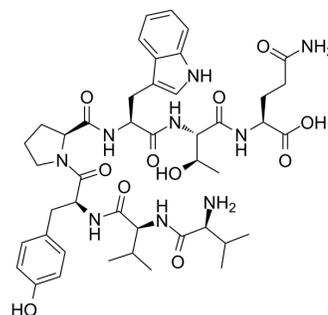


## Valorphin

<b>Cat. No.:</b>	HY-P1599
<b>CAS No.:</b>	144313-54-2
<b>Molecular Formula:</b>	C <sub>44</sub> H <sub>61</sub> N <sub>9</sub> O <sub>11</sub>
<b>Molecular Weight:</b>	892.01
<b>Sequence:</b>	Val-Val-Tyr-Pro-Trp-Thr-Gln
<b>Sequence Shortening:</b>	VYPWTQ
<b>Target:</b>	Opioid Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Valorphin is an endogenous hemoglobin β-chain (33-39) fragment with opioid analgesic activity, binds to rat mu-opioid receptor, with an IC <sub>50</sub> of 14 nM; Valorphin also shows anti-tumor activity.
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 14 nM (mu-opioid receptor), 200 nM (δ-opioid receptor) <sup>[1]</sup>
<b>In Vitro</b>	Valorphin is a derivative of dihydrovaltrate with opioid analgesic activity, binds to rat mu-opioid receptor, with an IC <sub>50</sub> of 14 nM. Valorphin has low affinity for δ-opioid receptor (IC <sub>50</sub> , 200 nM) and shows no affinity for κ receptor (IC <sub>50</sub> , >10 μM). Valorphin (>10 μM) decreases spontaneous firing rate of cerebellar rat Purkinje cells <sup>[1]</sup> . Valorphin (1 μM) treatment 48 h prior to 0.1 μM epirubicin, or 0.1 μM vincristine, or 0.05 μM vincristine, causes 100% tumor cell death <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	Valorphin exhibits pronounced analgesic activity in mice, rats and rhesus monkeys via s.c, with ED <sub>50</sub> s of ≤5.2 mg/kg, but barely active after oral administration <sup>[1]</sup> . Valorphin (1 mg/kg) causes 42% of tumor growth inhibition in female BLRB mice bearing syngeneic mammary carcinoma cells <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	In all series, the cells are incubated for 48 hours beginning with the hour 0. All substances are dissolved in FBS-supplied RPMI-1640 medium. Negative control cells are incubated in the absence of test substances. The reference samples are incubated with epirubicin or Valorphin in the concentrations equivalent to those applied in the experimental seria and for the corresponding time intervals. The effect is evaluated by staining with MTT dye <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Administration</b> <sup>[2]</sup>	Mice <sup>[2]</sup> In the basic experiment, 49 mice are randomized in 4 groups: two groups (12 animals each) corresponding to the negative control, the reference group (13 animals) and the experimental group (12 animals). The control and the reference group are treated as in preliminary experiment, the experimental group are injected with the mixture of Valorphin (1 mg/kg) and epirubicin (25 mg/m <sup>2</sup> ), dissolved in 0.9% NaCl solution in distilled water. Three injections (volume 0.2 mL) are made with 6-

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day intervals. Since no reliable difference in tumor size or lifespan of animals in the two negative control groups are observed, the data obtained in these groups are pooled. At day 20 after the first injection, the size of the tumors are determined. Tumor volumes are calculated, inhibition of tumor growth is determined. Percentages of survival are determined for 1-26 days of treatment. The observation is quitted after the total death of the animals in the negative control group<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

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[1]. Maurer R, et al. Valorphin: a novel chemical structure with opioid activity. *Neuropeptides*. 1985 Feb;5(4-6):387-90.

[2]. Blishchenko EY, et al. Antitumor effect of valorphin in vitro and in vivo: combined action with cytostatic drugs. *Cancer Biol Ther*. 2005 Jan;4(1):118-24.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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