Selective inhibitor validates ERK5 as key regulator of ESC identity

JWG045 and JWG071 are selective Erk5 kinase inhibitors without Brd4 liability



Williams et al. Cell Reports. 2016; 16(7):1820-1828.

- *Type:* small molecules (DFCI 1708, 1264)
- *Target:* ERK5 (also known as MAPK7 and BMK-1)
- Investigator: Nathanael Gray, PhD
- **Development stage:** late lead optimization, POC in *in vivo* models
- Patent status: Pyrimido-diazepinone kinase scaffold compounds and methods of treating disorders (PCT/US2010/000050); Pyrimidodiazepinone compounds and methods of treating disorders (PCT/US2014/030760); Uses of diazepane derivatives (PCT/US2015/014120).
- **Competitive advantage:** first class of Erk5 inhibitors had off-target effect on Brd4, and many biological effects were Brd4-dependent; JGG045 and JWG071 are newly developed Erk5 inhibitors with Erk5 selectivity.

• Key publication:

Williams, C. A. C. et al. (2016). Erk5 Is a Key Regulator of Naive-Primed Transition and Embryonic Stem Cell Identity. Cell Reports, 16(7), 1820–1828.

