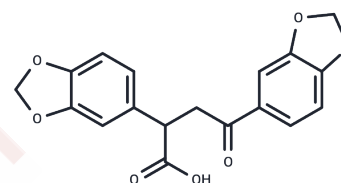


RUNX1/ETO tetramerization-IN-1

Chemical Properties

CAS No. :	88755-39-9
Formula:	C ₁₈ H ₁₄ O ₇
Molecular Weight:	342.3
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	RUNX1/ETO tetramerization-IN-1 is a small-molecule inhibitor targeting NHR2 of RUNX1/ETO, effectively inhibiting tetramerization with an EC ₅₀ value of 0.25 μM. This compound restores gene expression down-regulated by RUNX1/ETO and demonstrates anti-leukemic activity by inhibiting proliferation of RUNX1/ETO-dependent SKNO-1 cells and reducing tumor growth in a mouse model [1] [2] [3].
Targets(IC50)	Others
In vitro	RUNX1/ETO, comprising the RUNX1 gene's DNA-binding Runt-domain5 and the ETO gene's four neryv homology regions (NHR1-4), is notable for its NHR2 domain, which facilitates tetramerization. RUNX1/ETO tetramerization-IN-1 (compound 7.44) at various concentrations (1 μM and 10 μM over 3, 5, 7 days; 25 μM and 50 μM over 5 days; and 100 μM over 7 days) has been shown to selectively diminish the viability and inhibit the growth, besides inducing differentiation, of RUNX1/ETO-dependent human leukemic cells (specifically SKNO-1, Kasumi-1, and K562 cells) and RUNX1/ETO ^{tr} -expressing CD34 ⁺ progenitor cells while showing no significant effect on U937 cells. Furthermore, this compound, with favorable physicochemical and ADME (Absorption, Distribution, Metabolism, and Excretion) properties, including high aqueous solubility (60 μg/mL), stability in buffer and plasma, and low hepatic intrinsic clearance, not only demonstrates inhibition of critical cytochrome P450 enzymes (CYP2B6, 2C9, 2C19, and 3A4) but also inhibits the c-Jun N-terminal kinase (JNK) pathway at 50 μM over 16 hours. These findings underscore its potential as a targeted therapeutic agent in treating RUNX1/ETO-dependent leukemia, supported by cell viability assays and pharmacokinetic studies that reveal its significant stability, potent plasma protein binding, and negligible degradation in physiological conditions.
In vivo	Compound 7.44 (RUNX1/ETO tetramerization-IN-1) administered at a dosage of 200-250 μg/kg via intraperitoneal injection five times weekly for 130 days significantly delays tumor growth in mice inoculated with RUNX1/ETO cells. This regimen resulted in a notable reduction in leukemic cell dissemination and ensured 75% survival in NSG immunodeficient mice (NOD.Cg-Prkdc scid Il2rg tm1Wjl /SzJ) injected with Kasumi-1 cells at the end of the treatment period.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9214 mL	14.6071 mL	29.2141 mL
5 mM	0.5843 mL	2.9214 mL	5.8428 mL
10 mM	0.2921 mL	1.4607 mL	2.9214 mL
50 mM	0.0584 mL	0.2921 mL	0.5843 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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