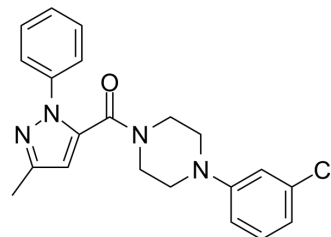


XRP44X

Cat. No.:	HY-107753		
CAS No.:	729605-21-4		
Molecular Formula:	C ₂₁ H ₂₁ ClN ₄ O		
Molecular Weight:	380.87		
Target:	Ras		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (131.28 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.6256 mL	13.1278 mL	26.2557 mL
	5 mM	0.5251 mL	2.6256 mL	5.2511 mL
	10 mM	0.2626 mL	1.3128 mL	2.6256 mL

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

BIOLOGICAL ACTIVITY

Description

XRP44X inhibits Ras-induced transcription activation with the IC₅₀ of 10 nM. XRP44X inhibits activation of the Ras-Erk-1/2 pathway by FGF-2^[1]. XRP44X is an inhibitor of Ras/Erk activation of Elk3 that also affects microtubules^[2].

IC₅₀ & Target

Ras
10 nM (IC₅₀)

In Vitro

XRP44X is an indirect inhibitor of Net phosphorylation that acts upstream from Erk-1/2 activation. XRP44X inhibits luciferase activity with the IC₅₀ of 10 nM^[1].

XRP44X (10 nM) inhibits cell growth of HUVEC, NIH3T3, HCT-116, and NIH3T3-Ki-Ras cells^[1].

XRP44X (100 nM) inhibits phosphorylation of Mek-1/2 and Raf-1^[1].

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

Cell Proliferation Assay^[1]

Cell Line: HUVEC, NIH3T3, HCT-116, and NIH3T3-Ki-Ras cells

Concentration:	10 nM
Incubation Time:	24, 48, 72, 96 hours
Result:	Inhibited HUVEC, HCT 116, NIH3T3, and NIH3T3-RAS cells proliferation with IC ₅₀ s of 2.2±0.5 nM, 2.3±0.5 nM, 4±0.5 nM, and 2.3±0.5 nM, respectively. Inhibited the growth of immortalized mouse fibroblasts.
Western Blot Analysis ^[1]	
Cell Line:	HUVEC cells
Concentration:	100 nM
Incubation Time:	Pretreated for 90 minutes
Result:	Inhibited phosphorylation of Rsk-1. Inhibited phosphorylation of Erk-1/2 on sites required for its activation without affecting overall levels of Erk-1/2.

In Vivo

XRP44X may have therapeutic potential for refractory asthma^[1].
XRP44X, an inhibitor of Ras/Erk activation of the transcription factor Elk3, inhibits tumor growth and metastasis in mice. XRP44X inhibits tumor growth and metastasis in nude mice. XRP44X decreases the growth of tumours and the formation of metastases in nude mice^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male nude mice (BALB/c nu/nu) ^[2]
Dosage:	1 mg/kg
Administration:	Intraperitoneal injection; treated daily for 6 days
Result:	Inhibited cell tumor formation by xenografts in nude mice.

REFERENCES

[1]. Christine Wasylyk, et al. Inhibition of the Ras-Net (Elk-3) pathway by a novel pyrazole that affects microtubules. *Cancer Res.* 2008 Mar 1;68(5):1275-83.

[2]. Kostyantyn Semenchenko, et al. XRP44X, an Inhibitor of Ras/Erk Activation of the Transcription Factor Elk3, Inhibits Tumour Growth and Metastasis in Mice. *PLoS One.* 2016 Jul 18;11(7):e0159531.

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

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