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# Empesertib

National Cancer Institute

## Source

National Cancer Institute. *Empesertib*. NCI Thesaurus. Code C116074.

An orally bioavailable, selective inhibitor of the serine/threonine monopolar spindle 1 (Mps1) kinase, with potential antineoplastic activity. Upon administration, empesertib binds to and inhibits the activity of Mps1. This causes inactivation of the spindle assembly checkpoint (SAC), accelerated mitosis, chromosomal misalignment, chromosomal missegregation, mitotic checkpoint complex destabilization, and increased aneuploidy. This leads to the induction of cell death in cancer cells overexpressing Mps1. Mps1, a kinase expressed in proliferating normal tissues and aberrantly overexpressed in a wide range of human tumors, is activated during mitosis and is essential for SAC functioning and controls chromosome alignment.