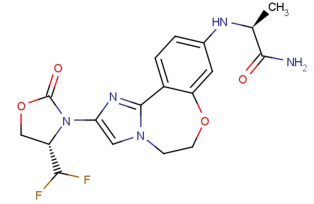


Data Sheet (Cat.No.T15375)

GDC-0077

**Chemical Properties**

CAS No.: 2060571-02-8  
 Formula: C18H19F2N5O4  
 Molecular Weight: 407.37  
 Appearance: N/A  
 Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



**Biological Description**

Description	GDC-0077 is an orally available and selective inhibitor of PI3K $\alpha$ (IC <sub>50</sub> : 0.038 nM). GDC-0077 is more selective for mutant versus wild-type PI3K $\alpha$ . It exerts its activity by binding to the ATP binding site of PI3K, thereby inhibiting the phosphorylation of PIP2 to PIP3.
Targets(IC <sub>50</sub> )	PI3K $\alpha$ : 0.038 nM
In vitro	GDC-0077 is >300-fold more selective for PI3K $\alpha$ over the other class I PI3K isoforms ( $\beta$ , $\delta$ , and $\gamma$ ). GDC-0077 is >2000-fold more selective over PIK family members. GDC-0077 selectively degrades mutant PI3K $\alpha$ in a proteasome-dependent fashion. When compared to PIK3CA wild-type cells, it results in a reduction of PI3K pathway activity biomarkers such as pAKT and pPRAS40, inhibition of cell proliferation. It also increased apoptosis in human PIK3CA-mutant breast cancer cell lines to a greater extent.
In vivo	In patient-derived PIK3CA-mutant breast cancer xenograft models, GDC-0077 (p.o.) causes tumor regressions, induction of apoptosis, and a reduction of pAKT, pPRAS40, and pS6RP in a dose-dependent fashion.

**Solubility Information**

Solubility	DMSO: 180 mg/mL (441.86 mM) ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.455 mL	12.274 mL	24.548 mL
5 mM	0.491 mL	2.455 mL	4.91 mL
10 mM	0.245 mL	1.227 mL	2.455 mL
50 mM	0.049 mL	0.245 mL	0.491 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. R Hong, Abstract PD4-14: GDC-0077 is a selective PI3Kalpha inhibitor that demonstrates robust efficacy in PIK3CA mutant breast cancer models as a single agent and in combination with standard of care therapies. 2017 San Antonio Breast Cancer Symposium.

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