INTRODUCTION

1,8-Naphthyridine derivatives acquired a special place in the heterocyclic field because of their diversified activities. Recently there has been increased interest in the synthesis of naphthyridine derivatives and their application in medicinal chemistry as quinoline bioisosteres (Litvinov 2004). 1,8-Naphthyridine and their derivatives (Bouzard et al., 1992; Zhang et al., 1999) represent one of the most active classes of compound possessing as wide spectrum of biological activities (Badawneh et al., 2001, Roma et al., 2000).

Recently, the use of solid supported reagents (Nishiguchi and Kamio, 1989) has received considerable importance in organic synthesis because of their ease of handling, enhanced reaction rates, greater selectivity, simple workup, and recoverability of catalysts. Among the various homogeneous catalysts, particularly, p-toluene sulfonic acid has advantages of low cost, ease of preparation, and catalyst recycling. Microwave-assisted organic synthesis has attracted considerable attention in recent years (Caddick, 1995, Loupy et al., 1998) due to enhanced reaction rates, high yields, improved selectivity, and cleaner products (Lidstrom et al., 2001, Varma, 2002). In view of the recent surge in the use of microwave catalysts (Nadaraj et al., 2009 & 2006) and continuing our interest in the synthesis of heterocyclic compounds (Thamarai Selvi et al., 2006, Nadaraj et al., 2006) using solid-state acid catalyst PTSA (Nadaraj and Thamarai Selvi, 2010), we wish to report a simple, convenient, and efficient method for the preparation of 3-Cyano-1-phenylbenzo[1,8]naphthyridin-2,4-dione derivatives using p-toluene sulfonic acid as an inexpensive and eco-friendly catalyst.

MATERIAL AND METHODS

Melting points (mp) were determined using Boetieus micro heating table and are uncorrected. IR (KBr, cm⁻¹) spectra were obtained on Shimadzu-8201 spectrophotometer. ¹H NMR spectra were recorded on Bruker AMX-400 (400 MHz) spectrometer using TMS as an internal reference (Chemical shifts in δ, ppm). Elemental analyses were performed on Perkin Elmer CHN-analyzer. Mass spectra were recorded on Shimadzu GCMS-QP5050A (70 ev) mass spectrometer. For microwave irradiation a Kenstar (OM-20ESP, 2450 MHz) domestic microwave oven was used.

General procedure for synthesis of 3-cyano-1-phenylbenzo[1,8]naphthyridin-2,4-diones, 2a-g

A mixture of respective 2-chloroquinolin-3-carboxylic acid (0.5 mmol), cyano acetanilide (85 mg, 0.5 mmol) and PTSA (250 mg) was taken in a 100 mL beaker. The mixture was irradiated in a microwave oven at power 160W for the specified time (Table 1). The reaction was monitored for 30 seconds interval by the TLC. After completion of the reaction, the reaction mixture was poured into ice water. The yellow solid obtained was filtered, washed, dried and recrystallised from chloroform-ethanol. The spectral and analytical data of the compounds are given in Table 2.

RESULTS AND DISCUSSION

Condensation reaction of 2-chloroquinolin-3-carboxylic acid 1 and cyanoacetanilide in presence of PTSA under microwave irradiation afforded the respective 3-Cyano-1-phenylbenzo[1,8]naphthyridin-2,4-dione 2 (Scheme 1). The reaction proceeds efficiently in good yields at ambient pressure within a few minutes. The experimental procedure is very simple. The high yield transformation did not form any undesirable by-products. Furthermore, the products were obtained with a higher degree of purity by this procedure and in most cases no further purification was needed. The products

ABSTRACT

3-Cyano-1-phenylbenzo[1,8]naphthyridin-2,4-diones 2 have been prepared expeditiously by microwave cyclic condensation of 2-chloroquinolin-3-carboxylic acid 1 with cyanoacetanilide in presence of solid acid catalysed PTSA. The products are obtained in good yields with high purity. The characterisation of synthesised compound has been done by spectral data and analytical analysis.
were characterized on the basis of their IR, \textsuperscript{1}H NMR, Mass spectroscopic and analytic data (Table 2).

IR spectrum of 2a showed absorption bands at 1718, 1678 cm\(^{-1}\) for carbonyl groups and 1610 cm\(^{-1}\) for C=N group.

CONCLUSION

In conclusion, we have developed an efficient and high yield protocol for synthesis of new 3-cyano-1-phenylbenzo[g][1,8]naphthyridin-2,4-diones 2a-g by solid state reaction of 2-chloroquinolin-3-carboxylic acid and cyano acetonilide by using microwave irradiation. These methods offer tremendous reduction in reaction time, operational simplicity, cleaner reaction, easier work-up and better yields and are environmentally co-friendly compared to conventional methods.

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