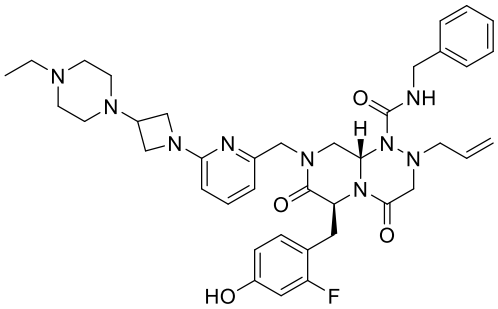
Product data sheet

MedKoo Cat#: 462568 Name: E-7386 CAS#: 1799824-08-0 Chemical Formula: $C_{39}H_{48}FN_9O_4$ Exact Mass: 725.3813 Molecular Weight: 725.8704	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
E-7386 is an orally active CBP/beta-catenin modulator.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	125.0	172.21

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.38 mL	6.89 mL	13.78 mL
5 mM	0.28 mL	1.38 mL	2.76 mL
10 mM	0.14 mL	0.69 mL	1.38 mL
50 mM	0.03 mL	0.14 mL	0.28 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Yamada K, Hori Y, Inoue S, Yamamoto Y, Iso K, Kamiyama H, Yamaguchi A, Kimura T, Uesugi M, Ito J, Matsuki M, Nakamoto K, Harada H, Yoneda N, Takemura A, Kushida I, Wakayama N, Kubara K, Kato Y, Semba T, Yokoi A, Matsukura M, Odagami T, Iwata M, Tsuruoka A, Uenaka T, Matsui J, Matsushima T, Nomoto K, Kouji H, Owa T, Funahashi Y, Ozawa Y. E7386, a Selective Inhibitor of the Interaction between β-Catenin and CBP, Exerts Antitumor Activity in Tumor Models with Activated Canonical Wnt Signaling. Cancer Res. 2021 Feb 15;81(4):1052-1062. doi: 10.1158/0008-5472.CAN-20-0782. Epub 2021 Jan 6. PMID: 33408116.

In vivo study

1. Yamada K, Hori Y, Inoue S, Yamamoto Y, Iso K, Kamiyama H, Yamaguchi A, Kimura T, Uesugi M, Ito J, Matsuki M, Nakamoto K, Harada H, Yoneda N, Takemura A, Kushida I, Wakayama N, Kubara K, Kato Y, Semba T, Yokoi A, Matsukura M, Odagami T, Iwata M, Tsuruoka A, Uenaka T, Matsui J, Matsushima T, Nomoto K, Kouji H, Owa T, Funahashi Y, Ozawa Y. E7386, a Selective Inhibitor of the Interaction between β-Catenin and CBP, Exerts Antitumor Activity in Tumor Models with Activated Canonical Wnt Signaling. Cancer Res. 2021 Feb 15;81(4):1052-1062. doi: 10.1158/0008-5472.CAN-20-0782. Epub 2021 Jan 6. PMID: 33408116.

7. Bioactivity
Biological target:

E-7386 is an orally active CBP/beta-catenin modulator.

In vitro activity

Product data sheet

E7386 treatment for 6 hours inhibited TCF/LEF luciferase activity in a dose-dependent manner in both cell lines. The IC_{50} value of E7386 in HEK293 and ECC10 cells was 0.0484 and 0.0147 μmol/L, respectively.

Reference: Cancer Res. 2021 Feb 15;81(4):1052-1062. https://cancerres.aacrjournals.org/content/81/4/1052.long

In vivo activity

E7386 showed in vivo antitumor activity in a dose-dependent manner, and significantly inhibited tumor growth when administered at 25 or 50 mg/kg (Fig. 3A) without any significant changes in body weight (Fig. 3B). E7386 treatment for 14 days at 12.5, 25, or 50 mg/kg also showed significant dose-dependent antitumor activity in vivo without any significant changes in body weight (Supplementary Fig. S6A). In contrast, 100 mg/kg of ICG-001 showed only slight antitumor activity and this observation was not statistically significant (Supplementary Fig. S6B).

Reference: Cancer Res. 2021 Feb 15;81(4):1052-1062. https://cancerres.aacrjournals.org/content/81/4/1052.long