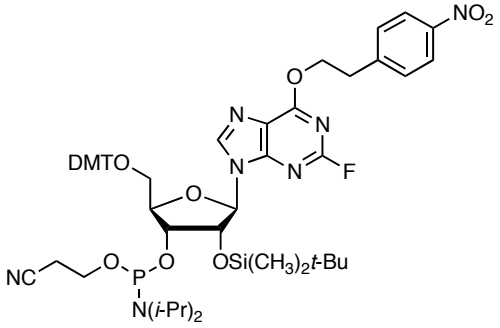
2-Fluoro-I CEP (BA 0279)

Product Information



2-Fluoro-I CEP is a convertible nucleoside, allowing the attachment of nonnatural functional groups to RNA for structural studies.[1] After incorporation into an oligoribonucleotide by standard phosphoramidite chemistry, treatment with ammonia, methylamine, or higher alkylamines, including those bearing tethered functional groups, leads to displacement of fluoride with resultant installation of a 2-amino group, i.e., producing guanosine or N^2-alkylguanosine residues.

Coupling:[1] Use at 0.1 M in MeCN. Use the standard 1 micromole RNA synthesis cycle for the ABI 392 synthesizer, modified for an extended coupling time of 12 min. Use N-Pac ribonucleoside phosphoramidites. Proceeds with average stepwise yields of 97%.

In our hands, standard RNA protocols with a 12 min coupling on an Expedite 8909 synthesizer proceeded with high efficiency, typically ≥98%.

Displacement, cleavage, and nucleobase deprotection:[1] (a) for displacement of the 4-chlorophenoxy group with ammonia, treat resin-bound oligonucleotide with 1.5 mL of methanolic ammonia (7 M, saturated at 0 °C) for 18 h at 42 °C. (b) for displacement with methylamine, treat resin-bound oligonucleotide with 1.5 mL of ethanolic methylamine (8 M) for 18 h at 42 °C. (c) for displacement with other alkylamines, treat resin-bound oligonucleotide with 0.2-0.4 mL of 2 M amine in methanol for 18 h at 42 °C, filter away the resin, then subject to separation on 20 mL of Dowex 50 x 8-100 cation exchange chromatography (ammonium form), eluting with 9:1 MeOH/water.

Desilylation and 4-nitrophenethyl removal:[1] Treat oligonucleotide with 0.6 mL of 1 M TBAF in THF for 20 h at rt, quench with 0.8 mL of 1 M TEAA, desalt (C18 SepPak), elute with 30% MeCN/0.1 M TEAB, lyophilize.

Reference:

1. "A chemical method for site-specific modification of RNA: The convertible nucleoside approach", Allerson, C. R.; Chen, S. L.; Verdine, G. L. *J. Am. Chem. Soc.* **1997**, *119*, 7423-7433.