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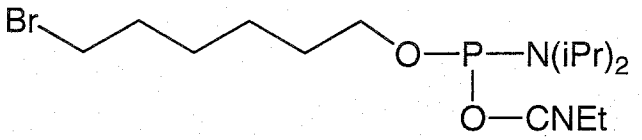
Technical Bulletin for 5'-Bromohexyl Phosphoramidite (10-1946-xx)



Introduction

The 5'-Bromohexyl Phosphoramidite is used to make 5'-bromohexyl oligonucleotides which can be converted to a 5'-azidohexyl moiety while the oligonucleotide is still attached to the support[1]. Following standard deprotection, the 5'-azido-modified oligo can be used for conjugation to alkyne-functionalized species via 'Click' chemistry[2].

Synthesis

Using a 3 minute coupling time is recommended. Synthesize DMT On and do not perform any automated cleavage End Procedure – this would result in the removal of the bromine.

Conversion and Deprotection

After the synthesis is completed, rinse the column with acetonitrile and dry under a stream of argon. Transfer the support to a suitable vial. For conversion of 1 µmole of support, dissolve 13 mg of sodium azide and 30 mg of sodium iodide in 2 mL of DMF. ***[Note sodium azide is a virulent poison. Do not breathe dust and use gloves when working with material especially since the DMF solution would facilitate absorption through the skin. In addition, copper and lead azide salts are explosive.]*** Add the sodium azide/iodide solution to the support, seal the vial and transfer to a heat block. Let react for 1 hour at 65 °C. Cool and rinse with 1 mL DMF (2x) and acetonitrile (2x). Then deprotect under standard conditions. Note that there is a small amount of displacement of the azide by ammonia during deprotection. This can be minimized by deprotection in AMA for 10 minutes at 65 °C or NH_4OH at room temperature for 17 hours if dmf-dG was used during synthesis.

1. J. Lietard, A. Meyer, J.J. Vasseur, and F. Morvan, *Tetrahedron Lett.*, 2007, **48**, 8795-8798.
2. V.V. Rostovtsev, Green, L.G., Fokin, V.V. and Sharpless, K.B., *Angew Chem Int Ed*, 2002, **41**, 2596-2599.