SiGNa CHEMISTRY

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one discovery at a time

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HETEROATOM DEPROTECTIONS
USING SiGNa CHEMISTRY MATERIALS

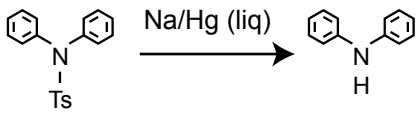
Conventional Method

Heteroatoms are central to medicinally-oriented organic synthesis, therefore it is important to be able to protect and deprotect them throughout a synthesis. However, the most useful heteroatom protecting groups, such as sulfonamides, which provide high crystallinity are extremely difficult to remove in high yield through simple non-toxic methods.

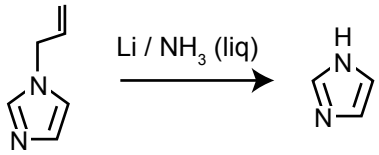
Problems

- Handling of alkali metals and liquid ammonia at cryogenic temperatures
- Requires highly toxic materials like sodium-mercury amalgam
- Safety and economic issues due to special equipment and disposal needs
- Conditions utilize strong acids at high temperatures

Diphenyl Sulfonamide Deprotection



N-Allylimidazole Deprotection



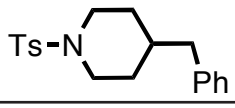
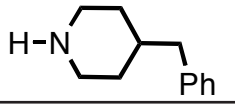
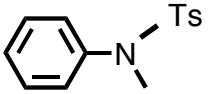
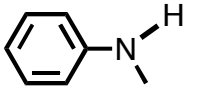
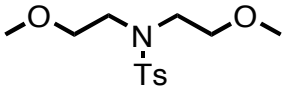
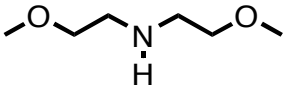
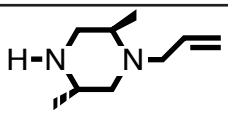
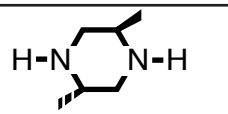
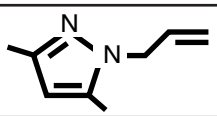
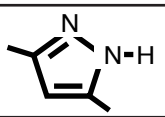
SiGNa MATERIAL DEPROTECTIONS

The SiGNa method improves yield and reaction conditions, provides milder conditions, and simplifies process purification.

Heteroatom deprotection using SiGNa materials effects clean desulfonation and deallylation using a safe, smooth solution process.

Benefits

- Provides a smooth solution process at room temperature
- Highly selective allowing more options for substrate functionalization
- Uses less toxic and less hazardous materials than traditional methods
- No special equipment required for handling or processing

Reactant	Product	Conv. (%)	Isolated Yield (%)
		92	82
		89	83
		93	86
		100	93
		99	93