Product Data Sheet

Product Name: Valorphin
Cat. No.: GC31126

Chemical Properties

Cas. No.	144313-54-2		
SMILES	Val-Val-Tyr-Pro-Trp-Thr-Gln		
Formula	$C_{44}H_{61}N_9O_{11}$	M.Wt	892.01
Solubility	50 mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Valorphin		

Protocol

Cell experiment:

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[2].

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Animal experiment:

Mice[2]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/m2), dissolved in 0.9% NaCl solution in distilled water. Three injections (volume 0.2 mL) are made with 6-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[2].

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References:

[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.

Background

Valorphin is an endogenous hemoglobin β-chain (33-39) fragment with opioid analgesic activity, binds to rat mu-opioid receptor, with an IC50 of 14 nM; Valorphin also shows anti-tumor activity.

Valorphin is a derivative of dihydrovaltrate with opioid analgesic activity, binds to rat mu-opioid receptor, with an IC50 of 14 nM. Valorphin has low affinity for δ-opioid receptor (IC50, 200 nM) and shows no affinity for κ receptor (IC50, >10 μM). Valorphin

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(>10 μM) decreases spontaneous firing rate of cerebellar rat Purkinje cells[1]. 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[2].

Valorphin exhibits pronounced analgesic activity in mice, rats and rhesus monkeys via s.c, with ED50s of ≤5.2 mg/kg, but barely active after oral administration[1]. Valorphin (1 mg/kg) causes 42% of tumor growth inhibition in female BLRB mice bearing syngeneic mammary carcinoma cells[2].

[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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