

Product Data Sheet

Product Name: BW 373U86

Cat. No.: GC16521

Chemical Properties

Cas. No. 155836-50-3

Chemical Name 4-((R)-((2S,5R)-4-allyl-2,5-dimethylpiperazin-1-yl)(3-hydroxyphenyl)methyl)-N,N-diethylbenzamide

SMILES OC1=CC([C@@H](C2=CC=C(C(N(CC)CC)=O)C=C2)N3[C@@H](C)CN(CC=C)[C@H](C)C3)=CC=C1

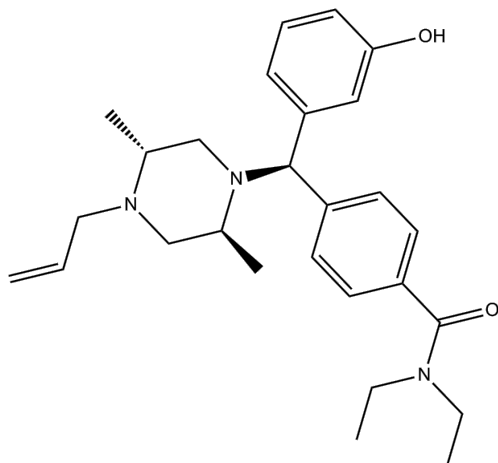
Formula $C_{27}H_{37}N_3O_2$ M.Wt 435.61

Solubility <43.56mg/ml in 1eq. HCl Storage Store at 4°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

Ki: 1.8, 15, 85 and 34 nM for delta, mu, epsilon and kappa receptor

Opioid receptors are heterogeneous and at least four types, mu, delta, kappa and epsilon, are known to exist. Currently, all clinically used opiates act through the mu receptor to induce analgesia;

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however, they also induce unwanted side effects such as respiratory depression, dependence, constipation and muscle rigidity. BW 373U86 is a novel, potent and selective nonpeptidic delta opioid receptor agonist.

In vitro: BW 373U86 was a potent delta receptor-selective ligand in receptor binding assays. The K_i values were 1.8 ± 0.4 , 15 ± 3 , 85 ± 4 and 34 ± 3 nM for delta, mu, epsilon and kappa receptor binding sites, respectively. BW 373U86 inhibited electrically evoked muscle contraction of mouse vas deferens with an ED₅₀ value of 0.2 ± 0.06 nM [1].

In vivo: BW 373U86 inhibited the acoustic startle reflex after subcutaneous administration from 0.2- to 2-mg/kg doses in rats, and this inhibition was blocked by naltrexone. BW 373U86 also induced a dose-dependent increase of locomotor activity in rats at similar doses. This effect was inhibited by naltrexone [3].

Clinical trial: Up to now, BW 373U86 is still in the preclinical development stage.

Reference:

[1] Chang KJ, Rigdon GC, Howard JL, McNutt RW. A novel, potent and selective nonpeptidic delta opioid receptor agonist BW 373U86. J Pharmacol Exp Ther. 1993 Nov;267(2):852-7.

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