PRODUCT INFORMATION



GDC-0326

Item No. 19241

CAS Registry No.:	1282514-88-8	
Formal Name:	(2S)-2-[[5,6-dihydro-2-[1-(1-methylethyl)-1H-1,2,4-	
	triazol-5-yl]imidazo[1,2-d][1,4]benzoxazepin-9-yl]	
	oxy]-propanamide	
MF:	$C_{19}H_{22}N_6O_3$	\sqrt{N}
FW:	382.4	
Purity:	≥98%	N TI T
UV/Vis.:	λ _{max} : 276, 306 nm	
Supplied as:	A crystalline solid	
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.		

Laboratory Procedures

GDC-0326 is supplied as a crystalline solid. A stock solution may be made by dissolving the GDC-0326 in the solvent of choice. GDC-0326 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GDC-0326 in these solvents is approximately 30 mg/ml. GDC-0326 is slightly soluble in ethanol.

Description

GDC-0326 is an inhibitor of phosphatidylinositol 3-kinase α (PI3K α ; K_i = 0.2 nM) that is 133-, 20-, and 51-fold selective for PI3K α over PI3K β , PI3K δ , and PI3K γ , respectively.¹ It inhibits proliferation of MCF7neo/HER2 and PC3 cells (EC₅₀s = 0.1 and 2.2 µM, respectively). In vivo, GDC-0326 (6.25 mg/kg) induces tumor regression in a KPL-4 mouse xenograft model. It also reduces tumor growth, tumor vasculature, and the number of liver and lymph node metastases in the RIP1-Tag2 transgenic mouse model of pancreatic neuroendocrine tumors.²

References

- 1. Heffron, T.P., Heald, R.A., Ndubako, C.O., et al. The rational design of selective benzoxazepin inhibitors of the α -isoform of phosphoinositide 3-kinase culminating in the identification of (S)-2-((2-(1-isopropy)-1H-1,2,4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl)oxy)propanamide (GDC-0326). J. Med. Chem. 59(3), 985-1002 (2016).
- 2. Soler, A., Figueiredo, A.M., Castel, P., et al. Therapeutic benefit of selective inhibition of p110α PI3-kinase in pancreatic neuroendocrine tumors. Clin. Cancer Res. 22(23), 5805-5817 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

SAFETY DATA This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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