

# SYNLETT Spotlight 235

## *N*-Bromosaccharin (NBSac)

Compiled by Lokesh Kumar Pandey



This feature focuses on a reagent chosen by a postgraduate, highlighting the uses and preparation of the reagent in current research

L. K. Pandey was born in Gorakhpur, Uttar Pradesh, India in 1976. He obtained his B.Sc. degree from St. Andrew's College Gorakhpur in 1994 and M.Sc. (Chemistry) degree from Gorakhpur University in 1997. He joined the Defence Research & Development Establishment in 2002 as Sr. Technical Assistant. Currently he is working under the supervision of Dr. Uma Pathak. His research interests involve synthetic organic chemistry, development of new strategies for organosulfur transformations, and drug research and development.

Synthetic Chemistry Division, Defence Research and Development, Establishment, Jhansi Road, Gwalior 474002, M.P., India.  
E-mail: lkp1976@gmail.com

Dedicated to my research supervisor Dr. Uma Pathak, for her support and constant encouragement

### Introduction

*N*-Bromosaccharin (NBSac) is a strong oxidizing and chlorinating agent. It is a white powder, easy to handle, with its melting point at 160–170 °C. It is soluble in organic solvents, for example in alcohols, acetonitrile, tetrachloromethane, ethyl acetate, trichloromethane, acetone, and 1,4-dioxane. *N*-Bromosaccharin has been proven to be a useful and alternative reagent for diverse organic transformations, such as halogenation of aromatic compounds, co-halogenation of alkenes, oxidation of alco-

hols, halogenation of benzylic and carbonylic positions, etc. *N*-Bromosaccharin<sup>1</sup> can easily be prepared by bromination of the sodium salt of saccharin which is commonly available, non-corrosive, and non-toxic.

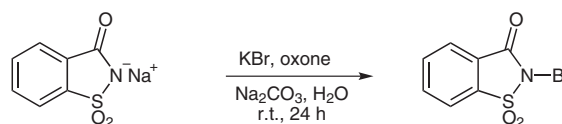
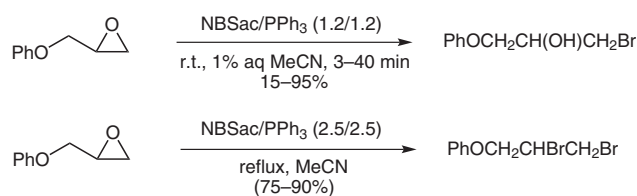


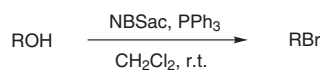
Figure 1

### Abstracts

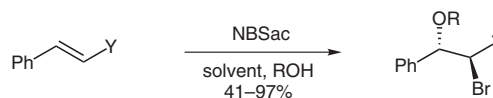
(A) *N*-Bromosaccharin has been used for regioselective cleavage of epoxides to vicinal bromohydrins and dibromides in the presence of  $\text{Ph}_3\text{P}$ .<sup>2</sup>



(B) *N*-Bromosaccharin in combination with  $\text{Ph}_3\text{P}$  is a highly reactive reagent for the conversion of hydroxyl compounds into the corresponding bromides using dichloromethane as solvent at room temperature under neutral conditions.<sup>3</sup>

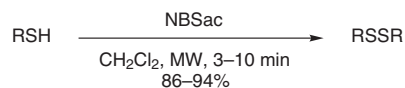


(C) *N*-Bromosaccharin reacts with electron-deficient alkenes such as  $\alpha,\beta$ -unsaturated ketones, acids, esters, and nitriles in aqueous organic solvents, yielding the corresponding bromohydrins in good yields. The reaction takes place at room temperature, mostly within short reaction times and with high *anti* stereoselectivity.<sup>4</sup>



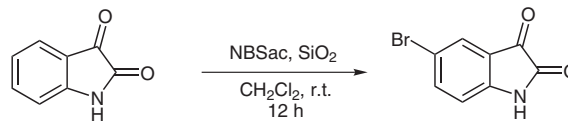
Y = COMe, COPh, COOH, CO<sub>2</sub>Me, CN  
R = H, Me, Et  
solvent: MeCN or acetone–H<sub>2</sub>O

(D) *N*-Bromosaccharin has successfully been used for chemoselective oxidation of thiols to their corresponding disulfides in dichloromethane under microwave irradiation in high yields.<sup>5</sup>

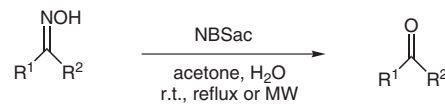


R = alkyl or aryl

(E) *N*-Bromosaccharin reacts smoothly at room temperature with isatin in the presence of silica to produce specifically the 5-bromo derivative in 58% yield.<sup>6</sup>

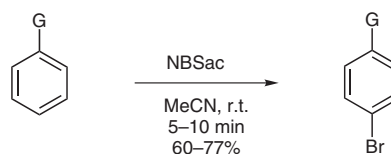


(F) *N*-Bromosaccharin was applied as an efficient reagent for the oxidative cleavage of oximes to the corresponding aldehydes and ketones at room temperature or by conventional heating or microwave irradiation.<sup>7</sup>



R<sup>1</sup>, R<sup>2</sup> = alkyl or aryl

(G) *N*-Bromosaccharin was successfully applied for bromination of electron-rich aromatic compounds (anisole, acetanilide, *N,N*-dimethylaniline). The reaction with *N*-bromosaccharin gave *para*-substituted compounds only.<sup>8</sup>



G = OMe, NHAc, NMe<sub>2</sub>

## References

- (1) de Souza, S. P. L.; da Silva, J. F. M.; de Mattos, M. C. S. *Synth. Commun.* **2003**, *33*, 935.
- (2) Iranpoor, N.; Firouzabadi, H.; Azadi, R.; Ebrahimzadeh, F. *Can. J. Chem.* **2006**, *84*, 69.
- (3) Firouzabadi, H.; Iranpoor, N.; Ebrahimzadeh, F. *Tetrahedron Lett.* **2006**, *47*, 1771.
- (4) Urankar, D.; Rutar, I.; Modec, B.; Dolenc, D. *Eur. J. Org. Chem.* **2005**, 2349.
- (5) Khazaei, A.; Rostami, A.; Aminimanesh, A. *J. Chin. Chem. Soc.* **2006**, *53*, 437.
- (6) de Souza, S. P. L.; da Silva, J. F. M.; de Mattos, M. C. S. *Heterocycl. Commun.* **2003**, *9*, 31.
- (7) Khazaei, A.; Aminimanesh, A.; Rostami, A. *Phosphorus Sulfur Relat. Elem.* **2004**, *179*, 2483.
- (8) de Souza, S. P. L.; da Silva, J. F. M.; de Mattos, M. C. S. *J. Braz. Chem. Soc.* **2003**, *14*, 832.