Electronic Supporting Information

Synthesis of 2-[2-(*tert*-Butoxycarbonyl)-3-(acyl)guanidino]ethylamine Salts for Convergent Introduction of Acyl Guanidines

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S1: ^{1}H NMR and ^{13}C NMR spectra of key compounds:

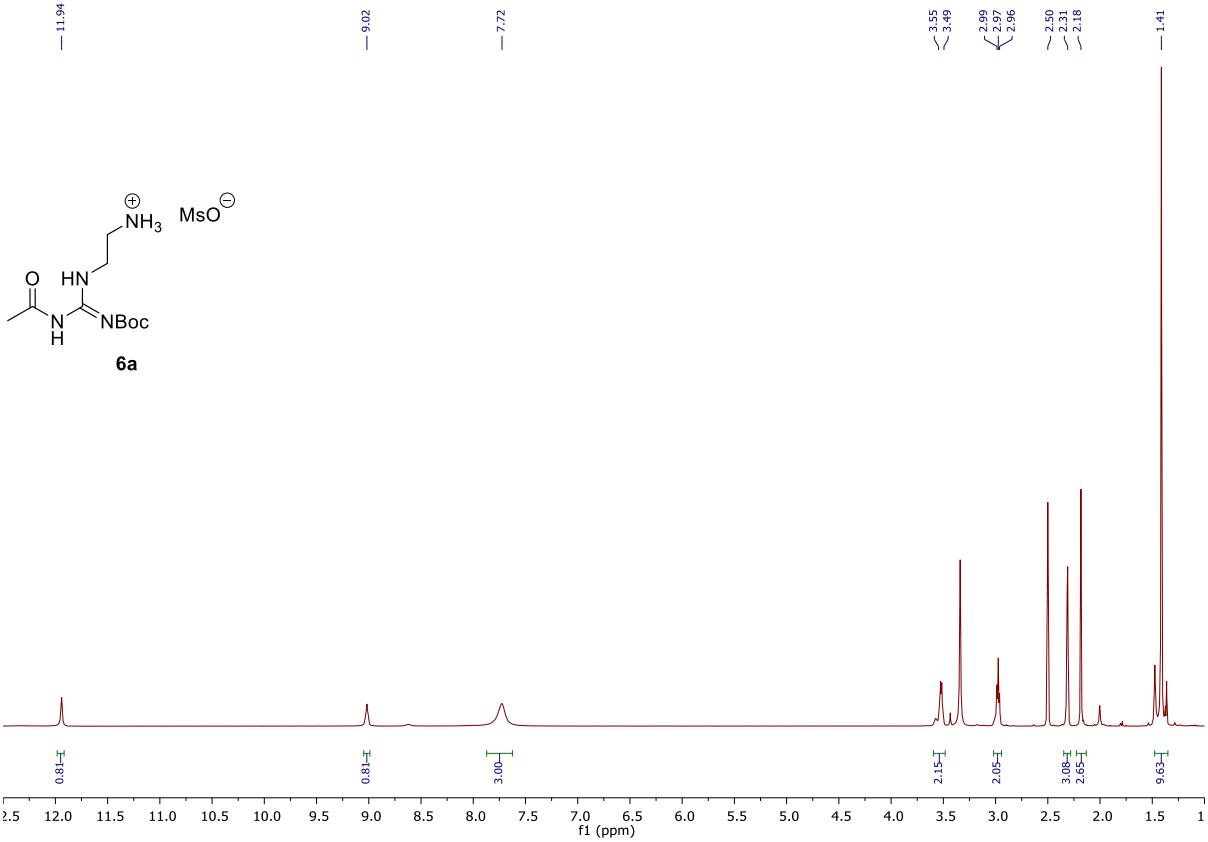

Figure S1: ^{1}H NMR (400 MHz) spectrum of compound **6a** in DMSO-*d*$_6$.

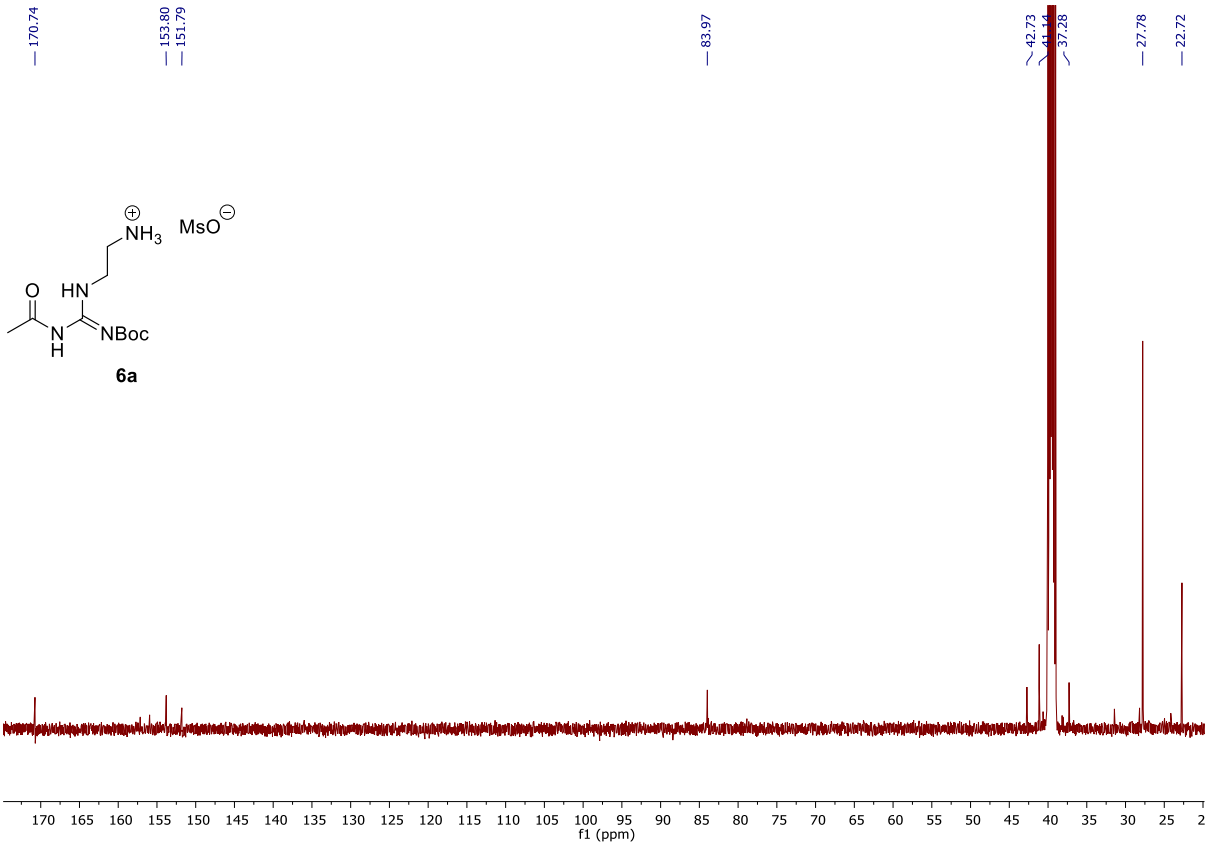

Figure S2: ^{13}C NMR (100 MHz) spectrum of compound **6a** in DMSO-d_6.

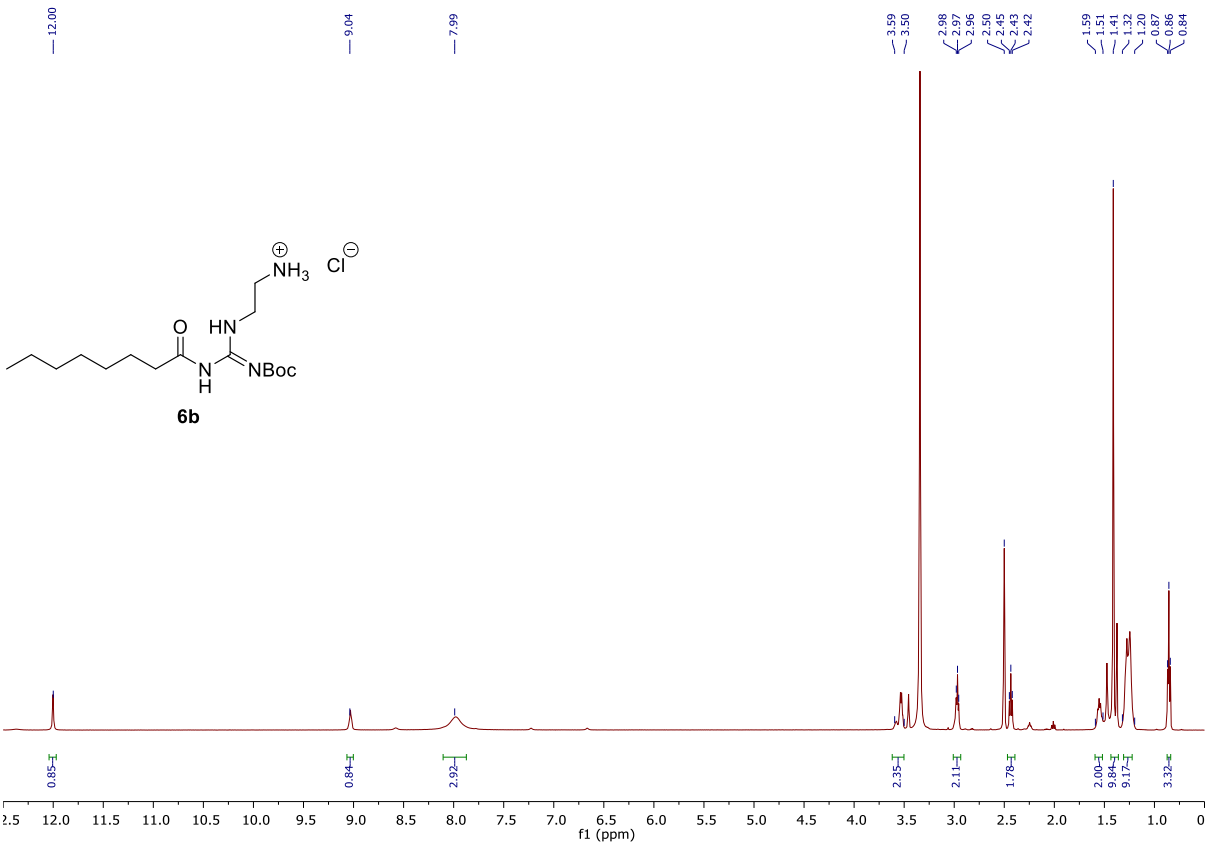

Figure S3: ^{1}H NMR (500 MHz) spectrum of compound **6b** in DMSO-d_6.

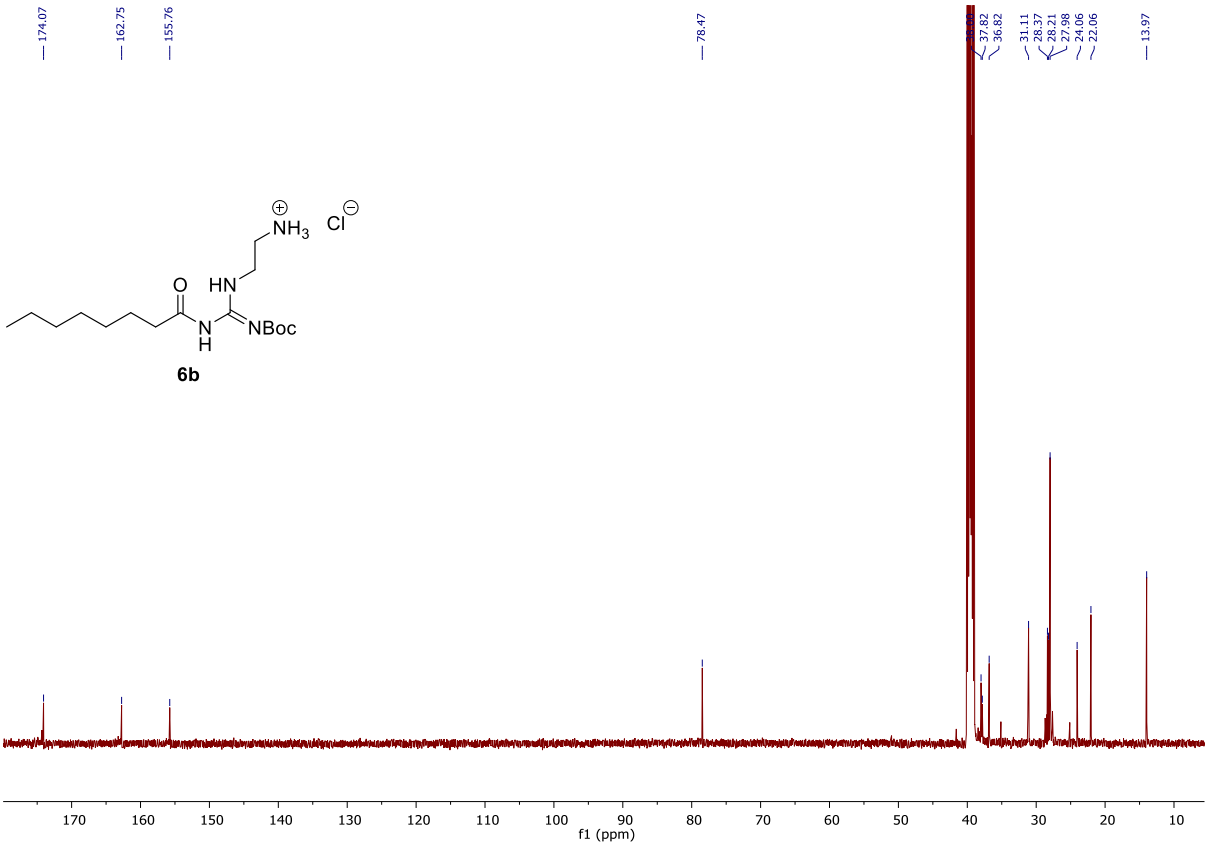

Figure S4: ^{13}C NMR (125 MHz) spectrum of compound **6b** in DMSO-d_6.

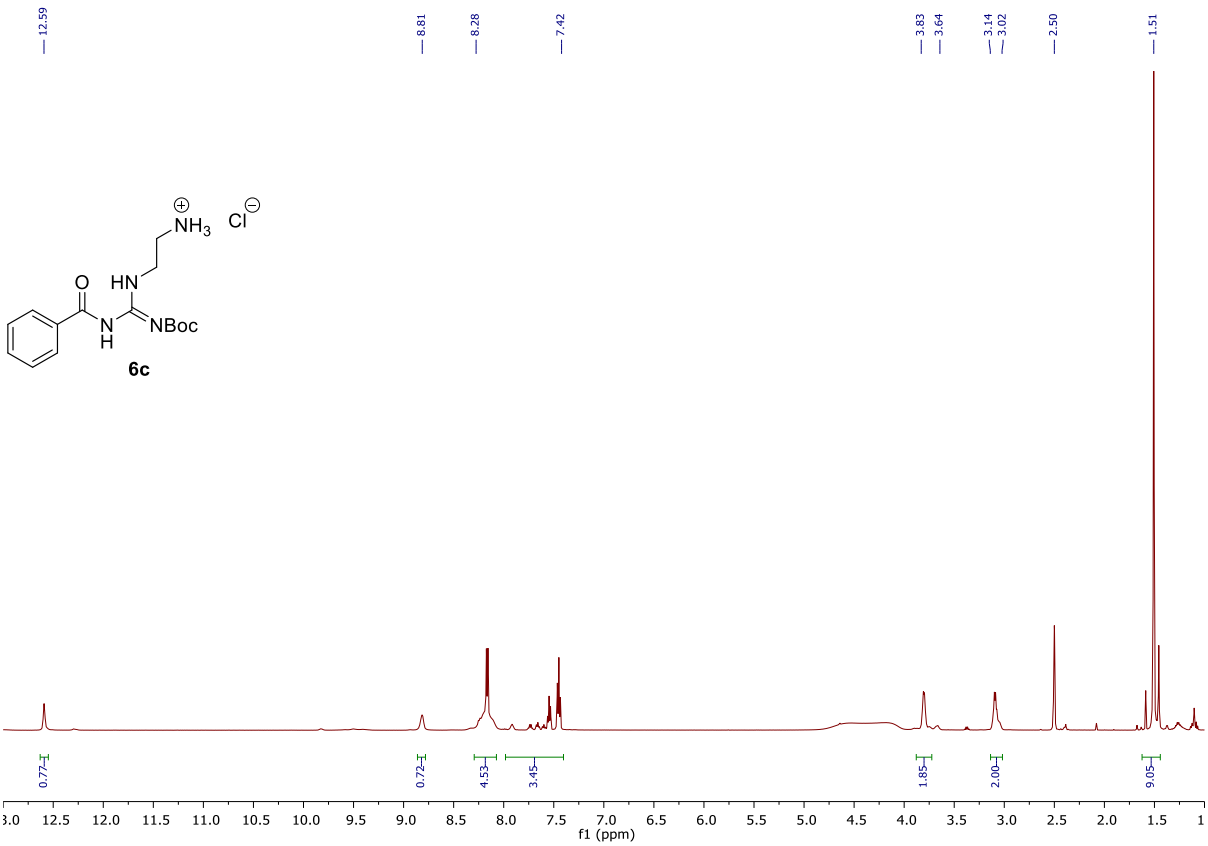

Figure S5: ^{1}H NMR (400 MHz) spectrum of compound **6c** in DMSO-d_6.

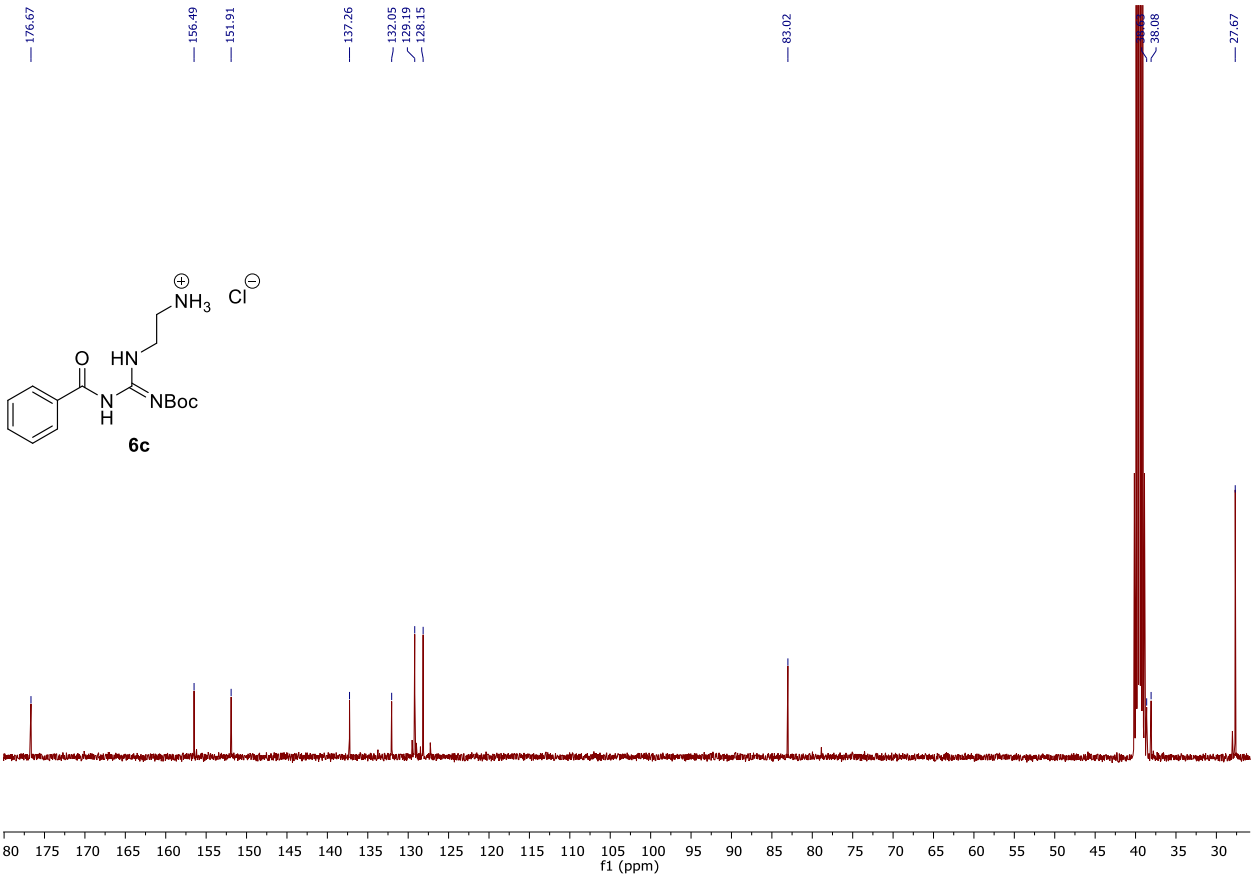

Figure S6: ^{13}C NMR (100 MHz) spectrum of compound **6c** in DMSO-d_6.

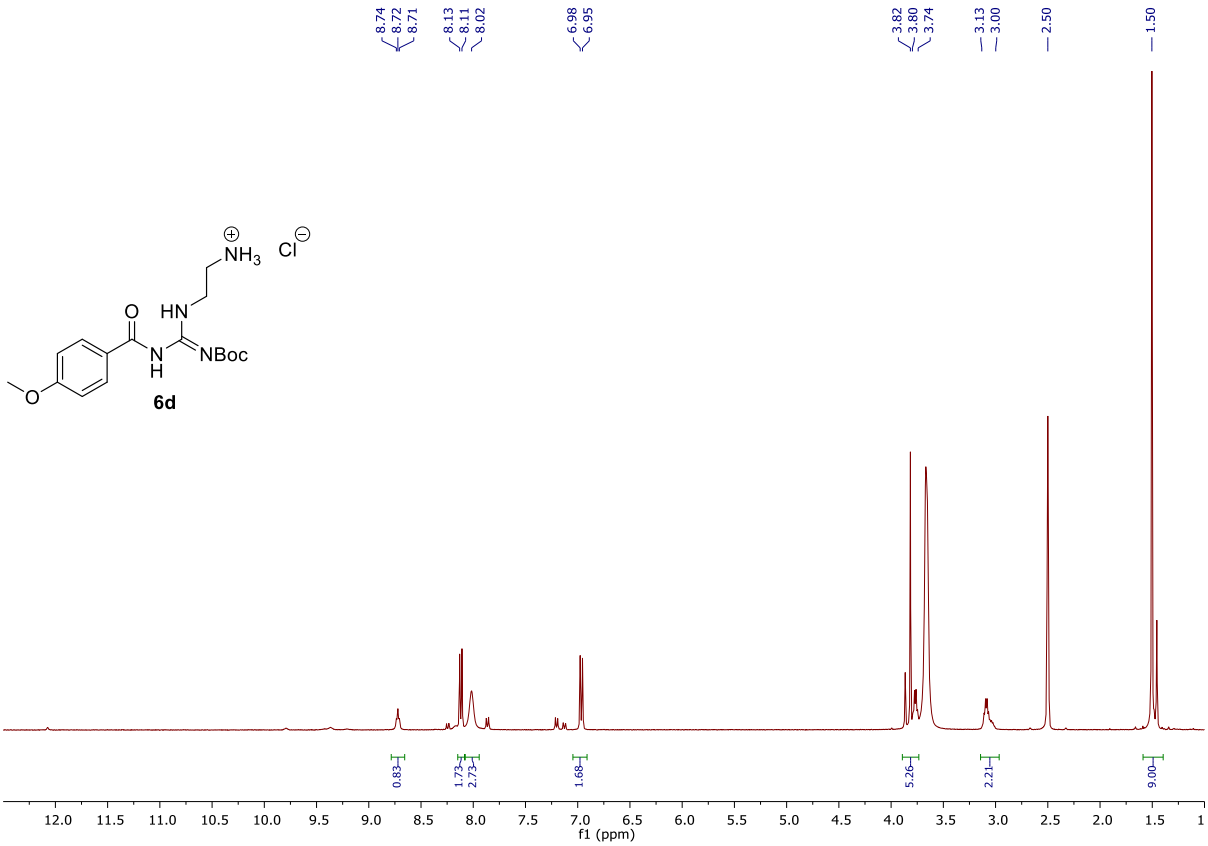

Figure S7: ^{1}H NMR (400 MHz) spectrum of compound **6d** in DMSO-d_6.

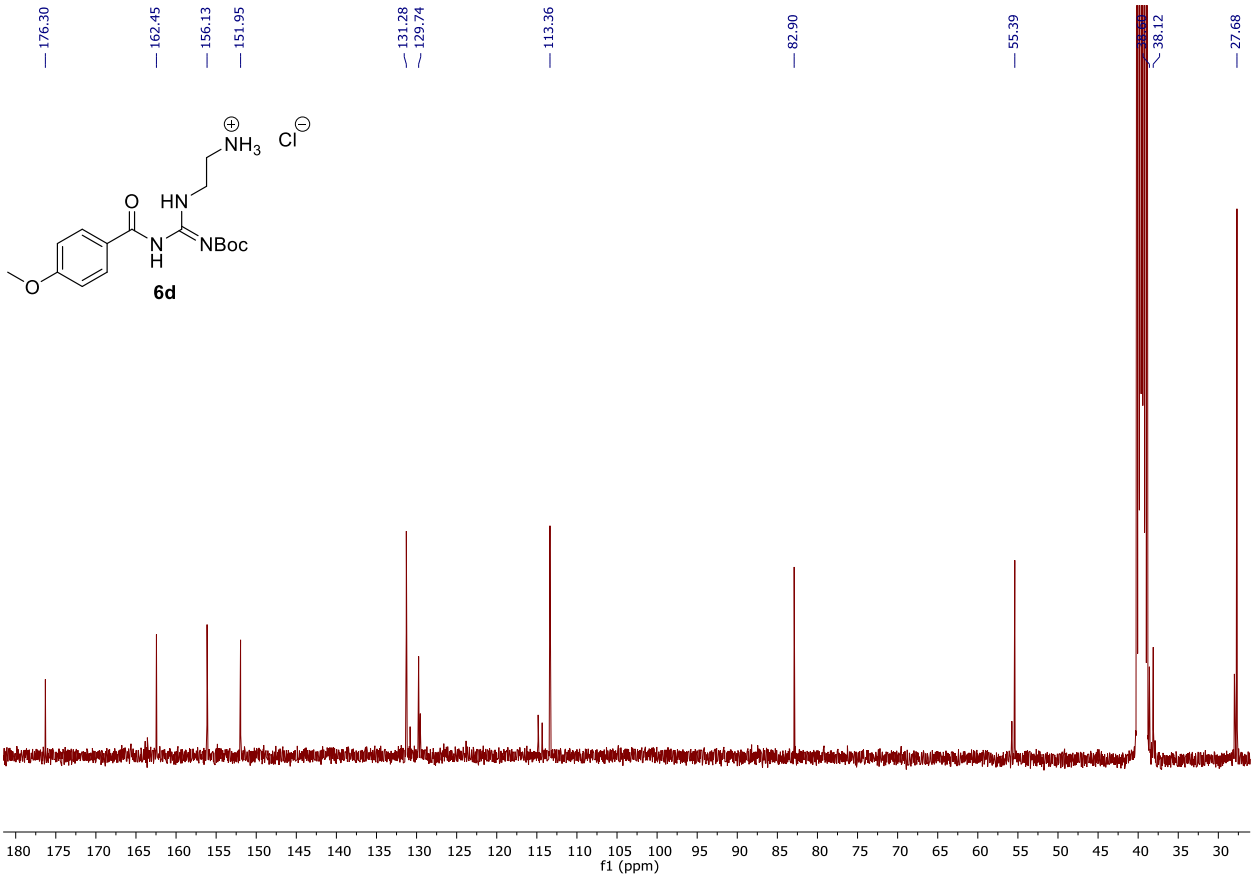

Figure S8: ^{13}C NMR (100 MHz) spectrum of compound **6d** in DMSO-d_6.

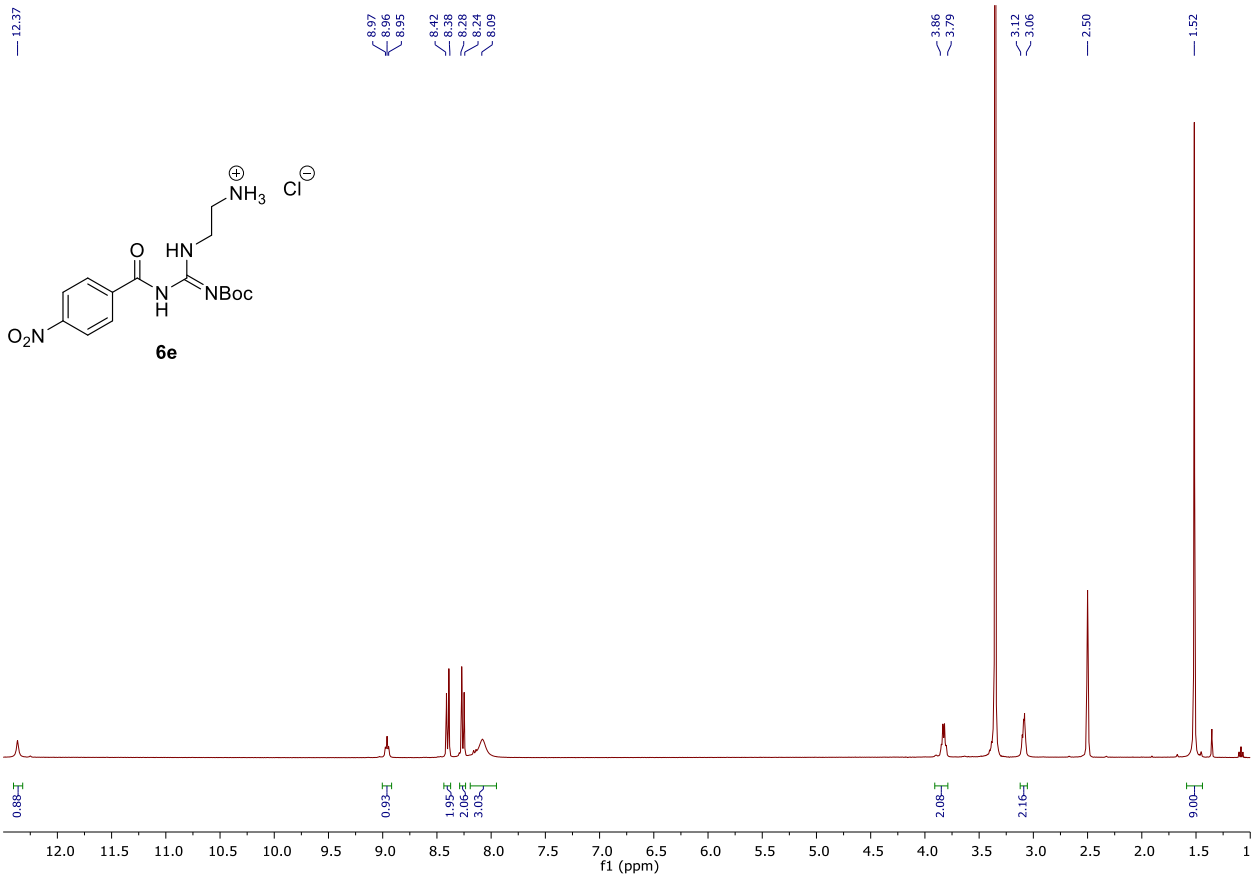

Figure S9: ^{1}H NMR (400 MHz) spectrum of compound **6e** in DMSO-d_6.

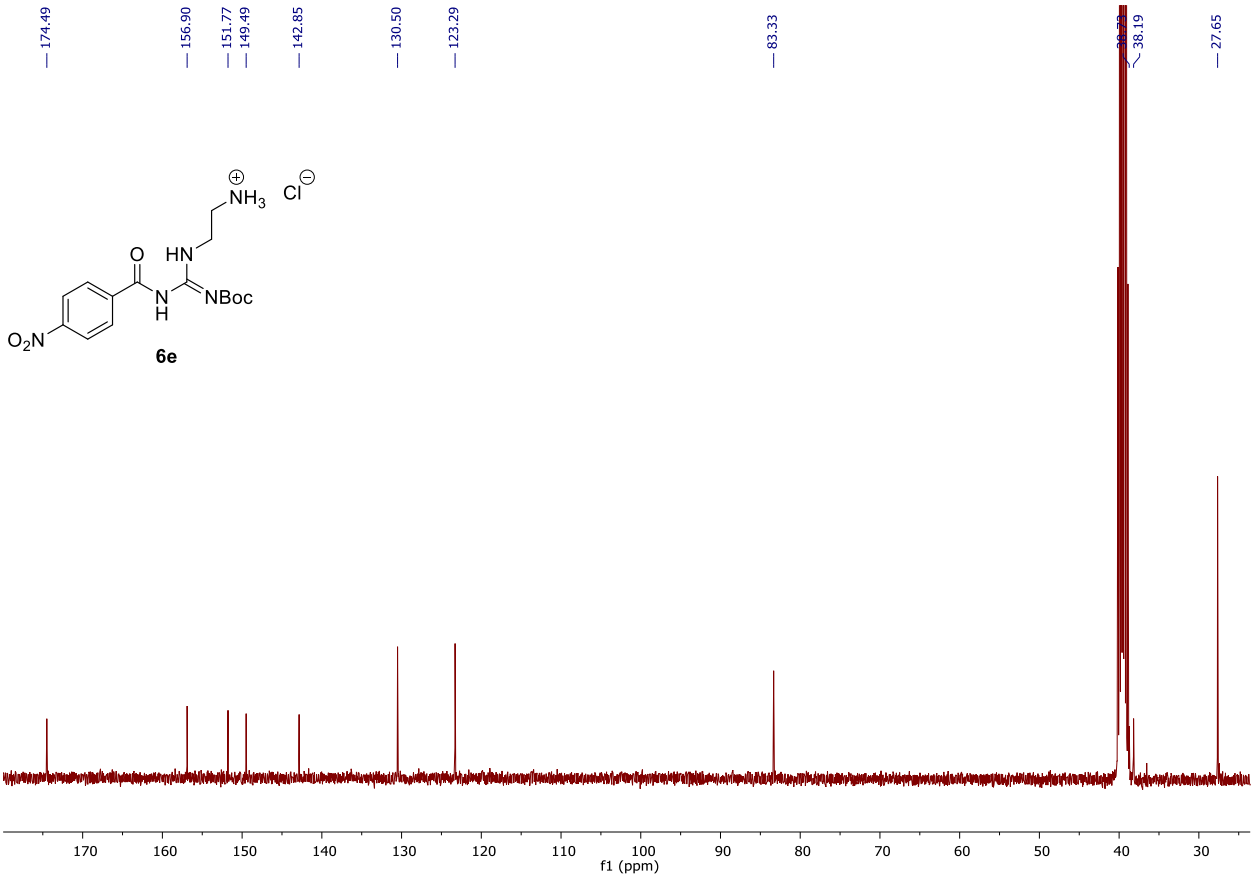

Figure S10: ^{13}C NMR (100 MHz) spectrum of compound **6e** in DMSO-d_6.

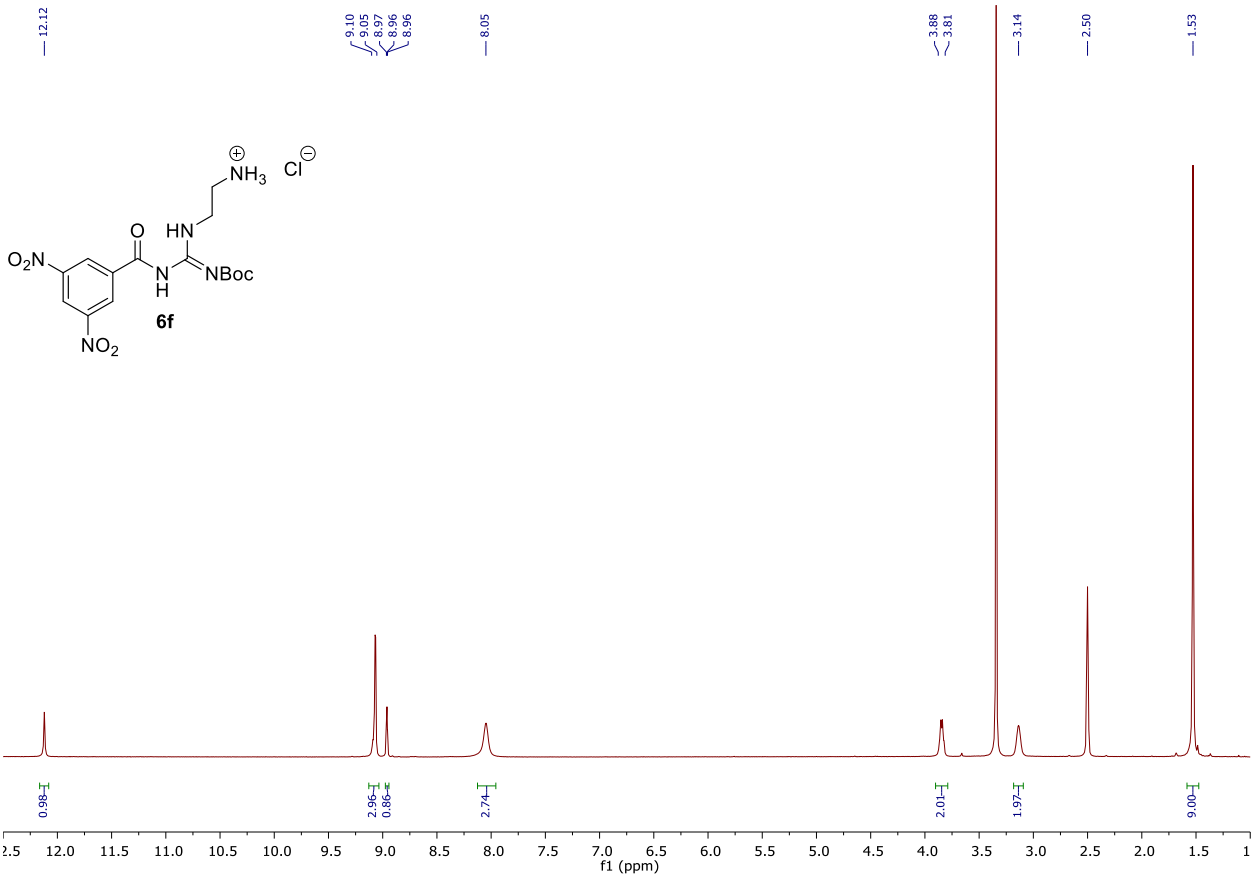

Figure S11: ^{1}H NMR (400 MHz) spectrum of compound **6f** in DMSO-d_6.

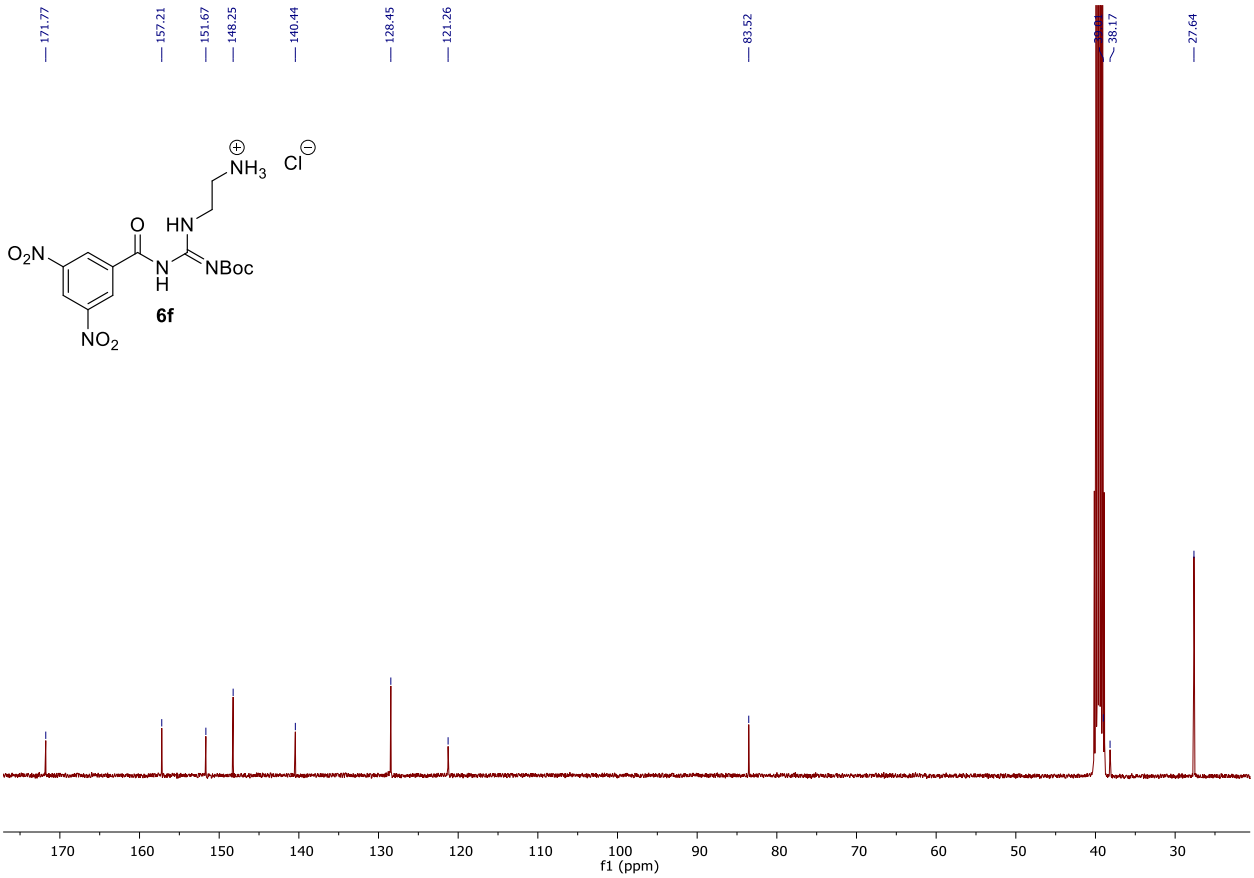

Figure S12: ^{13}C NMR (100 MHz) spectrum of compound **6f** in DMSO-d_6.

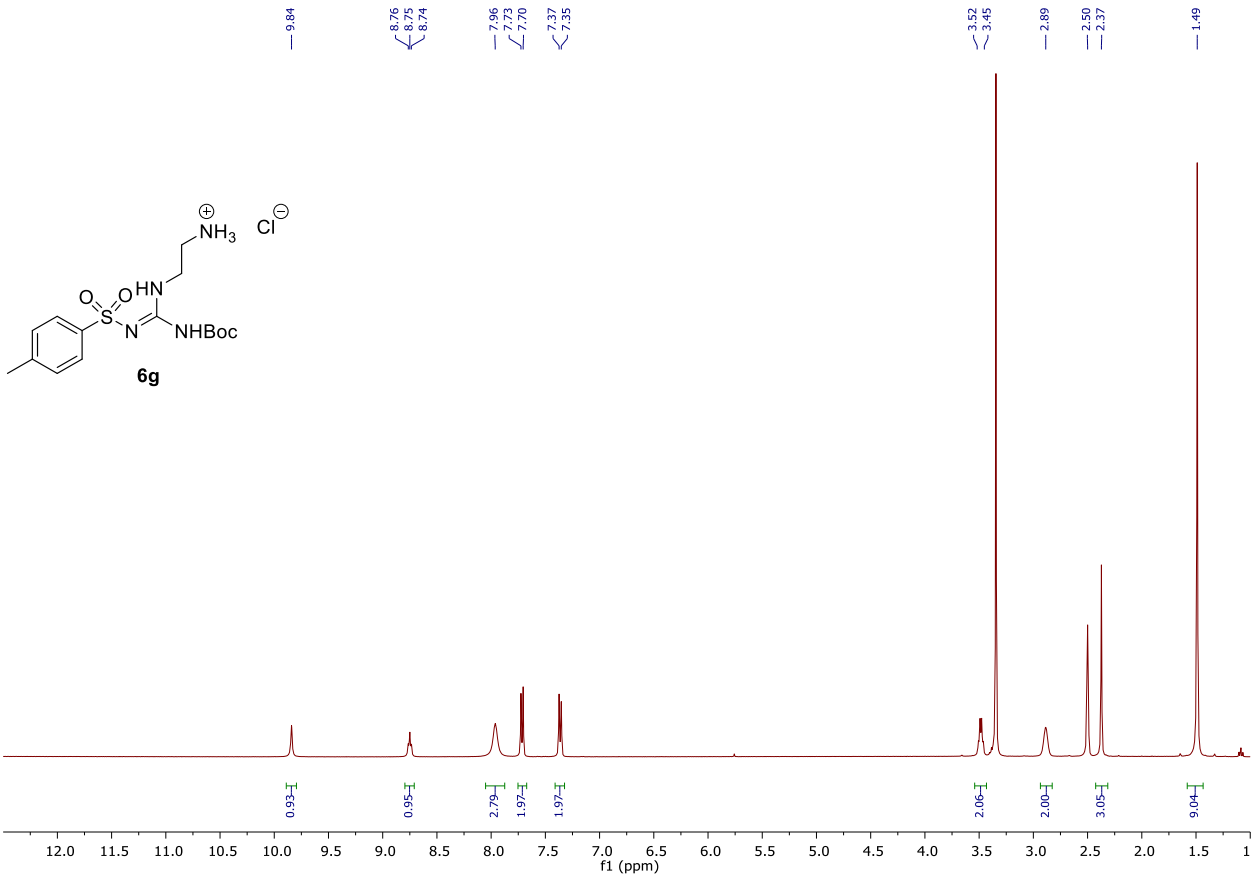

Figure S13: ^{1}H NMR (400 MHz) spectrum of compound **6g** in DMSO-d_6.

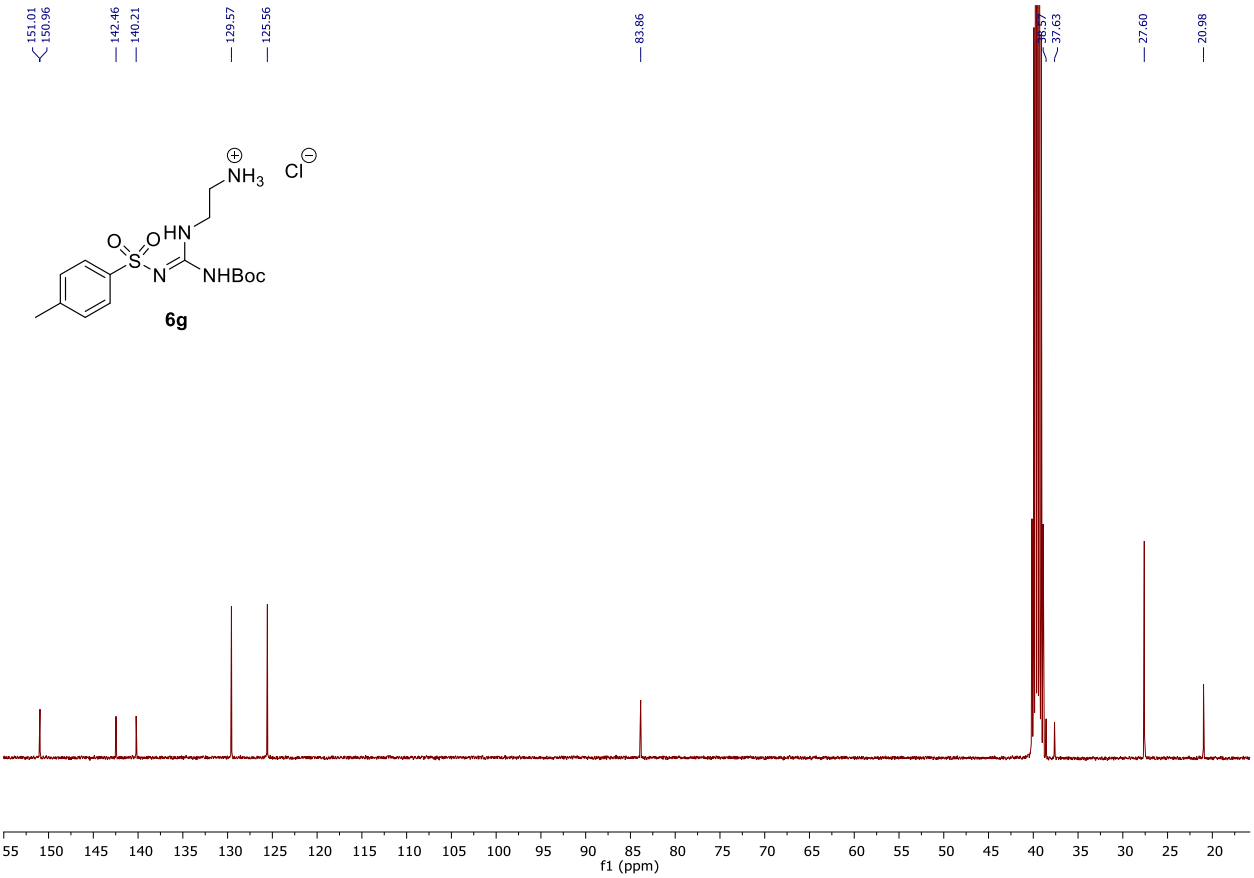

Figure S14: ^{13}C NMR (100 MHz) spectrum of compound **6g** in DMSO-*d*$_6$.

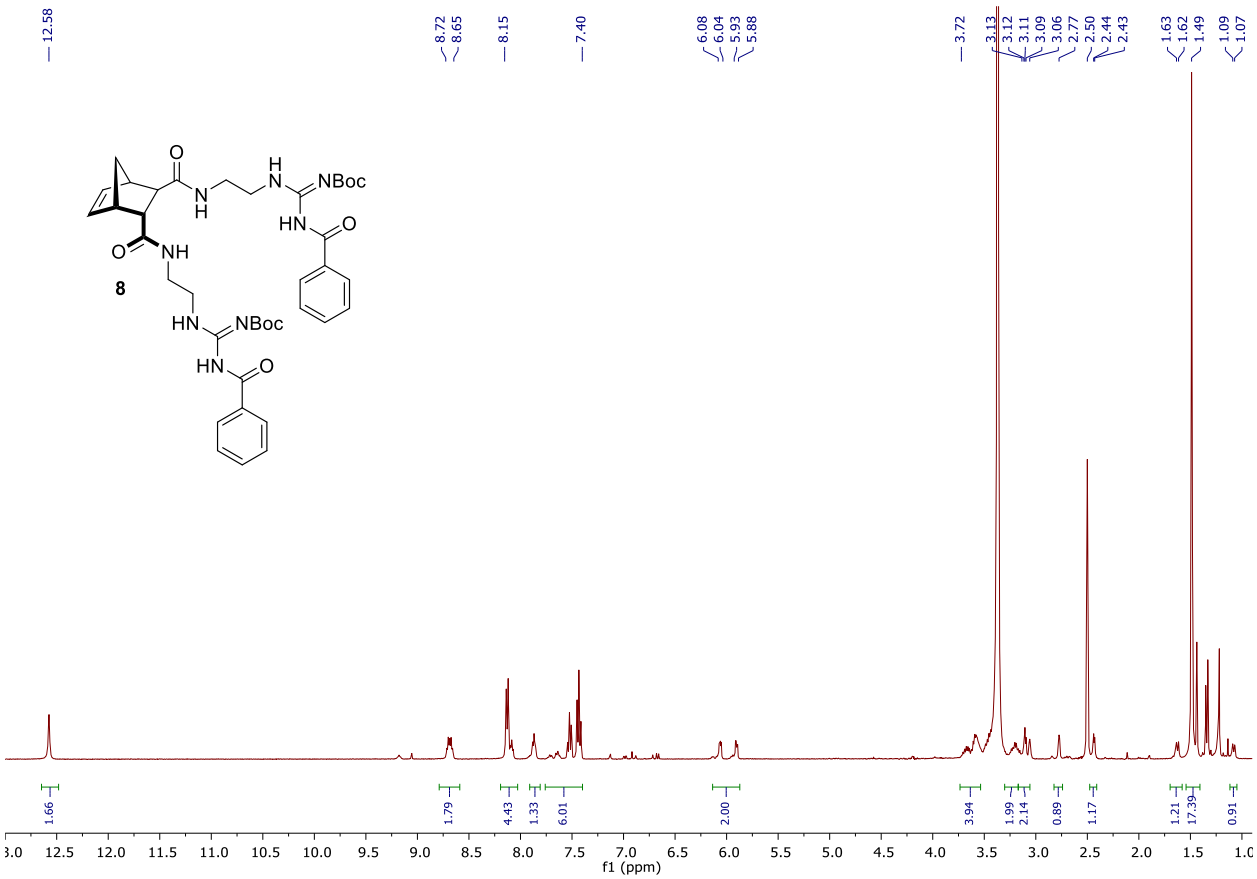

Figure S15: ^{1}H NMR (400 MHz) spectrum of compound **8** in DMSO-d_6.

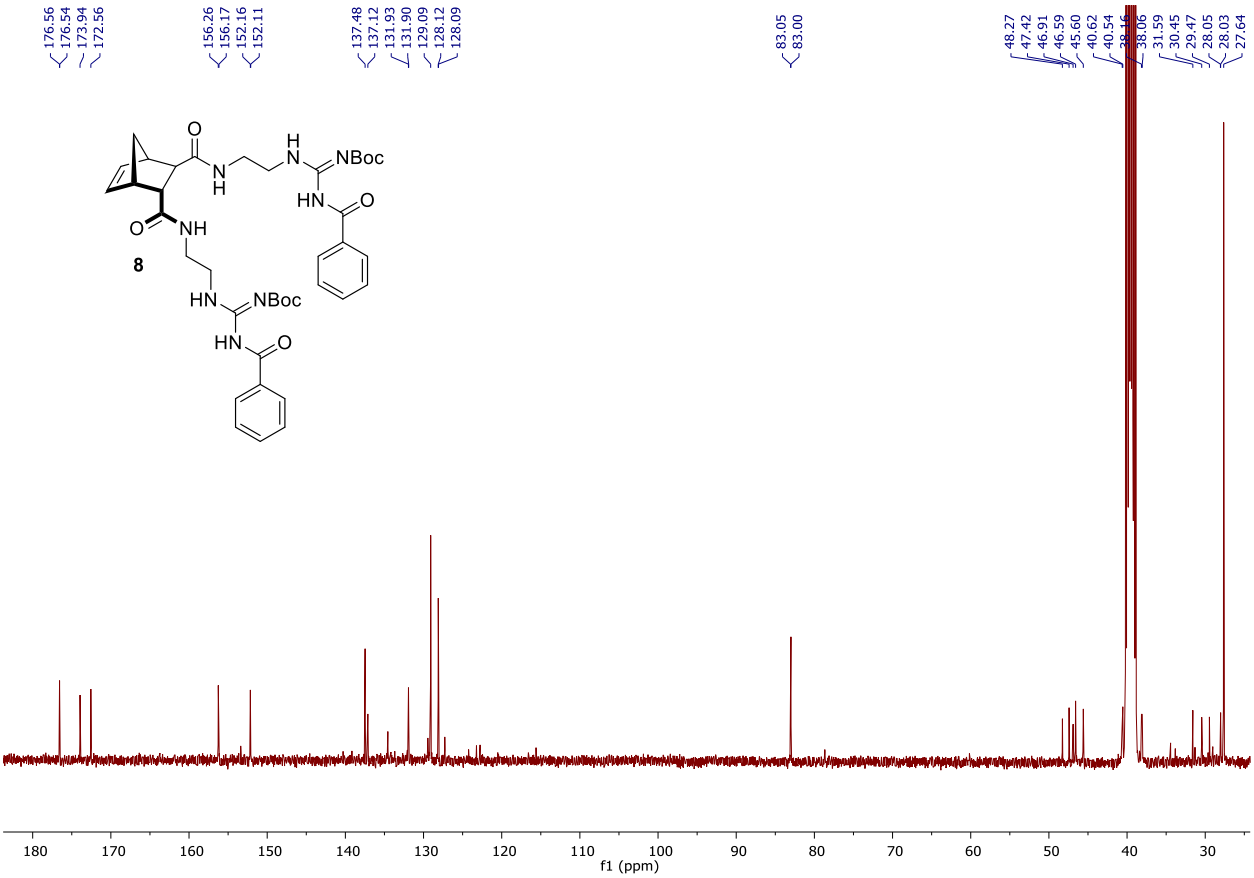

Figure S16: ^{13}C NMR (100 MHz) spectrum of compound **8** in DMSO-d_6.

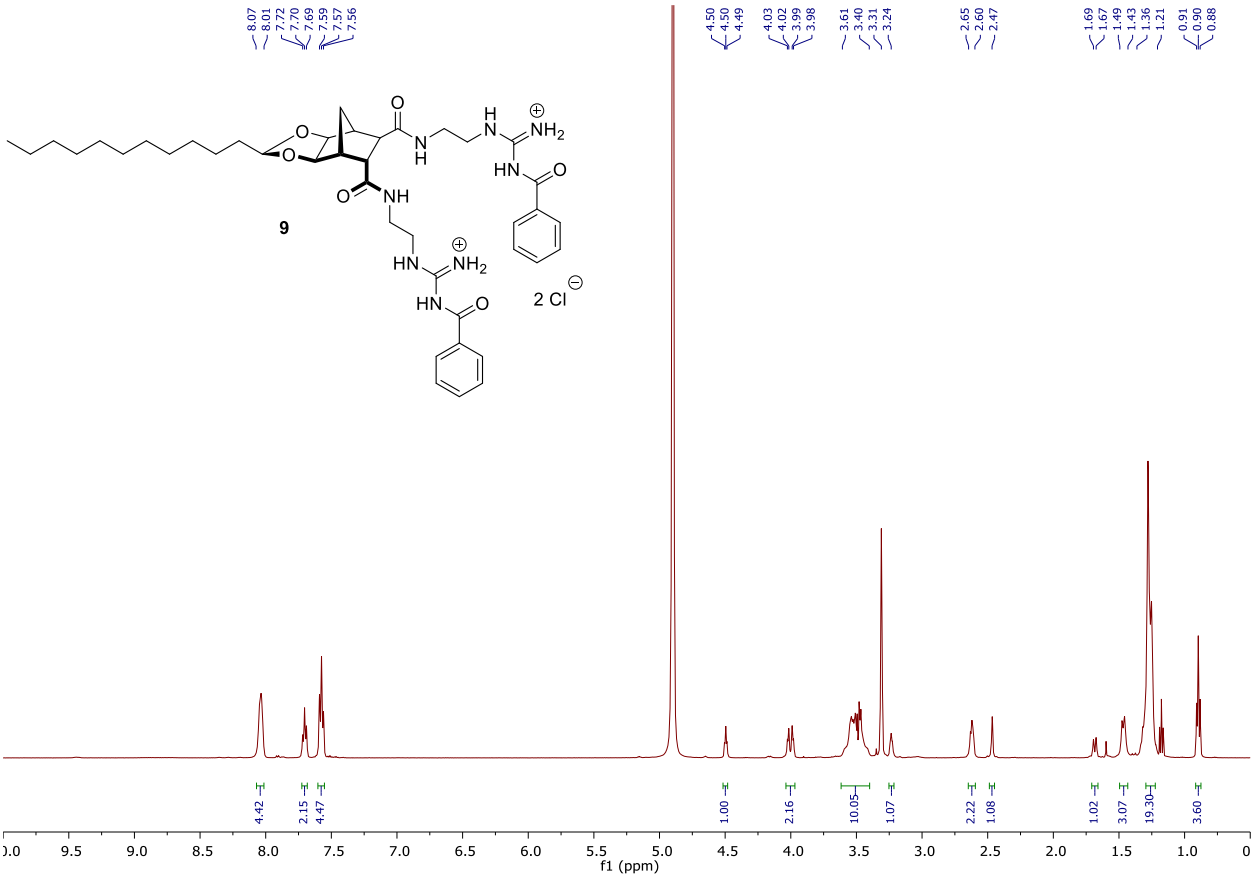

Figure S17: ^{1}H NMR (400 MHz) spectrum of compound **9** in CD_3OD.

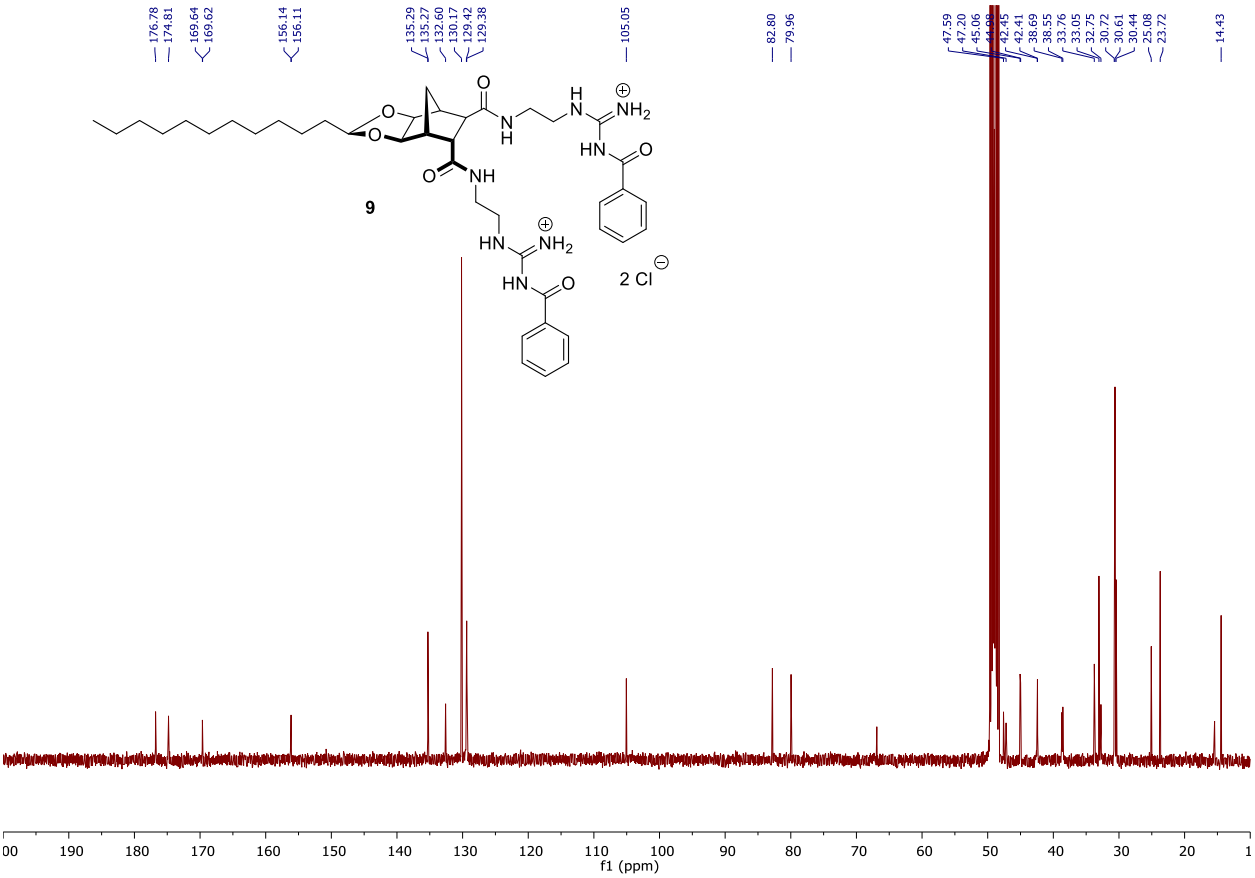

Figure S18: ^{13}C NMR (100 MHz) spectrum of compound **9** in CD_3OD.

S2: ^{1}H NMR spectra showing aminoethylguanidine cyclization

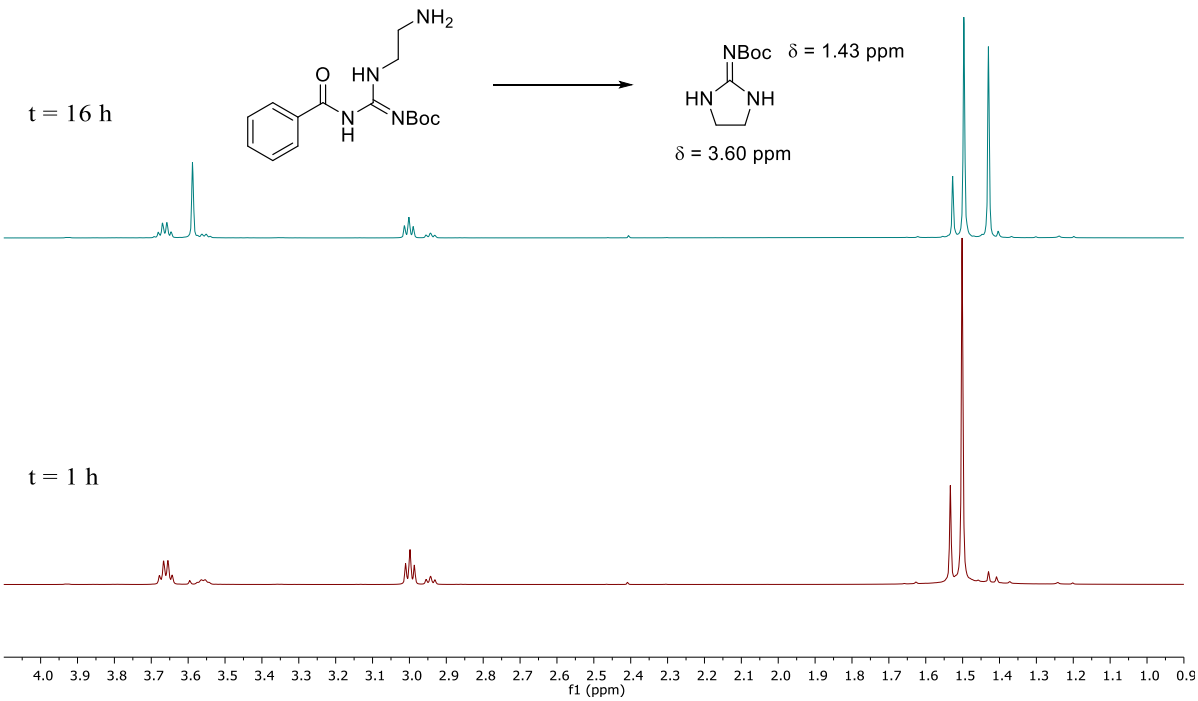

Figure S19: ^{1}H NMR (400 MHz) spectra of aminoethylguanidine cyclization (see inset) at 1 and 16h timepoints post-reaction. Spectra recorded in $CDCl_3$.

S3: ^{1}H NMR spectra showing degradation of HCl salt 6c

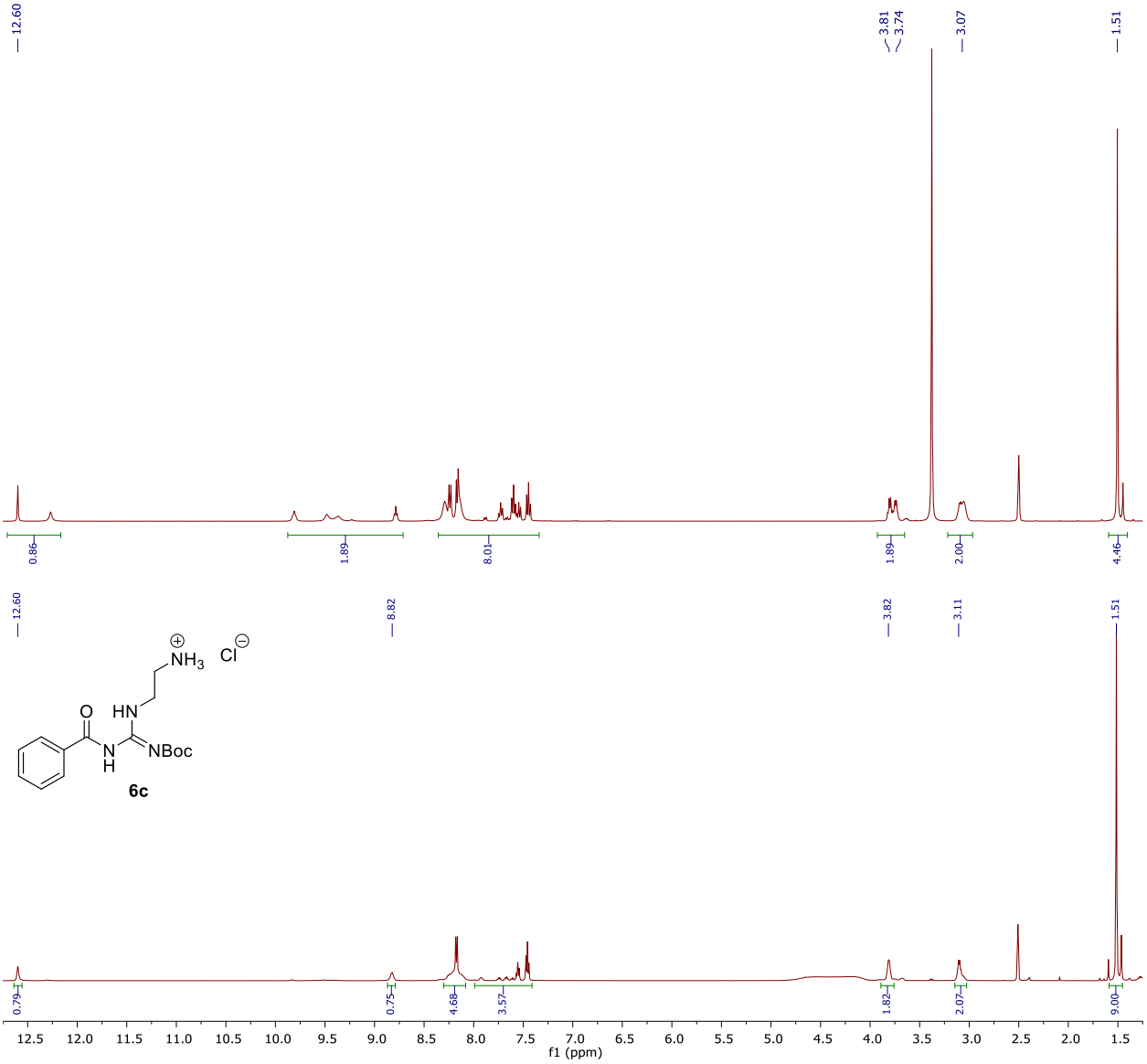

Figure S20: ^{1}H NMR (400 MHz) spectra of compound **6c**; *Top*: after 2 months. *Bottom*: freshly synthesised. Both spectra recorded in DMSO-d_6.

S4: Antibacterial activity table

Table S1: Antimicrobial assay by broth microdilution minimum inhibitory concentration (MIC, μg/mL) assay.

compound	*Staphylococcus aureus*	*Staphylococcus aureus*	*Staphylococcus aureus*	*Staphylococcus aureus*	*Streptococcus pneumoniae*
	ATCC 43300	NRS 17	ATCC 700699 (NRS 1)	VRS 10	ATCC 700677
	methicillin resistant *S. aureus* (MRSA)	vancomycin intermediate *S. aureus* (VISA)	MRSA/VISA	vancomycin resistant *S. aureus* (VRSA)	multidrug resistant (MDR)
vancomycin	1	4	8	64	2
daptomycin	2	8	16	4	2
oritivancin	0.06	0.5	1	0.125	0.06
compound **9**	16	16	16	16	16