

Product Data Sheet

Product Name: ITE
Cat. No.: GC12628

Chemical Properties

Cas. No. 448906-42-1

Chemical Name methyl 2-(1H-indole-3-carbonyl)-1,3-thiazole-4-carboxylate

SMILES COC(=O)C1=CSC(=N1)C(=O)C2=CNC3=CC=CC=C32

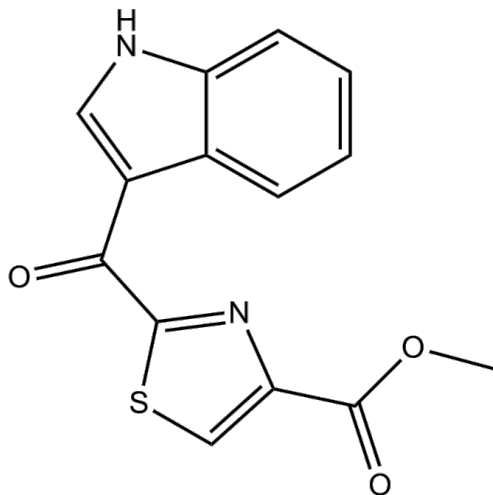
Formula $C_{14}H_{10}N_2O_3S$ M.Wt 286.3

Solubility $\geq 28.6\text{mg/mL}$ in DMSO Storage Store at RT

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



Protocol

Cell experiment [1]:

Cell lines SKOV-3, OVCAR-3, and IOSE-385 cells

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

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Preparation Method	After 16h (Day 0) of seeding in 96-well plates (1000, 5000, and 5000 cells/well for SKOV-3, OVCAR-3, and IOSE-385, respectively; 6 wells/dose), cells were treated with different concentration of ITE (0.1–5000nM) or DMSO (0.1% v/v) in the complete growth media up to 6 days with daily change of media containing dimethyl sulfoxide (DMSO, the vehicle control) or ITE. At the end of treatment, the number of cells per well was determined using a crystal violet method as described. After treatment, cells were rinsed with PBS (5mM phosphate, 145mM NaCl, 5mM KCl, pH 7.5), fixed in methanol for 15min, air-dried for 5min and stained with 0.1% (w/v) crystal violet for 5min. After staining, wells were rinsed with distilled water, and air dried again. Once dry, cells were solubilized with 2% (w/v) sodium deoxycholate solution for 30min with gentle agitation. Absorbance was measured at 570nm on a microplate reader.
Reaction Conditions	0.1–5000nM; 1-6 days

Applications	The ITE treatment exhibited dose- and time-dependent inhibition of the proliferation and migration of ovarian cancer cells.
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Animal experiment [1]:

Animal models	BALB/c nude mice
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Preparation Method	Each mouse was inoculated subcutaneously at the right flank with 5×10^6 of OVCAR-3 cells. When the tumor volume reached approximately 110mm^3 , the mice were divided into homogeneous blocks based on their tumor volumes followed by randomly assigning each block into the vehicle control and ITE treatment groups (n = 8/group). The vehicle (DMSO) or ITE (80mg/mL in DMSO) was administered to the mice by i.p. injection once daily for 28 days at a volume of 1mL/kg body weight. After the final injection, mice were given an additional 5 days to further monitor tumor volume, body weight, and other clinical signs.
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Dosage form 80mg/kg/day; 28 days; i.p.

Applications The treatment with ITE was able to reduce tumor growth by 39% in the OVCAR-3 xenograft model mice.

References:

[1] Wang K, Li Y, Jiang YZ, et al. An endogenous aryl hydrocarbon receptor ligand inhibits proliferation and migration of human ovarian cancer cells. *Cancer Lett.* 2013;340(1):63-71.

Background

ITE is an effective immunosuppressive endogenous aromatic hydrocarbon receptor (AhR) agonist, with a K_i value of 3nM for binding to AhR [1]. AhR is a ligand-dependent transcription factor that mediates the toxicity of several xenobiotics and plays important physiological roles in differentiation, reproduction, and immunity [2]. ITE has immunomodulatory and anti-cancer effects [3-4].

In vitro, ITE (0.1–5000nM; 1-6 days) treatment inhibited the proliferation and migration of ovarian cancer cells (SKOV-3, OVCAR-3, and IOSE-385) in a dose- and time-dependent manner, reduced AhR, and increased CYP1A1 levels [5]. ITE (0.01, 0.1, 1, 5, 10, and 20 μ M; 2, 4, or 6 days) could inhibit the proliferation of human pulmonary artery endothelial cells (HPAEC) in a dose- and time-dependent manner, reduced AhR protein levels, and simultaneously increased the mRNA levels of cytochrome P450 (CYP), CYP1A1, and CYP1B1 [6].

In vivo, ITE (200 μ g/0.2mL/day; 14 days; i.p.) treatment could significantly inhibit retinal detachment and ocular inflammatory cell infiltration in mice with experimental autoimmune uveitis (EAU) induced by Freund's adjuvant and Mycobacterium tuberculosis, reduced the proportion of IFN- γ , IL-17, or IL-10 cells in mice, and inhibited the secretion of inflammatory cytokines by mouse lymphocytes [7]. ITE (80mg/kg/day; 28 days; i.p.) treatment could reduce tumor growth by 39% in OVCAR-3 xenograft model mice [5].

References:

[1] Song J, et al. A ligand for the aryl hydrocarbon receptor isolated from lung. *Proc Natl Acad Sci U*

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S A. 2002 Nov 12;99(23):14694-9.

[2] Henry E C, Welle S L, Gasiewicz T A. TCDD and a putative endogenous AhR ligand, ITE, elicit the same immediate changes in gene expression in mouse lung fibroblasts[J]. Toxicological Sciences, 2010, 114(1): 90-100.

[3] Dolciarni D, Gargaro M, Cerra B, et al. Binding mode and structure–activity relationships of ITE as an aryl hydrocarbon receptor (AhR) agonist[J]. ChemMedChem, 2018, 13(3): 270-279.

[4] Simpson S R, Middleton D D, Lukesh N R, et al. Microparticles incorporating dual apoptotic factors to inhibit inflammatory effects in macrophages[J]. Journal of Pharmaceutical Sciences, 2024, 113(11): 3196-3205.

[5] Wang K, Li Y, Jiang YZ, et al. An endogenous aryl hydrocarbon receptor ligand inhibits proliferation and migration of human ovarian cancer cells. Cancer Lett. 2013;340(1):63-71.

[6] Pang L, Li Y, Zou Q, et al. ITE inhibits growth of human pulmonary artery endothelial cells[J]. Experimental lung research, 2017, 43(8): 283-292.

[7] Nugent LF, Shi G, Vistica BP, Ogbeifun O, Hinshaw SJ, Gery I. ITE, a novel endogenous nontoxic aryl hydrocarbon receptor ligand, efficiently suppresses EAU and T-cell-mediated immunity. Invest Ophthalmol Vis Sci. 2013 Nov 13;54(12):7463-9.

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