# ERK-IN-3

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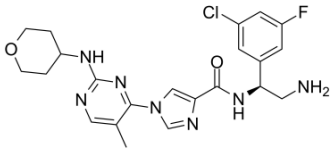
|---|---|
| **Cat. No.:** | HY-136579 |
| **CAS No.:** | 2055597-12-9 |
| **Molecular Formula:** | $C_{22}H_{25}ClFN_7O_2$ |
| **Molecular Weight:** | 473.93 |
| **Target:** | ERK |
| **Pathway:** | MAPK/ERK Pathway; Stem Cell/Wnt |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (527.50 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1100 mL | 10.5501 mL | 21.1002 mL |
| | 5 mM | 0.4220 mL | 2.1100 mL | 4.2200 mL |
| | 10 mM | 0.2110 mL | 1.0550 mL | 2.1100 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 (4.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.39 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

ERK-IN-3 is a potent and oral inhibitor of ERK. ERK-IN-3 inhibits ERK1/2 with low single-digit nM $IC_{50}$ values. ERK-IN-3 has the potential to be used to study cancers driven by RAS mutations.[1]

| $IC_{50}$ & Target | ERK1 | ERK2 |
|---|---|---|

**In Vitro**

ERK-IN-3 inhibits the phosphorylation of ERK1/2 substrates such as RSK1, FRA1, and Elk1 in various cell lines[1].
ERK-IN-3 showes single-digit nanomolar antiproliferative activity that is selective for MAPK-pathway dependent cancer cell

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| | lines[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | ERK-IN-3 (daily p.o.) inhibits tumor growth in multiple BRAF and KRAS mutant xenograft models in mice and was well tolerated at efficacious doses[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Sanjeeva PR, et, al. Abstract B150: ASN007, a novel oral ERK inhibitor, shows robust antitumor activity in RAS mutant cancer models. Molecular Cancer Therapeutics. 2018 Jan; 17(1).



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