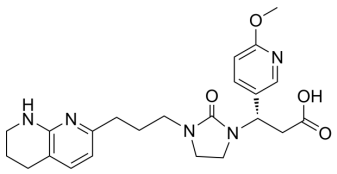
MK-0429

Cat. No.:	HY-15102
CAS No.:	227963-15-7
Molecular Formula:	$C_{23}H_{29}N_5O_4$
Molecular Weight:	439.51
Target:	Integrin
Pathway:	Cytoskeleton
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 : 100 mg/mL (227.53 mM; Need ultrasonic)
H_2O : 2.78 mg/mL (6.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2753 mL	11.3763 mL	22.7526 mL
	5 mM	0.4551 mL	2.2753 mL	4.5505 mL
	10 mM	0.2275 mL	1.1376 mL	2.2753 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 7.14 mg/mL (16.25 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.62 mg/mL (5.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-0429 (L-000845704) is an orally active, potent, selective and nonpeptide pan-integrin antagonist with IC_{50} values of 1.6 nM, 2.8 nM, 0.1 nM, 0.7 nM, 0.5 nM and 12.2 nM for αvβ1, αvβ3, αvβ5, αvβ6, αvβ8 and α5β1, respectively[1][2][3].

IC_{50} & Target	αvβ1 1.6 nM (IC_{50}, inhibition of fibronectin-binding)	αvβ3 2.8 nM (IC_{50}, inhibition of vitronectin-binding)	αvβ5 0.1 nM (IC_{50}, inhibition of vitronectin-binding)	αvβ6 0.7 nM (IC_{50}, inhibition of LAP-binding)
	αvβ8 0.5 nM (IC_{50}, inhibition of LAP-binding)	α5β1 12.2 nM (IC_{50}, inhibition of fibronectin-binding)		

In Vivo

MK-0429 (100 or 300 mg/kg, p.o., twice daily b.i.d., 2 weeks) reduces metastatic tumor colony formation and area in the lungs. MK-0429 is safe and efficacious in significantly decreasing melanoma metastasis in the lungs[1].

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

Animal Model:	B6D2F1 hybrid female mice[2]
Dosage:	100 or 300 mg/kg
Administration:	P.o., twice daily (b.i.d.), 2 weeks
Result:	MK-0429 at 100 and 300 mg/kg reduced the number of metastatic tumor colonies by 64 and 57%, respectively, and the high dose also reduced the tumor area by 60% as compared to the vehicle[2].

CUSTOMER VALIDATION

- Nat Immunol. 2023 Oct;24(10):1654-1670.
- J Clin Invest. 2022 Jul 1;132(13):e156994.
- Research Square Preprint. 2021 Dec.

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REFERENCES

[1]. Hutchinson JH, et al. Nonpeptide alphavbeta3 antagonists. 8. In vitro and in vivo evaluation of a potent alphavbeta3 antagonist for the prevention and treatment of osteoporosis. J Med Chem. 2003 Oct 23;46(22):4790-8.

[2]. Pickarski M, et al. Orally active αvβ3 integrin inhibitor MK-0429 reduces melanoma metastasis. Oncol Rep. 2015 Jun;33(6):2737-45.

[3]. Xiaoyan Zhou, et al. An integrin antagonist (MK-0429) decreases proteinuria and renal fibrosis in the ZSF1 rat diabetic nephropathy model. Pharmacol Res Perspect. 2017 Oct;5(5):e00354.

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