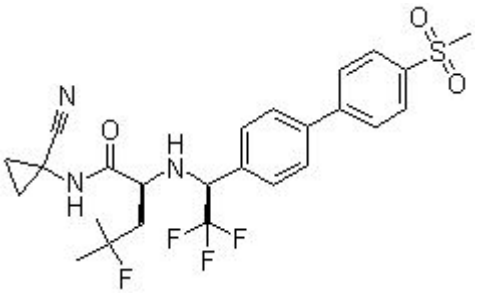
# Product Introduction

## Odanacatib (MK-0822)

Odanacatib (MK 0822) is a potent, selective, and neutral inhibitor of **cathepsin K** (human/rabbit) with **IC50** of 0.2 nM/1 nM, and demonstrated high selectivity versus off-target cathepsin B, L, S. Phase 3.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 525.56 |  |
| **Formula:** | $C_{25}H_{27}F_4N_3O_3S$ | |
| **Solubility (25°C)** *** <1 mg/ml means slightly soluble or insoluble:** | DMSO 100 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 603139-19-1 | |

### Biological Activity

In vitro, Odanacatib shows the high inhibitory activity and selectivity on cathepsin K with IC50 values of 0.2 nM and 1 nM for human cathepsin K and rabbit cathepsin K, respectively. Furthermore, Odanacatib also shows similar potencies in whole human cell enzyme occupancy assays with corrected IC50 of 5 nM. [1] A recent study shows that Odanacatib results in reduction of Osteoclast (OC) resorption activity by interrupting intracellular vesicular trafficking. [2]

In preclinical rats, Odanacatib (10 mg/kg) exhibits excellent pharmacokinetics with clearance (Cl: 2 mL $kg^{-1}$ $min^{-1}$), low volume of distribution ($V_{dss}$: 1.1 L $kg^{-1}$), half-life ($T_{1/2}$: 6 hours) and oral bioavailability (F: 8%), respectively. Besides, Odanacatib also exhibits excellent metabolic stability in rat hepatocytes with a 96% recovery of the parent identity. [1] Odanacatib (ODN) administrated by p.o. prevents bone loss in

ovariectomized (OVX) rabbits in a dose-related manner. Moreover, Odanacatib (9 μM/day) leads to a significant increase in proximal femur bone mineral density (BMD) (7.8%), femoral neck BMD (10.8%) and the greater trochanter BMD (6.5%). [3] In the estrogen-deficient, skeletally mature rhesus monkeys, long-term treatment with Odanacatib effectively inhibits bone turnover without reducing osteoclast number and maintains normal biomechanical properties of the spine of OVX nonhuman primates. [4]
A potent, selective, and neutral cathepsin K inhibitor.

## References


[1] Gauthier JY, et al. Bioorg Med Chem Lett. 2008, 18(3), 923-928.
[2] Leung P, et al. Bone. 2011, 49(4), 623-635.
[3] Pennypacker BL, et al. J Bone Miner Res. 2011, 26(2):252-262.
[4] Masarachia PJ, et al. J Bone Miner Res. 2012, 27(3), 509-523.

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