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A NOVEL SYNTHESIS OF PLERIXAFOR

N. K. Ratmanova, I. A. Andreev, I. V. Trushkov

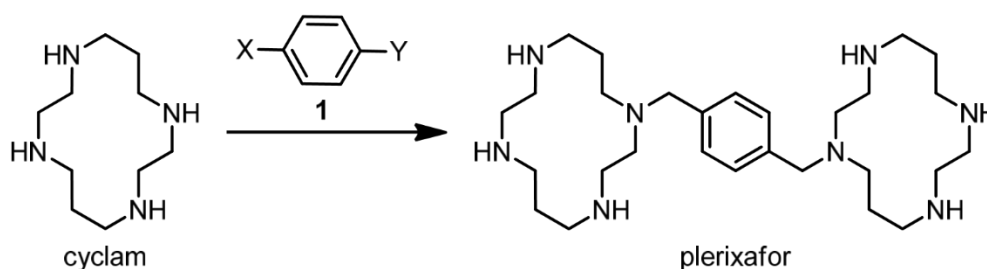
Laboratory of Chemical Synthesis, Dmitry Rogachev National Medical Research Center of Pediatric Hematology, Oncology and Immunology, Samory Mashela St., 1, Moscow, 117997, Russia.

E-mail: n.ratmanova@gmail.com

Abstract. Plerixafor (1,1'-[1,4-phenylenebis(methylene)]bis-1,4,8,11-tetraazacyclotetradecane) is an anticancer agent used to mobilize hematopoietic stem cells from the bone marrow to the bloodstream.^{1, 2}

Known synthetic strategies towards plerixafor include the protection of three nitrogen atoms of cyclam, further interaction with *p*-xylylene dihalides resulting in hexa-protected plerixafor derivatives, and removal of the protecting groups affording target drug³. The use of protecting groups combined with low total yields and scale-up issues increase the product cost. The high price of anticancer therapies involving plerixafor encourages the development of new methods simplifying drug preparation.

To maximize the effectiveness of the synthesis, the protection/deprotection sequences should be avoided. Thus, we have suggested a novel method of plerixafor preparation based on the interaction of unprotected cyclam with para-substituted benzene derivatives **1** containing two electrophilic fragments (X, Y).



References

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