

PRODUCT INFORMATION

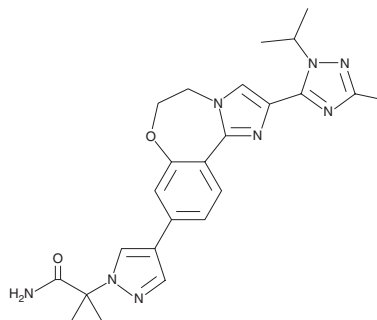


GDC-0032

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: C₂₄H₂₆N₈O₂
FW: 460.5
Purity: $\geq 98\%$
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 (IC₅₀s = 0.28, 0.12, and 0.97 nM, respectively) that is 31 times less potent at PI3K β .¹ It is over 1,000-fold selective for p100 α 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).

WARNING

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

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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