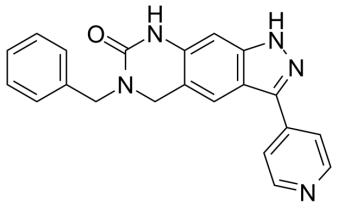
KO-947

Cat. No.:	HY-112181
CAS No.:	1695533-89-1
Molecular Formula:	$C_{21}H_{17}N_5O$
Molecular Weight:	355.39
Target:	ERK
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (175.86 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8138 mL	14.0691 mL	28.1381 mL
5 mM	0.5628 mL	2.8138 mL	5.6276 mL
10 mM	0.2814 mL	1.4069 mL	2.8138 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 (5.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	KO-947 is a potent and selective inhibitor of ERK1/2 kinases with potential utility in MAPK pathway dysregulated tumors.

IC_{50} & Target	ERK1	ERK2

In Vitro

KO-947 is a 10 nM inhibitor of ERK with at least 50-fold selectivity against a panel of 450 kinases. KO-947 blocks ERK signaling and proliferation of tumor cells exhibiting dysregulation of MAPK pathway signaling, including mutations in BRAF, NRAS or KRAS, at low nanomolar concentrations[1].

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

In Vivo	In cell-line derived xenograft studies, KO-947 profoundly suppresses ERK signaling for up to five days after a single dose and induces regressions in RAS- and RAF-mutant melanoma, NSCLC and pancreatic cancer models on administration schedules ranging from daily to weekly. Intermittent dosing enables comparable antitumor activity at reduced dose-intensity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Pediatr Res. 2023 May 3.
- Cancer Manag Res. 2021 Jan 27;13:773-785.

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REFERENCES

[1]. Burrows F, et al. KO-947, a potent ERK inhibitor with robust preclinical single agent activity in MAPK pathway dysregulated tumors [abstract]. In: Proceedings of the American Association for Cancer Research Annual Meeting 2017; 2017 Apr 1-5; Washington, D

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