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Catalog Number: CM06025

产品信息

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CAS号: 1321924-70-2

分子式: C₂₀H₂₄ClFN₆O

主要靶点: PKC

主**要通路:** 表观遗传|细胞骨架

分子量: 418.9 溶解度:

DMSO:125 mg/mL (298.40 mM),Sonification is recommended.

靶点活性

PKC δ :16 nM (ki)|PKC θ :0.08 nM (ki)|PKC α :356 nM (ki)

It has also been found that VTX-27 has good selectivity to other PKC family members, especially the classic isoforms (except for PKC β I, >1000 times, 200 times) and atypical isoforms (>10000 times). As expected, it is more challenging to obtain selectivity for more closely related novel PKC family members, which is 200 times more selective than PKC δ .

体内活性

VTX-27 shows the best PK profile with low clearance (7 mL/min/kg), good oral bioavailability (65%), and long half-life (4.7 h). A single dose of VTX-27 is administered orally at 6.25, 12.5, 25, and 50 mg/kg (e.g., at 25 mg/kg Cmax concentration 700 ng/mL) and demonstrates potent dose-dependent inhibition of IL-2 production.

VTX-27 is a selective inhibitor of protein kinase C $\,^{\,\theta}$ (PKC $\,^{\,\theta}$) (Kis: 0.08 nM and 16 nM for PKC $\,^{\,\theta}$ and PKC $\,^{\,\delta}$).

Powder: -20°C for 3 years | In solvent: -80°C for 2 years