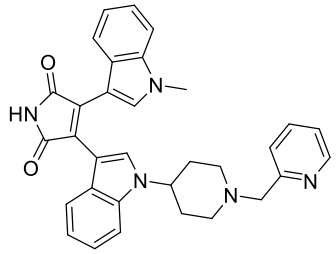
Product data sheet

MedKoo Cat#: 201270 Name: Enzastaurin free base CAS#: 170364-57-5 (free base) Chemical Formula: $C_{32}H_{29}N_5O_2$ Exact Mass: 515.23213 Molecular Weight: 515.6	
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:

Enzastaurin, also known as DB-102 and LY317615, is a synthetic macrocyclic bisindolemaleimide with potential antineoplastic activity. Binding to the ATP-binding site, enzastaurin selectively inhibits protein kinase C beta, an enzyme involved in the induction of vascular endothelial growth factor (VEGF)-stimulated neo-angiogenesis. This agent may decrease tumor blood supply and so tumor burden.

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	14.66	28.43
DMF	16.6	32.20
DMF:PBS (pH 7.2) (1:3)	0.25	0.48

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.94 mL	9.70 mL	19.39 mL
5 mM	0.39 mL	1.94 mL	3.88 mL
10 mM	0.19 mL	0.97 mL	1.94 mL
50 mM	0.04 mL	0.19 mL	0.39 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. Lesyk G, Fong T, Ruvolo PP, Jurasz P. The potential of enzastaurin to enhance platelet aggregation and growth factor secretion: implications for cancer cell survival. J Thromb Haemost. 2015 Aug;13(8):1514-20. doi: 10.1111/jth.13010. Epub 2015 Jun 17. PMID: 25990653.

2. Michaelis M, Rothweiler F, Löschmann N, Sharifi M, Ghafourian T, Cinatl J Jr. Enzastaurin inhibits ABCB1-mediated drug efflux independently of effects on protein kinase C signalling and the cellular p53 status. Oncotarget. 2015 Jul 10;6(19):17605-20. doi: 10.18632/oncotarget.2889. PMID: 25749379; PMCID: PMC4627332.

In vivo study

1. Altshuler RD, Carpenter CA, Franke TJ, Gnegy ME, Jutkiewicz EM. The protein kinase Cβ-selective inhibitor, enzastaurin, attenuates amphetamine-stimulated locomotor activity and self-administration behaviors in rats. Psychopharmacology (Berl). 2019 Nov;236(11):3231-3242. doi: 10.1007/s00213-019-05278-0. Epub 2019 May 27. Erratum in: Psychopharmacology (Berl). 2019 Jul 3;: PMID: 31134292; PMCID: PMC6832797.

2. Willeman MN, Mennenga SE, Siniard AL, Corneveaux JJ, De Both M, Hewitt LT, Tsang CWS, Caselli J, Braden BB, Bimonte-Nelson HA, Huentelman MJ. The PKC-β selective inhibitor, Enzastaurin, impairs memory in middle-aged rats. PLoS One. 2018 Jun 5;13(6):e0198256. doi: 10.1371/journal.pone.0198256. PMID: 29870545; PMCID: PMC5988320.

7. Bioactivity

Biological target:

Enzastaurin (LY317615) is a PKCβ inhibitor with an IC50 of 6 nM, showing 6- to 20-fold selectivity over PKCα, PKCγ and PKCε.

In vitro activity

Enzastaurin (10(-8) -10(-6) m) potentiated aggregation of prostacyclin-washed platelets and caused an increase in VEGF release from α-granules that, in turn, promoted cancer cell survival. In platelet-rich plasma, 10(-6) m enzastaurin inhibited platelet aggregation, but not 10(-7) m enzastaurin, which also failed to suppress VEGF secretion.

Reference: J Thromb Haemost. 2015 Aug;13(8):1514-20. https://pubmed.ncbi.nlm.nih.gov/25990653/

In vivo activity

A two-way ANOVA demonstrated a significant interaction [$F(24,168) = 2.19$, $p = 0.002$] and significant main effects of time [$F(12, 168) = 61.86$, $p < 0.0001$] and enzastaurin dose [$F(2,14) = 8.36$, $p = 0.004$] (Fig. 1a). In data converted to AUC, a one-way ANOVA revealed rats treated with 1 nmol enzastaurin had significantly lower levels of locomotor activity compared with vehicle treated rats [$F(2,14) = 6.02$, $p = 0.01$] (Fig. 1b).

Reference: Psychopharmacology (Berl). 2019 Nov; 236(11): 3231–3242. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6832797/

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.