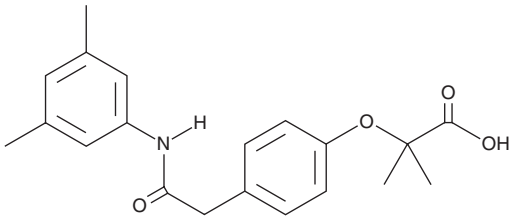
PRODUCT INFORMATION

Efaproxiral

Item No. 28294

CAS Registry No.:	131179-95-8
Formal Name:	2-[4-[2-[(3,5-dimethylphenyl) amino]-2-oxoethyl]phenoxy]-2-methyl-propanoic acid
Synonym:	RSR 13
MF:	$C_{20}H_{23}NO_4$
FW:	341.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 211, 249 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Efaproxiral is supplied as a crystalline solid. A stock solution may be made by dissolving the efaproxiral in the solvent of choice, which should be purged with an inert gas. Efaproxiral is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of efaproxiral in these solvents is approximately 10, 2, and 5 mg/ml, respectively.

Efaproxiral is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, efaproxiral should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Efaproxiral has a solubility of approximately 100 µg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Efaproxiral is an allosteric hemoglobin (Hb) modifier that reduces the affinity of Hb for oxygen, increasing the diffusion of oxygen from blood to tissue.[1] In isolated human whole blood, efaproxiral (1.75 mM) increases the partial pressure of oxygen at which Hb is 50% saturated (p50) from 26.75 to 38.63 mm Hg and decreases the percent oxyhemoglobin (Hb-O_2) saturation from 48 to 33.8% at a partial oxygen pressure (pO_2) of 26 mm Hg. Efaproxiral (150 mg/kg) increases tumor pO_2 from 5.2 to 13.1 mm Hg 30 minutes after administration in a RIF-1 mouse fibrosarcoma flank tumor model.[2] Efaproxiral (100 µM) enhances radiation-induced cytotoxicity in EMT6 mouse breast cancer cells grown under hypoxic conditions and increases radiosensitization and inhibits tumor growth in a hypoxic Lewis lung tumor mouse model when administered at a dose of 100 mg/kg.[3] It also increases the running capacity of normal mice and mice with left coronary artery (LCA) ligation-induced myocardial infarction (MI) when administered at a dose of 150 mg/kg.[4]

References

1. Steffen, R.P. *Adv. Exp. Med. Biol.* **454**, 653-661 (1998).
2. Hou, H., Khan, N., O'Hara, J.A., *et al. Int. J. Radiat. Oncol. Biol. Phys.* **59(3)**, 834-843 (2004).
3. Teicher, B.A., Wong, J.S., Takeuchi, H., *et al. Cancer Chemother. Pharmacol.* **42(1)**, 24-30 (1998).
4. Watanabe, T., Takeda, T., Omiya, S., *et al. J. Am. Coll. Cardiol.* **52(9)**, 779-786 (2008).

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