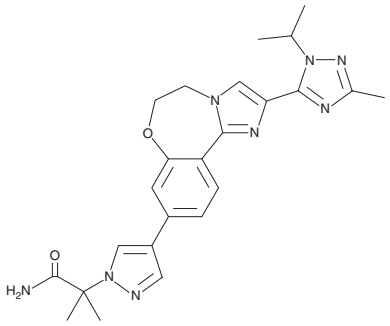
PRODUCT INFORMATION

GDC-0032

Item No. 17387

CAS Registry No.:	1282512-48-4
Formal Name:	4-[5,6-dihydro-2-[3-methyl-1-(1-methylethyl)-1H-1,2,4-triazol-5-yl] imidazo[1,2-d][1,4]benzoxazepin-9-yl]-α,α-dimethyl-1H-pyrazole-1-acetamide
Synonym:	Taselisib
MF:	$C_{24}H_{28}N_8O_2$
FW:	460.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 217, 301, 321 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-0032 is supplied as a crystalline solid. A stock solution may be made by dissolving the GDC-0032 in the solvent of choice. GDC-0032 is soluble in organic solvents such as ethanol and DMSO. It is also soluble in water. The solubility of GDC-0032 in ethanol and water is <1 mg/ml and approximately 70 mg/ml in DMSO. We do not recommend storing the aqueous solution for more than one day.

Description

GDC-0032 is a potent inhibitor of phosphatidylinositol 3-kinase (PI3K) isoforms α, δ, and γ (IC_{50}s = 0.28, 0.12, and 0.97 nM, respectively) that is 31 times less potent at PI3Kβ.[1] It is over 1,000-fold selective for p100α over other PI3K-like kinases, including DNA-dependent protein kinase catalytic subunits, ATM, and ATR. GDC-0032 has increased potency in cancer cell lines harboring PIK3CA-activating alterations, and is effective *in vivo*, suppressing the growth of tumors in a mouse xenograft model at low drug dose levels.[1-3]

References

1. Ndubaku, C. O., Heffron, T. P., Staben, S. T. *et al.* Discovery of 2-{3-[2-(1-isopropyl-3-methyl-1H-1,2-4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl]-1H-pyrazol-1-yl}-2-methylpropanamide (GDC-0032): A β-sparing phosphoinositide 3-kinase inhibitor with high unbound exposure and robust *in vivo* antitumor activity. *J. Med. Chem.* **56(11)**, 4597-45610 (2013).
2. Lopez, S., Schwab, C. L., Cocco, E., *et al.* Taselisib, a selective inhibitor of PIK3CA, is highly effective on PIK3CA-mutated and HER2/neu amplified uterine serous carcinoma *in vitro* and *in vivo*. *Gynecol. Oncol.* **135(2)**, 312-317 (2014).
3. Zumsteg, Z. S., Morse, S., Krigsfeld, G., *et al.* Taselisib (GDC-0032), a potent β-sparing small molecule inhibitor of PI3K, radiosensitizes head and neck squamous carcinomas containing activating PIK3CA alterations. Clin. Cancer. Res. **22(8)**, 2009-2019 (2016).

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