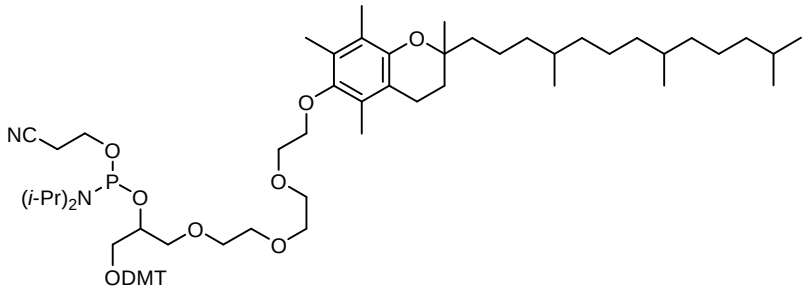
Tocopherol-TEG CEP

Product No. BA 0357

Product Information



$C_{68}H_{103}N_2O_{10}P$
Mol. Wt.: 1139.56

In the search for effective *in vivo* carriers for therapeutic applications of siRNAs, Nishina, Unno and coworkers utilized α-tocopherol (vitamin E) as a carrier molecule.[1] They hypothesized that a molecule that had its own transport pathway, was essential for target tissue cells, yet was not synthesized within the cells would be an ideal *in vivo* carrier conjugate. Their results indicate that α-tocopherol is a safe and effective carrier for delivery of siRNA into the liver. Following their lead, we have modified α-tocopherol with the mixed polarity TEG linker, and produced the corresponding phosphoramidite (BA 0357) which is useful for modification of oligonucleotides either internally or at the 5'-terminus.

Use: For oligonucleotide synthesis, employ acetonitrile diluent at the concentration recommended by the synthesizer manufacturer. In our hands, the best results could be obtained with extended (15 min.) coupling times and using ETT as the activator. To maintain label yield, the column should be treated with 10% diethylamine in acetonitrile for 2 minutes at room temperature two times, then rinsed with acetonitrile. Cleavage from the solid support may be carried out by standard procedures, however, due to the lipophilicity of the label, an additional rinse of the column with 2:1 water/acetonitrile may be required. Standard nucleobase deprotection conditions may be employed.

References

1. Nishina, K.; Unno, T.; Uno, Y.; Kuboodera, T.; Kanouchi, T.; Mizusawa, H.; Yokota, T. *Molecular Therapy* **2008**, *16*, 724–740.