
Product Data Sheet

Product Name: Thyroid Hormone Receptor Antagonist (1-850)

Cat. No.: GC10413

Chemical Properties

Cas. No. 251310-57-3

Chemical Name 2-[1-(4-nitrophenyl)-4-piperidinylidene]-acetic acid-2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]hydrazide

SMILES O=C(NNC(/C=C1CCN(C2=CC=C([N+])([O-])=O)C=C2)CC/1)=O)NC3=CC(C(F)(F)F)=CC=C3

Formula $C_{21}H_{20}F_3N_5O_4$

M.Wt 463.4

Solubility ≤ 0.5 mg/ml in ethanol; 50mg/ml in DMSO; 50mg/ml in dimethyl formamide

Storage Store at -20°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

Target: thyroid hormone receptor

IC50: 1.5 μ M

Thyroid hormone receptor antagonist (1-850) was screened from a library of more than 250000 compounds as the antagonist of thyroid hormone receptor with the highest affinity. Thyroid Hormone Receptor Antagonist, 1-850 is a cell-permeable hydrazinyl-carboxamide compound which functions as a selective and high-affinity thyroid receptor antagonist with the IC50 value of 1.5 μ M in Hela cells [1].

The thyroid hormone receptor (TR) is a type of nuclear receptor that is activated by binding thyroid hormone, which plays a critical role in the regulation of metabolism and heart rate and the development of organisms. Thyroid hormone receptor antagonist (1-

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

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850) could competitively block T3 (L-triiodothyronine) binding to both TR α and TR β , and suppress T3 mediated interaction of TR α with nuclear receptor coactivator (NRC) as well as prevent the stimulation of gene expression [1].

In Vitro: no data available.

In Vivo: no data available.

Clinical trial: no data available.

Reference:

[1] Schapira M, Raaka B M, Das S, et al. Discovery of diverse thyroid hormone receptor antagonists by high-throughput docking[J]. Proceedings of the National Academy of Sciences of the United States of America, 2003, 100(12): 7354-7359.

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