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## Product Data Sheet

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Product Name: PI3K-IN-2  
 Cat. No.: GC36907

### Chemical Properties

Cas. No. 1403458-28-5

SMILES O=C1C=C(N2CCOCC2)OC3=C1C=C(C(N4CCOC4)=O)C=C3[C@@H]5N(C6=CC(F)=CC(F)=C6)CCC5

Formula  $C_{28}H_{29}F_2N_3O_5$  M.Wt 525.54

Solubility Soluble in DMSO Storage Store at -20°C

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath tips for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice Condition upon request.

Structure

### Background

PI3K-IN-2 (compound 10) is a potent and orally active PI3K $\beta/\delta$  (IC<sub>50</sub>=7.1/8.6 nM) inhibitor with excellent selectivity versus PI3K $\alpha$  and PI3K $\gamma$  (IC<sub>50</sub>=13/190 nM, respectively)[1]. PI3K $\alpha$ |13 nM (IC<sub>50</sub>)|PI3K $\beta$ |7.1 nM (IC<sub>50</sub>)|PI3K $\delta$ |190 nM (IC<sub>50</sub>)|PI3K $\gamma$ |8.6 nM (IC<sub>50</sub>)

PI3K-IN-2 (compound 10) shows PI3K $\beta$  cell IC<sub>50</sub> 1.1 nM in phosphatase and tensin homolog (PTEN) null MDA-MB-468 cell and PI3K $\delta$  cell IC<sub>50</sub> 14 nM in Jeko-1 B-cell[1].

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].

[1]. Barlaam B, et al. Discovery of a series of 8-(1-phenylpyrrolidin-2-yl)-6-carboxamide-2-morpholino-4H-chromen-4-one as PI3K $\beta/\delta$  inhibitors for the treatment of PTEN-deficient tumours. Bioorg Med Chem Lett. 2017 May 1;27(9):1949-1954.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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