

Product Datasheet

Taselisib

Catalog No. **BP-02499** · CAS **1282512-48-4** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

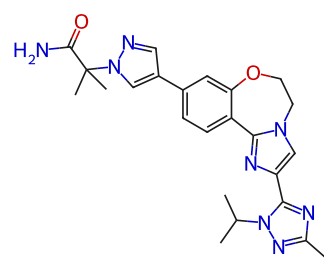
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Target PI3K	Research area Cancer
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Molecular formula **C₂₄H₂₈N₈O₂**

Molecular weight **460.5315**

STRUCTURE



DESCRIPTION

Taselisib (GDC-0032) is a potent PI3K inhibitor targets PIK3CA mutations, with Kis of 0.12 nM, 0.29 nM, 0.97 nM, and 9.1 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively.

BIOLOGICAL ACTIVITY

GDC-0032 is an orally bioavailable, potent and selective inhibitor of Class I PI3Kα, δ and γ isoforms, with 30 fold less inhibition of the PI3K β isoform relative to the PI3Kα isoform. GDC-0032 inhibits MCF7-neo/HER2 cells proliferation with IC50 of 2.5 nM. The combination of GDC-0032 with tamoxifen enhances the efficacy of tamoxifen in vivo (102%TGI for GDC-0032). GDC-0032 is currently in a phase I clinical trial.

SOLUBILITY

Soluble in DMSO;H2O

REFERENCES

- [1]. Zachary S. Zumsteg, 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. 2016 Apr 15; 22(8): 2009–2019.
- [2]. Marian M. Deuker, et al. PI3'-Kinase Inhibition Forestalls the Onset of MEK1/2 Inhibitor Resistance in BRAF-Mutated Melanoma. Cancer Discov. 2015 Feb; 5(2): 143–153.
- [3]. Ndubaku CO, 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. 2013 Jun 13;56(11):4597-610.

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