Efaproxiral

Cat. No.: HY-13619

CAS No.: 131179-95-8

Molecular Formula: $C_{20}H_{23}NO_4$

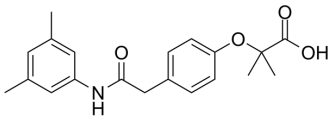
Molecular Weight: 341.4

Target: Reactive Oxygen Species

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease; NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (439.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9291 mL	14.6456 mL	29.2912 mL
	5 mM	0.5858 mL	2.9291 mL	5.8582 mL
	10 mM	0.2929 mL	1.4646 mL	2.9291 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 27.5 mg/mL (80.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.09 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Efaproxiral is a haemoglobin (Hb) synthetic allosteric modifier, decreases Hb-oxygen (O2) binding affinity and enhances oxygenation of hypoxic tumours during radiation therapy [1].

IC_{50} & Target

haemoglobin (Hb)[1]

In Vitro	Efaproxiral binds to only one pair of symmetry-related sites in the Hb central water cavity[2]. Efaproxiral readily crosses the red cell membrane in the presence of serum albumin solutions[2]. Efaproxiral is not inhibited from entering erythrocytes in the presence of an anion-channel blocking agent (DIDS)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Efaproxiral (150 mg/kg, i.p.) increase tumor oxygenation and increase the tumor growth inhibition of radiotherapy over 5 days of treatment[3]. Efaproxiral reduces hemoglobin-oxygen binding affinity, which facilitates oxygen release from hemoglobin into surrounding tissues and potentially increases the pO(2) of the tumors[4] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C3H/HEJ mice (18–20 g), with radiation-induced fibrosarcoma tumor (RIF-1) cells xenograft[3]
Dosage:	150 mg/kg
Administration:	Intraperitoneal injection; prior to X Irradiation (4 Gy/day), for 5 days
Result:	Significantly increased tumor oxygenation by 8.4 to 43.4 mmHg within 5 days, with maximum increases at 22–31 minutes after treatment.

CUSTOMER VALIDATION

- J Enzyme Inhib Med Chem. 2021 Dec;36(1):377-383.

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REFERENCES

[1]. Stea B, et al. Efaproxiral red blood cell concentration predicts efficacy in patients with brain metastases. Br J Cancer. 2006 Jun 19;94(12):1777-1784.

[2]. Abraham DJ, et al. Allosteric modifiers of hemoglobin: 2-[4-[[(3,5-disubstituted anilino)carbonyl]methyl]phenoxy]-2-methylpropionic acid derivatives that lower the oxygen affinity of hemoglobin in red cell suspensions, in whole blood, and in vivo in rats. Biochemistry. 1992 Sep 29;31(38):9141-9.

[3]. Hou H, et al. The effects of Efaproxyn (efaproxiral) on subcutaneous RIF-1 tumor oxygenation and enhancement of radiotherapy-mediated inhibition of tumor growth in mice. Radiat Res. 2007 Aug;168(2):218-25.

[4]. Hou H, et al. Increased oxygenation of intracranial tumors by efaproxyn (efaproxiral), an allosteric hemoglobin modifier: In vivo EPR oximetry study. Int J Radiat Oncol Biol Phys. 2005 Apr 1;61(5):1503-9.

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