



## Mechanostic Synthesis of 1,5-benzodiazepines Using Molecular Iodine

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### Abstract

Molecular iodine catalyst improved procedure of the synthesis of various 1,5-Benzodiazepines from o-phenylenediamine (OPD) and acetone at room temperature and excellent isolated yield has been reported. This is a simple, straight forward, high yielding, non-hazardous and inexpensive catalyst. The synthesis is purely solvent free (Mechanostic).

**Keywords:** Acetone; 1,5-Benzodiazepines; Molecular Iodine; o-Phenylenediamine (OPD).

### 1. Introduction

Benzodiazepine is an important class of pharmacologically active organic compounds. Considerable interest has been focused on the synthesis of benzodiazepines because of their wide range of biological activities [1] and therapeutics [2]. The benzodiazepine nucleus is a well-studied traditional pharmacophoric scaffold that has emerged as a core structural unit of various sedative hypnotic, muscle relaxant, anxiolytic, antistaminic, and anti-convulsant agents. Although, the first benzodiazepine was introduced as a drug nearly 35 years ago, the research in this area is still very active and is directed toward the synthesis of compounds with enhanced pharmacological activity.

The discovery of diazepam followed by many other psychotropic agents sharing a 1,4-benzodiazepines skeleton has also promoted the studies on the isomeric 1,5-benzodiazepine ring system [3] along with the synthetic approaches to mono and diannellated 1,5-benzodiazepines [4] due to their accessibility, easily functional and potential pharmacological properties, mainly 1,5-benzodiazepines and 1,5-benzodiazepinone derivatives have received significant attention. Peripheral cholecystokinin receptor agonists [5], CCK-B/gastrin receptor agonists [6], arginine vasopressin antagonists [7], CNS depressants [8-9], antiamebics [10] and antiproliferative agents [11] derived from 1,5-benzodiazepinones have been reported.