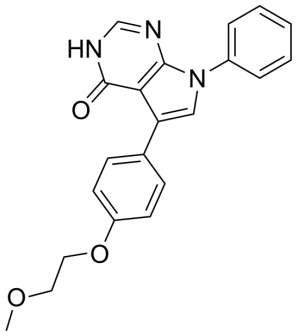
DMX-5804

Cat. No.:	HY-111754
CAS No.:	2306178-56-1
Molecular Formula:	$C_{21}H_{19}N_3O_3$
Molecular Weight:	361
Target:	MAP4K
Pathway:	MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (346.26 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7701 mL	13.8504 mL	27.7008 mL
	5 mM	0.5540 mL	2.7701 mL	5.5402 mL
	10 mM	0.2770 mL	1.3850 mL	2.7701 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DMX-5804 is a potent, orally active and selective MAP4K4 inhibitor, with an IC_{50} of 3 nM, a pIC_{50} of 8.55 for human MAP4K4, less potent on MINK1/MAP4K6 (pIC_{50}, 8.18), and TNIK/MAP4K7 (pIC_{50}, 7.96). DMX-5804 enhances cardiomyocyte survival, and reduces ischemia-reperfusion injury in mice[1].

IC_{50} & Target				
	MAP4K4 3 nM (IC_{50})	MAP4K4 8.55 (pIC_{50})	MINK1/MAP4K6 8.18 (pIC_{50})	TNIK/MAP4K7 7.96 (pIC_{50})
	GCK/MAP4K2	KHS/MAP4K5	GLK/MAP4K3	MLK1/MAP3K9

	6.50 (pIC_{50})	6.36 (pIC_{50})	4.95 (pIC_{50})	7.19 (pIC_{50})
	MLK3/MAP3K11 6.99 (pIC_{50})	NUAK 6.88 (pIC_{50})	VEGFR 5.72 (pIC_{50})	ABL1 5.80 (pIC_{50})
	Aurora B 5.49 (pIC_{50})	FLT3 5.31 (pIC_{50})	GSK3β 4.66 (pIC_{50})	
In Vitro	DMX-5804 exhibits great selectivity at MAP4K4 over other kinases, such as GCK/MAP4K2 (pIC_{50}, 6.50), GLK/MAP4K3 (pIC_{50}, 4.95), KHS/MAP4K5 (pIC_{50}, 6.36), ABL1 (pIC_{50}, 5.80), Aurora B (pIC_{50}, 5.49), FLT3 (pIC_{50}, 5.31), GSK3β (pIC_{50}, 4.66), MLK1/MAP3K9 (pIC_{50}, 7.19), MLK3/MAP3K11 (pIC_{50}, 6.99), NUAK (pIC_{50}, 6.88) and VEGFR (pIC_{50}, 5.72)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

REFERENCES

[1]. Fiedler LR, et al. MAP4K4 Inhibition Promotes Survival of Human Stem Cell-Derived Cardiomyocytes and Reduces Infarct Size In Vivo. Cell Stem Cell. 2019 Mar 1. pii: S1934-5909(19)30013-X.

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