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Structure based drug design has led to the synthesis of novel G-quadruplex binding anti-tumor agents. G4-DNA is most commonly found in the promoter region of many oncogenes and in telomeres. Stabilization of quadruplex DNA prevents replication and leads to cellular senescence or apoptosis. Having a higher affinity for oncogenic over telomeric G4 could give rise to a treatment with selectivity for cancerous cells. It is for this reason that many groups are focusing on this approach. Anthracenyl-isoxazolyl amides (AIMs) represent a new class of anti-tumor agents developed in our laboratories and show potential to stabilize G4. With the aid of NMR and CD spectroscopy AIMs have been shown to bind G4. In the NCI 60 cell lines, the AIMs exhibit activity comparable to some current chemotherapeutic agents. AIMs provide an interesting utility in that they are highly fluorescent, and may be used for confocal imaging. This feature coupled with excellent *in vivo* anti-tumor activity shows the great promise of the AIMs. Armed with a strong SAR, current efforts are being put towards increasing activity in confluence with optimizing pharmacokinetic properties. Synthesis of molecules containing fused aromatic rings becomes increasingly difficult with greater steric encumbrance. Using methods such as the Suzuki coupling and Weinreb amidation a late stage diversification point has been exploited. The ability to introduce functionality in the later stages of the synthesis has facilitated the possibility of generating a more diverse library of molecules. Our current methodology has led to the development of a 10-phenyl AIM which exhibits sub-micromolar activity against human glioblastoma cells.