US005298643A

United States Patent [19]

Greenwald

[11] Patent Number: 5,298,643

[45] Date of Patent: Mar. 29, 1994

[54] ARYL IMIDATE ACTIVATED POLYALKYLENE OXIDES

[75] Inventor: Richard B. Greenwald, Somerset, N.J.

[73] Assignee: Enzon, Inc.

[21] Appl. No.: 995,585

[22] Filed: Dec. 22, 1992

[51] Int. Cl.[5] C07C 249/00
[52] U.S. Cl. 558/6
[58] Field of Search 558/6

[56] References Cited

U.S. PATENT DOCUMENTS

4,492,657 1/1985 Heiss 558/6
4,791,192 12/1988 Nakagawa et al. 530/399
5,109,120 4/1992 Ueno et al. 530/351

FOREIGN PATENT DOCUMENTS

0236987 9/1987 European Pat. Off. 558/6
0539167 4/1993 European Pat. Off. 558/6

OTHER PUBLICATIONS

Hunter et al., *J. Amer. Chem. Soc.*, 84, 3491-504 (1962).
Brown et al., *Biochem. and Biophys. Res. Comm.*, 67(1), 126-32 (1975).

Primary Examiner—José G. Dees
Assistant Examiner—Keith MacMillan
Attorney, Agent, or Firm—Michael N. Mercanti

[57] ABSTRACT

Water-soluble aryl imidate activated polyalkylene oxides having improved hydrolytic stability and conjugates of the aryl imidate activated polyalkylene oxides with biologically active nucleophiles are disclosed. Methods of preparing the activated polyalkylene oxides and conjugates thereof are also disclosed.

8 Claims, No Drawings