

## Chemistry congress: 2019- Gold-catalyzed one-pot synthesis of substituted oxazoles from 3-trimethylsilyl propargylic alcohols and amides - Nobuyoshi Morita

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Oxazole is a structural motif in huge number of natural products and biologically active compounds. Among the numerous procedures reported for the synthesis of substituted oxazoles, cycloisomerization of propargylic amides to substituted oxazoles has attracted much attention. On the other hand, the one-pot synthesis of substituted oxazoles directly from propargylic alcohols and amides via propargylic amides as intermediates remains a challenging task, although both propargylic substitution and subsequent cycloisomerization might proceed effectively under the same reaction conditions. Herein, we present the one-pot synthesis of substituted oxazoles by gold-catalyzed propargylic substitution followed by cycloisomerization promoted by  $\beta$ -cation-stabilizing effect of the silicon atom of 3-trimethylsilyl propargylic alcohols.

In chemistry a one-pot synthesis may be a strategy to enhance the efficiency of a reaction whereby a reactant is subjected to successive chemical reactions in only one reactor. This is often much desired by chemists because avoiding a lengthy separation process and purification of the intermediate chemical compounds can save time and resources while increasing chemical yield.

An example of a one-pot synthesis is that the total synthesis of tropinone or the Gassman indole synthesis. Sequential one-pot syntheses are often wont to generate even complex targets with multiple stereocentres, like oseltamivir, which can significantly shorten the amount of steps required overall and have important commercial implications. A sequential one-pot synthesis with reagents added to a reactor one at a time and without work-up is additionally called a telescoping synthesis. In one such procedure the reaction of 3-N-tosylaminophenol I with acrolein II affords a hydroxyl substituted quinoline III through 4 sequential steps without workup of the intermediate products

Oxazole is that the parent compound for a huge class of heterocyclic aromatic organic compounds. These are azoles with an oxygen and a nitrogen separated by one carbon. Oxazoles are aromatic compounds but less so than the thiazoles. Oxazole may be a weak base; its conjugate acid features a pKa of 0.8, compared to 7 for imidazole. The Robinson–Gabriel synthesis by dehydration of 2-acylaminoketones. The Fischer oxazole synthesis from cyanohydrins and aldehydes. The Bredereck reaction with  $\alpha$ -haloketones and formamide. The Van Leusen reaction with aldehydes and TosMIC

In chemistry, an amide, also referred to as an organic amide or a carboxamide, may be a compound with the overall formula  $RC(=O)NR'R''$ , where R, R', and R'' represent organic groups or hydrogen atoms. The amide group is named a peptide linkage when it's a part of the most chain of a protein, and isopeptide bond when it occurs during a side chain, like within the amino acids asparagine and glutamine. It are often viewed as a derivative of a acid  $RC(=O)OH$  with the hydroxyl  $-OH$  replaced by an amine group  $-NR'R''$ ; or, equivalently, an acyl (alkanoyl) group  $RC(=O)-$  joined to an amine group.

Common samples of amides are acetamide  $H_3C-CONH_2$ , benzamide  $C_6H_5-CONH_2$ , and dimethylformamide  $HCON(-CH_3)_2$ . Amides are qualified as primary, secondary, and tertiary consistent with whether the amine subgroup has the shape  $-NH_2$ ,  $-NHR$ , or  $-NRR'$ , where R and R' are groups aside from hydrogen.[not verified in body]

The core  $-C(=O)N=$  of amides is named the amide group (specifically, carboxamide group). Amides are pervasive in nature and technology. Proteins and important plastics like Nylons, Aramid, Twaron, and Kevlar are polymers whose units are connected by amide groups (polyamides); these linkages are easily formed, confer structural rigidity, and resist hydrolysis.

Amides include many other important biological compounds, also as many drugs like paracetamol, penicillin and LSD. Low relative molecular mass amides, like dimethylformamide, are common solvents.

Amides are amine derivatives of carboxylic acids. This chapter discusses general conditions for separation of underivatized amides. Derivatization of primary and aromatic amides is detailed. Derivatized primary amides are more volatile. apart from simple aromatic amides like benzamide and acetanilide, derivatization is suggested. the foremost common derivatives utilized in this laboratory are TMS, acetate, and N-dimethylaminomethylene. Preparation of acetate derivatives of primary and secondary aromatic amides is illustrated. It also provides a scientific discussion of mass spectral interpretation for primary, secondary and tertiary amides that specialize in various characteristics like molecular peak, fragmentation and losses from the molecular ion.