

Supplementary materials: Prostate cancer metastases are strongly inhibited by agonistic EphA2 ligands in an orthotopic mouse model

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Table S1. Chemical composition of the cited agents. Figure S1: WB of EphA2 and AKT levels in PC3 prostate cancer cells after exposure to 135H12. Figures S2 – S6: uncropped western blots.

ID	SEQUENCE	IC ₅₀ (μM) (DELFLIA)
135H11	(3-CH ₃ ,6,7-OCH ₃ ,Benzofuranoic acid)LA(4-CH ₃ -Tyr)PDA V(Hyp)(4Cl-Phe)RP-CONH ₂	0.13 ± 0.01, n=4
135H12 (dimer of 135H11)	((3-CH ₃ ,6,7-OCH ₃ ,Benzofuranoic acid)LA(4-CH ₃ - Tyr)PDAV(Hyp)(4Cl-Phe)RPG) ₂ -K-CONH ₂	0.15 ± 0.06, n=3

Chemical composition of the cited agents. IC₅₀ values (μM) were derived from the DELFLIA assay, as previously reported [1,2]. The reported standard errors represent the number measurements as indicated. Hyp= trans 4-hydroxy-L-proline.

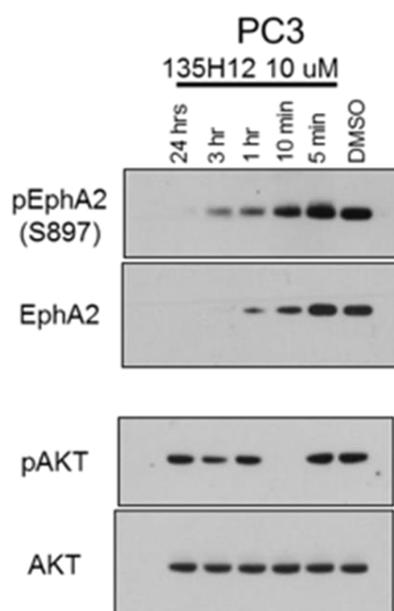


Figure S1. WB of EphA2 and AKT levels in PC3 prostate cancer cells after exposure to 135H12. The blot was obtained using the same experimental conditions as reported in the materials and methods.

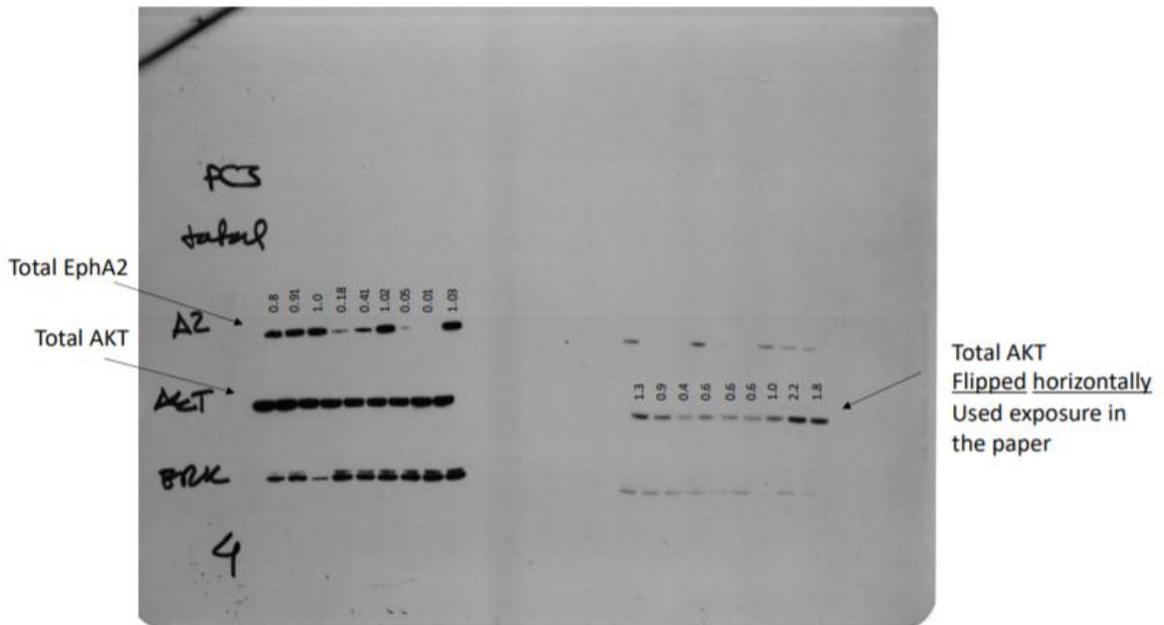


Figure S2. Total EphA2 and total AKT blot for Fig 2.A. Note that the total AKT blot is flipped.

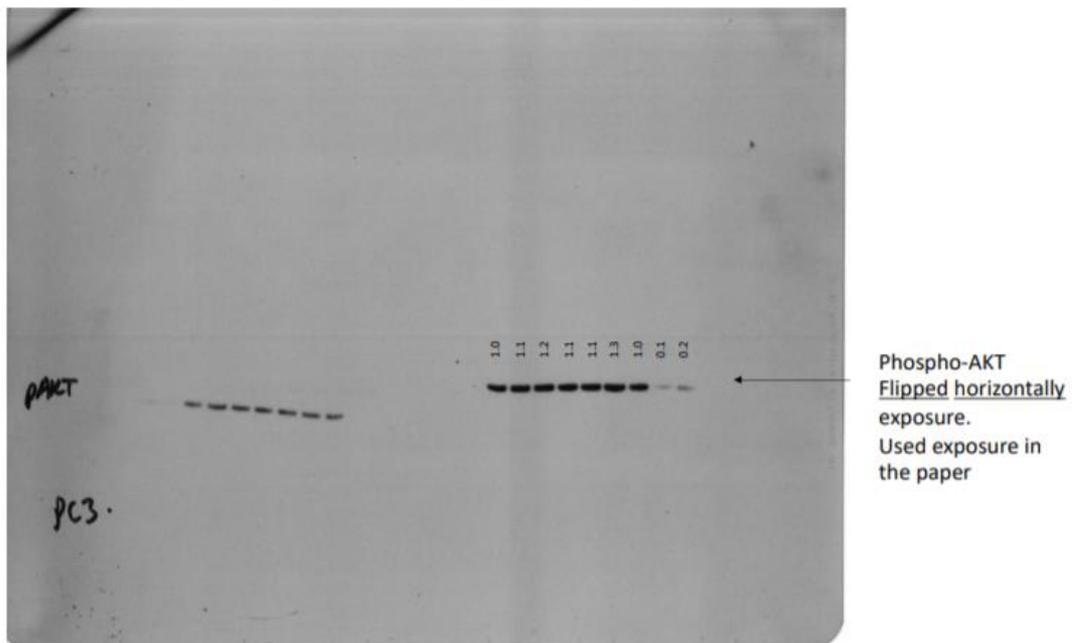


Figure S3. phospho-AKT blot for Fig 2.A. Note that the phospho- AKT blot is flipped.



Figure S4. Beta-actin blot for Fig 2.A.

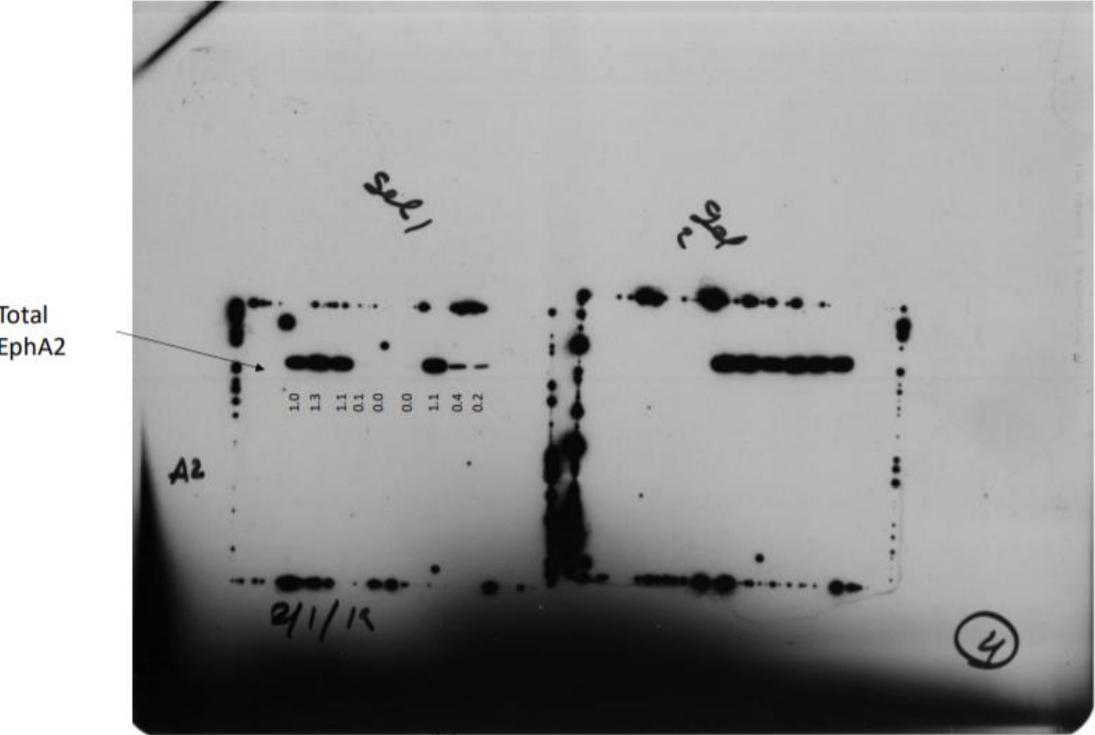


Figure S5. Total EphA2 blot for Fig 2.B.

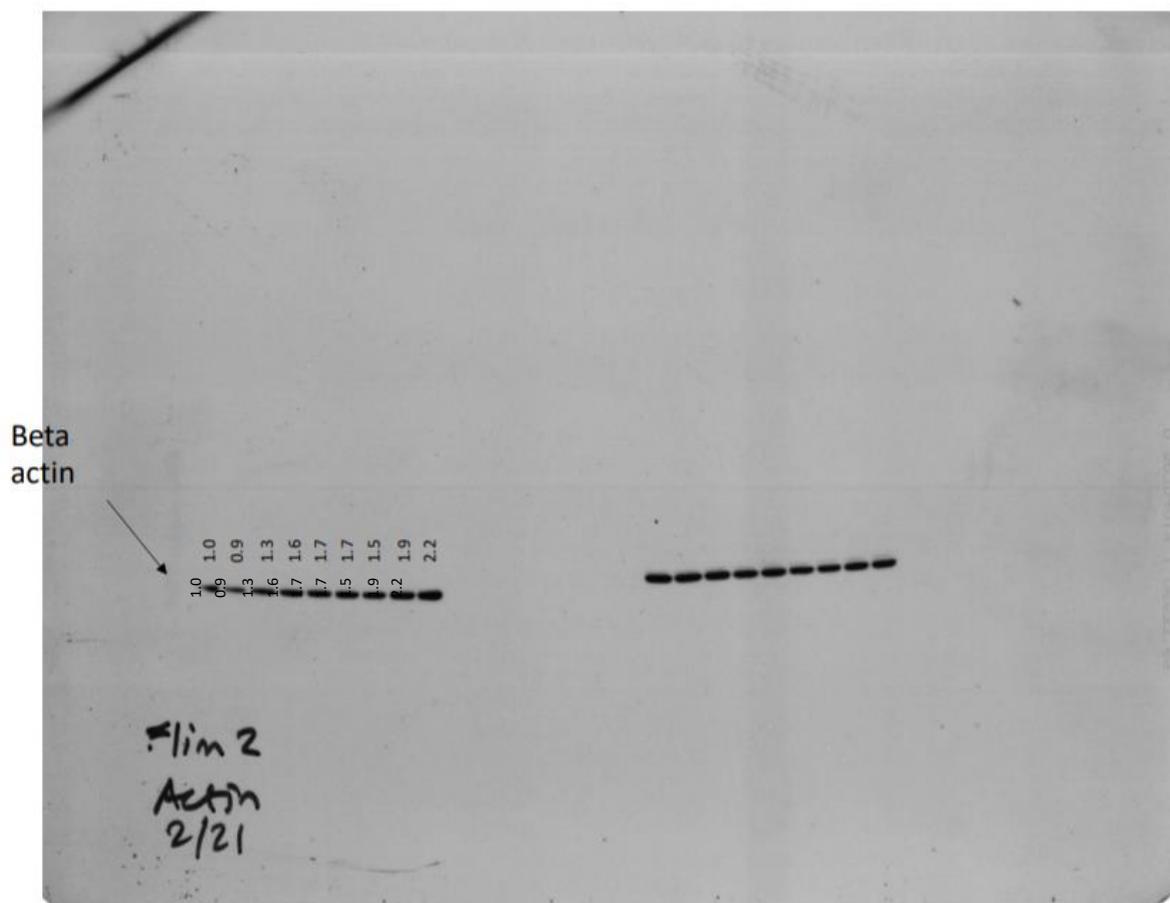


Figure S6. Beta actin blot for Fig 2.B.

References

1. Gambini, L.; Salem, A.F.; Udompholkul, P.; Tan, X.F.; Baggio, C.; Shah, N.; Aronson, A.; Song, J.; Pellecchia, M. Structure-Based Design of Novel EphA2 Agonistic Agents with Nanomolar Affinity in Vitro and in Cell. *ACS Chem Biol* **2018**, *13*, 2633-2644.
2. Salem, A.F.; Gambini, L.; Udompholkul, P.; Baggio, C.; Pellecchia, M. Therapeutic Targeting of Pancreatic Cancer via EphA2 Dimeric Agonistic Agents. *Pharmaceuticals (Basel)* **2020**, *13*.



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