

Exploring the effect of aminoglycoside guanidinylation on ligands for Tau Exon 10 Splicing Regulatory Element RNA

Paula López-Senín, Gerard Artigas, and Vicente Marchán*

Departament de Química Orgànica and IBUB

Universitat de Barcelona

Martí i Franquès 1-11

E-08028 Barcelona (Spain)

E-mail: vmarchan@ub.edu

Supporting Information

Table of contents

1. UV melting curves of RNA-ligand complexes.
2. NMR titration experiments.
3. Synthesis of the guanidinylated ligands.
4. ^1H NMR spectra of monomers and guanidinylated ligands.

1. UV melting curves of RNA-ligand complexes

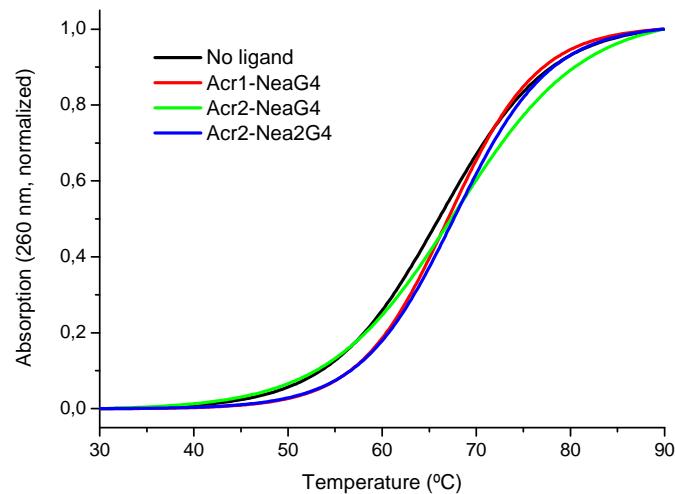


Fig. S1 UV melting profiles for the wt RNA oligonucleotide and its ligand complexes at a [ligand]/RNA ratio of 1.0.

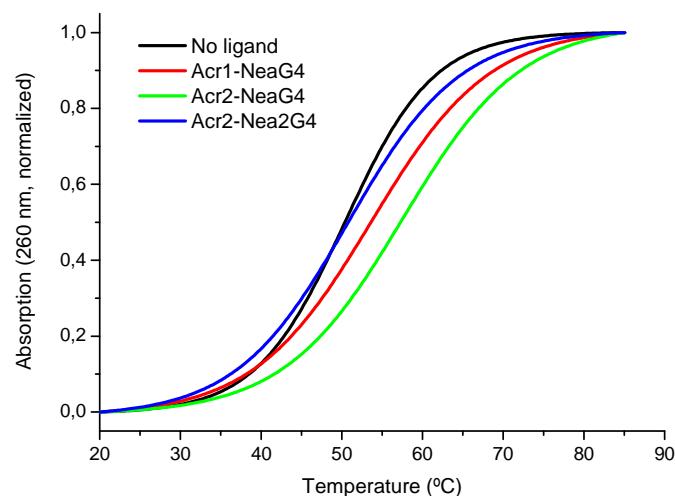


Fig. S2 UV melting profiles for the +3 mutated RNA oligonucleotide and its ligand complexes at a [ligand]/RNA ratio of 1.0.

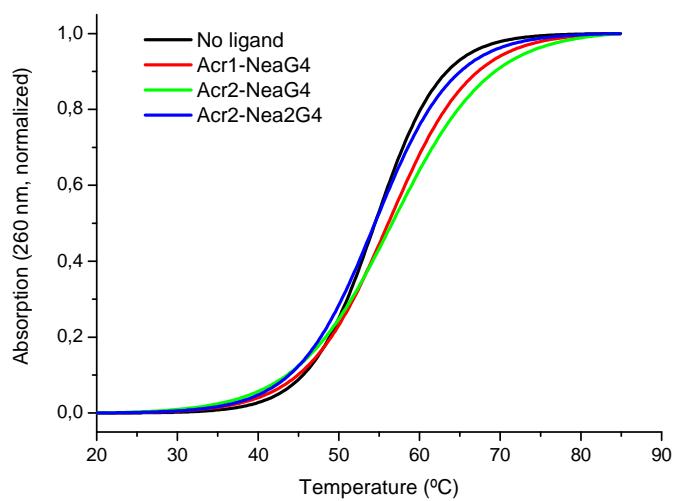


Fig. S3 UV melting profiles for the +14 mutated RNA oligonucleotide and its ligand complexes at a [ligand]/RNA ratio of 1.0.

2. NMR titration experiments

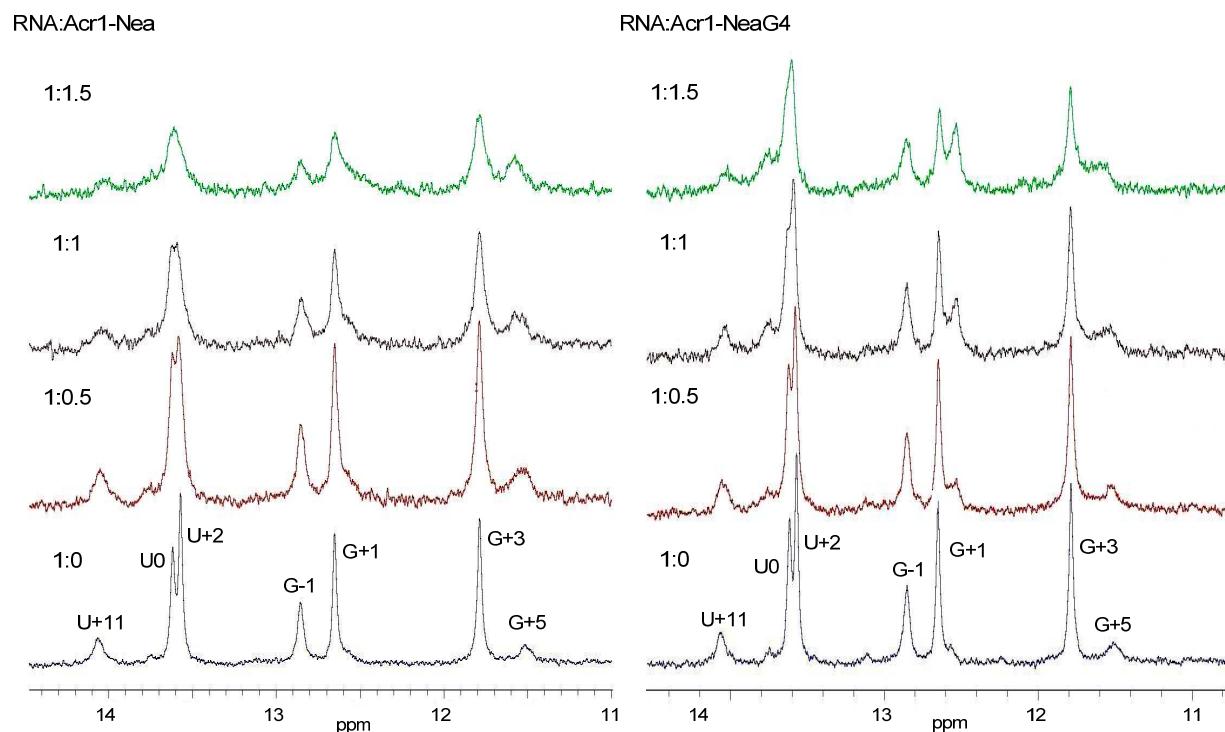


Fig. S4 Imino region of the NMR spectra of wt RNA alone and in the presence of increasing amounts of the ligands (left: **Acr1-Nea**, right: **Acr1-NeaG4**; from bottom to top, ratio ligand/RNA: 0.0, 0.5, 1.0, 2.0 and 4.0). Imino proton signals are labelled according to the numbering scheme shown in Scheme 1. Assignments were taken from Varani *et al.*^[9a,10c] The RNA concentration was 70 μ M in a 10 mM sodium phosphate buffer, pH 6.8, in a 90%/10% H₂O/D₂O mixture (T = 5°C).

3. Synthesis of the guanidinylated ligands

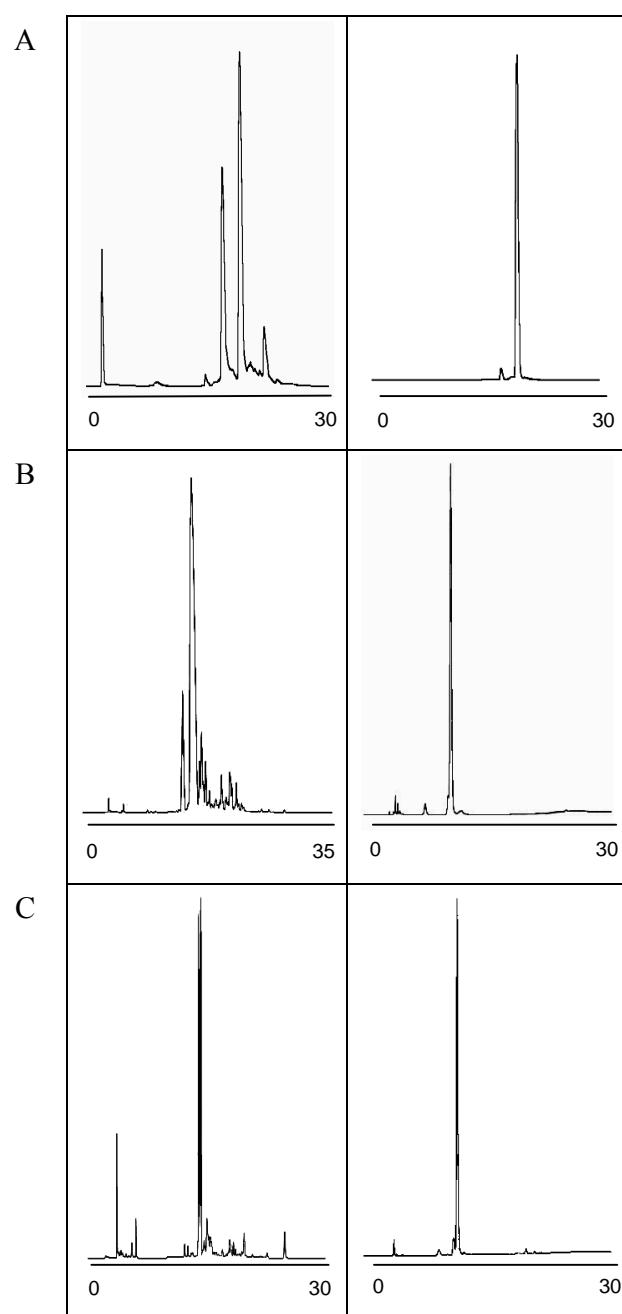
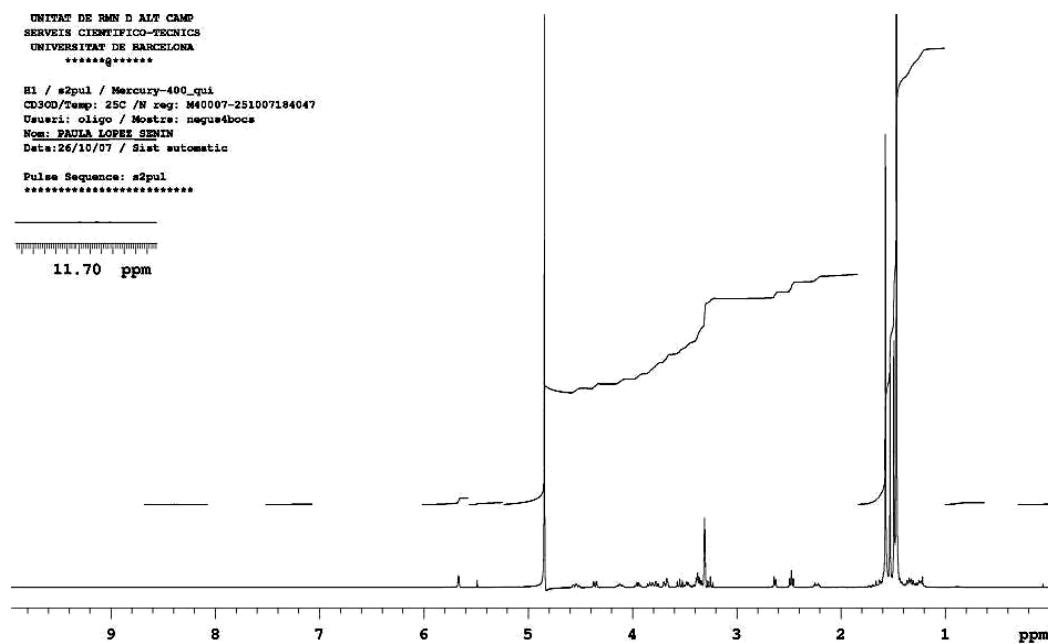


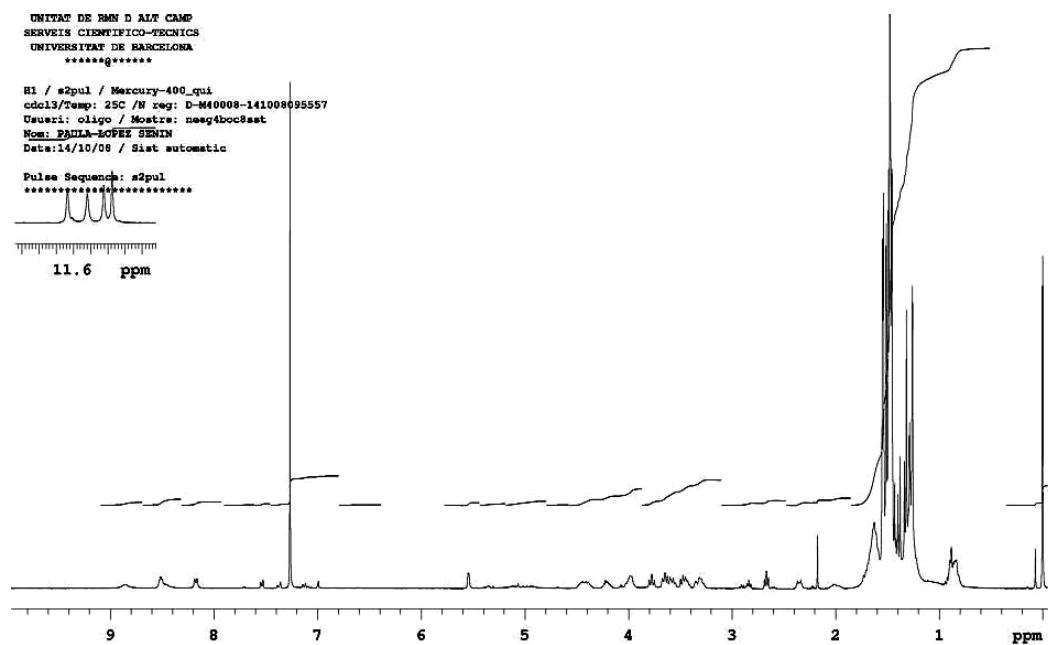
Fig. S5 Reversed-phase HPLC traces for the ligands Acr1-NeaG4 (A), Acr2-NeaG4 (B) and Acr2-Nea2G4 (C): reaction crude (left) and purified (right).

4. ^1H spectra of monomers and ligands

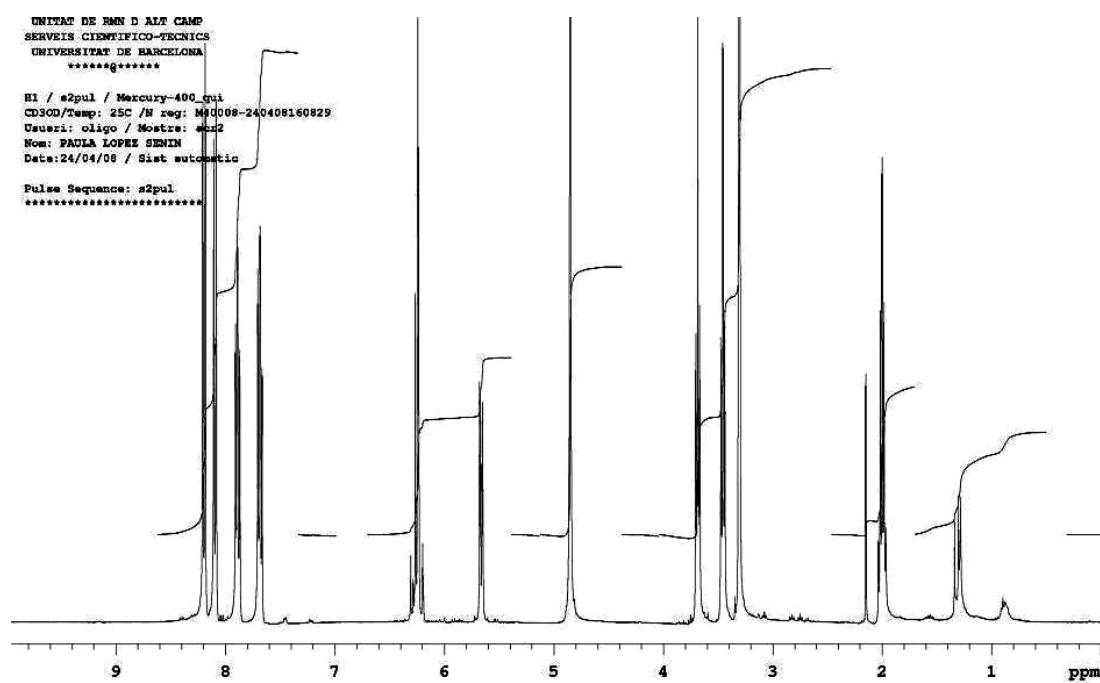
(Boc)₈NeaG4



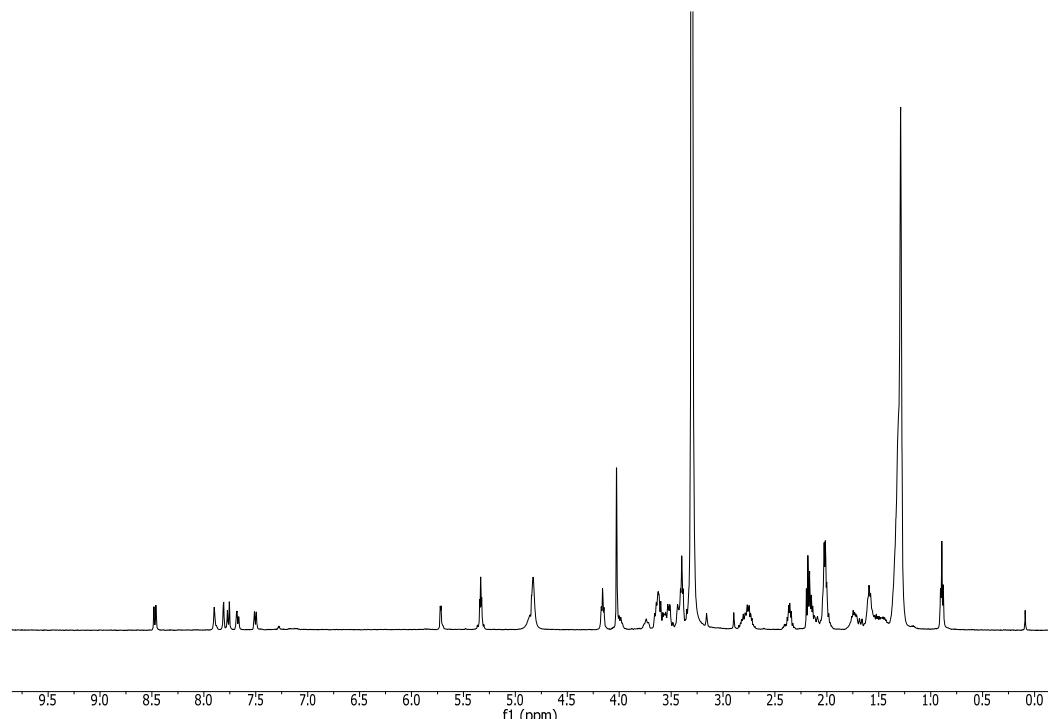
(Boc)₈NeaG4-SS^tBu



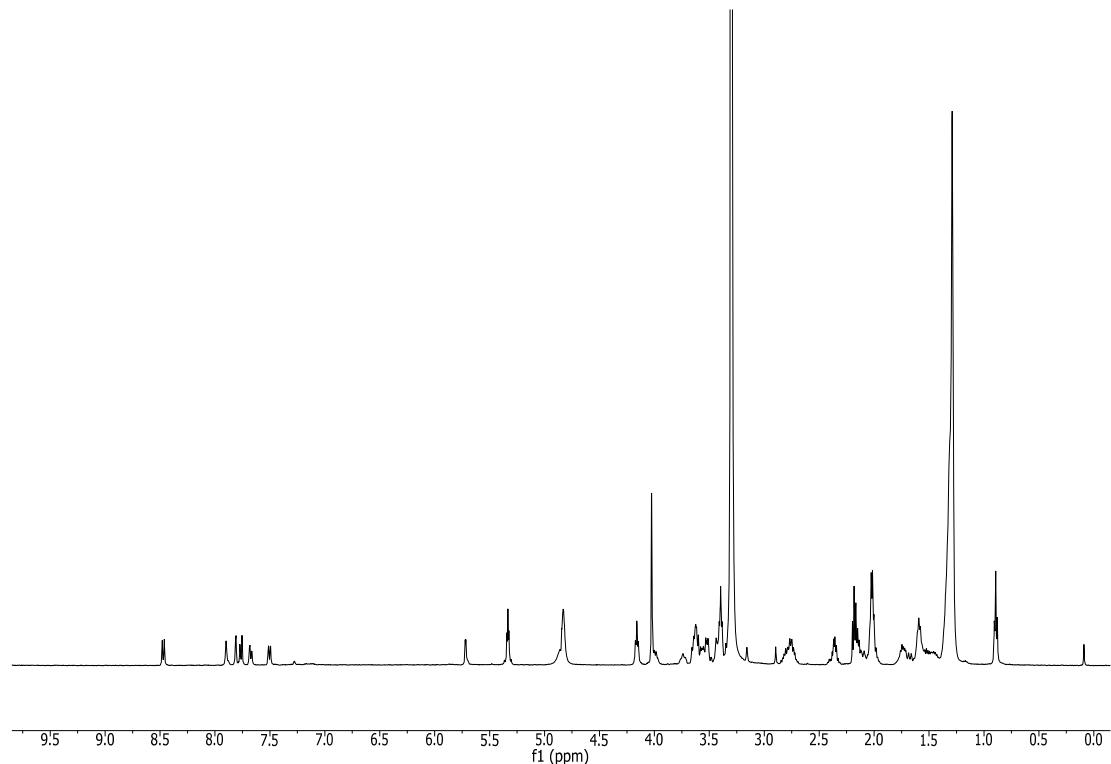
N-(3-Acrylamidopropyl)acridine-9-carboxamide



Acr1-NeaG4



Acr2-NeaG4



Acr2-Nea2G4

