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Novel Biologically Active Series of N-Acetylglucosamine Derivatives for the Suppressive Activities on GAG Release

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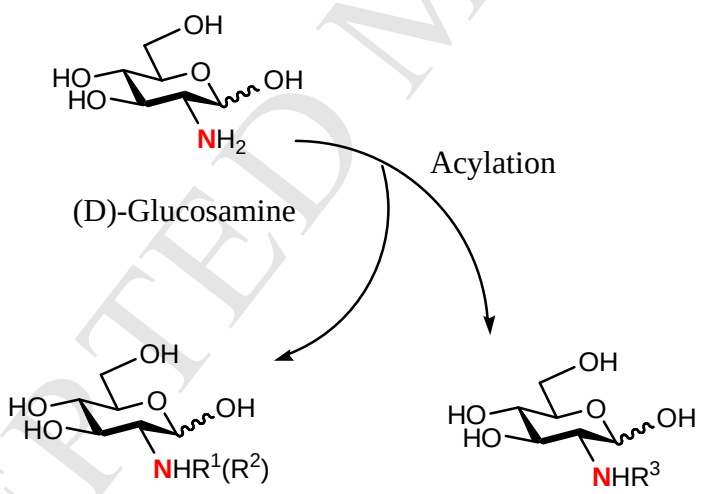
ABSTRACT

(D)-Glucosamine and other nutritional supplements have emerged as safe alternative therapies for osteoarthritis, a chronic and degenerative articular joint disease. N-acetyl-(D)-glucosamine, a compound that can be modified at the N position, is considered to improve the oral bioavailability of (D)-glucosamine and has been proven to possess greater in vitro chondroprotective activity compared with the parent agent. In this study, to further utilize these properties, we focus on the modification of the N position with a benzenesulfonyl and different isoxazole formyl groups. Among these compounds, the 3-(2-chlorobenzene)-5-methyl-isoxazole formyl chloride and p-methoxybenzenesulfonyl chloride modifying structures proved to be the most active of the series and efficiently processed the chondrocytes in vitro. These novel N-position substitution compounds may represent promising leads for osteoarthritis drug development.



KEYWORDS. Biological Evaluation, Glucosamine, N-Acetylglucosamine Derivatives

1. Introduction

2-Amino-2-deoxy-(D)-glucose ((D)-glucosamine, **Figure 1, (1)**), an amino monosaccharide derivative of (D)-glucose, is found in

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