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PDE2 / PDE10-IN-1

Catalog No: tcsc0035357

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:
1426833-08-0

Formula:
$C_{15}H_{10}ClN_5$

Pathway:
Metabolic Enzyme/Protease

Target:
Phosphodiesterase (PDE)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
295.73

Product Description

PDE2/PDE10-IN-1 is a phosphodiesterase 2 (**PDE2**) and **PDE10** inhibitor with **IC_{50}**s of 29 and 480 nM, respectively.

IC50 & Target: IC50: 29 nM (hPDE2A), 480 nM (rPDE10A), 5890 nM (hPDE4D), 6920 nM (hPDE11A), >10000 nM (hPDE1A, hPDE3B,

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hPDE5A, hPDE6AB, hPDE7A and hPDE9A)[1]

In Vitro: PDE2/PDE10-IN-1 (Compound 6) inhibits PDE2 and PDE10, respectively, with an IC_{50} value of 29 and 480 nM. PDE2/PDE10-IN-1 also inhibits PDE11A and PDE4D with IC_{50}s of 6920 nM and 5890 nM, respectively. In addition PDE2/PDE10-IN-1 does not show significant inhibition of a panel of CYP450 enzymes (CYP1A2, 2C9, 2D6, 2C19, and 3A4). PDE2/PDE10-IN-1 is also inactive up to a concentration of 125 μg/mL in a bacterial mutagenicity assay[1].

In Vivo: The PK properties of PDE2/PDE10-IN-1 are studied in rats after 2.5 mg/kg i.v. and 10 mg/kg p.o. administration. After i.v. administration, a rapid clearance is observed ($t_{1/2}$=0.47 h), which is not expected based on the in vitro metabolic stability in rat liver microsomes (rLMs). Interestingly, PDE2/PDE10-IN-1 shows much slower clearance after p.o. administration ($t_{1/2}$=2.36 h), resulting in good bioavailability and a maximum plasma concentration (C_{max}) of 997 ng/mL. PDE2/PDE10-IN-1 is assessed for its potential to cross the blood–brain barrier in rats after 10 mg/kg s.c. administration. PDE2/PDE10-IN-1 shows good formulatability with 10 to 20% HPβCD at pH>3.5. The brain concentration for PDE2/PDE10-IN-1 after 1 h administration is in the range of 370-895 ng/g with high brain free fractions and brain/plasma ratios. More specifically, PDE2/PDE10-IN-1, which is orally bioavailable, occupies PDE2 with an ED_{50} of 21 mg/kg[1].