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产品名称：AKTI-2008
产品别名：Akt1 and Akt2-IN-1

生物活性：

Description	Akt1 and Akt2-IN-1 is an allosteric inhibitor of Akt1 (IC50=3.5 nM) and Akt2 (IC50=42 nM), with potent and balanced activity.	
IC_{50} & Target	Akt1	Akt2
	3.5 nM (IC_{50})	42 nM (IC_{50})
In Vitro	Consistent with the allosteric mode of inhibition, Akt1 and Akt2-IN-1 (Compound 17) is dependent on the PH-domain for Akt inhibition, is selective for Akt1/2 over Akt3 (IC50=1900 nM), and is highly selective over other members of the AGC family of kinases (>50 μM vs PKA, PKC, SGK). Akt1 and Akt2-IN-1 (Compound 17) has moderate activity in an hERG binding assay (IC50=5610 nM) and is a substrate for human P-glycoprotein[1].	
In Vivo	Akt1 and Akt2-IN-1 (Compound 17) is well tolerated in at exposures that provide high levels of Akt1 and 2 inhibition in vivo. Akt1 and Akt2-IN-1 (Compound 17) has also been shown to inhibit the growth of A2780 tumors in vivo when used as monotherapy. Akt1 and Akt2-IN-1 (Compound 17) has potent inhibitory activity against Akt1 and 2 in vivo in a mouse lung and efficacy in a tumor xenograft model. Akt1 and Akt2-IN-1 (Compound 17) shows good pharmacokinetics in rat with a low clearance of 4.6 mL/min/kg and a half-life of 3.8 h. Due to the improved cell potency, physical properties, and rodent pharmacokinetics of Akt1 and Akt2-IN-1 (Compound 17), tolerability and Akt inhibition are assessed in mice. Using an acute dosing schedule (IP dosing of 50 mg/kg at times 0, 3, and 8 h), administration of Akt1 and Akt2-IN-1 (Compound 17) is well tolerated in mice and shows high levels of Akt inhibition in mouse lung[1].	
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 35 mg/mL (64.86 mM)** * "≥" means soluble, but saturation unknown.	

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8531 mL	9.2656 mL	18.5312 mL
	5 mM	0.3706 mL	1.8531 mL	3.7062 mL
	10 mM	0.1853 mL	0.9266 mL	1.8531 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. Bilodeau MT, Allosteric inhibitors of Akt1 and Akt2: a naphthyridinone with efficacy in an A2780 tumor xenograft model. Bioorg Med Chem Lett. 2008 Jun 1;18(11):3178-82.