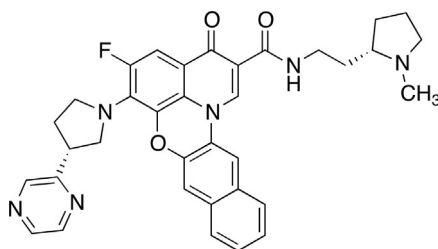


The fluoroquinolone derivative quarfloxin (quarfloxacin, CX-3543), originally derived from a group of fluoroquinolones that were shown to have dual Top2 and G-quadruplex interactions,¹⁸⁶ is the first therapeutic agent designed to target quadruplexes to enter clinical evaluation; it is in phase II trials for neuroendocrine tumors. Several powerful strategies to discover novel G-quadruplex ligands as anticancer drug candidates by screening of natural product extracts and structural optimization of previously identified typical compounds have been reviewed.¹⁸⁷



Quarfloxin (Quarfloxacin, CX-3543)