

### This week in therapeutics

Indication	Target/marker/pathway	Summary	Licensing status	Publication and contact information
<b>Cancer</b>				
Cancer	Endothelial PAS domain protein 1 (EPAS1; HIF2A)	<i>In vitro</i> and cell culture studies identified a small molecule inhibitor of HIF2A that could help treat cancer. HIF2A is overexpressed in various cancers. A high throughput screen and medicinal chemistry optimization identified a compound that bound an internal cavity in HIF2A with a $K_D$ value of 81 nM and disrupted the formation of heterodimeric hypoxia-inducible factor 2 (HIF2) transcription factor complexes. In human cells grown under hypoxic conditions, the lead molecule decreased the expression of HIF2 target genes compared with vehicle. Next steps could include testing the effects of the lead small molecule in preclinical models for HIF2-driven cancers, such as renal cell carcinoma (RCC).	Patent status undisclosed; licensed to Peloton Therapeutics Inc.	Scheuermann T.H. <i>et al. Nat. Chem. Biol.</i> ; published online Feb. 24, 2013; doi:10.1038/nchembio.1185 <b>Contact:</b> Rick K. Bruick, The University of Texas Southwestern Medical Center, Dallas, Texas e-mail: <a href="mailto:richard.bruick@utsouthwestern.edu">richard.bruick@utsouthwestern.edu</a> <b>Contact:</b> Kevin H. Gardner, same affiliation as above e-mail: <a href="mailto:kevin.gardner@utsouthwestern.edu">kevin.gardner@utsouthwestern.edu</a>
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