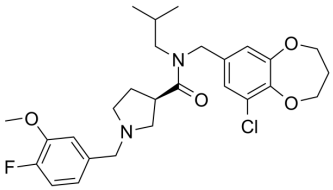
PKRA83

Cat. No.:	HY-147056
CAS No.:	1233926-87-8
Molecular Formula:	$C_{27}H_{34}ClFN_2O_4$
Molecular Weight:	505.02
Target:	Others
Pathway:	Others
Storage:	Pure form -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (49.50 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9801 mL	9.9006 mL	19.8012 mL
	5 mM	0.3960 mL	1.9801 mL	3.9602 mL
	10 mM	0.1980 mL	0.9901 mL	1.9801 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PKRA83 (PKRA7) is a potent prokineticin (PK2) antagonist, which can compete for the binding of PK2 to its receptors PKR1 and PKR2. PKRA83 potently inhibits PK2 receptors, with IC_{50} values of 5.0 nM and 8.2 nM for PKR1 and PKR2, respectively. PKRA83 has anticancer, anti-arthritis and anti-angiogenic activities. PKRA83 can penetrate the blood-brain barrier[1][2][3].

IC_{50} & Target

IC50: 5.0 nM (PKR1) and 8.2 nM (PKR2)[1]

In Vitro

PKRA83 (1 μg/mL) effectively reduces PK2-induced microvascular endothelial cell branching in vitro[1].
PKRA83 (2 μM, 24 h) blocks the neuroprotective action of rPK2 in dopaminergic N27 cells (rPK2 protects N27 cell against MPP^+-induced dopaminergic neuronal cell death)[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PKRA83 (20 mg/kg; i.p) shows anti-tumor activity in the context of glioblastoma xenograft tumor models[1].
PKRA83 (15 mg/kg; i.p, daily for 2 weeks) inhibits arthritis in mice with collagen-induced arthritis[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	glioblastoma (D456MG glioma cell) nu/nu mouse xenograft tumor model[1]
Dosage:	20 mg/kg
Administration:	i.p, daily
Result:	Decreased tumor growth rate and tumor weight. Decreased relative blood vessel density and Increased areas of necrotic regions in tumors.

Animal Model:	Collagen-induced arthritis in mice[2]
Dosage:	15 mg/kg
Administration:	i.p, daily for 2 weeks
Result:	Showed less extensive inflammatory cell infiltration and synovial thickening in the joints (Histological evaluation). Lowered IL-1β and 1 L-6 gene expression levels in the joints.

REFERENCES

[1]. Valerie F Curtis, et al. A PK2/Bv8/PROK2 antagonist suppresses tumorigenic processes by inhibiting angiogenesis in glioma and blocking myeloid cell infiltration in pancreatic cancer. PLoS One. 2013;8(1):e54916.

[2]. Ito H, et al. Prokineticin 2 antagonist, PKRA7 suppresses arthritis in mice with collagen-induced arthritis. BMC Musculoskelet Disord. 2016 Sep 8;17(1):387.

[3]. Gordon R, et al. Prokineticin-2 upregulation during neuronal injury mediates a compensatory protective response against dopaminergic neuronal degeneration. Nat Commun. 2016 Oct 5;7:12932.

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