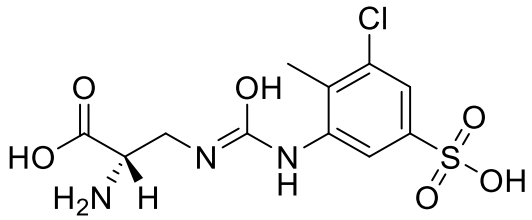
Product data sheet

MedKoo Cat#: 124894 Name: Upacicalcet CAS: 1333218-50-0 (free acid) Chemical Formula: $C_{11}H_{14}ClN_3O_6S$ Exact Mass: 351.0292 Molecular Weight: 351.76	
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:
Upacicalcet, also known as SK-1403 and AJT240, is a positive allosteric modulator of the calcium-sensing receptor. Upacicalcet prevents vascular calcification and bone disorder in a rat adenine-induced secondary hyperparathyroidism model. Upacicalcet acts at the calcium sensing receptor of parathyroid cells and thereby inhibiting parathyroid hormone secretion. Parathyroid hormone regulates the calcium concentration in the blood plasma to maintain calcium homeostasis in the body tissues ("calcium balance").

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
To be determined	To be determined	To be determined

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.84 mL	14.21 mL	28.43 mL
5 mM	0.57 mL	2.84 mL	5.69 mL
10 mM	0.28 mL	1.42 mL	2.84 mL
50 mM	0.06 mL	0.28 mL	0.57 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

To be determined

In vivo study

1. Inaguma D, Koiwa F, Tokumoto M, Fukagawa M, Yoneda S, Yasuzawa H, Asano K, Hagita K, Inagaki Y, Honda D, Akizawa T. Phase 2 study of upacicalcet in Japanese haemodialysis patients with secondary hyperparathyroidism: an intraindividual dose-adjustment study. Clin Kidney J. 2023 Sep 4;16(12):2614-2625. doi: 10.1093/ckj/sfad213. PMID: 38045997; PMCID: PMC10689153.
2. Shigematsu T, Koiwa F, Isaka Y, Fukagawa M, Hagita K, Watanabe YS, Honda D, Akizawa T. Efficacy and Safety of Upacicalcet in Hemodialysis Patients with Secondary Hyperparathyroidism: A Randomized Placebo-Controlled Trial. Clin J Am Soc Nephrol. 2023 Oct 1;18(10):1300-1309. doi: 10.2215/CJN.0000000000000253. Epub 2023 Sep 11. PMID: 37696667; PMCID: PMC10578632.

7. Bioactivity
Biological target:

Upacicalcet suppresses excessive parathyroid hormone (PTH) secretion, thereby lowering blood PTH levels, by acting directly on

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parathyroid cell membrane calcium-sensing receptors.

In vitro activity

To be determined

In vivo activity

Upacicalcet is effective and safe for secondary hyperparathyroidism patients receiving hemodialysis. Upacicalcet decreased serum fibroblast growth factor-23, bone-specific alkaline phosphatase, total type 1 procollagen-N-propeptide, and tartrate-resistant acid phosphatase-5b concentrations. Serum corrected calcium concentrations <7.5 mg/dl were observed in 2% of participants in the upacicalcet group and no participants in the placebo group.

Reference: Clin J Am Soc Nephrol. 2023 Oct 1;18(10):1300-1309. https://pubmed.ncbi.nlm.nih.gov/37696667/