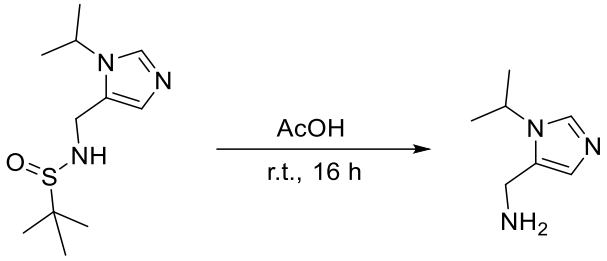
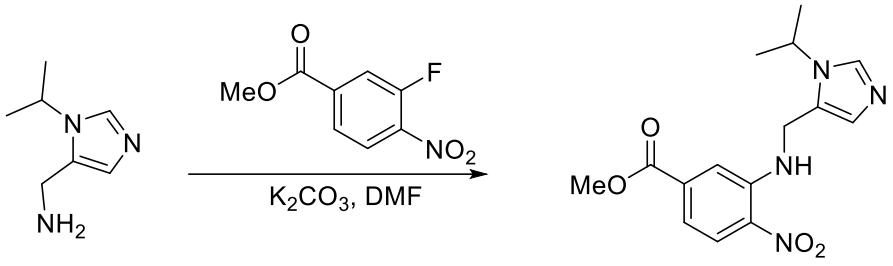
temperature for 2 hours. After completion, water (200 mL×2) was added to quench the reaction, and extracted with ethyl acetate (200 mL). The combined organic layers were washed with brine (200 mL×2), dried over anhydrous sodium sulfate, filtered, and concentrated in vacuo to obtain N-((1-isopropyl-1H-imidazol-5-yl)methyl)-2-methylpropane-2-sulfoxide amide (4.5 g, 87.5% yield) , LC-MS m/z: 244 $[M+H]^+$.

**3). Synthesis of (1-isopropyl-1H-imidazol-5-yl)methanamine:**




The mixture of N-((1-isopropyl-1H-imidazol-5-yl)methyl)-2-methylpropane-2-sulfoxide amide (4.50 g, 18.44 mmol) and AcOH (50 mL, 3 M in methanol) was stirred for 16 hours at room temperature. After completion, the reaction mixture was concentrated in vacuo to obtain (1-isopropyl-1H-imidazol-5-yl)methanamine (2.4 g, 93.6% yield). LC-MS m/z: 140 $[M+H]^+$.

**4). Synthesis of methyl 3-((1-isopropyl-1H-imidazol-5-yl)methyl)amino)-4-nitrobenzoate:**




The mixture of (1-isopropyl-1H-imidazol-5-yl)methanamine (3.0 g, 17.27 mmol), methyl 3-fluoro-4-nitrobenzoate (4.14 g, 20.72 mmol) and potassium carbonate (4.79 g, 34.53 mmol) in N,N-dimethylformamide (50 mL) was stirred at room temperature for 16 hours. After completion, it was diluted with water (200 mL) and extracted with ethyl acetate (50 mL×3). The combined organic layers were washed with brine (50 mL×3), dried over anhydrous sodium sulfate, filtered, and concentrated to give a residue in vacuo. The residue was purified by silica gel column chromatography (dichloromethane/methanol=12/1) to obtained methyl 3-((1-isopropyl-1H-imidazol-5-yl)methyl)amino)-4-nitrobenzoate (1.2 g, 21.9% yield). LC-MS m/z: 319 $[M+H]^+$.

**5). Synthesis of methyl 4-amino-3-((1-isopropyl-1H-imidazol-5-yl)methyl)amino)benzoate:**