

dF CEP

Nucleosides bearing a pyrido[2,3-*d*]pyrimidine-2,7(8*H*)-dione base, known variously as "dF", "F", or "P", have been prepared and found to be fluorescent (Figure 1).^{1,2} The ribofuranosyl version fluoresces at 385 nm. The dF heterocycle offers interesting alternate base pairing schemes, since evidence suggests that two tautomers may be involved.^{3,4}

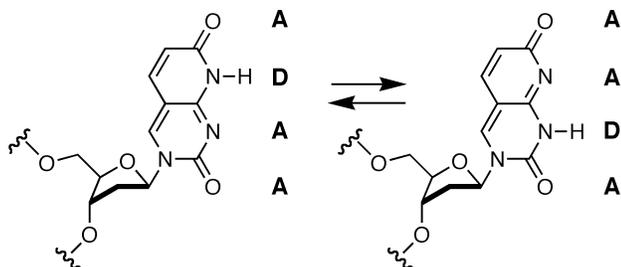


Figure 1. Base-pairing schemes offered by dF. A = hydrogen-bond acceptor, D = hydrogen-bond donor.

When incorporated into oligonucleotides, dF was found to pair selectively with G and A in double-helical DNA ($G > A \gg C$ or T), resulting in higher and lower melting temperatures, respectively, than the corresponding C-G and T-A pairs.⁵ It has been proposed that dF forms a Watson-Crick base pair with G much as C does, but can, via a backbone shift, form a wobble base pair with A (Figure 2).⁵ Alternatively, dF may hydrogen bond with A via an alternate tautomer as shown.^{3,4} In triple helices, dF recognizes A-T base pairs with high selectivity.^{3,4} It can participate in parallel as well as antiparallel triplex formation, perhaps due to the availability of both heterocycle tautomers.⁴ It has been proposed that triplex-forming oligonucleotides bearing dF may be useful for measuring triplex formation by quenching of the fluorescence of the heterocycle.⁴

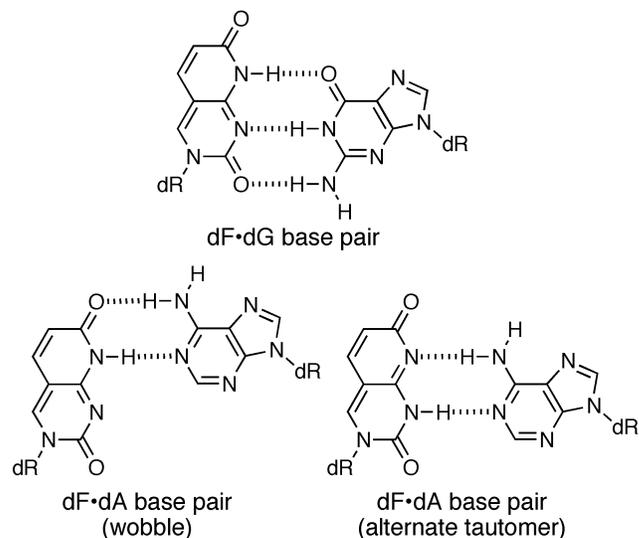


Figure 2. Pyrido[2,3-*d*]pyrimidine-2,7(8*H*)-dione nucleosides are fluorescent and may act as C or A replacements in double- or triple-helical DNA.

Oligonucleotides containing dF nucleotides may be prepared using the phosphodiester⁵ or phosphoramidite solid-phase methods.^{3,4} We now offer the phosphoramidite dF CEP (Figure 3). Standard synthesis protocols and reagents may be employed, except that it has been suggested that dmf-dG CEP and room temperature deprotection with 30% NH_4OH should be used to minimize potential degradation of the dF heterocycle.⁴ The nucleoside dF is also available.

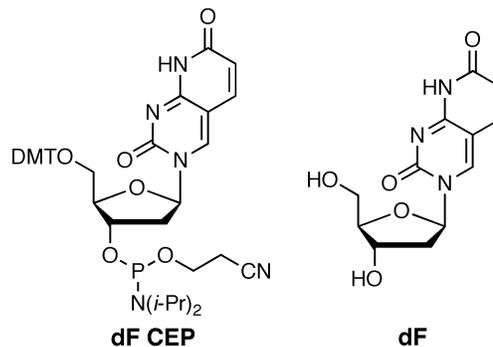


Figure 3. dF products.

References

- (1) Bergstrom, D. E.; Inoue, H.; Reddy, P. A. *J. Org. Chem.* **1982**, *47*, 2174-2178.
- (2) Bergstrom, D.; Lin, X.; Wang, G.; Rotstein, D.; Beal, P.; Norrix, K.; Ruth, J. *Synlett* **1992**, 179-188.
- (3) Staubli, A. B.; Dervan, P. B. *Nucleic Acids Res.* **1994**, *22*, 2637-2642.
- (4) Durland, R. H.; Rao, T. S.; Jayaraman, K.; Revankar, G. R. *Bioconjugate Chem.* **1995**, *6*, 278-282.
- (5) Inoue, H.; Imura, A.; Ohtsuka, E. *Nucleic Acids Res.* **1985**, *13*, 7119-7128.

dF CEP - Ordering Information

Item	Catalog No.	Size/pack	Price (USD)
dF CEP	BA 0238	50 μ mol	\$395.00
		0.25 g	\$975.00
dF (nucleoside only)	PYA 11100	10 mg	\$95.00
		100 mg	\$245.50

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