PI3K-IN-2

Cat. No.: HY-101517

CAS No.: 1403458-28-5

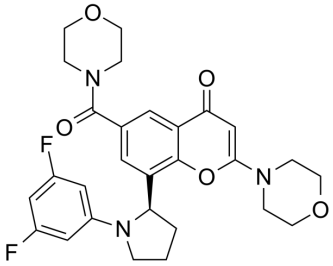
Molecular Formula: $C_{28}H_{29}F_2N_3O_5$

Molecular Weight: 525.54

Target: PI3K

Pathway: PI3K/Akt/mTOR

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PI3K-IN-2 (compound 10) is a potent and orally active PI3Kβ/δ (IC_{50}=7.1/8.6 nM) inhibitor with excellent selectivity versus PI3Kσ and PI3Kγ (IC_{50}=13/190 nM, respectively)[1].			
IC_{50} & Target	PI3Kα 13 nM (IC_{50})	PI3Kβ 7.1 nM (IC_{50})	PI3Kδ 190 nM (IC_{50})	PI3Kγ 8.6 nM (IC_{50})
In Vitro	PI3K-IN-2 (compound 10) shows PI3Kβ cell IC_{50} 1.1 nM in phosphatase and tensin homolog (PTEN) null MDA-MB-468 cell and PI3Kδ cell IC_{50} 14 nM in Jeko-1 B-cell[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	PI3K-IN-2 shows profound pharmacodynamic modulation of AKT phosphorylation in PTEN-deficient PC3 prostate tumour bearing mice after oral administration and gave significant inhibition of tumour growth in the same xenograft model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Barlaam B, et al. Discovery of a series of 8-(1-phenylpyrrolidin-2-yl)-6-carboxamide-2-morpholino-4H-chromen-4-one as PI3Kβ/δ inhibitors for the treatment of PTEN-deficient tumours. Bioorg Med Chem Lett. 2017 May 1;27(9):1949-1954.

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