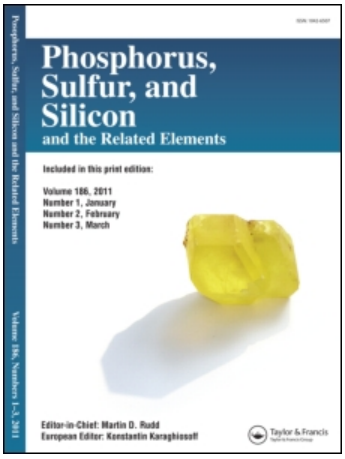

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REACTION OF THIOSALICYLIC ACID WITH 4-CHLOROQUINOLINES

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REACTION OF THIOSALICYLIC ACID WITH 4-CHLOROQUINOLINES

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In general 4-thioquinoline ethers may be obtained using following procedures:

1. The reaction of 4-thioquinolones with organic halogen derivatives or other alkylating reagents /e.g. sulfates/. The reaction is usually carried out in the alkaline medium. This procedure is suitable for the synthesis of 4-alkylthioquinolines and in some cases 4-arylthioquinolines providing that the halogen of aryl halide is strongly activated by electron withdraving substituents.

2. The reaction of 4-halogenoquinolines with thiols. This reaction is suitable for the synthesis of both aliphatic and aromatic 4-thioquinoline ethers. If conditions of the reaction are carefully choosen the second procedure can give exellent results. Thiosalicylic acid reacted with 4-chloroquinolines in dry pyridine to give o-carboxyphenyl-4-thioquinoline ethers with % 85-99 yields. The precipitation of the product was achieved by addition of a few drops of water. The water is needed for the formation of hydrates. More facile purification by crystallisation from ethanol could be accomplished for the hydrates than for the anhydrous species.