产品名称：Ridaforolimus (Deforolimus, MK-8669)
产品别名：Deforolimus

生物活性：

Description	Deforolimus (AP23573; MK-8669) is a potent and selective **mTOR** inhibitor; inhibits ribosomal protein S6 phosphorylation with an **IC_{50}** of 0.2 nM in HT-1080 cells.
IC_{50} & Target	mTOR[1]
In Vitro	Treatment of HT-1080 fibrosarcoma cells with deforolimus results in a dose-dependent inhibition of phosphorylation of both S6 and 4E-BP1, with IC50s of 0.2 and 5.6 nM, respectively, and EC50s of 0.2 and 1.0 nM, respectively. In HT-1080 cells, the EC50 for inhibition of cell proliferation (0.5 nM) is similar to the EC50s for inhibition of S6 and 4E-BP1 phosphorylation. Exposure to deforolimus reduces the proliferation of cell lines representing a variety of tumor types. Administration of deforolimus to tumor cells in vitro elicit dose-dependent inhibition of mTOR activity with concomitant effects on cell growth and division. Deforolimus exhibits a predominantly cytostatic mode of action, consistent with the findings for other mTOR inhibitors. Potent inhibitory effects on vascular endothelial growth factor secretion, endothelial cell growth, and glucose metabolism[1].
In Vivo	Deforolimus inhibits tumor growth in mice bearing PC-3 (prostate), HCT-116 (colon), MCF7 (breast), PANC-1 (pancreas), or A549 (lung) xenografts. Deforolimus inhibits tumor growth in a dose-dependent manner, with 0.3 mg/kg being the lowest dose that inhibits tumor growth significantly and 3 and 10 mg/kg doses achieving maximum inhibition[1].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 44 mg/mL (44.44 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0099 mL	5.0494 mL	10.0989 mL
	5 mM	0.2020 mL	1.0099 mL	2.0198 mL
	10 mM	0.1010 mL	0.5049 mL	1.0099 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；该产品在溶液状态不稳定，建议您现用现配，即刻使用。

In Vivo:

1.Deforolimus is dissolved in 1% DMSO in sunflower seed oil[2].

References	[1]. Rivera VM, et al. Deforolimus (AP23573; MK-8669), a potent mTOR inhibitor, has broad antitumor activity and can be optimally administered using intermittent dosing regimens. Mol Cancer Ther. 2011 Jun;10(6):1059-71. [2]. Brandt M, et al. mTORC1 Inactivation Promotes Colitis-Induced Colorectal Cancer but Protects from APC Loss-Dependent Tumorigenesis. Cell Metab. 2018 Jan 9;27(1):118-135.e8.

实验参考：

Cell Assay	Cells are treated with 10-fold serial dilutions of deforolimus (1,000 to 0.0001 nM) or vehicle (ethanol). Following 72 hours culture at 37°C, the plates are aspirated and stored at −80°C for proliferation analysis[1].
Animal Administration	Mice: Animals selected with tumors in the proper size range are assigned to various treatment groups. Deforolimus, at dosages of 3 and 10 mg/kg, is administered i.p. on 2 different treatment

	schedules: (a) daily, 5 continuous days every other week and (b) once weekly. The control group is untreated[1].
References	[1]. Rivera VM, et al. Deforolimus (AP23573; MK-8669), a potent mTOR inhibitor, has broad antitumor activity and can be optimally administered using intermittent dosing regimens. Mol Cancer Ther. 2011 Jun;10(6):1059-71. [2]. Brandt M, et al. mTORC1 Inactivation Promotes Colitis-Induced Colorectal Cancer but Protects from APC Loss-Dependent Tumorigenesis. Cell Metab. 2018 Jan 9;27(1):118-135.e8.