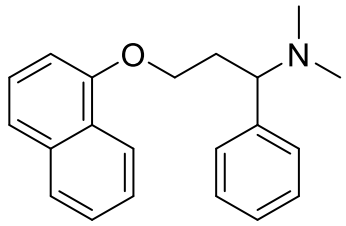
Product data sheet

MedKoo Cat#: 413462 Name: Dapoxetine Free Base CAS#: 119356-77-3 (free base) Chemical Formula: $C_{21}H_{23}NO$ Exact Mass: 305.178 Molecular Weight: 305.42	
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:
Dapoxetine Free Base, marketed as Priligy, among others, is a medication used for the treatment of premature ejaculation in men 18–64 years old. Dapoxetine works by inhibiting the serotonin transporter, increasing serotonin's action at the postsynaptic cleft, and as a consequence promoting ejaculatory delay.

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	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.27 mL	16.37 mL	32.74 mL
5 mM	0.65 mL	3.27 mL	6.55 mL
10 mM	0.33 mL	1.64 mL	3.27 mL
50 mM	0.07 mL	0.33 mL	0.65 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. Aldawsari HM, Badr-Eldin SM. Enhanced pharmacokinetic performance of dapoxetine hydrochloride via the formulation of instantly-dissolving buccal films with acidic pH modifier and hydrophilic cyclodextrin: Factorial analysis, in vitro and in vivo assessment. J Adv Res. 2020 May 1;24:281-290. doi: 10.1016/j.jare.2020.04.019. PMID: 32419956; PMCID: PMC7215178.
2. Salem HF, Nafady MM, Kharshoum RM, Abd El-Ghafar OA, Farouk HO. Mitigation of Rheumatic Arthritis in a Rat Model via Transdermal Delivery of Dapoxetine HCl Amalgamated as a Nanoplatform: In vitro and in vivo Assessment. Int J Nanomedicine. 2020 Mar 6;15:1517-1535. doi: 10.2147/IJN.S238709. PMID: 32189966; PMCID: PMC7065716.

In vivo study

1. Aldawsari HM, Badr-Eldin SM. Enhanced pharmacokinetic performance of dapoxetine hydrochloride via the formulation of instantly-dissolving buccal films with acidic pH modifier and hydrophilic cyclodextrin: Factorial analysis, in vitro and in vivo assessment. J Adv Res. 2020 May 1;24:281-290. doi: 10.1016/j.jare.2020.04.019. PMID: 32419956; PMCID: PMC7215178.
2. Qin X, Ma X, Tu D, Luo Z, Huang J, Mo C. The effect of 8-OH-DPAT and dapoxetine on gene expression in the brain of male rats during ejaculation. Acta Pharm Sin B. 2017 May;7(3):381-389. doi: 10.1016/j.apsb.2016.11.004. Epub 2017 Mar 14. PMID: 28540176; PMCID: PMC5430880.

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7. Bioactivity

Biological target:

Dapoxetine is a selective serotonin reuptake inhibitor, for the treatment of premature ejaculation.

In vitro activity

The purpose of this study was to investigate the therapeutic efficacy of transdermal delivery of DH (Dapoxetine HCl) in transethosome nanovesicles (TENVs). The TENV formulations were assessed for entrapment efficiency (EE-%), vesicle size, zeta potential, in vitro DH release, and skin permeation. The release behavior of DH from DH-TENVs was investigated to confirm whether the DH-TENVs had the ability to release DH in a sustained manner. Rapid DH release from free DH solution in the dialysis bag was observed, with approximately 95% of the DH being released in the first 3 h (Figure S1A–C). In contrast, the DH in DH-TENVs demonstrated a slow and controlled release, with about 53–85% of the DH being released within 8 h (Table 2). The results indicate that DH-TENVs can improve transdermal delivery of DH and thereby alleviate RA.

Reference: Int J Nanomedicine. 2020; 15: 1517–1535. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7065716/

In vivo activity

The effects of 8-OH-DPAT and dapoxetine on the sexual behavior of male rats were investigated in this study. Dapoxetine significantly reduced the ejaculation performance at a dose of 60 mg/kg by delaying the latency of mounts and decreasing the latency of ejaculation and post-ejaculatory interval. Significant differences in the gene expression profiles were observed in the EJ (257 genes), DPAT (349 genes) and the DAP (207 genes) compared with the control rats In the present study, Drd4 was significantly up-regulated (fold change: 2.42) following dapoxetine treatment whereas no such trend was noted regarding other 5-HT receptor or transporter genes.

Reference: Acta Pharm Sin B. 2017 May; 7(3): 381–389. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5430880/