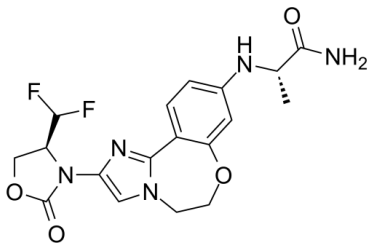
Data Sheet

Product Name:	GDC-0077
Cat. No.:	CS-6459
CAS No.:	2060571-02-8
Molecular Formula:	C18H19F2N5O4
Molecular Weight:	407.37
Target:	Apoptosis; PI3K
Pathway:	Apoptosis; PI3K/Akt/mTOR
Solubility:	DMSO : ≥ 180 mg/mL (441.86 mM)



BIOLOGICAL ACTIVITY:

GDC-0077 (RG6114) is a potent, orally available, and selective **PI3Kα** inhibitor (**IC_{50}**=0.038 nM). GDC-0077 (RG6114) exerts its activity by binding to the ATP binding site of PI3K, thereby inhibiting the phosphorylation of PIP2 to PIP3. GDC-0077 (RG6114) is more selective for mutant versus wild-type PI3Kα[1]. IC50 & Target: IC50: 0.038 nM (PI3Kα)[1] **In Vitro:** GDC-0077 (RG6114) is >300-fold more selective for PI3Kα over the other class I PI3K isoforms (β, δ, and γ) and >2000-fold more selective over PIK family members. GDC-0077 selectively degrades mutant PI3Kα in a proteasome-dependent fashion resulting in reduction of PI3K pathway activity biomarkers such as pAKT and pPRAS40, inhibition of cell proliferation, and increased apoptosis in human PIK3CA-mutant breast cancer cell lines to a greater extent when compared to PIK3CA wild-type cells[1]. **In Vivo:** GDC-0077 (p.o.) results in tumor regressions, induction of apoptosis, and a reduction of pAKT, pPRAS40, and pS6RP in a dose-dependent fashion in patient-derived PIK3CA-mutant breast cancer xenograft models[1].

References:

[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.

CAIndexNames:

Propanamide, 2-[[2-[(4S)-4-(difluoromethyl)-2-oxo-3-oxazolidinyl]-5,6-dihydroimidazo[1,2-d][1,4]benzoxazepin-9-yl]amino]-, (2S)-

SMILES:

C[C@@H](C(N)=O)NC1=CC=C(C2=NC(N3[C@H](C(F)F)COC3=O)=CN2CCO4)C4=C1

Caution: Product has not been fully validated for medical applications. For research use only.

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