A NOVEL AMINATION OF ISOQUINOLINIUM SALTS

Shunsuke Naruto, Hiroyuki Mizuta, and Haruki Nishimura
Research Laboratories, Dainippon Pharmaceutical Co., Ltd.
Enoki-cho, Suita, Osaka 564

A novel aromatic nucleophilic substitution at the C_6- or C_8-position of isoquinolinium salts is reported.

Hydrazinolysis of 9-(2-phthalimidoethoxy)-13-methyl-2,3,10-trimethoxy-5,6-dihydrodibenzo[a,g]quinolizinium bromide gave almost quantitatively an unexpected 9-(2-hydroxyethyl)amino-13-methyl-2,3,10-trimethoxy-5,6-dihydrodibenzo[a,g]quinolizinium bromide. This is the first example of the Smiles rearrangement of protoberberinium salts in which the C_9-position is activated by the suitably located $C=\overset{+}{N}=$ group.

A new and unusual amination of isoquinolinium salts based on this finding was investigated. Treatment of quaternary salts(Ia or Ib)(e.g., 9- or 11-alkoxyprotoberberinium, papaverinium, O-methyltarconium and coralynium halides) having 6- or 8-alkoxyisoquinolinium moiety as a partial structure with an excess of amines gave the corresponding amino derivatives(IIa or IIb).

The reaction mechanism is also discussed.