

# Single-Step Schwartz Reduction

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## Technology description

Schwartz reduction enables many vital transformations in synthetic organic chemistry including its large-scale application in manufacturing of paclitaxel (taxol<sup>TM</sup>), a generic anticancer drug to treat patients with [lung](#), [ovarian](#), and [breast cancer](#). Although the Schwartz reagent is commercially available, it is expensive and problematic for long-time storage due to its sensitivity to air, light and moisture.

Current in situ Schwartz reagent generation procedures are all based on the initial preparation of the Schwartz reagent for use in the subsequent reactions. Concerns of over-reduction to  $\text{Cp}_2\text{ZrH}_2$ , solubility of the Schwartz reagent, functional group compatibility, and the crude reagent efficiency still remain. This technology overcomes these drawbacks and makes the Schwartz reduction a feasible synthetic route.

Researchers at Queen's University have developed a single-step technology to allow for in situ Schwartz reduction. The key feature of this technology is the utilization of selective reductants that enables the reduction of precursor Schwartz reagent ( $\text{Cp}_2\text{ZrCl}_2$ ) to  $\text{Cp}_2\text{Zr(H)Cl}$  (the Schwartz reagent) selectively without undergoing reactions with existed substrates and generated intermediates. Currently, multi-step reactions are necessary for Schwartz reductions by preparing the Schwartz reagent in situ following by the subsequent reduction reactions.

## Application area

Applications: This single-step technology has been successfully demonstrated in (1) hydrozirconation (2) the reduction of tertiary amides to the corresponding aldehydes and (3) the reduction of O-carbamates to phenols efficiently. In addition, this technology may expand the utilities of benzamide Directed ortho Metalation (D o M) chemistry by conversion of the amide group under mild conditions, especially in the presence of other useful functionalities for the synthesis of complex aromatic and heteroaromatic compounds. This technology can be used but not limited in the following areas:

- Pharmaceutical industries
- Agrochemical industries
- Material industries
- Fine chemical industries

## Advantages

By implementing the single-step technology in current Schwartz reductions, you could benefit from:

Higher purity of the products

Higher yields

Shortened reaction time

Simplified synthetic operational procedures

Reduced costs

Mild reaction conditions

## Institution

[Queen' s University](#)

## Inventors

[Victor Snieckus](#)

Bader Chair

[Yigang Zhao](#)

Chemistry

## 联系我们



叶先生

电话：021-65679356

手机：13414935137

邮箱：yeyingsheng@zf-ym.com