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Data Sheet

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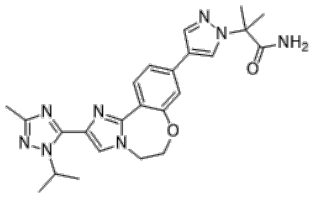
Product Name	:	Taselisib
Cat. No.	:	PC-22488
CAS No.	:	1282512-48-4
Molecular Formula	:	$C_{24}H_{28}N_8O_2$
Molecular Weight	:	460.54
Target	:	PI3K
Solubility	:	10 mM in DMSO



Biological Activity

Taselisib (GDC-0032) is a potent, selective inhibitor of PIK3CA (PI3Kα) with Ki of 0.29 nM and pAkt IC50 of 4 nM, 31-fold selective over PI3Kβ.
Taselisib (GDC-0032) maintains biochemical selectivity over the non-class I PI3Ks, with IC50 values for PI3K-C2 beta and hVPS34 measuring 292 and 374 nM, respectively.
Taselisib (GDC-0032) achieves a 1000-fold selectivity against a panel of potential off-targets.
Taselisib (GDC-0032) potently inhibits cell proliferation of MCF7-neo/HER2 cells with IC50 of 25 nM.
Taselisib (GDC-0032) (2.8 mg/kg) resulted in a similar decrease in Akt phosphorylation (59%).
Taselisib (GDC-0032) orally at 1.4, 2.8, 5.8, 11.25, or 22.5 mg/kg resulted in dose-dependent increase in TGI (19%, 76%, 95%, 103%, and 123%, respectively) and tumor regressions in the MCF7-neo/Her2 xenograft model grown in nude mice.

References

Zumsteg ZS, et al. Clin Cancer Res. 2016 Apr 15;22(8):2009-19.

Ndubaku CO, et al. J Med Chem. 2013 Jun 13;56(11):4597-610.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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