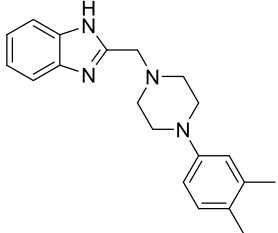


# Product data sheet



MedKoo Cat#: 531353 Name: A-381393 CAS#: 726174-00-1 Chemical Formula: C <sub>20</sub> H <sub>24</sub> N <sub>4</sub> Exact Mass: 320.2001 Molecular Weight: 320.44	
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:

A-381393 is a potent and selective agonist of the dopamine D4 receptor.

## 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	51.67	161.25

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.12 mL	15.60 mL	31.21 mL
5 mM	0.62 mL	3.12 mL	6.24 mL
10 mM	0.31 mL	1.56 mL	3.12 mL
50 mM	0.06 mL	0.31 mL	0.62 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. Nakane M, Cowart MD, Hsieh GC, Miller L, Uchic ME, Chang R, Terranova MA, Donnelly-Roberts DL, Namovic MT, Miller TR, Wetter JM, Marsh K, Stewart AO, Brioni JD, Moreland RB. 2-[4-(3,4-Dimethylphenyl)piperazin-1-ylmethyl]-1H benzoimidazole (A-381393), a selective dopamine D4 receptor antagonist. *Neuropharmacology*. 2005 Jul;49(1):112-21. doi: 10.1016/j.neuropharm.2005.02.004. Epub 2005 Apr 1. PMID: 15992586.

### In vivo study

1. Nakane M, Cowart MD, Hsieh GC, Miller L, Uchic ME, Chang R, Terranova MA, Donnelly-Roberts DL, Namovic MT, Miller TR, Wetter JM, Marsh K, Stewart AO, Brioni JD, Moreland RB. 2-[4-(3,4-Dimethylphenyl)piperazin-1-ylmethyl]-1H benzoimidazole (A-381393), a selective dopamine D4 receptor antagonist. *Neuropharmacology*. 2005 Jul;49(1):112-21. doi: 10.1016/j.neuropharm.2005.02.004. Epub 2005 Apr 1. PMID: 15992586.

## 7. Bioactivity

### Biological target:

A-381393 is a brain penetrate dopamine D4 receptor antagonist, with Kis of 1.5, 1.9 and 1.6 nM for human dopamine D4.4, D4.2, and D4.7 receptor, respectively, >2700-fold selectivity over D1, D2, D3 and D5 dopamine receptors.

### In vitro activity

# Product data sheet



A-381393 binds to dopamine D<sub>4</sub> receptor with nanomolar affinity ( $K_i = 1.5$  nM), which is comparable with L-745870, and 36-fold higher than clozapine ( $K_i = 54$  nM). A-381393 was more than 4000-fold selective for the dopamine D<sub>4</sub> receptors as compared to dopamine D<sub>1</sub>, D<sub>2</sub>, D<sub>3</sub> and D<sub>5</sub> receptors.

Reference: Neuropharmacology. 2005 Jul;49(1):112-21. <https://pubmed.ncbi.nlm.nih.gov/15992586/>

## In vivo activity

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It has been demonstrated that the dopamine D<sub>4</sub> receptor selective agonist PD168077 induces penile erection in conscious rats by centrally mediated mechanisms with an effective dose of 0.3  $\mu$ mol/kg. To determine if A-381393 would attenuate this effect, the compound was dosed subcutaneously at 30  $\mu$ mol/kg before administration of PD168077. When the percent incidence of penile erection was examined, PD168077 induced 75% incidence at the efficacious dose. In animals treated with A-381393, this incidence was significantly reduced to 48% (Fig. 7).

Reference: Neuropharmacology. 2005 Jul;49(1):112-21. <https://pubmed.ncbi.nlm.nih.gov/15992586/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*