.73, 118.94, 104.81, 101.16, 100.02, 69.99.

10. Single Step



Overview

Steps/Stages **Notes**

1.1 C:12007-01-1, C:N_2H_4

Reduction of Other Nitrogenous Functional Groups, Reactants: 1, Catalysts: 2, Steps: 1, Stages: 1, Most stages in any one step: 1

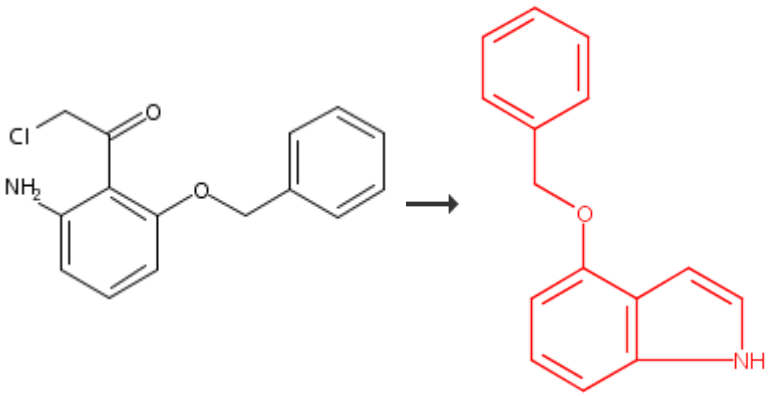
References

Nickel Boride

By Caggiano, Thomas J.

From e-EROS Encyclopedia of Reagents for Organic Synthesis, , No pp. given; 2001

11. Single Step



Overview

Steps/Stages

1.1

Notes

Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

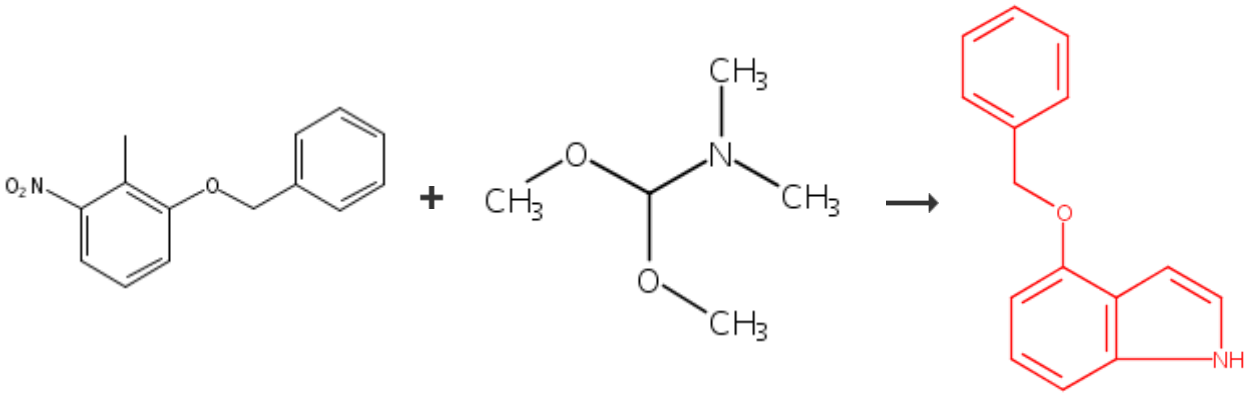
References

Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

12. Single Step



Overview

Steps/Stages

1.1

Notes

Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 2, Steps: 1, Stages: 1, Most stages in any one step: 1

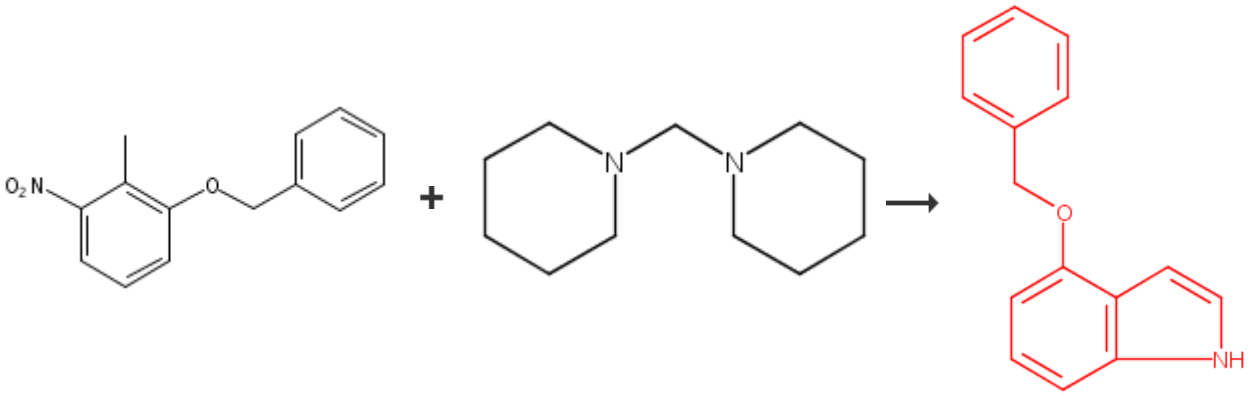
References

Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

13. Single Step



Overview

Steps/Stages

Notes

1.1

Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 2, Steps: 1, Stages: 1, Most stages in any one step: 1

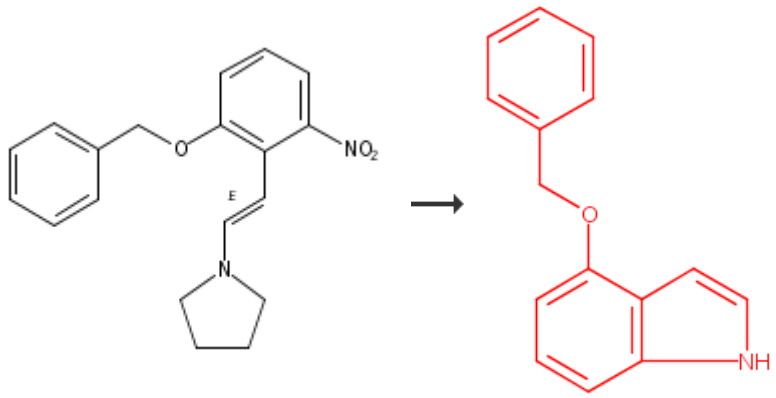
References

Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

14. Single Step



Overview

Steps/Stages

Notes

1.1

Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

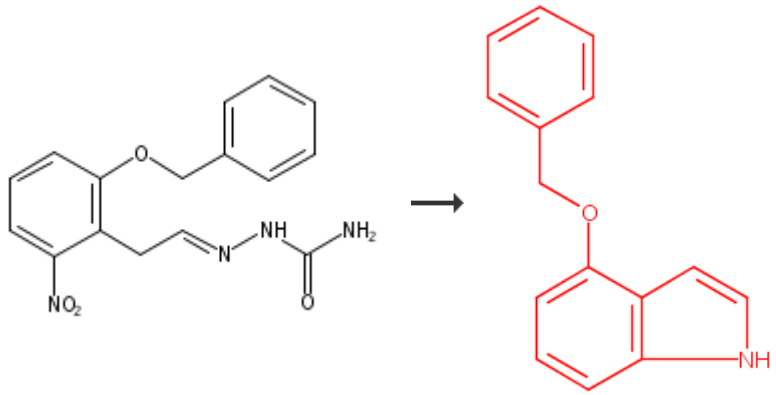
References

Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

15. Single Step



Overview

Steps/Stages

1.1 C:Ni, S:H_2O, S:MeOH, S:THF, rt → 55°C

1.2 R:N_2H_4-H_2O, 2 h, 55°C

Notes

Raney nickel used, Reactants: 1, Reagents: 1, Catalysts: 1, Solvents: 3, Steps: 1, Stages: 2, Most stages in any one step: 2

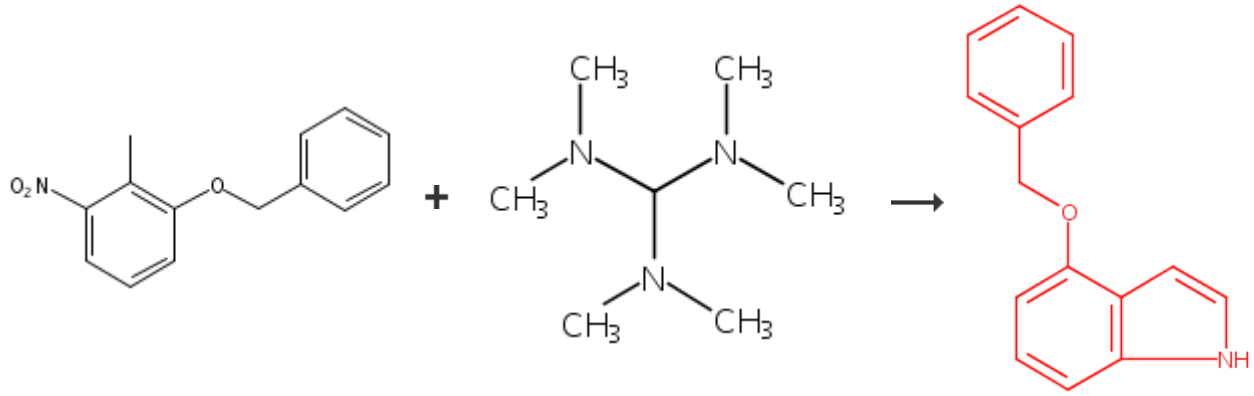
References

Preparation of fused pyrrolecarboxanilides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From PCT Int. Appl., 9802420, 22 Jan 1998

16. Single Step



Overview

Steps/Stages

Notes

1.1 S:DMF, 3 h, 115°C; 115°C → rt

1.2 R:HCl, R:N_2H_4-H_2O, S:H_2O, S:EtOH, rt; 2 h, rt; cooled

1.3 R:N_2H_4-H_2O, C:Ni, S:MeOH, S:THF, 2 h, 55°C

Reactants: 2, Reagents: 2, Catalysts: 1, Solvents: 5, Steps: 1, Stages: 3, Most stages in any one step: 3

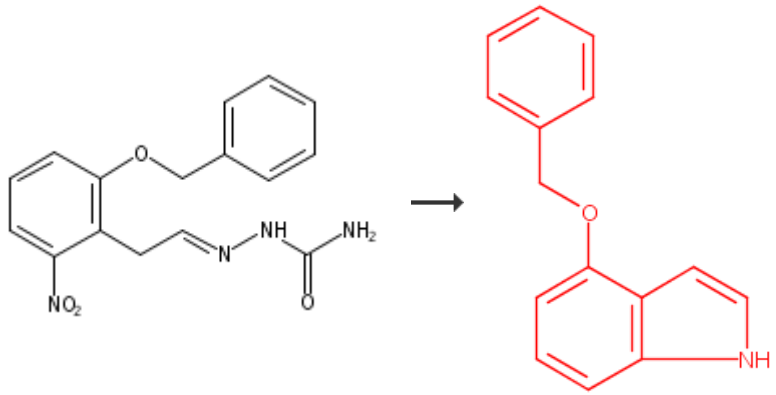
References

Preparation of certain pyrrolopyridine-3-carboxamides as a new class of GABA brain receptor ligands

By Albaugh, Pamela and Hutchison, Alan

From U.S., 5750702, 12 May 1998

17. Single Step



Overview

Steps/Stages

1.1 C:H_2O, C:Ni, S:MeOH, S:THF, rt → 55°C

1.2 R:N_2H_4-H_2O, 1.5 h, 55°C

Notes

Raney nickel used in stage 1, incremental addition of agent in every 0.5h intervals in stage 2, Reactants: 1, Reagents: 1, Catalysts: 2, Solvents: 2, Steps: 1, Stages: 2, Most stages in any one step: 2

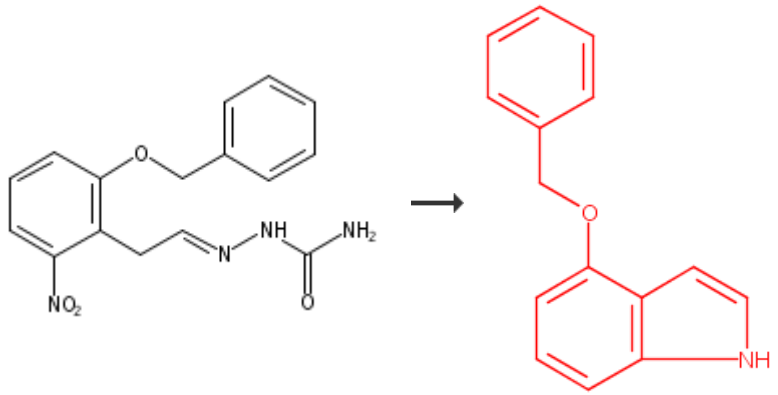
References

Preparation of certain fused pyrrolecarboxamides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From U.S., 5723462, 03 Mar 1998

18. Single Step



Overview

Steps/Stages

1.1 C:H_2O, C:Ni, S:MeOH, S:THF, rt → 55°C

1.2 R:N_2H_4-H_2O, 1.5 h, 55°C

Notes

Raney nickel used in stage 1, incremental addition of agent in every 0.5h intervals in stage 2, Reactants: 1, Reagents: 1, Catalysts: 2, Solvents: 2, Steps: 1, Stages: 2, Most stages in any one step: 2

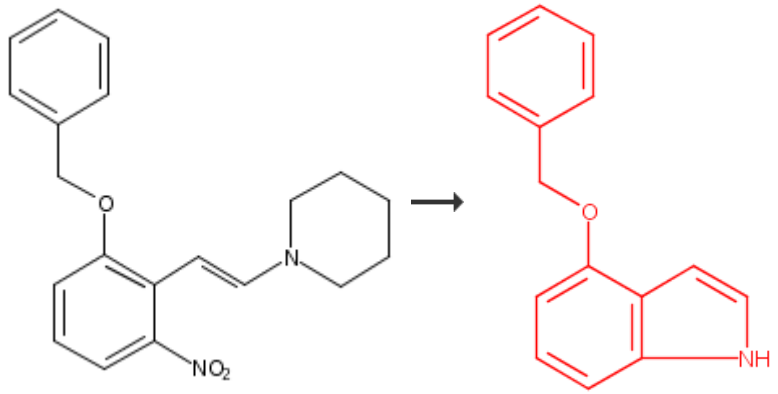
References

Preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From PCT Int. Appl., 9802433, 22 Jan 1998

19. Single Step



Overview

Steps/Stages

Notes

1.1 R:Nickel boride, R:N_2H_4-H_2O, S:EtOH

Reactants: 1, Reagents: 2, Solvents: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

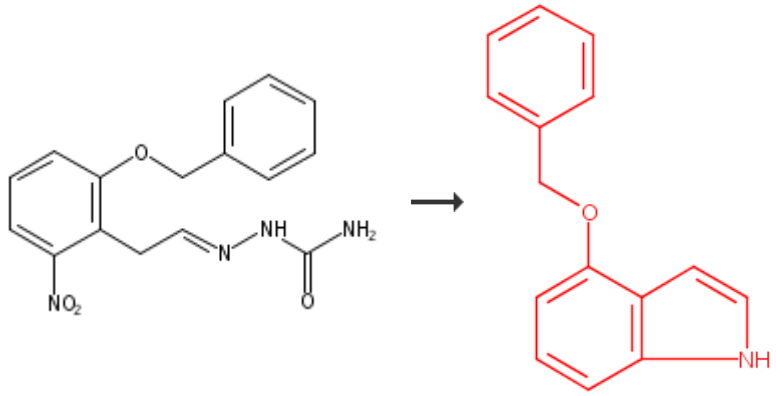
References

Nickel boride/hydrazine hydrate reduction of aromatic and aliphatic nitro compounds. Synthesis of 4-(benzyloxy)indole and α-alkyltryptamines

By Lloyd, David H. and Nichols, David E.

From Journal of Organic Chemistry, 51(22), 4294-5; 1986

20. Single Step



Overview

Steps/Stages

Notes

1.1 R:$FeSO_4$, R:NH_3, S:EtOH, S:H_2O

Reactants: 1, Reagents: 2, Solvents: 2, Steps: 1, Stages: 1, Most stages in any one step: 1

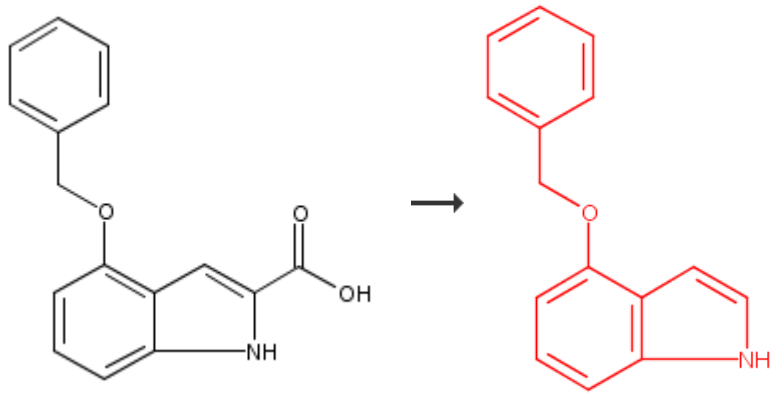
References

Synthesis of psilocin labeled with carbon-14 and tritium

By Poon, Grace et al

From Journal of Labelled Compounds and Radiopharmaceuticals, 23(2), 167-74; 1986

21. Single Step



Overview

Steps/Stages

1.1

Notes

Reactants: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

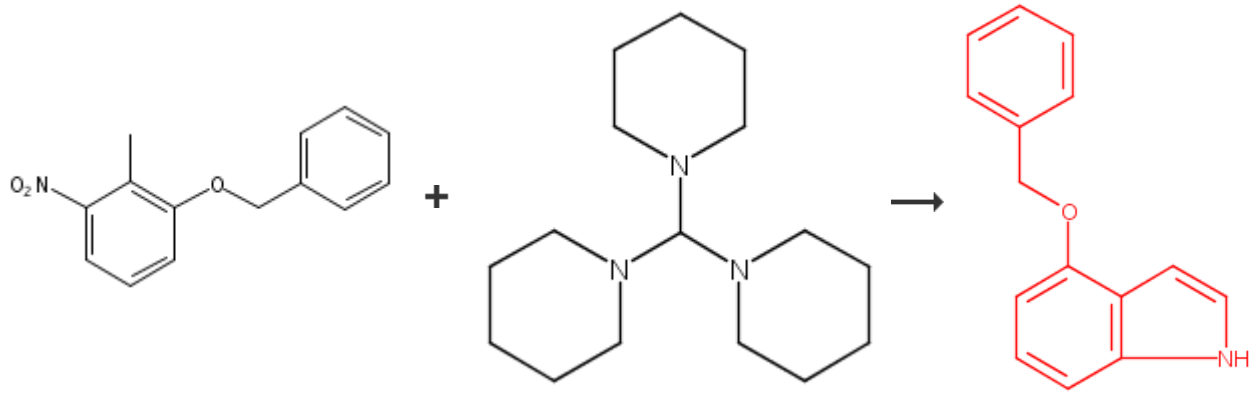
References

Benzyloxyindole

By Kortvelyessy, Gyala et al

From Hung. Teljes, 30594, 28 Mar 1984

22. Single Step



Overview

Steps/Stages

1.1 R:$TiCl_3$, C:NH_4OAc

Notes

Reactants: 2, Reagents: 1, Catalysts: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

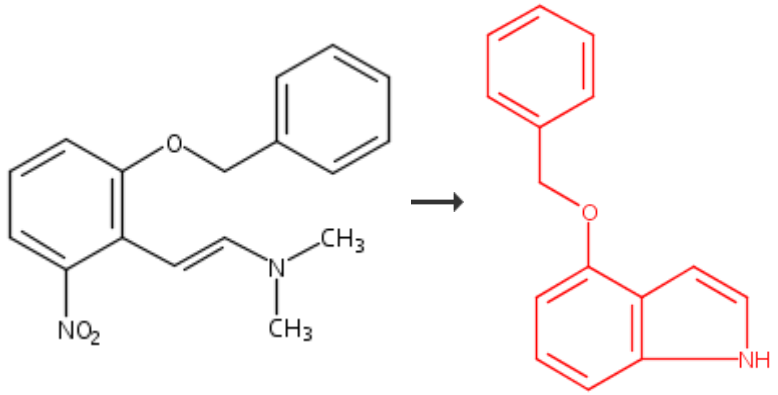
References

A simple procedure for the preparation of indoles

By Lloyd, David H. and Nichols, David E.

From Tetrahedron Letters, 24(42), 4561-2; 1983

23. Single Step



Overview

Steps/Stages

1.1

Notes

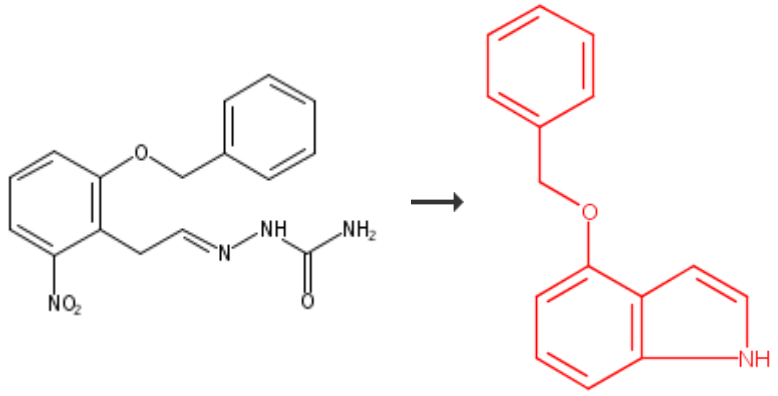
Reactants: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

References

4-Substituted indoles

From Jpn. Kokai Tokkyo Koho, 57028046, 15 Feb 1982

24. Single Step



Overview

Steps/Stages

Notes

1.1 $R:NH_3$, $C:FeSO_4$

Reactants: 1, Reagents: 1, Catalysts: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

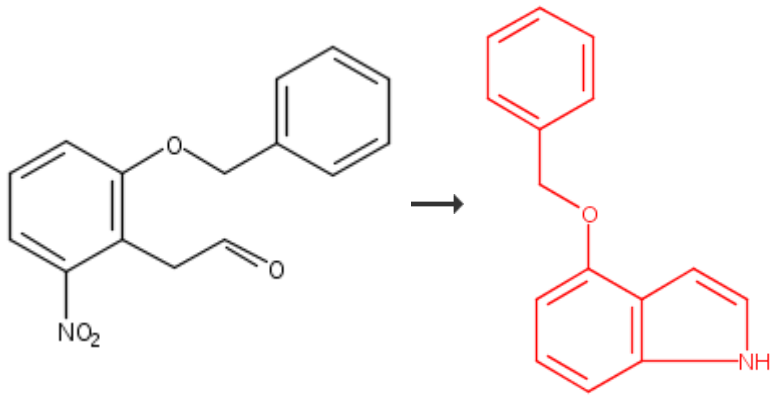
References

Synthesis of 4-substituted indoles from o-nitrotoluenes

By Kruse, Lawrence I.

From Heterocycles, 16(7), 1119-24; 1981

25. Single Step



Overview

Steps/Stages

1.1 $C:Ac_2O$

Notes

Reactants: 1, Catalysts: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

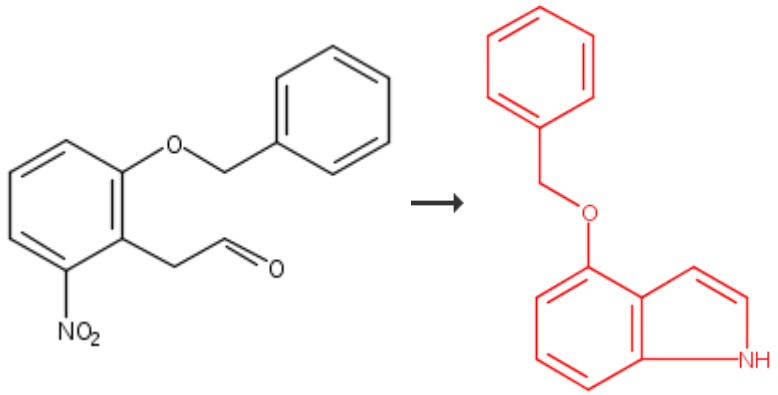
References

The chemistry of indoles. XIII. Syntheses of substituted indoles carrying an amino, nitro, methoxycarbonyl, or benzyloxy group at the 4-position and their 1-hydroxy derivatives

By Somei, Masanori et al

From Chemical & Pharmaceutical Bulletin, 29(3), 726-38; 1981

26. Single Step



Overview

Steps/Stages

1.1 R:$TiCl_3$, C:Ac_2O

Notes

Reactants: 1, Reagents: 1, Catalysts: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

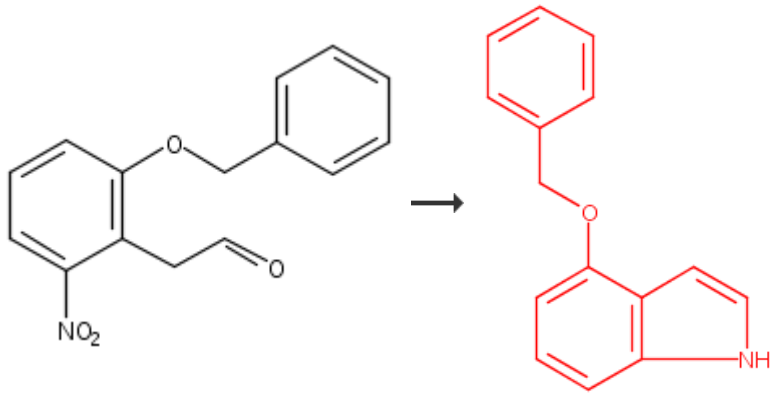
References

The chemistry of indoles. XIII. Syntheses of substituted indoles carrying an amino, nitro, methoxycarbonyl, or benzyloxy group at the 4-position and their 1-hydroxy derivatives

By Somei, Masanori et al

From Chemical & Pharmaceutical Bulletin, 29(3), 726-38; 1981

27. Single Step



Overview

Steps/Stages

Notes

1.1 R:$TiCl_3$, C:NH_4OAc

Reactants: 1, Reagents: 1, Catalysts: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

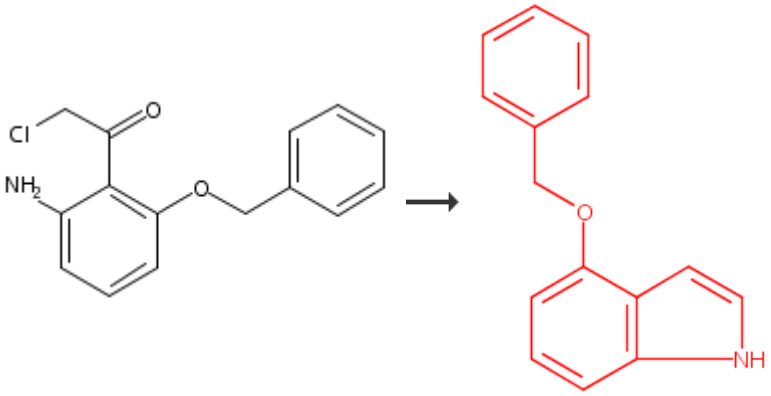
References

The chemistry of indoles. XIII. Syntheses of substituted indoles carrying an amino, nitro, methoxycarbonyl, or benzyloxy group at the 4-position and their 1-hydroxy derivatives

By Somei, Masanori et al

From Chemical & Pharmaceutical Bulletin, 29(3), 726-38; 1981

28. Single Step



31%

Overview

Steps/Stages

1.1 R:$NaBH_4$, S:Dioxane

Notes

Reactants: 1, Reagents: 1, Solvents: 1, Steps: 1, Stages: 1, Most stages in any one step: 1

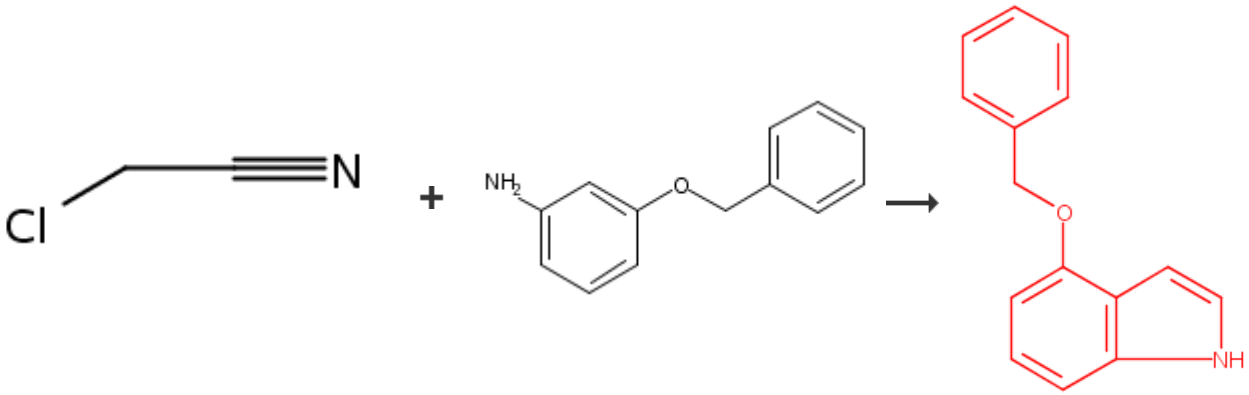
References

Simple synthesis of indoles and corresponding 3(2H)-indolone derivatives, monosubstituted at the benzene ring, as synthetic precursors of natural compounds

By Nimtz, Manfred and Haefelinger, Guenter

From Liebigs Annalen der Chemie, (9), 765-70; 1987

29. 2 Steps



Overview

Steps/Stages

1.1

2.1

Notes

1) Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., 2) Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 2, Steps: 2, Stages: 2, Most stages in any one step: 1

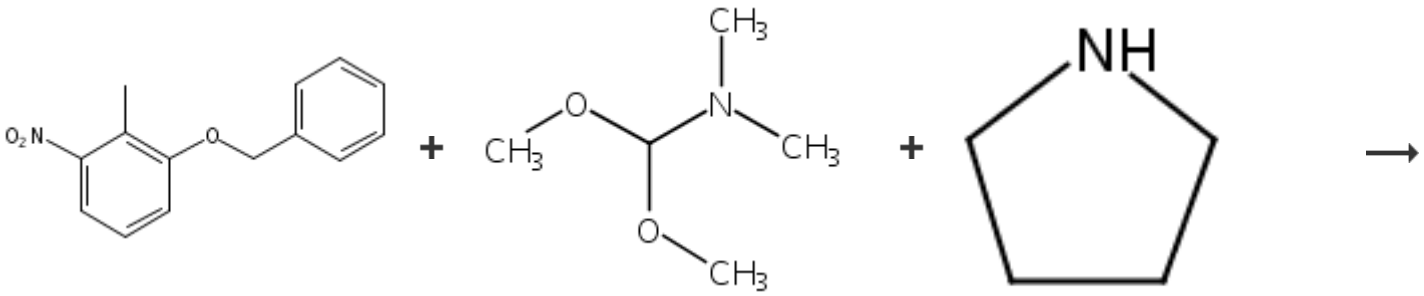
References

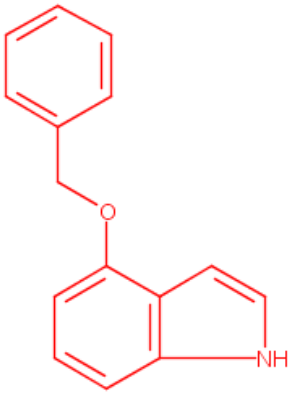
Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

30. 2 Steps





Overview

Steps/Stages

1.1

2.1

Notes

1) Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., 2) Go to Science of Synthesis, a critically reviewed reference work of synthetic methodology, for more information., Reactants: 3, Steps: 2, Stages: 2, Most stages in any one step: 1

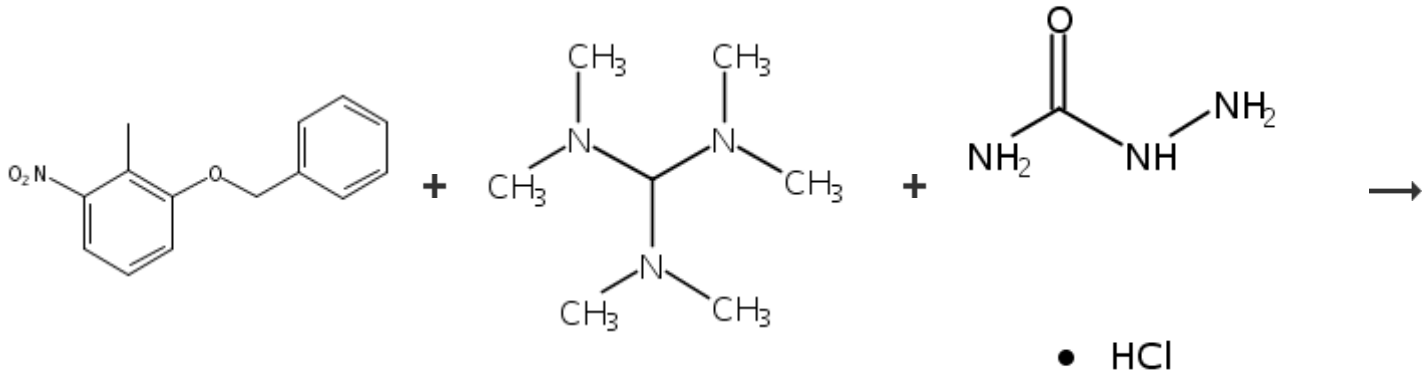
References

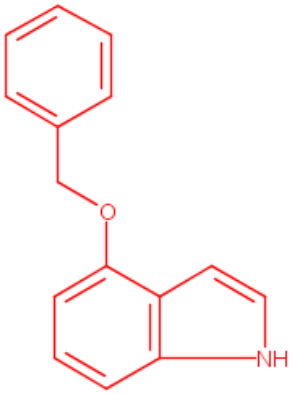
Product class 13: indole and its derivatives

By Joule, J. A.

From Science of Synthesis, 10, 361-652; 2001

31. 2 Steps





Overview

Steps/Stages

1.1 S:DMF, 3 h, 115°C; 115°C → rt

1.2 R:HCl, S:H_2O, rt

1.3 R:EtOH, 2 h, rt; cooled

2.1 C:Ni, S:H_2O, S:MeOH, S:THF, rt → 55°C

2.2 R:N_2H_4-H_2O, 2 h, 55°C

Notes

2) Raney nickel used, Reactants: 3, Reagents: 3, Catalysts: 1, Solvents: 4, Steps: 2, Stages: 5, Most stages in any one step: 3

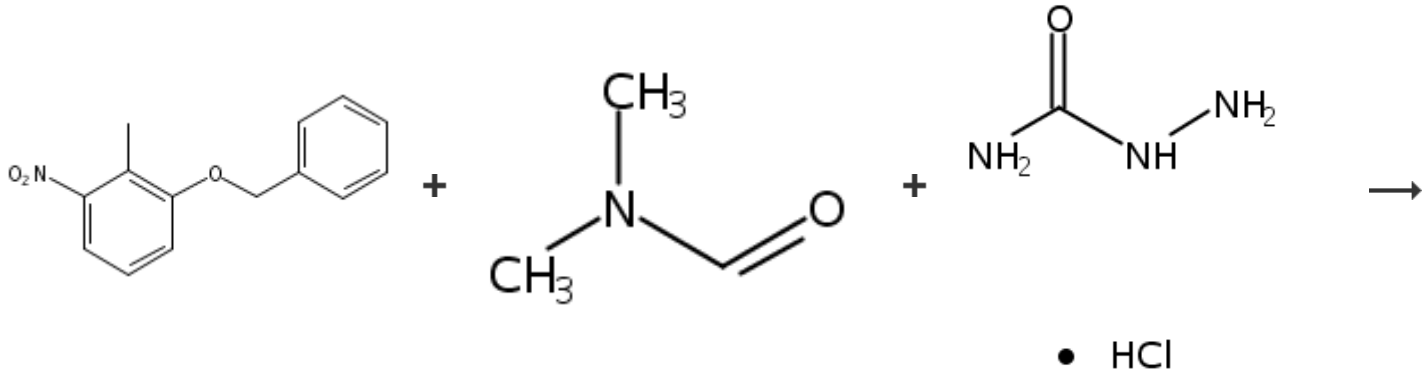
References

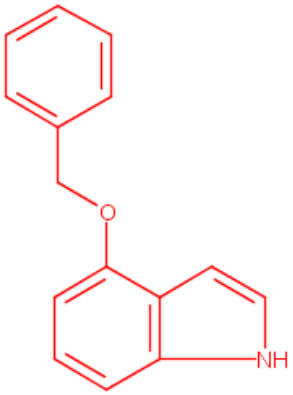
Preparation of fused pyrrolecarboxanilides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From PCT Int. Appl., 9802420, 22 Jan 1998

32. 2 Steps

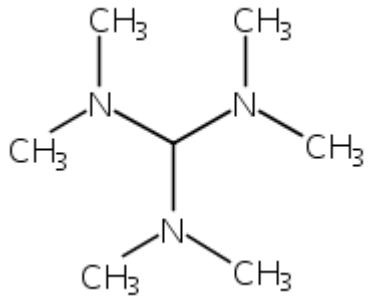




Overview

Steps/Stages

1.1 R:



S:DMF, 3 h, 115°C; 115°C → rt

1.2 R:HCl, S:H_2O, rt
1.3 S:EtOH, 2 h, rt
2.1 C:H_2O, C:Ni, S:MeOH, S:THF, rt → 55°C

2.2 R:N_2H_4-H_2O, 1.5 h, 55°C

Notes

2) Raney nickel used in stage 1, incremental addition of agent in every 0.5h intervals in stage 2, Reactants: 3, Reagents: 3, Catalysts: 2, Solvents: 5, Steps: 2, Stages: 5, Most stages in any one step: 3

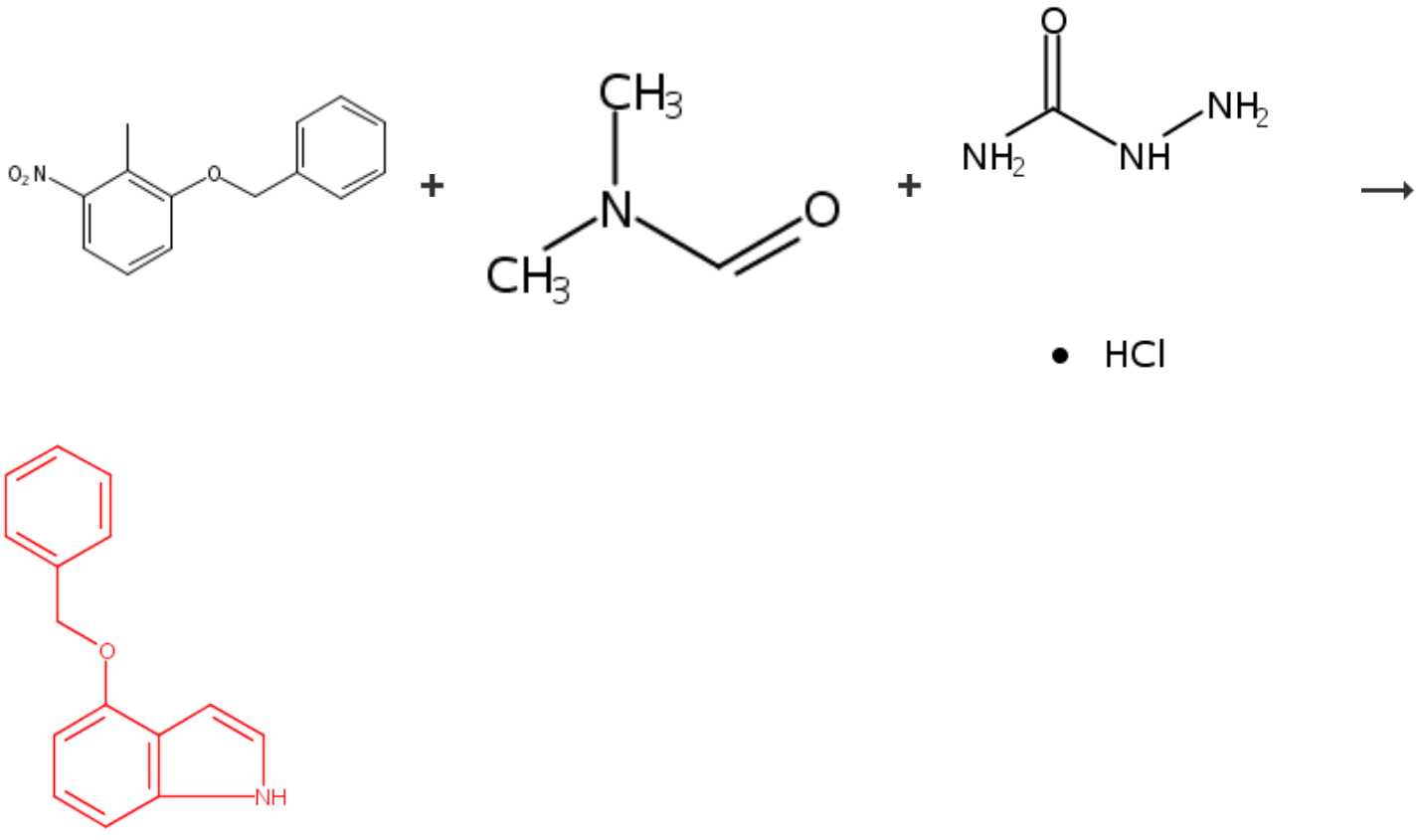
References

Preparation of certain fused pyrrolecarboxamides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From U.S., 5723462, 03 Mar 1998

33. 2 Steps

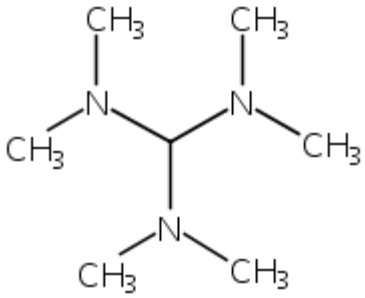


Overview

Steps/Stages

Notes

1.1 R:



S:DMF, 3 h, 115°C; 115°C → rt

1.2 R:HCl, S:H_2O, rt

1.3 S:EtOH, 2 h, rt

2.1 C:H_2O, C:Ni, S:MeOH, S:THF, rt → 55°C

2.2 R:N_2H_4-H_2O, 1.5 h, 55°C

2) Raney nickel used in stage 1, incremental addition of agent in every 0.5h intervals in stage 2, Reactants: 3, Reagents: 3, Catalysts: 2, Solvents: 5, Steps: 2, Stages: 5, Most stages in any one step: 3

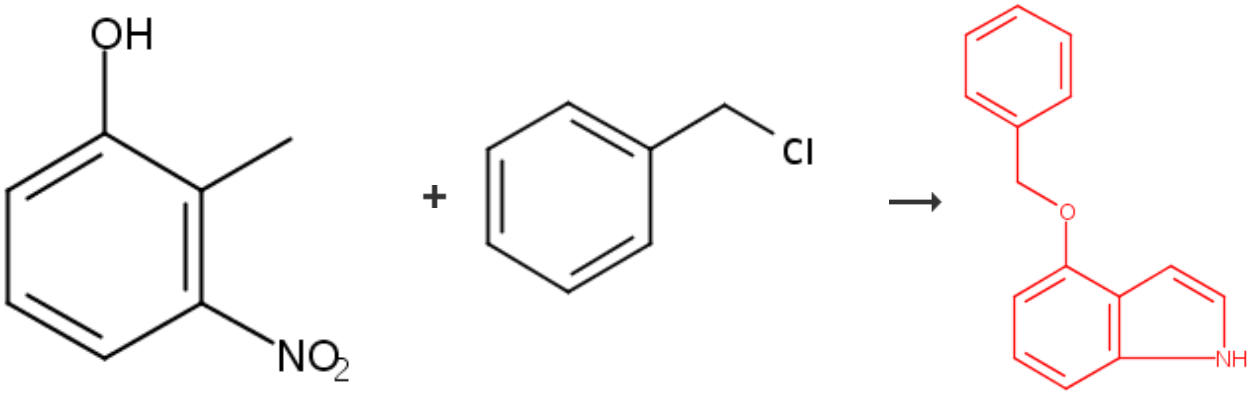
References

Preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands

By Albaugh, Pamela et al

From PCT Int. Appl., 9802433, 22 Jan 1998

34. 2 Steps



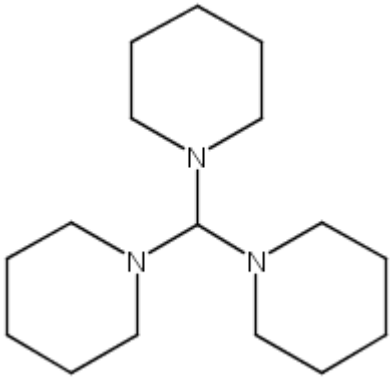
Overview

Steps/Stages

Notes

1.1 R:NaOEt, S:EtOH

2.1 R:



2.2 R:NH_4OAc, R:HCl, C:$TiCl_3$, S:Et_2O, S:H_2O

Reactants: 2, Reagents: 4, Catalysts: 1, Solvents: 3, Steps: 2, Stages: 3, Most stages in any one step: 2

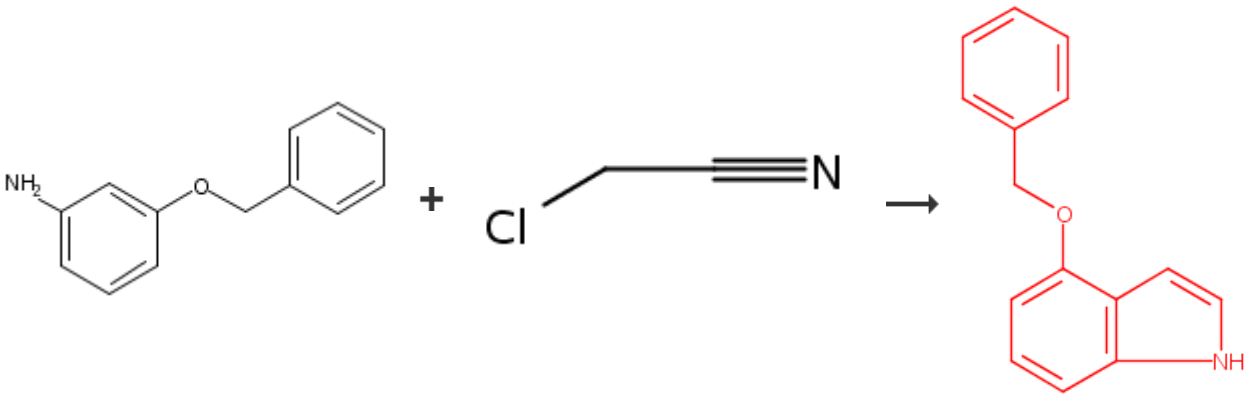
References

Synthesis of baeocystin, a natural psilocybin analog

By Brenneisen, Rudolf et al

From Archiv der Pharmazie (Weinheim, Germany), 321(8), 487-9; 1988

35. 2 Steps



Overview

Steps/Stages

1.1 R:BCl_3, R:$ZnCl_2$, S:Benzene

2.1 R:$NaBH_4$, S:Dioxane

Notes

Reactants: 2, Reagents: 3, Solvents: 2, Steps: 2, Stages: 2, Most stages in any one step: 1

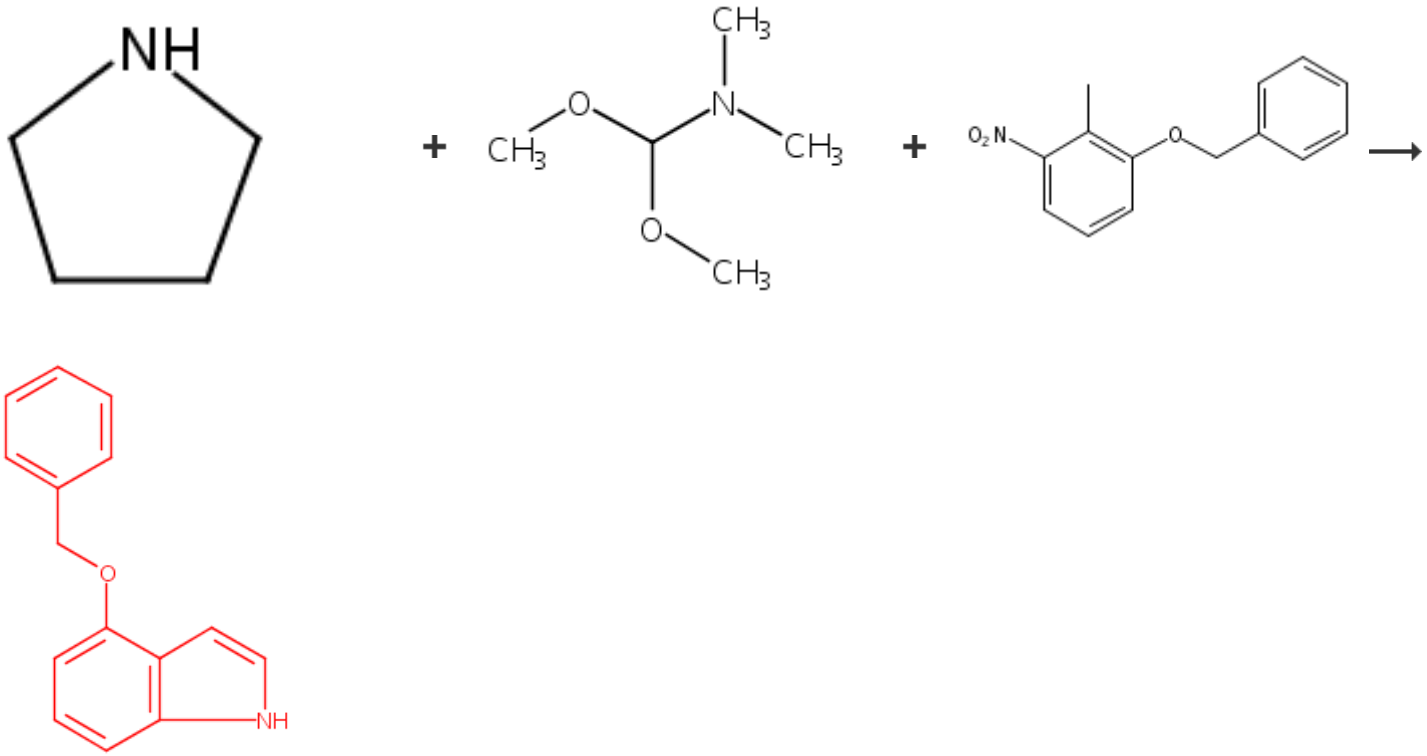
References

Simple synthesis of indoles and corresponding 3(2H)-indolone derivatives, monosubstituted at the benzene ring, as synthetic precursors of natural compounds

By Nimtz, Manfred and Haefelinger, Guenter

From Liebigs Annalen der Chemie, (9), 765-70; 1987

36. 2 Steps



Overview

Steps/Stages

1.1 S:DMF

2.1 R:N_2H_4, R:Ni, S:MeOH, S:THF

Notes

1) DMF, Reflux 3 h., (Under N2), Acetal cleavage, C-Alkylation, C-Amination, Condensation, Olefination, 2) Raney Ni, N2H4, MeOH, THF, 45-50 C/2 h., (Under N2), Catalysis, Heterocyclization, Intramolecular, N-Deoxygenation, Reduction, Reductive cleavage, Transamination, Reactants: 3, Reagents: 2, Solvents: 3, Steps: 2, Stages: 2, Most stages in any one step: 1

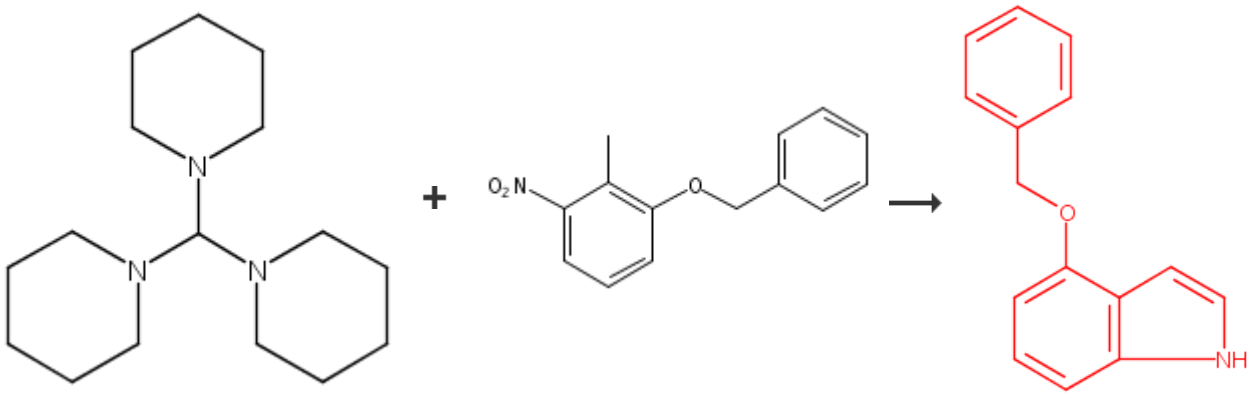
References

Indoles from 2-methylnitrobenzenes by condensation with formamide acetals followed by reduction: 4-benzyloxyindole (1H-indole, 4-(phenylmethoxy)-)

By Batcho, Andrew D. and Leimgruber, Willy

From Organic Syntheses, 63, 214-25; 1985

37. 2 Steps



Overview

Steps/Stages

1.1

2.1 R:Nickel boride, R:N_2H_4-H_2O, S:EtOH

Notes

Reactants: 2, Reagents: 2, Solvents: 1, Steps: 2, Stages: 2, Most stages in any one step: 1

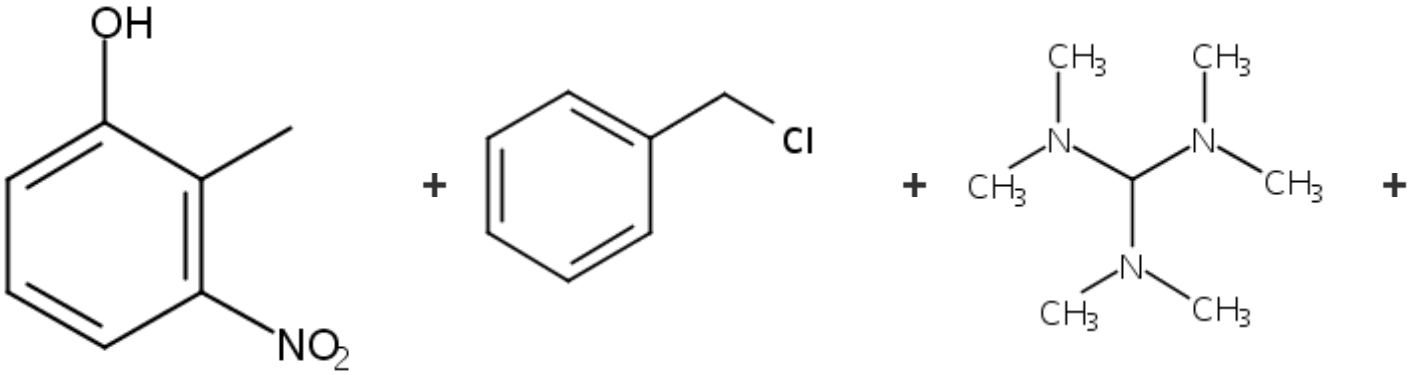
References

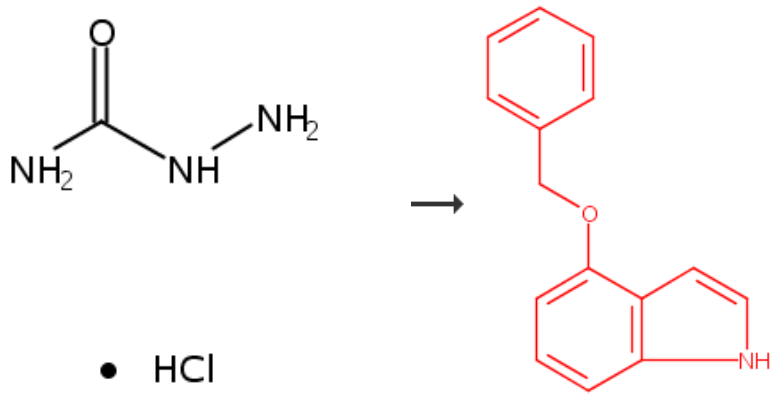
Nickel boride/hydrazine hydrate reduction of aromatic and aliphatic nitro compounds. Synthesis of 4-(benzyloxy)indole and α-alkyltryptamines

By Lloyd, David H. and Nichols, David E.

From Journal of Organic Chemistry, 51(22), 4294-5; 1986

38. 2 Steps





Overview

Steps/Stages

1.1 R:NaH, S:DMF

1.2

1.3 R:HCl, S:H_2O

2.1 R:$FeSO_4$, R:NH_3, S:EtOH, S:H_2O

Notes

Reactants: 4, Reagents: 4, Solvents: 3, Steps: 2, Stages: 4, Most stages in any one step: 3

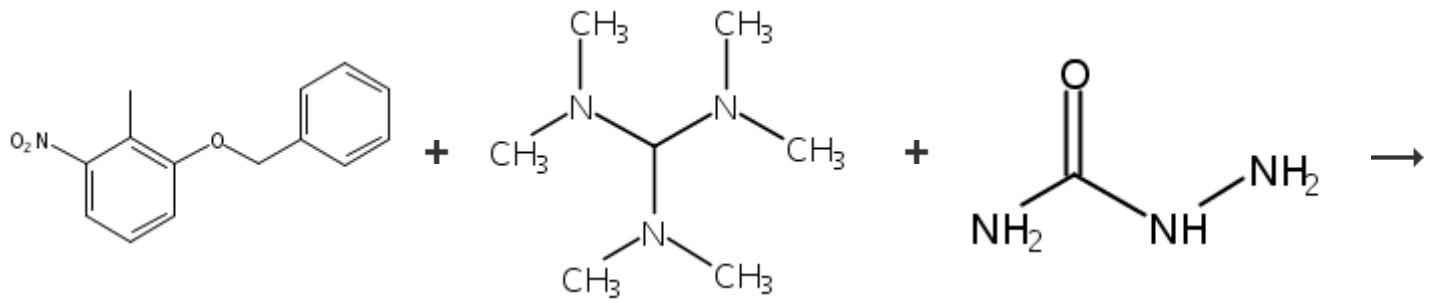
References

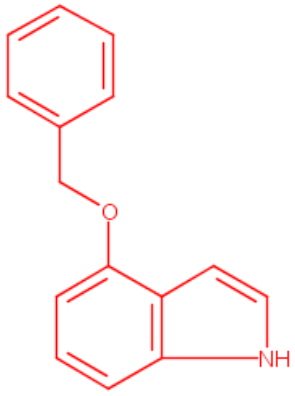
Synthesis of psilocin labeled with carbon-14 and tritium

By Poon, Grace et al

From Journal of Labelled Compounds and Radiopharmaceuticals, 23(2), 167-74; 1986

39. 2 Steps





Overview

Steps/Stages

1.1 R:HCl

2.1 R:NH_3, C:$FeSO_4$

Notes

Reactants: 3, Reagents: 2, Catalysts: 1, Steps: 2, Stages: 2, Most stages in any one step: 1

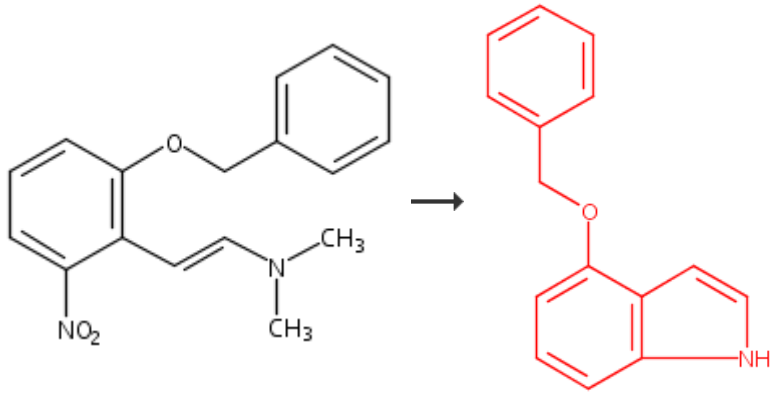
References

Synthesis of 4-substituted indoles from o-nitrotoluenes

By Kruse, Lawrence I.

From Heterocycles, 16(7), 1119-24; 1981

40. 2 Steps



Overview

Steps/Stages

1.1

2.1 R:$TiCl_3$, C:NH_4OAc

Notes

Reactants: 1, Reagents: 1, Catalysts: 1, Steps: 2, Stages: 2, Most stages in any one step: 1

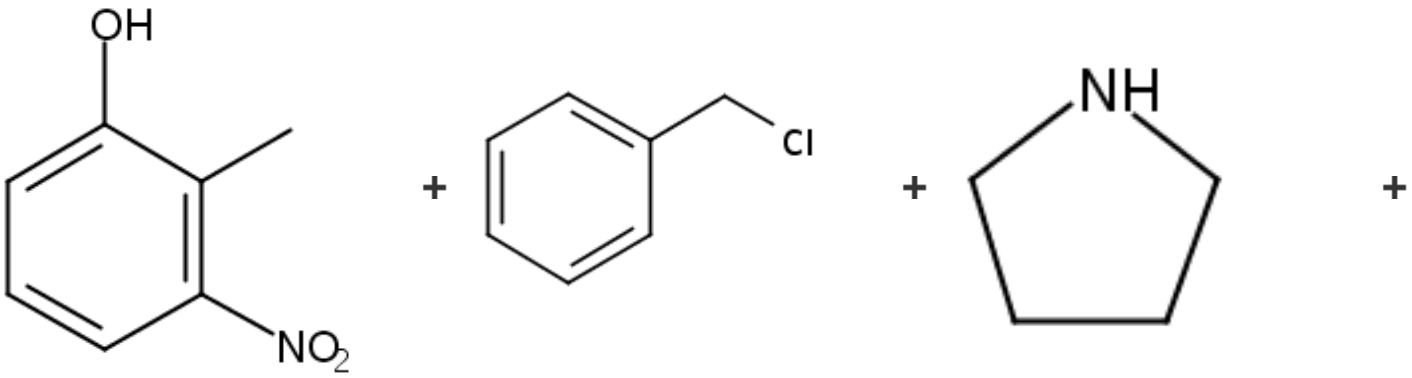
References

The chemistry of indoles. XIII. Syntheses of substituted indoles carrying an amino, nitro, methoxycarbonyl, or benzyloxy group at the 4-position and their 1-hydroxy derivatives

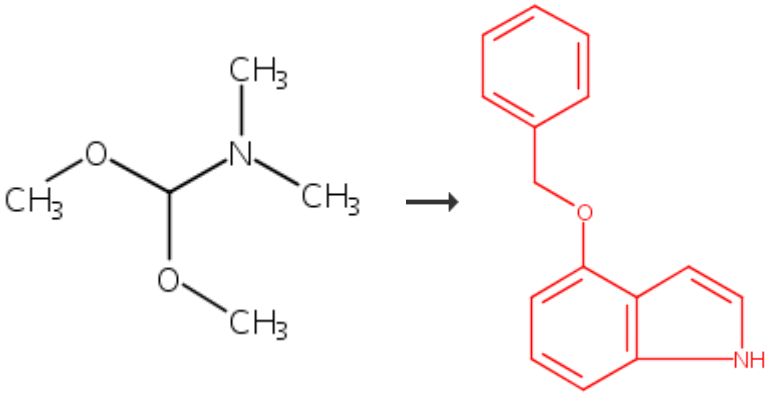
By Somei, Masanori et al

From Chemical & Pharmaceutical Bulletin, 29(3), 726-38; 1981

41. 3 Steps



[Step 2.1]



[Step 2.1]

Overview

Steps/Stages

1.1 R:K_2CO_3, S:DMF
2.1 S:DMF

3.1 R:N_2H_4, R:Ni, S:MeOH, S:THF

Notes

1) K2CO3, DMF, 90 C/3 h., O-Alkylation, O-Benzylation, O-Protection, Substitution, 2) DMF, Reflux 3 h., (Under N2), Acetal cleavage, C-Alkylation, C-Amination, Condensation, Olefination, 3) Raney Ni, N2H4, MeOH, THF, 45-50 C/2 h., (Under N2), Catalysis, Heterocyclization, Intramolecular, N-Deoxygenation, Reduction, Reductive cleavage, Transamination, Reactants: 4, Reagents: 3, Solvents: 3, Steps: 3, Stages: 3, Most stages in any one step: 1

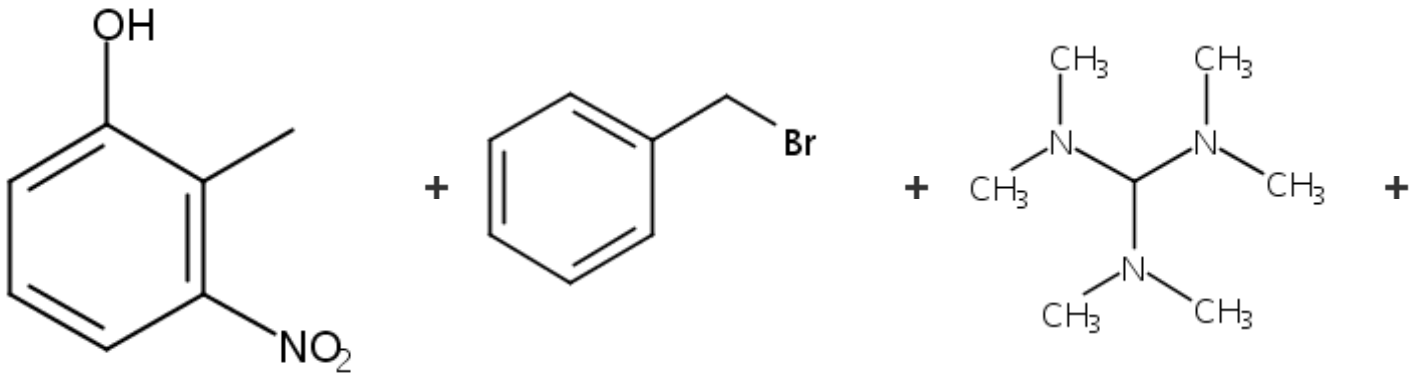
References

Indoles from 2-methylnitrobenzenes by condensation with formamide acetals followed by reduction: 4-benzyloxyindole (1H-indole, 4-(phenylmethoxy)-)

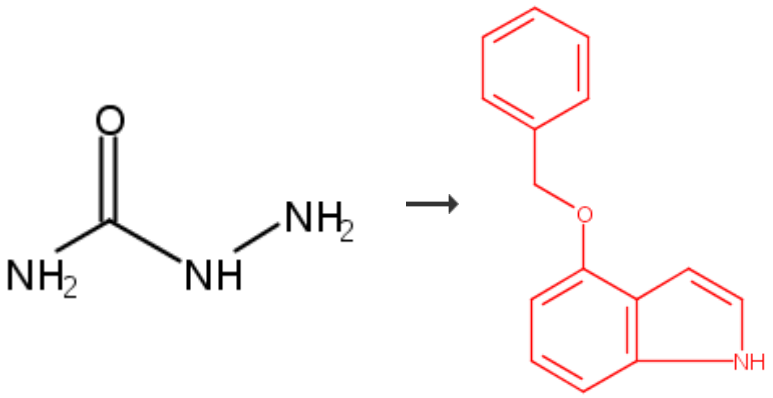
By Batcho, Andrew D. and Leimgruber, Willy

From Organic Syntheses, 63, 214-25; 1985

42. 3 Steps



[Step 2.1]



[Step 2.1]

Overview

Steps/Stages

1.1 R:NaH

2.1 R:HCl

3.1 R:NH_3, C:$FeSO_4$

Notes

Reactants: 4, Reagents: 3, Catalysts: 1, Steps: 3, Stages: 3, Most stages in any one step: 1

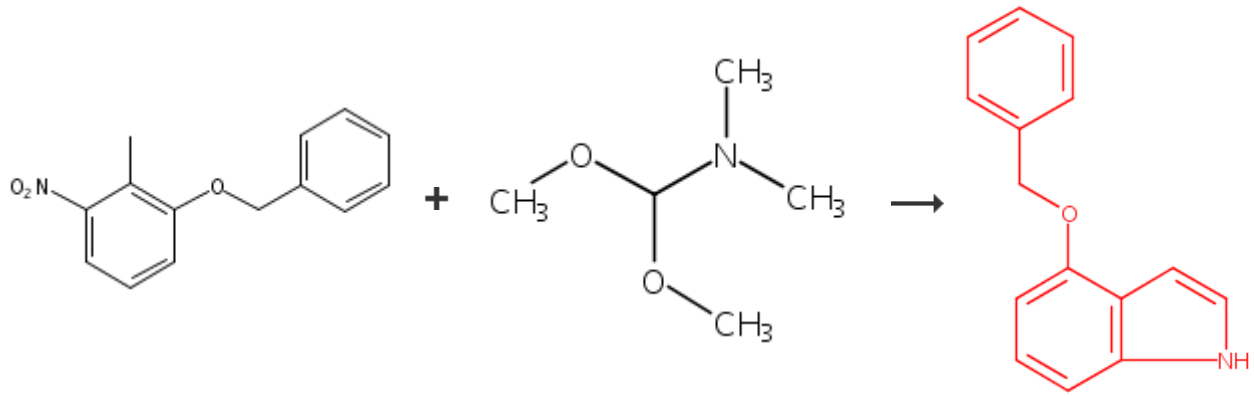
References

Synthesis of 4-substituted indoles from o-nitrotoluenes

By Kruse, Lawrence I.

From Heterocycles, 16(7), 1119-24; 1981

43. 3 Steps



Overview

Steps/Stages

1.1

2.1

3.1 R:$TiCl_3$, C:NH_4OAc

Notes

Reactants: 2, Reagents: 1, Catalysts: 1, Steps: 3, Stages: 3, Most stages in any one step: 1

References

The chemistry of indoles. XIII. Syntheses of substituted indoles carrying an amino, nitro, methoxycarbonyl, or benzyloxy group at the 4-position and their 1-hydroxy derivatives

By Somei, Masanori et al

From Chemical & Pharmaceutical Bulletin, 29(3), 726-38; 1981