SL-43. State 1(T) inhibitors of activated Ras

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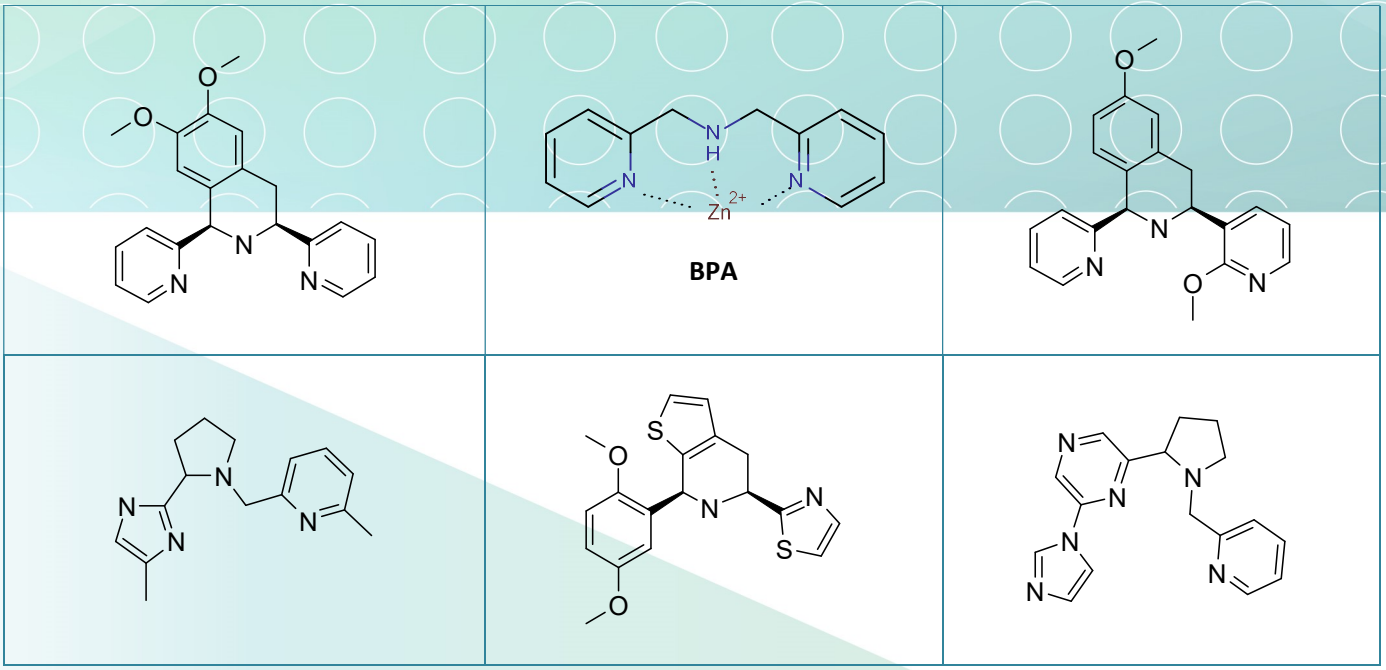
Ras is a family of enzymes belonging to small GTPases, which are expressed in all cells and organs and are involved in transmitting signals within cells. Mutations in *ras* genes can cause the production of permanently activated Ras proteins and ultimately lead to cancer [1]. Inhibition of oncogenic Ras signaling is considered to be an efficient strategy in cancer therapy [2].

Two conformational states of activated Ras have been identified: state 1(T) and state 2(T). Selective stabilization of state 1(T) by small molecules represents a novel strategy for the inhibition of oncogenic Ras signaling [3]. It has been shown that Metal (II) cyclens and Zn^{2+} coordinating bis(2-picolyl)amines (BPA) can recognize the conformational state 1(T) and inhibit the Ras-Raf interaction – an important regulator of cell division [4]. At ASINEX we have identified several rigid analogs of BPA that are able to coordinate with Metal(II) ions and can therefore be exploited as chemical probes for Ras-related research.



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Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#43_State 1(T) inhibitors of activated Ras.sdf

References:

1. J. Biochem. 2015;158(2):91–99 doi:10.1093/jb/mvv060.
2. Nature Chemical Biology 10, 613–622 (2014) doi:10.1038/nchembio.1560
3. The Enzymes, V. 33, 2013, 69–94, doi: 10.1016/B978-0-12-416749-0.00004-X
4. Angew. Chem. Int. Ed. 2012, 51, 1 – 6 doi: 10.1002/anie.201204148

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