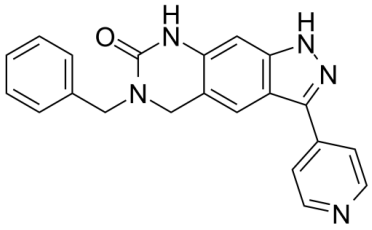
Data Sheet

Product Name:	KO-947
Cat. No.:	CS-0043627
CAS No.:	1695533-89-1
Molecular Formula:	C21H17N5O
Molecular Weight:	355.39
Target:	ERK
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt
Solubility:	DMSO : 62.5 mg/mL (175.86 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

KO-947 is a potent and selective inhibitor of **ERK1/2** kinases with potential clinical utility in MAPK pathway dysregulated tumors. IC50 & Target: ERK1/2[1] **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]. **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].

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, DC. Philadelphia (PA): AACR; Cancer Res 2017;77(13 Suppl):Abstract nr 5168. doi:10.1158/1538-7445.AM2017-5168.

CAIndexNames:

7H-Pyrazolo[4,3-g]quinazolin-7-one, 1,5,6,8-tetrahydro-6-(phenylmethyl)-3-(4-pyridinyl)-

SMILES:

O=C1NC2=CC(NN=C3C4=CC=NC=C4)=C3C=C2CN1CC5=CC=CC=C5

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA