产品名称：

8-(6-methoxypyridin-3-yl)-3-methyl-1-(4-(piperazin-1-yl)-3-(trifluoromethyl)phenyl)-1H-imidazo[4,5-c]quinolin-2(3H)-one Maleic a

产品别名：**BGT226 maleate**

生物活性：

Description	BGT226 maleate (NVP-BGT226 maleate) is a PI3K (with IC50s of 4 nM, 63 nM and 38 nM for PI3Kα, PI3Kβ and PI3Kγ) /mTOR dual inhibitor which displays potent growth-inhibitory activity against human head and neck cancer cells[1][2].				
IC_{50} & Target	PI3Kα	PI3Kβ	PI3Kγ	mTOR	Autophagy
	4 nM (IC_{50})	63 nM (IC_{50})	38 nM (IC_{50})		
In Vitro	BGT226 shows significant growth inhibition or signal blockage profiles compared with LY294002 and Rapamycin. BGT226 (10-10000 nM) inhibits FaDu and OECM1 cells growth with IC50s of 23.1±7.4 and 12.5±5.1 nM, respectively [2]. The expression levels of p-mTOR Ser2481 are decreased in BGT226-treated cell lines (200 nM; 24 hours) and both p-AKT Ser473 and p-mTOR Ser2448 are also decreased in BGT226-treated cell lines[2].				
	Cell Viability Assay[2]				
	Cell Line:	FaDu cells; OECM1 cells			
	Concentration:	10, 100, 1000, 10000 nM			
	Incubation Time:				
	Result:	Inhibited FaDu and OECM1 cells growth with IC_{50}s of 23.1±7.4 and 12.5±5.1 nM, respectively.			
	Western Blot Analysis[2]				
	Cell Line:	FaDu cells; OECM1 cells			
	Concentration:	200 nM			
	Incubation Time:	24 hour			
	Result:	p-mTOR Ser2481 expression levels decreased, and both p-AKT Ser473 and p-mTOR Ser2448 expression levels also decreased.			
In Vivo	BGT226 (2.5 and 5 mg/kg; oral administration for 21 days in male athymic mice) causes 34.7% and 76.1% reduction of the tumor growth on day 21 compared with control[2].				
	Animal Model:	Male athymic mice (strain BALB/cAnN.Cg-Foxn1nu/CrlNarl) with FaDu cell xenografted mouse model[2]			
	Dosage:	2.5 and 5 mg/kg			
	Administration:	Oral administration; 21 days			
	Result:	Caused 34.7% and 76.1% reduction of the tumor growth.			

In Vitro:

DMSO : 42.86 mg/mL (**65.88 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	**1 mg**	**5 mg**	**10 mg**
	1 mM	1.5370 mL	7.6852 mL	15.3704 mL
	5 mM	0.3074 mL	1.5370 mL	3.0741 mL
	10 mM	0.1537 mL	0.7685 mL	1.5370 mL

Solvent&Solubility	*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。 ***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (3.84 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.84 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Markman B, et al. Phase I safety, pharmacokinetic, and pharmacodynamic study of the oral phosphatidylinositol-3-kinase and mTOR inhibitor BGT226 in patients with advanced solid tumors. Ann Oncol. 2012 Sep;23(9):2399-408. [2]. Chang KY, et al. Novel phosphoinositide 3-kinase/mTOR dual inhibitor, NVP-BGT226, displays potent growth-inhibitory activity against human head and neck cancer cells in vitro and in vivo. Clin Cancer Res. 2011 Nov 15;17(22):7116-26.